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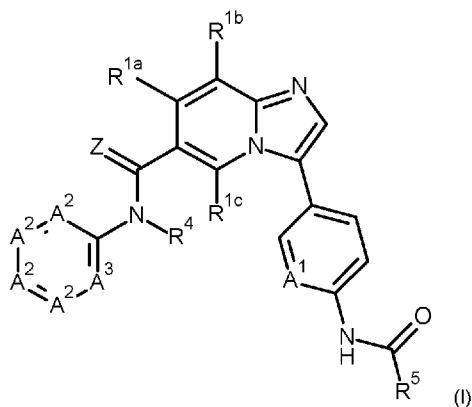
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(54) Title: IMIDAZO[1,2-A]PYRIDINE DERIVATIVES



(57) Abstract: The current invention relates to compounds of the formula (I) wherein the substituents are as defined in claim 1, to processes and methods for preparing compounds of formula (I), to agrochemical compositions comprising compounds of formula (I) as defined in claim 1, to preparation of these compositions and to the use of the compounds or compositions in agriculture or horticulture for combating, preventing or controlling infestation of plants, harvested food crops, seeds or non-living materials by phytopathogenic microorganisms, in particular fungi.



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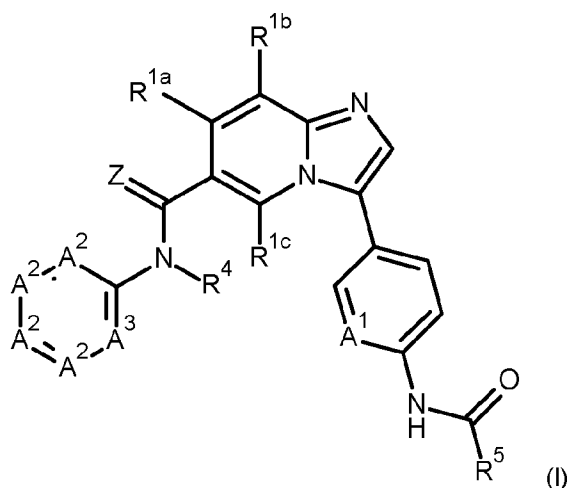
Imidazo[1,2-a]pyridine derivatives

The present invention relates to microbiocidal imidazo[1,2-a]pyridine derivatives, e.g. as active ingredients, which have microbiocidal activity, in particular fungicidal activity, more particularly activity
 5 against oomycetes. The invention also relates to preparation of these imidazo[1,2-a]pyridine derivatives, to intermediates useful in the preparation of these imidazo[1,2-a]pyridine derivatives, to the preparation of these intermediates, to agrochemical compositions which comprise at least one of the imidazo[1,2-a]pyridine derivatives, to preparation of these compositions and to the use of the imidazo[1,2-a]pyridine derivatives or compositions in agriculture or horticulture for combating, controlling or preventing
 10 infestation of plants, harvested food crops, seeds or non-living materials by phytopathogenic microorganisms, in particular fungi, more particularly oomycetes.

It has now surprisingly been found that certain novel imidazo[1,2-a]pyridine derivatives have favourable fungicidal properties, in particular against oomycetes.

15

Therefore, in a first aspect, the present invention provides compounds of formula (I)



wherein Z is O or S, and preferably Z is O;

20 A¹ is CH or N, and preferably N;

R^{1a}, R^{1b} and R^{1c} are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxy, amino, and -NHC(O)C₁₋₆alkyl;

25

A² are independently CR² or N, with the proviso that no more than three A² are N, preferably no more than two A² are N, preferably no more than one A² is N, and more preferably the four A² are CR²;

R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋
 30

6alkylsulfanyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN;

A³ is CR³ or N;

10 R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆alkylamino, and C₃₋₆cycloalkylamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆alkylamino, and C₃₋₆cycloalkylamino groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN;

wherein R³ taken together with the adjacent R² optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 5-membered heterocycle or a 6-membered heterocycle;

20

R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, and CN, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl and diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN;

wherein A³ and R⁴ taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle; and

35 R⁵ is selected from C₁₋₆alkyl, C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₁₋₆alkylamino, diC₁₋₆alkylamino, C₁₋₆alkoxyamino, and C₁₋₆alkylC₁₋₆alkoxyamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₁₋₆alkylamino, diC₁₋₆alkylamino, C₁₋₆alkoxyamino, and C₁₋₆alkylC₁₋₆alkoxyamino groups is optionally substituted with one to three substituents independently selected from halogen and CN;

or a salt or N-oxide thereof.

40 In a second aspect the present invention provides an agrochemical composition comprising a compound of formula (I), and more particularly an agrochemical composition comprising a fungicidally effective

amount of a compound of formula (I). Said composition can further comprise at least one compound selected among an additional active ingredient, an appropriate formulation inert, a carrier, an adjuvant, and any mixtures thereof.

5 Compounds of formula (I) may be used to control phytopathogenic microorganisms. Thus, in order to control a phytopathogen a compound of formula (I), or a composition comprising a compound of formula (I) according to the invention, may be applied directly to the phytopathogen, to the locus of a phytopathogen, in particular to a plant susceptible to attack by phytopathogens, or to a propagation material of a plant.

10

Thus, in a third aspect the present invention provides the use of a compound of formula (I), or a composition comprising a compound of formula (I), as described herein to combat, prevent or control a phytopathogen.

15 In a fourth aspect the present invention provides a method of combating, preventing or controlling phytopathogens, comprising applying a compound of formula (I), or a composition comprising a compound of formula (I), as described herein to said phytopathogen, to the locus of said phytopathogen, in particular to a plant susceptible to attack by a phytopathogen, or to a propagation material of a plant.

20 According to this fourth aspect of the invention, the method may exclude methods for the treatment of the human or animal body by surgery or therapy.

Compounds of formula (I) are particularly effective in combating, preventing or controlling phytopathogenic fungi, in particular oomycetes. Thus, in a fifth aspect the present invention provides the use of a compound of formula (I), or a composition comprising a compound of formula (I), as
25 described herein to control phytopathogenic fungi, in particular oomycetes.

In a sixth aspect the present invention provides a method of combating, preventing or controlling phytopathogenic disease, such as phytopathogenic fungi, comprising applying a compound of formula (I), or a composition comprising a compound of formula (I), as described herein to said phytopathogenic
30 fungi, or to the locus of said phytopathogenic fungi, in particular to a plant susceptible to attack by phytopathogenic fungi, in particular oomycetes, or to a propagation material of a plant. According to this sixth aspect of the invention, the method may exclude methods for the treatment of the human or animal body by surgery or therapy.

35 Where a group is indicated as being substituted, e.g. alkyl, this includes those groups that are part of other groups, e.g. the alkyl in alkylthio.

Definitions:

- The term "halogen" or "halo" refers to fluorine (fluoro or F), chlorine (chloro or Cl), bromine (bromo or
40 Br) or iodine (iodo or I), preferably fluorine, chlorine or bromine.

- The term "amino" refers to a -NH₂ group.
- The term "Alkyl" as used herein- in isolation or as part of a chemical group – represents straight-chain or branched hydrocarbons, preferably with 1 to 6 carbon atoms, for example methyl, ethyl, n-propyl, 5 isopropyl, n-butyl, isobutyl, s-butyl, t-butyl, pentyl, 1- methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,2-dimethylpropyl, 1,1 -dimethylpropyl, 2,2- dimethylpropyl, 1 -ethylpropyl, hexyl, 1 -methylpentyl, 2-methylpentyl, 3-methylpentyl, 4- methylpentyl, 1,2-dimethylpropyl, 1,3-dimethylbutyl, 1,4-dimethylbutyl, 2,3-dimethylbutyl, 1,1- dimethylbutyl, 2,2-dimethylbutyl, 3,3-dimethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1- ethylbutyl and 2-ethylbutyl. Alkyl groups with 1 to 4 carbon atoms are preferred, for 10 example methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, s-butyl or t-butyl.
- The term "Alkenyl" - in isolation or as part of a chemical group - represents straight-chain or branched hydrocarbons, preferably with 2 to 6 carbon atoms and at least one double bond, for example vinyl, 2-propenyl, 2-butenyl, 3-butenyl, 1- methyl-2-propenyl, 2-methyl-2-propenyl, 2-pentenyl, 3-pentenyl, 4- 15 pentenyl, 1-methyl-2-butenyl, 2- methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1 - dimethyl-2-propenyl, 1,2-dimethyl-2-propenyl, 1 -ethyl-2-propenyl, 2-hexenyl, 3-hexenyl, 4- hexenyl, 5-hexenyl, 1 -methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2- pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1 -methyl-4-pentenyl, 2-methyl-4-pentenyl, 3- methyl-4-pentenyl, 4-methyl-4-pentenyl, 1, 1 -dimethyl-2-butenyl, 1, 1-dimethyl-3- 20 butenyl, 1,2- dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-2-butenyl, 2,2-dimethyl-3-butenyl, 2,3- dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 1 -ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1, 1,2-trimethyl-2-propenyl, 1 -ethyl- 1 -methyl-2-propenyl und 1-ethyl-2-methyl-2-propenyl. Alkenyl groups with 2 to 4 carbon atoms are preferred, for example 2-propenyl, 2-butenyl or 1-methyl-2-propenyl.
- 25
- The term "Alkynyl" - in isolation or as part of a chemical group - represents straight-chain or branched hydrocarbons, preferably with 2 to 6 carbon atoms and at least one triple bond, for example 2-propynyl, 2-butyryl, 3-butyryl, 1-methyl-2- propynyl, 2-pentyryl, 3-pentyryl, 4-pentyryl, 1-methyl-3-butyryl, 2- methyl-3-butyryl, 1-methyl-2- butynyl, 1,1 -dimethyl-2-propynyl, 1 -ethyl-2-propynyl, 2-hexynyl, 3- 30 hexynyl, 4-hexynyl, 5-hexynyl, 1- methyl-2-pentyryl, 1-methyl-3-pentyryl, 1 -methyl-4-pentyryl, 2-methyl-3-pentyryl, 2-methyl-4- pentyryl, 3 -methyl-4-pentyryl, 4-methyl-2-pentyryl, 1,1 -dimethyl-3 -butynyl, 1,2-dimethyl-3 -butynyl, 2,2- dimethyl-3-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl, 1-ethyl-1-methyl-2-propynyl and 2,5-hexadiynyl. Alkynyls with 2 to 4 carbon atoms are preferred, for example ethynyl, 2- propynyl or 2-butyryl-2-propenyl.
- 35
- The term "haloalkyl" refers to an alkyl radical as generally defined above substituted by one or more of the same or different halogen atoms, for examples fluoromethyl, fluoroethyl, difluoromethyl, trifluoromethyl, or 2,2,2-trifluoroethyl.
- 40 - The term cyanoalkyl" refers to an alkyl radical as generally defined above substituted by one or more cyano groups.

- The term "cycloalkyl" - in isolation or as part of a chemical group - represents saturated or partially unsaturated mono-, bi- or tricyclic hydrocarbons, preferably with 3 to 10 carbon atoms, for example cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, bicyclo[2.2.1]heptyl, 5 bicyclo[2.2.2]octyl or adamantyl. Cycloalkyls with 3, 4, 5, 6 or 7 carbon atoms are preferred, for example cyclopropyl or cyclobutyl.
- The term "halocycloalkyl" refers to a cycloalkyl ring as defined above substituted by one or more of the same or different halogen atoms.
- 10
- The term "cyanocycloalkyl" refers to a cycloalkyl radical as generally defined above substituted by one or more cyano groups.
- The term "alkoxy" refers to a radical of the formula $-OR_a$ wherein R_a is an alkyl radical as generally 15 defined above. Examples of alkoxy include, but are not limited to methoxy, ethoxy, propoxy, iso-propoxy, and tert-butoxy. The term "alkoxyalkyl" refers to an alkyl radical (as mentioned above) substituted with said alkoxy group. Examples are methoxymethyl, methoxyethyl, ethoxymethyl and propoxymethyl.
- The term "alkylsulfanyl" refers to a radical of the formula $-SR_a$ wherein R_a is an alkyl radical as generally 20 defined above.
- The term "alkylsulfinyl" refers to a radical of the formula $-S(O)R_a$ wherein R_a is an alkyl radical as generally defined above.
- 25 - The term "alkylsulfonyl" refers to a radical of the formula $-S(O)_2R_a$ wherein R_a is an alkyl radical as generally defined above.
- The term "alkylcarbonyl" refers to a radical of the formula $R_aC(O)-$ wherein R_a is an alkyl radical as generally defined above.
- 30
- the term "alkoxycarbonyl" refers to a radical of the formula $R_aOC(O)-$, wherein R_a is an alkyl radical as generally defined above.
- The term "alkylamino" refers to a radical of the formula R_aNH- wherein R_a is an alkyl radical as generally 35 defined above.
- The term "cycloalkylamino" refers to a radical of the formula R_aNH- wherein R_a is a cycloalkyl radical as generally defined above.
- 40 - The term "alkoxyamino" refers to a radical of the formula R_aNH- , wherein R_a is an alkoxy radical as generally defined above.

- The term "alkylaminocarbonyl" refers to a radical of the formula $R_a\text{NHC(O)-}$ wherein R_a is an alkyl radical as generally defined above.

5 - Hydroxyl or hydroxy stands for a $-\text{OH}$ group.

The term "combating", "preventing" or "controlling", and its inflections, within the context of the present invention, mean reducing any undesired effect, such as pathogenic and more particularly phytopathogenic, especially fungi such as oomycetes, infestation or attack of, and pathogenic damage
10 to a plant or to a plant derived product to such a level that an improvement is demonstrated.

As used herein, the term "effective amount" refers to the amount of the compound, a salt, or N-oxide thereof, which, upon single or multiple applications provides the desired effect.

15 An effective amount is readily determined by the skilled person in the art, by the use of known techniques and by observing results obtained under analogous circumstances. In determining the effective amount a number of factors are considered including, but not limited to: the type of plant or derived product to be applied; the pathogen to be controlled & its lifecycle; the particular compound applied; the type of application; and other relevant circumstances.

20

Compounds of formula (I) which have at least one basic centre can form, for example, acid addition salts, for example with strong inorganic acids such as mineral acids, for example perchloric acid, sulfuric acid, nitric acid, nitrous acid, a phosphorus acid or a hydrohalic acid, with strong organic carboxylic acids, such as C_{1-4} alkanecarboxylic acids which are unsubstituted or substituted, for example by
25 halogen, for example acetic acid, such as saturated or unsaturated dicarboxylic acids, for example oxalic acid, malonic acid, succinic acid, maleic acid, fumaric acid or phthalic acid, such as hydroxycarboxylic acids, for example ascorbic acid, lactic acid, malic acid, tartaric acid or citric acid, or such as benzoic acid, or with organic sulfonic acids, such as C_{1-4} alkane- or arylsulfonic acids which are unsubstituted or substituted, for example by halogen, for example methane- or p-toluenesulfonic acid. Compounds of
30 formula (I) which have at least one acidic group can form, for example, salts with bases, for example mineral salts such as alkali metal or alkaline earth metal salts, for example sodium, potassium or magnesium salts, or salts with ammonia or an organic amine, such as morpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower-alkylamine, for example ethyl-, diethyl-, triethyl- or dimethylpropylamine, or a mono-, di- or trihydroxy-lower-alkylamine, for example mono-, di- or
35 triethanolamine.

In each case, the compounds of formula (I) according to the invention are in free form, in oxidized form as an N-oxide, in covalently hydrated form, or in salt form, e.g., an agronomically usable or agrochemically acceptable salt form. N-oxides are oxidized forms of tertiary amines or oxidized forms
40 of nitrogen containing heteroaromatic compounds. They are described for instance in the book

"Heterocyclic N-oxides" by A. Albini and S. Pietra, CRC Press, Boca Raton 1991. The compounds of formula (I) according to the invention also include hydrates, which may be formed during salt formation.

The compounds of formula (I) according to the invention also include hydrates which may be formed
5 during the salt formation.

In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein R^{1a} , R^{1b} and R^{1c} are independently selected from hydrogen, CN, C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{1-6} alkoxy- C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl, and C_{1-6} alkoxy.

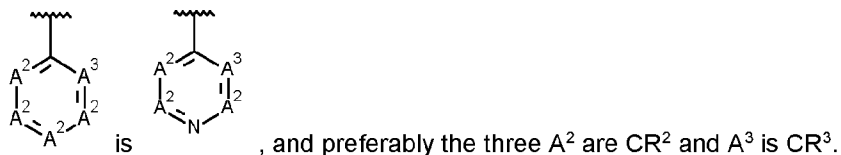
10 In a particular embodiment, R^{1a} , R^{1b} and R^{1c} can be independently selected from hydrogen and C_{1-6} alkyl. In another particular embodiment, R^{1a} and R^{1c} can be hydrogen; and R^{1b} can be selected from hydrogen, hydroxy, halogen, CN, C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{1-6} alkoxy- C_{1-6} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl, C_{1-6} alkoxy, amino, and $NHC(O)C_{1-6}$ alkyl.

15 In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein R^2 are independently selected from hydrogen, hydroxy, halogen, CN, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, di- C_{1-6} alkylaminocarbonyl, and C_{1-6} alkylcarbonyl, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, di- C_{1-6} alkylaminocarbonyl,
20 and C_{1-6} alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; preferably R^2 are independently selected from hydrogen, halogen, CN, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and C_{1-6} alkoxy- C_{1-6} alkoxy, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and C_{1-6} alkoxy- C_{1-6} alkoxy groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; and more preferably
25 R^2 are independently selected from hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and CN.

In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein R^3 is selected from hydroxy, halogen, CN, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkoxy- C_{1-6} alkoxy, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, amino, C_{1-6} alkylamino, di- C_{1-6} alkylamino, and C_{3-6} cycloalkylamino, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkoxy- C_{1-6} alkoxy, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, amino, C_{1-6} alkylamino, di- C_{1-6} alkylamino and C_{3-6} cycloalkylamino groups is optionally substituted with one to three
35 substituents independently selected from halogen, hydroxy, and CN. More preferably, R^3 is selected from hydroxy, halogen, CN, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and C_{1-6} alkoxycarbonyl, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and C_{1-6} alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen, hydroxy, and CN.

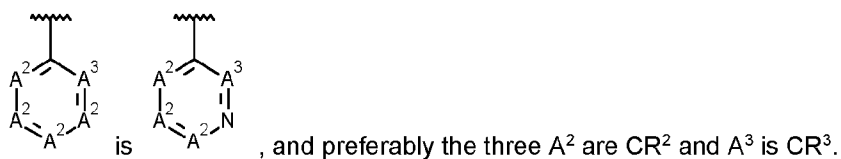
In a further embodiment, there is provided a compound of formula (I) according to the present invention,
40 wherein four A^2 are CR^2 and A^3 is N.

In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein



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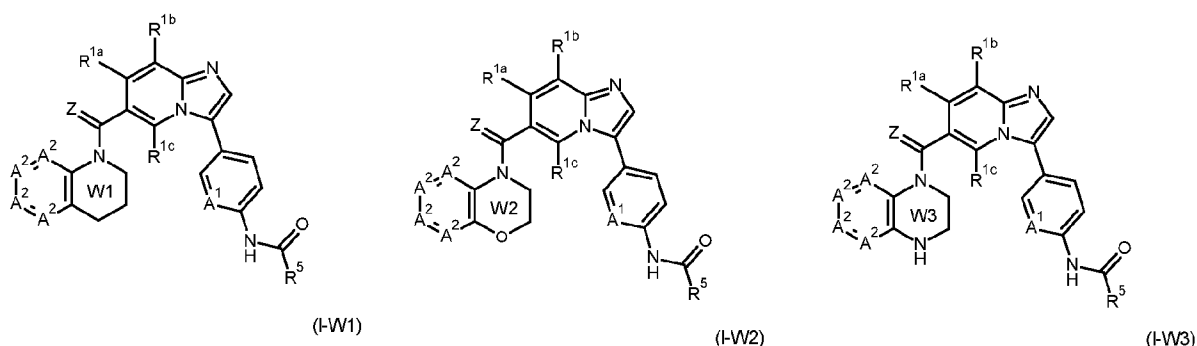
In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein



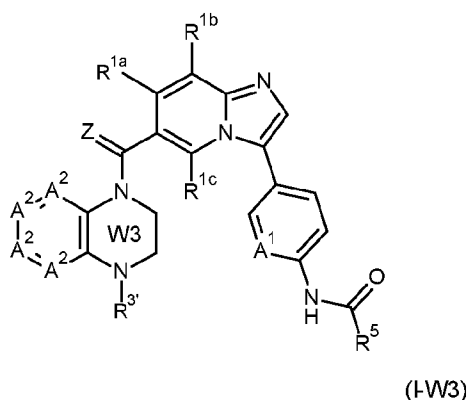
10 In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein four A² are CR² and A³ is CR³.

In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, and C₁₋₆alkoxy, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, and C₁₋₆alkoxy groups is optionally substituted with one to three substituents independently selected from halogen and CN; and preferably R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy groups is optionally substituted with one to three substituents, preferably one substituent, independently selected from halogen and CN; and wherein A³ and R⁴ taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle.

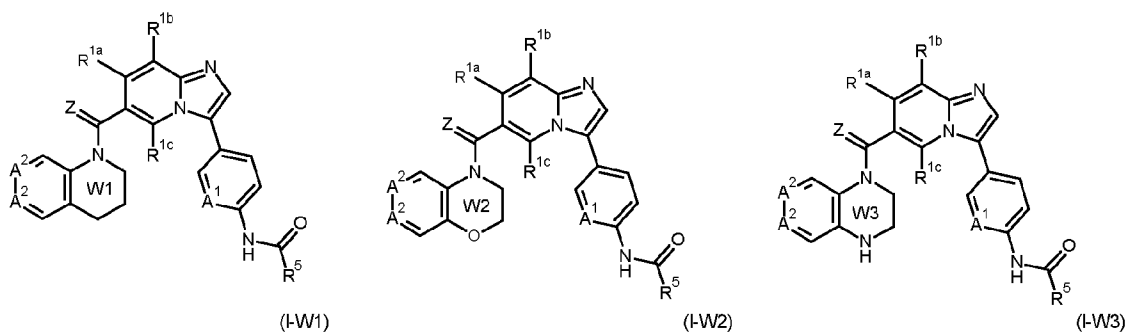
25 In a further embodiment, there is provided a compound of formula (I) according to the present invention, wherein A³ is CR³ and wherein R³ and R⁴ taken together form a ring, preferably a 5-8-membered heterocycle, preferably a 6-membered heterocycle, and more preferably one of the rings W1, W2 or W3 as described in the compounds of the formula (I) below:



The carbon and/or the nitrogen atoms forming said ring (W1, W2 or W3) can be substituted, especially by a R^3 group, wherein R^3 is selected from hydrogen, C_{1-6} alkyl, C_{3-6} cycloalkyl, hydroxy, C_{1-6} alkoxy, and C_{1-6} alkoxycarbonyl, wherein each of the C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{1-6} alkoxy, and C_{1-6} alkoxycarbonyl groups is optionally substituted with one to three substituents independently selected from halogen and CN. More preferably, R^3 is selected from hydrogen, C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, and C_{1-6} alkoxycarbonyl, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, and C_{1-6} alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen and CN. For example, the compounds of the formula (I-W3) can be as follows:



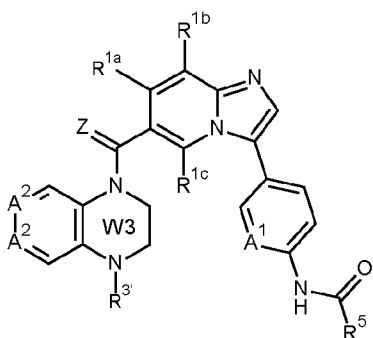
In a preferred embodiment, the compounds of the formula (I-W1), (I-W2) and (I-W3) can be as described below:



The carbon and/or the nitrogen atoms forming said ring (W1, W2 or W3) can be substituted, especially by a R^3 group, wherein R^3 is selected from hydrogen, C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl, and C_{3-6} cycloalkyl, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl, and C_{3-6} cycloalkyl

groups is optionally substituted with one to three substituents independently selected from halogen and CN. More preferably, $R^{3'}$ is selected from hydrogen, C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, and C_{1-6} alkoxycarbonyl, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, and C_{1-6} alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen and CN. For example, the compounds of the

5 formula (I-W3) can be as follows:



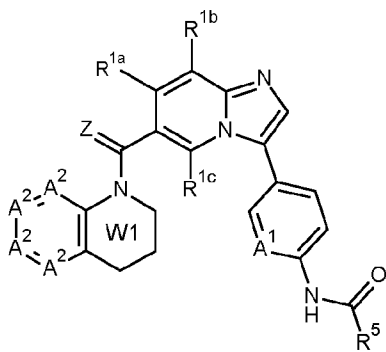
(I-W3)

In a further embodiment, there is provided a compound of formula (I) according to the present invention,

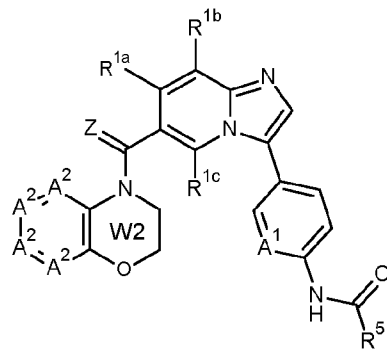
10 wherein R^5 is selected from C_{1-6} alkyl, C_{1-6} alkoxy, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, and C_{1-6} alkoxy- C_{1-6} alkyl, wherein each of said groups is optionally substituted with one to three substituents independently selected from halogen and CN.

In a particular embodiment, there is provided a compound of formula (I-W1, I-W2, or I-W3) according to

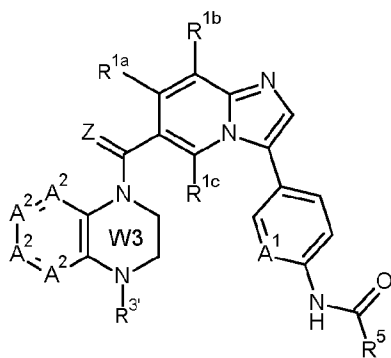
15 the present invention



(I-W1)



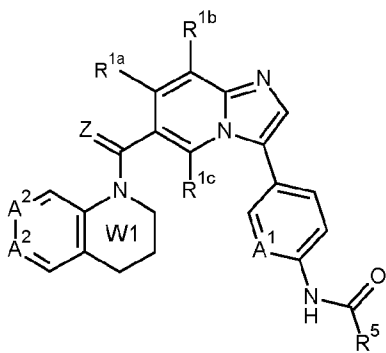
(I-W2)



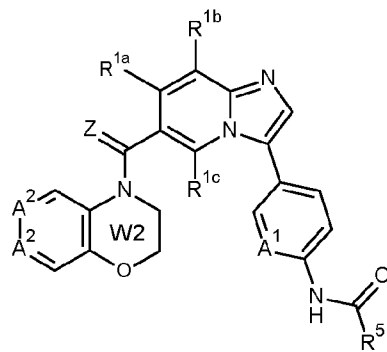
(I-W3),

and more preferably

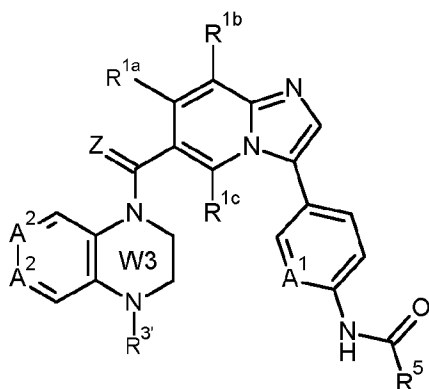
5



(I-W1)



(I-W2)



(I-W3),

wherein

Z is O;

A¹ is N;

- 5 R^{1a} and R^{1c} are hydrogen; and R^{1b} is selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkoxy, amino, and NHC(O)C₁₋₆alkyl; the two A² are CR²; with R² being independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkoxycarbonyl and C₁₋₆alkylsulfonyl, wherein
- 10 each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkoxycarbonyl and C₁₋₆alkylsulfonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; preferably R² being independently selected from hydrogen, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl and C₁₋₆alkoxy-C₁₋₆alkoxy, wherein each of
- 15 the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl and C₁₋₆alkoxy-C₁₋₆alkoxy groups is optionally substituted with one to three substituents, preferably one substituent, independently selected from halogen, hydroxy, and CN; and preferably R² being independently selected from hydrogen, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxycarbonyl, and C₁₋₆alkoxy-C₁₋₆alkyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxycarbonyl, and C₁₋₆alkoxy-C₁₋₆alkyl groups is optionally substituted with one
- 20 to three substituents, preferably one substituent, independently selected from halogen, hydroxy, and CN;
- R³ is selected from hydrogen, C₁₋₆alkyl, and C₃₋₆cycloalkyl, wherein each of the C₁₋₆alkyl and C₃₋₆cycloalkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN; and
- 25 R⁵ is selected from C₁₋₆alkyl, C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₁₋₆alkylamino, diC₁₋₆alkylamino, and C₁₋₆alkylC₁₋₆alkoxyamino, wherein each of said groups is optionally substituted with one to three substituents independently selected from halogen and CN; and preferably R⁵ is selected from C₁₋₆alkyl, C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, and C₁₋₆alkoxyC₁₋₆alkyl, wherein each of said groups is optionally substituted with one to three substituents independently
- 30 selected from halogen and CN.

In a further embodiment, the compound according to the present invention is selected from:

- methyl N-[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 5 methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-
10 pyridyl]carbamate;
- methyl N-[5-[6-(7-fluoro-2,3-dihydro-1,4-benzoxazine-4-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(5-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 15 methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-5-carboxylate;
- methyl N-[5-[6-(3-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(8-fluoro-7-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-
20 pyridyl]carbamate
- methyl N-[5-[6-[(2-chloro-5-methoxy-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-3-carboxylate;
- 25 methyl N-[5-[6-[(3-fluoro-2-methoxy-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(5-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(2-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-
30 pyridyl]carbamate;
- methyl N-[5-[6-(5-chloroindoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(7-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-chloro-8-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-
35 pyridyl]carbamate;
- methyl N-[5-[6-(5,7-difluoroindoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-bromo-5-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(2-chloro-4-fluoro-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-
40 pyridyl]carbamate;

- methyl N-[5-[6-(5,6-difluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(2-fluoro-4-methyl-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 5 methyl N-[5-[6-(7-methylsulfonyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-fluoro-3-hydroxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(6-chloropyridazin-3-yl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-
10 pyridyl]carbamate;
- methyl N-[5-[6-(6,7-difluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(5-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 15 methyl N-[5-[6-(5-fluoro-6-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[4-(2-methoxyethyl)-3,4-dihydro-2H-quinoline-1-carbonyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(4-fluoro-2-methoxy-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-
20 pyridyl]carbamate;
- methyl N-[5-[6-(6-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(3-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 25 methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-4-carboxylate;
- methyl N-[5-[6-(6-(difluoromethoxy)-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- ethyl 6-fluoro-1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-
30 2H-quinoline-3-carboxylate;
- methyl N-[5-[6-(7-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(6-fluoro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-
35 pyridyl]carbamate;
- methyl N-[5-[6-[(2,3-dichlorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[methyl-(5-methyl-2-pyridyl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(5,7-dimethoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 40 methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-1,6-naphthyridine-5-carboxylate;

- methyl N-[5-[6-(3-hydroxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[methyl-(4-methylpyrimidin-2-yl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 5 methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-7-carboxylate;
- methyl 2-[[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-methyl-amino]benzoate;
- methyl N-[5-[6-[(5-chloro-2-methyl-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-10 pyridyl]carbamate;
- methyl N-[5-[6-(6-chloro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[methyl-(2,3,4-trifluorophenyl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(8-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-15 pyridyl]carbamate;
- methyl N-[5-[6-(4-cyclopropyl-6-fluoro-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-methoxyindoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(4-chloro-2-fluoro-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-20 pyridyl]carbamate;
- methyl N-[5-[6-[(2,5-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-methylsulfonyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(7-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-25 pyridyl]carbamate;
- methyl N-[5-[6-(6,7-dimethoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[5-(difluoromethoxy)-3,4-dihydro-2H-quinoline-1-carbonyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 30 methyl 2-[ethyl-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]amino]benzoate;
- methyl N-[5-[6-[(2-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(5-chloro-2-methoxy-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 35 methyl N-[5-[6-(6-fluoro-5-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(7-fluoro-6-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-(6-fluoro-7-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-40 pyridyl]carbamate;
- methyl N-[5-[6-(5-fluoroindoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;

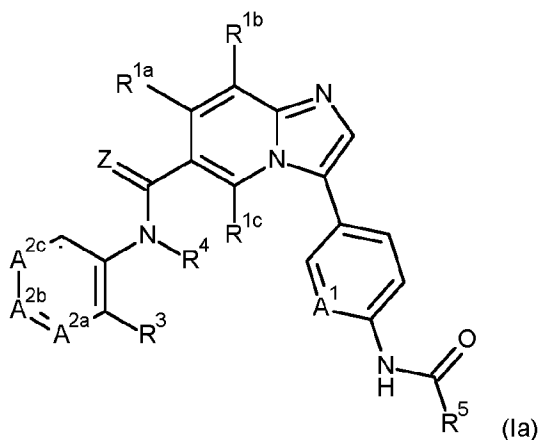
- methyl N-[5-[6-(6-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(4-fluoro-2,6-diiodo-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 5 methyl N-[5-[6-[(5-chloro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(5-cyanopyrazin-2-yl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[5-[6-[(4-methoxy-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- 10 methyl N-[5-[6-[(5-fluoro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate;
- methyl N-[4-[6-[(5-chloro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- methyl N-[4-[6-[(2,6-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- methyl N-[4-[6-[methyl-(2-methyl-3-pyridyl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- methyl N-[4-[6-[(2,5-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- 15 methyl N-[4-[6-[(2-isopropylphenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- methyl N-[4-[6-[methyl(o-tolyl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- methyl N-[4-[6-[(2,4-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate;
- and
- methyl N-[4-[6-[(2,4-dichlorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate.

20

The method according to the present invention has advantageous properties for protecting plants against pathogenic, such as phytopathogenic, especially fungi such as oomycetes, attack or infestation, which result in a disease and damage to the plant; particularly in instance of plants, the present invention can control, limit or prevent pathogenic damage on plant, parts of plant, plant propagation material

25 and/or plant grown.

The compounds in Tables 1.1 to 1.27, in Tables 2.1 to 2.45, Tables 3.1 to 3.55 and in Tables 4.1 to 4.24 below illustrate the compounds of the invention.

30 Table 1.1 provides compounds of formula (Ia)

wherein Z is O;

R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is methoxy and R⁵ is methyl; and

the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1 below.

- 5 Each of Tables 1.2 to 1.27 (which follow Table Z.1) discloses individual compounds of the formula (Ia) in which Z, R^{1a}, R^{1b}, R^{1c}, R³ and R⁵ are specifically defined in Tables 1.2 to 1.27, which refer to Table Z.1 wherein R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are specifically defined.

Table Z.1

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.1	CH ₃	CH	CH	CH	CH
E1.2	CH ₃	C-CH ₃	CH	CH	CH
E1.3	CH ₃	C-CH ₂ CH ₃	CH	CH	CH
E1.4	CH ₃	C-F	CH	CH	CH
E1.5	CH ₃	C-Cl	CH	CH	CH
E1.6	CH ₃	C-Br	CH	CH	CH
E1.7	CH ₃	C-CN	CH	CH	CH
E1.8	CH ₃	C-OCH ₃	CH	CH	CH
E1.9	CH ₃	C-OCH ₂ CH ₃	CH	CH	CH
E1.10	CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	CH
E1.11	CH ₃	C-OH	CH	CH	CH
E1.12	CH ₃	CH	N	CH	CH
E1.13	CH ₃	C-CH ₃	N	CH	CH
E1.14	CH ₃	C-CH ₂ CH ₃	N	CH	CH
E1.15	CH ₃	C-F	N	CH	CH
E1.16	CH ₃	C-Cl	N	CH	CH
E1.17	CH ₃	C-Br	N	CH	CH
E1.18	CH ₃	C-CN	N	CH	CH
E1.19	CH ₃	C-OCH ₃	N	CH	CH
E1.20	CH ₃	C-OCH ₂ CH ₃	N	CH	CH
E1.21	CH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	CH
E1.22	CH ₃	C-OH	N	CH	CH
E1.23	CH ₃	CH	CH	N	CH
E1.24	CH ₃	C-CH ₃	CH	N	CH
E1.25	CH ₃	C-CH ₂ CH ₃	CH	N	CH
E1.26	CH ₃	C-F	CH	N	CH
E1.27	CH ₃	C-Cl	CH	N	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.28	CH ₃	C-Br	CH	N	CH
E1.29	CH ₃	C-CN	CH	N	CH
E1.30	CH ₃	C-OCH ₃	CH	N	CH
E1.31	CH ₃	C-OCH ₂ CH ₃	CH	N	CH
E1.32	CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	CH
E1.33	CH ₃	C-OH	CH	N	CH
E1.34	CH ₃	CH	C-F	CH	CH
E1.35	CH ₃	C-CH ₃	C-F	CH	CH
E1.36	CH ₃	C-CH ₂ CH ₃	C-F	CH	CH
E1.37	CH ₃	C-F	C-F	CH	CH
E1.38	CH ₃	C-Cl	C-F	CH	CH
E1.39	CH ₃	C-Br	C-F	CH	CH
E1.40	CH ₃	C-CN	C-F	CH	CH
E1.41	CH ₃	C-OCH ₃	C-F	CH	CH
E1.42	CH ₃	C-OCH ₂ CH ₃	C-F	CH	CH
E1.43	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	CH
E1.44	CH ₃	C-OH	C-F	CH	CH
E1.45	CH ₃	CH	C-Cl	CH	CH
E1.46	CH ₃	C-CH ₃	C-Cl	CH	CH
E1.47	CH ₃	C-CH ₂ CH ₃	C-Cl	CH	CH
E1.48	CH ₃	C-F	C-Cl	CH	CH
E1.49	CH ₃	C-Cl	C-Cl	CH	CH
E1.50	CH ₃	C-Br	C-Cl	CH	CH
E1.51	CH ₃	C-CN	C-Cl	CH	CH
E1.52	CH ₃	C-OCH ₃	C-Cl	CH	CH
E1.53	CH ₃	C-OCH ₂ CH ₃	C-Cl	CH	CH
E1.54	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	CH
E1.55	CH ₃	C-OH	C-Cl	CH	CH
E1.56	CH ₃	CH	C-CH ₃	CH	CH
E1.57	CH ₃	C-CH ₃	C-CH ₃	CH	CH
E1.58	CH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	CH
E1.59	CH ₃	C-F	C-CH ₃	CH	CH
E1.60	CH ₃	C-Cl	C-CH ₃	CH	CH
E1.61	CH ₃	C-Br	C-CH ₃	CH	CH
E1.62	CH ₃	C-CN	C-CH ₃	CH	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.63	CH ₃	C-OCH ₃	C-CH ₃	CH	CH
E1.64	CH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	CH
E1.65	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH
E1.66	CH ₃	C-OH	C-CH ₃	CH	CH
E1.67	CH ₃	CH	C-CH ₂ CH ₃	CH	CH
E1.68	CH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	CH
E1.69	CH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.70	CH ₃	C-F	C-CH ₂ CH ₃	CH	CH
E1.71	CH ₃	C-Cl	C-CH ₂ CH ₃	CH	CH
E1.72	CH ₃	C-Br	C-CH ₂ CH ₃	CH	CH
E1.73	CH ₃	C-CN	C-CH ₂ CH ₃	CH	CH
E1.74	CH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.75	CH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.76	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.77	CH ₃	C-OH	C-CH ₂ CH ₃	CH	CH
E1.78	CH ₃	CH	C-CN	CH	CH
E1.79	CH ₃	C-CH ₃	C-CN	CH	CH
E1.80	CH ₃	C-CH ₂ CH ₃	C-CN	CH	CH
E1.81	CH ₃	C-F	C-CN	CH	CH
E1.82	CH ₃	C-Cl	C-CN	CH	CH
E1.83	CH ₃	C-Br	C-CN	CH	CH
E1.84	CH ₃	C-CN	C-CN	CH	CH
E1.85	CH ₃	C-OCH ₃	C-CN	CH	CH
E1.86	CH ₃	C-OCH ₂ CH ₃	C-CN	CH	CH
E1.87	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	CH
E1.88	CH ₃	C-OH	C-CN	CH	CH
E1.89	CH ₃	CH	CH	CH	N
E1.90	CH ₃	C-CH ₃	CH	CH	N
E1.91	CH ₃	C-CH ₂ CH ₃	CH	CH	N
E1.92	CH ₃	C-F	CH	CH	N
E1.93	CH ₃	C-Cl	CH	CH	N
E1.94	CH ₃	C-Br	CH	CH	N
E1.95	CH ₃	C-CN	CH	CH	N
E1.96	CH ₃	C-OCH ₃	CH	CH	N
E1.97	CH ₃	C-OCH ₂ CH ₃	CH	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.98	CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	N
E1.99	CH ₃	C-OH	CH	CH	N
E1.100	CH ₃	CH	N	CH	N
E1.101	CH ₃	C-CH ₃	N	CH	N
E1.102	CH ₃	C-CH ₂ CH ₃	N	CH	N
E1.103	CH ₃	C-F	N	CH	N
E1.104	CH ₃	C-Cl	N	CH	N
E1.105	CH ₃	C-Br	N	CH	N
E1.106	CH ₃	C-CN	N	CH	N
E1.107	CH ₃	C-OCH ₃	N	CH	N
E1.108	CH ₃	C-OCH ₂ CH ₃	N	CH	N
E1.109	CH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	N
E1.110	CH ₃	C-OH	N	CH	N
E1.111	CH ₃	CH	CH	N	N
E1.112	CH ₃	C-CH ₃	CH	N	N
E1.113	CH ₃	C-CH ₂ CH ₃	CH	N	N
E1.114	CH ₃	C-F	CH	N	N
E1.115	CH ₃	C-Cl	CH	N	N
E1.116	CH ₃	C-Br	CH	N	N
E1.117	CH ₃	C-CN	CH	N	N
E1.118	CH ₃	C-OCH ₃	CH	N	N
E1.119	CH ₃	C-OCH ₂ CH ₃	CH	N	N
E1.120	CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	N
E1.121	CH ₃	C-OH	CH	N	N
E1.122	CH ₃	CH	C-F	CH	N
E1.123	CH ₃	C-CH ₃	C-F	CH	N
E1.124	CH ₃	C-CH ₂ CH ₃	C-F	CH	N
E1.125	CH ₃	C-F	C-F	CH	N
E1.126	CH ₃	C-Cl	C-F	CH	N
E1.127	CH ₃	C-Br	C-F	CH	N
E1.128	CH ₃	C-CN	C-F	CH	N
E1.129	CH ₃	C-OCH ₃	C-F	CH	N
E1.130	CH ₃	C-OCH ₂ CH ₃	C-F	CH	N
E1.131	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	N
E1.132	CH ₃	C-OH	C-F	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.133	CH ₃	CH	C-Cl	CH	N
E1.134	CH ₃	C-CH ₃	C-Cl	CH	N
E1.135	CH ₃	C-CH ₂ CH ₃	C-Cl	CH	N
E1.136	CH ₃	C-F	C-Cl	CH	N
E1.137	CH ₃	C-Cl	C-Cl	CH	N
E1.138	CH ₃	C-Br	C-Cl	CH	N
E1.139	CH ₃	C-CN	C-Cl	CH	N
E1.140	CH ₃	C-OCH ₃	C-Cl	CH	N
E1.141	CH ₃	C-OCH ₂ CH ₃	C-Cl	CH	N
E1.142	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	N
E1.143	CH ₃	C-OH	C-Cl	CH	N
E1.144	CH ₃	CH	C-CH ₃	CH	N
E1.145	CH ₃	C-CH ₃	C-CH ₃	CH	N
E1.146	CH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	N
E1.147	CH ₃	C-F	C-CH ₃	CH	N
E1.148	CH ₃	C-Cl	C-CH ₃	CH	N
E1.149	CH ₃	C-Br	C-CH ₃	CH	N
E1.150	CH ₃	C-CN	C-CH ₃	CH	N
E1.151	CH ₃	C-OCH ₃	C-CH ₃	CH	N
E1.152	CH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	N
E1.153	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N
E1.154	CH ₃	C-OH	C-CH ₃	CH	N
E1.155	CH ₃	CH	C-CH ₂ CH ₃	CH	N
E1.156	CH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	N
E1.157	CH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.158	CH ₃	C-F	C-CH ₂ CH ₃	CH	N
E1.159	CH ₃	C-Cl	C-CH ₂ CH ₃	CH	N
E1.160	CH ₃	C-Br	C-CH ₂ CH ₃	CH	N
E1.161	CH ₃	C-CN	C-CH ₂ CH ₃	CH	N
E1.162	CH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	N
E1.163	CH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.164	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N
E1.165	CH ₃	C-OH	C-CH ₂ CH ₃	CH	N
E1.166	CH ₃	CH	C-CN	CH	N
E1.167	CH ₃	C-CH ₃	C-CN	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.168	CH ₃	C-CH ₂ CH ₃	C-CN	CH	N
E1.169	CH ₃	C-F	C-CN	CH	N
E1.170	CH ₃	C-Cl	C-CN	CH	N
E1.171	CH ₃	C-Br	C-CN	CH	N
E1.172	CH ₃	C-CN	C-CN	CH	N
E1.173	CH ₃	C-OCH ₃	C-CN	CH	N
E1.174	CH ₃	C-OCH ₂ CH ₃	C-CN	CH	N
E1.175	CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	N
E1.176	CH ₃	C-OH	C-CN	CH	N
E1.177	CH ₂ CH ₃	CH	CH	CH	CH
E1.178	CH ₂ CH ₃	C-CH ₃	CH	CH	CH
E1.179	CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH	CH
E1.180	CH ₂ CH ₃	C-F	CH	CH	CH
E1.181	CH ₂ CH ₃	C-Cl	CH	CH	CH
E1.182	CH ₂ CH ₃	C-Br	CH	CH	CH
E1.183	CH ₂ CH ₃	C-CN	CH	CH	CH
E1.184	CH ₂ CH ₃	C-OCH ₃	CH	CH	CH
E1.185	CH ₂ CH ₃	C-OCH ₂ CH ₃	CH	CH	CH
E1.186	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	CH
E1.187	CH ₂ CH ₃	C-OH	CH	CH	CH
E1.188	CH ₂ CH ₃	CH	N	CH	CH
E1.189	CH ₂ CH ₃	C-CH ₃	N	CH	CH
E1.190	CH ₂ CH ₃	C-CH ₂ CH ₃	N	CH	CH
E1.191	CH ₂ CH ₃	C-F	N	CH	CH
E1.192	CH ₂ CH ₃	C-Cl	N	CH	CH
E1.193	CH ₂ CH ₃	C-Br	N	CH	CH
E1.194	CH ₂ CH ₃	C-CN	N	CH	CH
E1.195	CH ₂ CH ₃	C-OCH ₃	N	CH	CH
E1.196	CH ₂ CH ₃	C-OCH ₂ CH ₃	N	CH	CH
E1.197	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	CH
E1.198	CH ₂ CH ₃	C-OH	N	CH	CH
E1.199	CH ₂ CH ₃	CH	CH	N	CH
E1.200	CH ₂ CH ₃	C-CH ₃	CH	N	CH
E1.201	CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N	CH
E1.202	CH ₂ CH ₃	C-F	CH	N	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.203	CH ₂ CH ₃	C-Cl	CH	N	CH
E1.204	CH ₂ CH ₃	C-Br	CH	N	CH
E1.205	CH ₂ CH ₃	C-CN	CH	N	CH
E1.206	CH ₂ CH ₃	C-OCH ₃	CH	N	CH
E1.207	CH ₂ CH ₃	C-OCH ₂ CH ₃	CH	N	CH
E1.208	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	CH
E1.209	CH ₂ CH ₃	C-OH	CH	N	CH
E1.210	CH ₂ CH ₃	CH	C-F	CH	CH
E1.211	CH ₂ CH ₃	C-CH ₃	C-F	CH	CH
E1.212	CH ₂ CH ₃	C-CH ₂ CH ₃	C-F	CH	CH
E1.213	CH ₂ CH ₃	C-F	C-F	CH	CH
E1.214	CH ₂ CH ₃	C-Cl	C-F	CH	CH
E1.215	CH ₂ CH ₃	C-Br	C-F	CH	CH
E1.216	CH ₂ CH ₃	C-CN	C-F	CH	CH
E1.217	CH ₂ CH ₃	C-OCH ₃	C-F	CH	CH
E1.218	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-F	CH	CH
E1.219	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	CH
E1.220	CH ₂ CH ₃	C-OH	C-F	CH	CH
E1.221	CH ₂ CH ₃	CH	C-Cl	CH	CH
E1.222	CH ₂ CH ₃	C-CH ₃	C-Cl	CH	CH
E1.223	CH ₂ CH ₃	C-CH ₂ CH ₃	C-Cl	CH	CH
E1.224	CH ₂ CH ₃	C-F	C-Cl	CH	CH
E1.225	CH ₂ CH ₃	C-Cl	C-Cl	CH	CH
E1.226	CH ₂ CH ₃	C-Br	C-Cl	CH	CH
E1.227	CH ₂ CH ₃	C-CN	C-Cl	CH	CH
E1.228	CH ₂ CH ₃	C-OCH ₃	C-Cl	CH	CH
E1.229	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-Cl	CH	CH
E1.230	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	CH
E1.231	CH ₂ CH ₃	C-OH	C-Cl	CH	CH
E1.232	CH ₂ CH ₃	CH	C-CH ₃	CH	CH
E1.233	CH ₂ CH ₃	C-CH ₃	C-CH ₃	CH	CH
E1.234	CH ₂ CH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	CH
E1.235	CH ₂ CH ₃	C-F	C-CH ₃	CH	CH
E1.236	CH ₂ CH ₃	C-Cl	C-CH ₃	CH	CH
E1.237	CH ₂ CH ₃	C-Br	C-CH ₃	CH	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.238	CH ₂ CH ₃	C-CN	C-CH ₃	CH	CH
E1.239	CH ₂ CH ₃	C-OCH ₃	C-CH ₃	CH	CH
E1.240	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	CH
E1.241	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH
E1.242	CH ₂ CH ₃	C-OH	C-CH ₃	CH	CH
E1.243	CH ₂ CH ₃	CH	C-CH ₂ CH ₃	CH	CH
E1.244	CH ₂ CH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	CH
E1.245	CH ₂ CH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.246	CH ₂ CH ₃	C-F	C-CH ₂ CH ₃	CH	CH
E1.247	CH ₂ CH ₃	C-Cl	C-CH ₂ CH ₃	CH	CH
E1.248	CH ₂ CH ₃	C-Br	C-CH ₂ CH ₃	CH	CH
E1.249	CH ₂ CH ₃	C-CN	C-CH ₂ CH ₃	CH	CH
E1.250	CH ₂ CH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.251	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.252	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.253	CH ₂ CH ₃	C-OH	C-CH ₂ CH ₃	CH	CH
E1.254	CH ₂ CH ₃	CH	C-CN	CH	CH
E1.255	CH ₂ CH ₃	C-CH ₃	C-CN	CH	CH
E1.256	CH ₂ CH ₃	C-CH ₂ CH ₃	C-CN	CH	CH
E1.257	CH ₂ CH ₃	C-F	C-CN	CH	CH
E1.258	CH ₂ CH ₃	C-Cl	C-CN	CH	CH
E1.259	CH ₂ CH ₃	C-Br	C-CN	CH	CH
E1.260	CH ₂ CH ₃	C-CN	C-CN	CH	CH
E1.261	CH ₂ CH ₃	C-OCH ₃	C-CN	CH	CH
E1.262	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-CN	CH	CH
E1.263	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	CH
E1.264	CH ₂ CH ₃	C-OH	C-CN	CH	CH
E1.265	CH ₂ CH ₃	CH	CH	CH	N
E1.266	CH ₂ CH ₃	C-CH ₃	CH	CH	N
E1.267	CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH	N
E1.268	CH ₂ CH ₃	C-F	CH	CH	N
E1.269	CH ₂ CH ₃	C-Cl	CH	CH	N
E1.270	CH ₂ CH ₃	C-Br	CH	CH	N
E1.271	CH ₂ CH ₃	C-CN	CH	CH	N
E1.272	CH ₂ CH ₃	C-OCH ₃	CH	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.273	CH ₂ CH ₃	C-OCH ₂ CH ₃	CH	CH	N
E1.274	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	N
E1.275	CH ₂ CH ₃	C-OH	CH	CH	N
E1.276	CH ₂ CH ₃	CH	N	CH	N
E1.277	CH ₂ CH ₃	C-CH ₃	N	CH	N
E1.278	CH ₂ CH ₃	C-CH ₂ CH ₃	N	CH	N
E1.279	CH ₂ CH ₃	C-F	N	CH	N
E1.280	CH ₂ CH ₃	C-Cl	N	CH	N
E1.281	CH ₂ CH ₃	C-Br	N	CH	N
E1.282	CH ₂ CH ₃	C-CN	N	CH	N
E1.283	CH ₂ CH ₃	C-OCH ₃	N	CH	N
E1.284	CH ₂ CH ₃	C-OCH ₂ CH ₃	N	CH	N
E1.285	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	N
E1.286	CH ₂ CH ₃	C-OH	N	CH	N
E1.287	CH ₂ CH ₃	CH	CH	N	N
E1.288	CH ₂ CH ₃	C-CH ₃	CH	N	N
E1.289	CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N	N
E1.290	CH ₂ CH ₃	C-F	CH	N	N
E1.291	CH ₂ CH ₃	C-Cl	CH	N	N
E1.292	CH ₂ CH ₃	C-Br	CH	N	N
E1.293	CH ₂ CH ₃	C-CN	CH	N	N
E1.294	CH ₂ CH ₃	C-OCH ₃	CH	N	N
E1.295	CH ₂ CH ₃	C-OCH ₂ CH ₃	CH	N	N
E1.296	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	N
E1.297	CH ₂ CH ₃	C-OH	CH	N	N
E1.298	CH ₂ CH ₃	CH	C-F	CH	N
E1.299	CH ₂ CH ₃	C-CH ₃	C-F	CH	N
E1.300	CH ₂ CH ₃	C-CH ₂ CH ₃	C-F	CH	N
E1.301	CH ₂ CH ₃	C-F	C-F	CH	N
E1.302	CH ₂ CH ₃	C-Cl	C-F	CH	N
E1.303	CH ₂ CH ₃	C-Br	C-F	CH	N
E1.304	CH ₂ CH ₃	C-CN	C-F	CH	N
E1.305	CH ₂ CH ₃	C-OCH ₃	C-F	CH	N
E1.306	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-F	CH	N
E1.307	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.308	CH ₂ CH ₃	C-OH	C-F	CH	N
E1.309	CH ₂ CH ₃	CH	C-Cl	CH	N
E1.310	CH ₂ CH ₃	C-CH ₃	C-Cl	CH	N
E1.311	CH ₂ CH ₃	C-CH ₂ CH ₃	C-Cl	CH	N
E1.312	CH ₂ CH ₃	C-F	C-Cl	CH	N
E1.313	CH ₂ CH ₃	C-Cl	C-Cl	CH	N
E1.314	CH ₂ CH ₃	C-Br	C-Cl	CH	N
E1.315	CH ₂ CH ₃	C-CN	C-Cl	CH	N
E1.316	CH ₂ CH ₃	C-OCH ₃	C-Cl	CH	N
E1.317	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-Cl	CH	N
E1.318	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	N
E1.319	CH ₂ CH ₃	C-OH	C-Cl	CH	N
E1.320	CH ₂ CH ₃	CH	C-CH ₃	CH	N
E1.321	CH ₂ CH ₃	C-CH ₃	C-CH ₃	CH	N
E1.322	CH ₂ CH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	N
E1.323	CH ₂ CH ₃	C-F	C-CH ₃	CH	N
E1.324	CH ₂ CH ₃	C-Cl	C-CH ₃	CH	N
E1.325	CH ₂ CH ₃	C-Br	C-CH ₃	CH	N
E1.326	CH ₂ CH ₃	C-CN	C-CH ₃	CH	N
E1.327	CH ₂ CH ₃	C-OCH ₃	C-CH ₃	CH	N
E1.328	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	N
E1.329	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N
E1.330	CH ₂ CH ₃	C-OH	C-CH ₃	CH	N
E1.331	CH ₂ CH ₃	CH	C-CH ₂ CH ₃	CH	N
E1.332	CH ₂ CH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	N
E1.333	CH ₂ CH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.334	CH ₂ CH ₃	C-F	C-CH ₂ CH ₃	CH	N
E1.335	CH ₂ CH ₃	C-Cl	C-CH ₂ CH ₃	CH	N
E1.336	CH ₂ CH ₃	C-Br	C-CH ₂ CH ₃	CH	N
E1.337	CH ₂ CH ₃	C-CN	C-CH ₂ CH ₃	CH	N
E1.338	CH ₂ CH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	N
E1.339	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.340	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N
E1.341	CH ₂ CH ₃	C-OH	C-CH ₂ CH ₃	CH	N
E1.342	CH ₂ CH ₃	CH	C-CN	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.343	CH ₂ CH ₃	C-CH ₃	C-CN	CH	N
E1.344	CH ₂ CH ₃	C-CH ₂ CH ₃	C-CN	CH	N
E1.345	CH ₂ CH ₃	C-F	C-CN	CH	N
E1.346	CH ₂ CH ₃	C-Cl	C-CN	CH	N
E1.347	CH ₂ CH ₃	C-Br	C-CN	CH	N
E1.348	CH ₂ CH ₃	C-CN	C-CN	CH	N
E1.349	CH ₂ CH ₃	C-OCH ₃	C-CN	CH	N
E1.350	CH ₂ CH ₃	C-OCH ₂ CH ₃	C-CN	CH	N
E1.351	CH ₂ CH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	N
E1.352	CH ₂ CH ₃	C-OH	C-CN	CH	N
E1.353	CH ₂ OCH ₃	CH	CH	CH	CH
E1.354	CH ₂ OCH ₃	C-CH ₃	CH	CH	CH
E1.355	CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH	CH
E1.356	CH ₂ OCH ₃	C-F	CH	CH	CH
E1.357	CH ₂ OCH ₃	C-Cl	CH	CH	CH
E1.358	CH ₂ OCH ₃	C-Br	CH	CH	CH
E1.359	CH ₂ OCH ₃	C-CN	CH	CH	CH
E1.360	CH ₂ OCH ₃	C-OCH ₃	CH	CH	CH
E1.361	CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	CH	CH
E1.362	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	CH
E1.363	CH ₂ OCH ₃	C-OH	CH	CH	CH
E1.364	CH ₂ OCH ₃	CH	N	CH	CH
E1.365	CH ₂ OCH ₃	C-CH ₃	N	CH	CH
E1.366	CH ₂ OCH ₃	C-CH ₂ CH ₃	N	CH	CH
E1.367	CH ₂ OCH ₃	C-F	N	CH	CH
E1.368	CH ₂ OCH ₃	C-Cl	N	CH	CH
E1.369	CH ₂ OCH ₃	C-Br	N	CH	CH
E1.370	CH ₂ OCH ₃	C-CN	N	CH	CH
E1.371	CH ₂ OCH ₃	C-OCH ₃	N	CH	CH
E1.372	CH ₂ OCH ₃	C-OCH ₂ CH ₃	N	CH	CH
E1.373	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	CH
E1.374	CH ₂ OCH ₃	C-OH	N	CH	CH
E1.375	CH ₂ OCH ₃	CH	CH	N	CH
E1.376	CH ₂ OCH ₃	C-CH ₃	CH	N	CH
E1.377	CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.378	CH ₂ OCH ₃	C-F	CH	N	CH
E1.379	CH ₂ OCH ₃	C-Cl	CH	N	CH
E1.380	CH ₂ OCH ₃	C-Br	CH	N	CH
E1.381	CH ₂ OCH ₃	C-CN	CH	N	CH
E1.382	CH ₂ OCH ₃	C-OCH ₃	CH	N	CH
E1.383	CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	N	CH
E1.384	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	CH
E1.385	CH ₂ OCH ₃	C-OH	CH	N	CH
E1.386	CH ₂ OCH ₃	CH	C-F	CH	CH
E1.387	CH ₂ OCH ₃	C-CH ₃	C-F	CH	CH
E1.388	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-F	CH	CH
E1.389	CH ₂ OCH ₃	C-F	C-F	CH	CH
E1.390	CH ₂ OCH ₃	C-Cl	C-F	CH	CH
E1.391	CH ₂ OCH ₃	C-Br	C-F	CH	CH
E1.392	CH ₂ OCH ₃	C-CN	C-F	CH	CH
E1.393	CH ₂ OCH ₃	C-OCH ₃	C-F	CH	CH
E1.394	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-F	CH	CH
E1.395	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	CH
E1.396	CH ₂ OCH ₃	C-OH	C-F	CH	CH
E1.397	CH ₂ OCH ₃	CH	C-F	CH	CH
E1.398	CH ₂ OCH ₃	C-CH ₃	C-Cl	CH	CH
E1.399	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-Cl	CH	CH
E1.400	CH ₂ OCH ₃	C-F	C-Cl	CH	CH
E1.401	CH ₂ OCH ₃	C-Cl	C-Cl	CH	CH
E1.402	CH ₂ OCH ₃	C-Br	C-Cl	CH	CH
E1.403	CH ₂ OCH ₃	C-CN	C-Cl	CH	CH
E1.404	CH ₂ OCH ₃	C-OCH ₃	C-Cl	CH	CH
E1.405	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-Cl	CH	CH
E1.406	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	CH
E1.407	CH ₂ OCH ₃	C-OH	C-Cl	CH	CH
E1.408	CH ₂ OCH ₃	CH	C-Cl	CH	CH
E1.409	CH ₂ OCH ₃	C-CH ₃	C-CH ₃	CH	CH
E1.410	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	CH
E1.411	CH ₂ OCH ₃	C-F	C-CH ₃	CH	CH
E1.412	CH ₂ OCH ₃	C-Cl	C-CH ₃	CH	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.413	CH ₂ OCH ₃	C-Br	C-CH ₃	CH	CH
E1.414	CH ₂ OCH ₃	C-CN	C-CH ₃	CH	CH
E1.415	CH ₂ OCH ₃	C-OCH ₃	C-CH ₃	CH	CH
E1.416	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	CH
E1.417	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH
E1.418	CH ₂ OCH ₃	C-OH	C-CH ₃	CH	CH
E1.419	CH ₂ OCH ₃	CH	C-CH ₃	CH	CH
E1.420	CH ₂ OCH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	CH
E1.421	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.422	CH ₂ OCH ₃	C-F	C-CH ₂ CH ₃	CH	CH
E1.423	CH ₂ OCH ₃	C-Cl	C-CH ₂ CH ₃	CH	CH
E1.424	CH ₂ OCH ₃	C-Br	C-CH ₂ CH ₃	CH	CH
E1.425	CH ₂ OCH ₃	C-CN	C-CH ₂ CH ₃	CH	CH
E1.426	CH ₂ OCH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.427	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.428	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.429	CH ₂ OCH ₃	C-OH	C-CH ₂ CH ₃	CH	CH
E1.430	CH ₂ OCH ₃	CH	C-CH ₂ CH ₃	CH	CH
E1.431	CH ₂ OCH ₃	C-CH ₃	C-CN	CH	CH
E1.432	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CN	CH	CH
E1.433	CH ₂ OCH ₃	C-F	C-CN	CH	CH
E1.434	CH ₂ OCH ₃	C-Cl	C-CN	CH	CH
E1.435	CH ₂ OCH ₃	C-Br	C-CN	CH	CH
E1.436	CH ₂ OCH ₃	C-CN	C-CN	CH	CH
E1.437	CH ₂ OCH ₃	C-OCH ₃	C-CN	CH	CH
E1.438	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CN	CH	CH
E1.439	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	CH
E1.440	CH ₂ OCH ₃	C-OH	C-CN	CH	CH
E1.441	CH ₂ OCH ₃	CH	CH	CH	N
E1.442	CH ₂ OCH ₃	C-CH ₃	CH	CH	N
E1.443	CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH	N
E1.444	CH ₂ OCH ₃	C-F	CH	CH	N
E1.445	CH ₂ OCH ₃	C-Cl	CH	CH	N
E1.446	CH ₂ OCH ₃	C-Br	CH	CH	N
E1.447	CH ₂ OCH ₃	C-CN	CH	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.448	CH ₂ OCH ₃	C-OCH ₃	CH	CH	N
E1.449	CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	CH	N
E1.450	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	N
E1.451	CH ₂ OCH ₃	C-OH	CH	CH	N
E1.452	CH ₂ OCH ₃	CH	N	CH	N
E1.453	CH ₂ OCH ₃	C-CH ₃	N	CH	N
E1.454	CH ₂ OCH ₃	C-CH ₂ CH ₃	N	CH	N
E1.455	CH ₂ OCH ₃	C-F	N	CH	N
E1.456	CH ₂ OCH ₃	C-Cl	N	CH	N
E1.457	CH ₂ OCH ₃	C-Br	N	CH	N
E1.458	CH ₂ OCH ₃	C-CN	N	CH	N
E1.459	CH ₂ OCH ₃	C-OCH ₃	N	CH	N
E1.460	CH ₂ OCH ₃	C-OCH ₂ CH ₃	N	CH	N
E1.461	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	N
E1.462	CH ₂ OCH ₃	C-OH	N	CH	N
E1.463	CH ₂ OCH ₃	CH	CH	N	N
E1.464	CH ₂ OCH ₃	C-CH ₃	CH	N	N
E1.465	CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N	N
E1.466	CH ₂ OCH ₃	C-F	CH	N	N
E1.467	CH ₂ OCH ₃	C-Cl	CH	N	N
E1.468	CH ₂ OCH ₃	C-Br	CH	N	N
E1.469	CH ₂ OCH ₃	C-CN	CH	N	N
E1.470	CH ₂ OCH ₃	C-OCH ₃	CH	N	N
E1.471	CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	N	N
E1.472	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	N
E1.473	CH ₂ OCH ₃	C-OH	CH	N	N
E1.474	CH ₂ OCH ₃	CH	C-F	CH	N
E1.475	CH ₂ OCH ₃	C-CH ₃	C-F	CH	N
E1.476	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-F	CH	N
E1.477	CH ₂ OCH ₃	C-F	C-F	CH	N
E1.478	CH ₂ OCH ₃	C-Cl	C-F	CH	N
E1.479	CH ₂ OCH ₃	C-Br	C-F	CH	N
E1.480	CH ₂ OCH ₃	C-CN	C-F	CH	N
E1.481	CH ₂ OCH ₃	C-OCH ₃	C-F	CH	N
E1.482	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-F	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.483	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	N
E1.484	CH ₂ OCH ₃	C-OH	C-F	CH	N
E1.485	CH ₂ OCH ₃	CH	C-Cl	CH	N
E1.486	CH ₂ OCH ₃	C-CH ₃	C-Cl	CH	N
E1.487	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-Cl	CH	N
E1.488	CH ₂ OCH ₃	C-F	C-Cl	CH	N
E1.489	CH ₂ OCH ₃	C-Cl	C-Cl	CH	N
E1.490	CH ₂ OCH ₃	C-Br	C-Cl	CH	N
E1.491	CH ₂ OCH ₃	C-CN	C-Cl	CH	N
E1.492	CH ₂ OCH ₃	C-OCH ₃	C-Cl	CH	N
E1.493	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-Cl	CH	N
E1.494	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	N
E1.495	CH ₂ OCH ₃	C-OH	C-Cl	CH	N
E1.496	CH ₂ OCH ₃	CH	C-CH ₃	CH	N
E1.497	CH ₂ OCH ₃	C-CH ₃	C-CH ₃	CH	N
E1.498	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	N
E1.499	CH ₂ OCH ₃	C-F	C-CH ₃	CH	N
E1.500	CH ₂ OCH ₃	C-Cl	C-CH ₃	CH	N
E1.501	CH ₂ OCH ₃	C-Br	C-CH ₃	CH	N
E1.502	CH ₂ OCH ₃	C-CN	C-CH ₃	CH	N
E1.503	CH ₂ OCH ₃	C-OCH ₃	C-CH ₃	CH	N
E1.504	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	N
E1.505	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N
E1.506	CH ₂ OCH ₃	C-OH	C-CH ₃	CH	N
E1.507	CH ₂ OCH ₃	CH	C-CH ₂ CH ₃	CH	N
E1.508	CH ₂ OCH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	N
E1.509	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.510	CH ₂ OCH ₃	C-F	C-CH ₂ CH ₃	CH	N
E1.511	CH ₂ OCH ₃	C-Cl	C-CH ₂ CH ₃	CH	N
E1.512	CH ₂ OCH ₃	C-Br	C-CH ₂ CH ₃	CH	N
E1.513	CH ₂ OCH ₃	C-CN	C-CH ₂ CH ₃	CH	N
E1.514	CH ₂ OCH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	N
E1.515	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.516	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N
E1.517	CH ₂ OCH ₃	C-OH	C-CH ₂ CH ₃	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.518	CH ₂ OCH ₃	CH	C-CN	CH	N
E1.519	CH ₂ OCH ₃	C-CH ₃	C-CN	CH	N
E1.520	CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CN	CH	N
E1.521	CH ₂ OCH ₃	C-F	C-CN	CH	N
E1.522	CH ₂ OCH ₃	C-Cl	C-CN	CH	N
E1.523	CH ₂ OCH ₃	C-Br	C-CN	CH	N
E1.524	CH ₂ OCH ₃	C-CN	C-CN	CH	N
E1.525	CH ₂ OCH ₃	C-OCH ₃	C-CN	CH	N
E1.526	CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CN	CH	N
E1.527	CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	N
E1.528	CH ₂ OCH ₃	C-OH	C-CN	CH	N
E1.529	CH ₂ CH ₂ OCH ₃	CH	CH	CH	CH
E1.530	CH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH	CH
E1.531	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH	CH
E1.532	CH ₂ CH ₂ OCH ₃	C-F	CH	CH	CH
E1.533	CH ₂ CH ₂ OCH ₃	C-Cl	CH	CH	CH
E1.534	CH ₂ CH ₂ OCH ₃	C-Br	CH	CH	CH
E1.535	CH ₂ CH ₂ OCH ₃	C-CN	CH	CH	CH
E1.536	CH ₂ CH ₂ OCH ₃	C-OCH ₃	CH	CH	CH
E1.537	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	CH	CH
E1.538	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	CH
E1.539	CH ₂ CH ₂ OCH ₃	C-OH	CH	CH	CH
E1.540	CH ₂ CH ₂ OCH ₃	CH	N	CH	CH
E1.541	CH ₂ CH ₂ OCH ₃	C-CH ₃	N	CH	CH
E1.542	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	N	CH	CH
E1.543	CH ₂ CH ₂ OCH ₃	C-F	N	CH	CH
E1.544	CH ₂ CH ₂ OCH ₃	C-Cl	N	CH	CH
E1.545	CH ₂ CH ₂ OCH ₃	C-Br	N	CH	CH
E1.546	CH ₂ CH ₂ OCH ₃	C-CN	N	CH	CH
E1.547	CH ₂ CH ₂ OCH ₃	C-OCH ₃	N	CH	CH
E1.548	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	N	CH	CH
E1.549	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	CH
E1.550	CH ₂ CH ₂ OCH ₃	C-OH	N	CH	CH
E1.551	CH ₂ CH ₂ OCH ₃	CH	CH	N	CH
E1.552	CH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.553	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N	CH
E1.554	CH ₂ CH ₂ OCH ₃	C-F	CH	N	CH
E1.555	CH ₂ CH ₂ OCH ₃	C-Cl	CH	N	CH
E1.556	CH ₂ CH ₂ OCH ₃	C-Br	CH	N	CH
E1.557	CH ₂ CH ₂ OCH ₃	C-CN	CH	N	CH
E1.558	CH ₂ CH ₂ OCH ₃	C-OCH ₃	CH	N	CH
E1.559	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	N	CH
E1.560	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	CH
E1.561	CH ₂ CH ₂ OCH ₃	C-OH	CH	N	CH
E1.562	CH ₂ CH ₂ OCH ₃	CH	C-F	CH	CH
E1.563	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-F	CH	CH
E1.564	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-F	CH	CH
E1.565	CH ₂ CH ₂ OCH ₃	C-F	C-F	CH	CH
E1.566	CH ₂ CH ₂ OCH ₃	C-Cl	C-F	CH	CH
E1.567	CH ₂ CH ₂ OCH ₃	C-Br	C-F	CH	CH
E1.568	CH ₂ CH ₂ OCH ₃	C-CN	C-F	CH	CH
E1.569	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-F	CH	CH
E1.570	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-F	CH	CH
E1.571	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	CH
E1.572	CH ₂ CH ₂ OCH ₃	C-OH	C-F	CH	CH
E1.573	CH ₂ CH ₂ OCH ₃	CH	C-Cl	CH	CH
E1.574	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-Cl	CH	CH
E1.575	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-Cl	CH	CH
E1.576	CH ₂ CH ₂ OCH ₃	C-F	C-Cl	CH	CH
E1.577	CH ₂ CH ₂ OCH ₃	C-Cl	C-Cl	CH	CH
E1.578	CH ₂ CH ₂ OCH ₃	C-Br	C-Cl	CH	CH
E1.579	CH ₂ CH ₂ OCH ₃	C-CN	C-Cl	CH	CH
E1.580	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-Cl	CH	CH
E1.581	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-Cl	CH	CH
E1.582	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	CH
E1.583	CH ₂ CH ₂ OCH ₃	C-OH	C-Cl	CH	CH
E1.584	CH ₂ CH ₂ OCH ₃	CH	C-CH ₃	CH	CH
E1.585	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-CH ₃	CH	CH
E1.586	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	CH
E1.587	CH ₂ CH ₂ OCH ₃	C-F	C-CH ₃	CH	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.588	CH ₂ CH ₂ OCH ₃	C-Cl	C-CH ₃	CH	CH
E1.589	CH ₂ CH ₂ OCH ₃	C-Br	C-CH ₃	CH	CH
E1.590	CH ₂ CH ₂ OCH ₃	C-CN	C-CH ₃	CH	CH
E1.591	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-CH ₃	CH	CH
E1.592	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	CH
E1.593	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH
E1.594	CH ₂ CH ₂ OCH ₃	C-OH	C-CH ₃	CH	CH
E1.595	CH ₂ CH ₂ OCH ₃	CH	C-CH ₂ CH ₃	CH	CH
E1.596	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	CH
E1.597	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.598	CH ₂ CH ₂ OCH ₃	C-F	C-CH ₂ CH ₃	CH	CH
E1.599	CH ₂ CH ₂ OCH ₃	C-Cl	C-CH ₂ CH ₃	CH	CH
E1.600	CH ₂ CH ₂ OCH ₃	C-Br	C-CH ₂ CH ₃	CH	CH
E1.601	CH ₂ CH ₂ OCH ₃	C-CN	C-CH ₂ CH ₃	CH	CH
E1.602	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.603	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.604	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.605	CH ₂ CH ₂ OCH ₃	C-OH	C-CH ₂ CH ₃	CH	CH
E1.606	CH ₂ CH ₂ OCH ₃	CH	C-CN	CH	CH
E1.607	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-CN	CH	CH
E1.608	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CN	CH	CH
E1.609	CH ₂ CH ₂ OCH ₃	C-F	C-CN	CH	CH
E1.610	CH ₂ CH ₂ OCH ₃	C-Cl	C-CN	CH	CH
E1.611	CH ₂ CH ₂ OCH ₃	C-Br	C-CN	CH	CH
E1.612	CH ₂ CH ₂ OCH ₃	C-CN	C-CN	CH	CH
E1.613	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-CN	CH	CH
E1.614	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CN	CH	CH
E1.615	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	CH
E1.616	CH ₂ CH ₂ OCH ₃	C-OH	C-CN	CH	CH
E1.617	CH ₂ CH ₂ OCH ₃	CH	CH	CH	N
E1.618	CH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH	N
E1.619	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH	N
E1.620	CH ₂ CH ₂ OCH ₃	C-F	CH	CH	N
E1.621	CH ₂ CH ₂ OCH ₃	C-Cl	CH	CH	N
E1.622	CH ₂ CH ₂ OCH ₃	C-Br	CH	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.623	CH ₂ CH ₂ OCH ₃	C-CN	CH	CH	N
E1.624	CH ₂ CH ₂ OCH ₃	C-OCH ₃	CH	CH	N
E1.625	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	CH	N
E1.626	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	CH	N
E1.627	CH ₂ CH ₂ OCH ₃	C-OH	CH	CH	N
E1.628	CH ₂ CH ₂ OCH ₃	CH	N	CH	N
E1.629	CH ₂ CH ₂ OCH ₃	C-CH ₃	N	CH	N
E1.630	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	N	CH	N
E1.631	CH ₂ CH ₂ OCH ₃	C-F	N	CH	N
E1.632	CH ₂ CH ₂ OCH ₃	C-Cl	N	CH	N
E1.633	CH ₂ CH ₂ OCH ₃	C-Br	N	CH	N
E1.634	CH ₂ CH ₂ OCH ₃	C-CN	N	CH	N
E1.635	CH ₂ CH ₂ OCH ₃	C-OCH ₃	N	CH	N
E1.636	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	N	CH	N
E1.637	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	N	CH	N
E1.638	CH ₂ CH ₂ OCH ₃	C-OH	N	CH	N
E1.639	CH ₂ CH ₂ OCH ₃	CH	CH	N	N
E1.640	CH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N	N
E1.641	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N	N
E1.642	CH ₂ CH ₂ OCH ₃	C-F	CH	N	N
E1.643	CH ₂ CH ₂ OCH ₃	C-Cl	CH	N	N
E1.644	CH ₂ CH ₂ OCH ₃	C-Br	CH	N	N
E1.645	CH ₂ CH ₂ OCH ₃	C-CN	CH	N	N
E1.646	CH ₂ CH ₂ OCH ₃	C-OCH ₃	CH	N	N
E1.647	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	CH	N	N
E1.648	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	CH	N	N
E1.649	CH ₂ CH ₂ OCH ₃	C-OH	CH	N	N
E1.650	CH ₂ CH ₂ OCH ₃	CH	C-F	CH	N
E1.651	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-F	CH	N
E1.652	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-F	CH	N
E1.653	CH ₂ CH ₂ OCH ₃	C-F	C-F	CH	N
E1.654	CH ₂ CH ₂ OCH ₃	C-Cl	C-F	CH	N
E1.655	CH ₂ CH ₂ OCH ₃	C-Br	C-F	CH	N
E1.656	CH ₂ CH ₂ OCH ₃	C-CN	C-F	CH	N
E1.657	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-F	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.658	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-F	CH	N
E1.659	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	N
E1.660	CH ₂ CH ₂ OCH ₃	C-OH	C-F	CH	N
E1.661	CH ₂ CH ₂ OCH ₃	CH	C-Cl	CH	N
E1.662	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-Cl	CH	N
E1.663	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-Cl	CH	N
E1.664	CH ₂ CH ₂ OCH ₃	C-F	C-Cl	CH	N
E1.665	CH ₂ CH ₂ OCH ₃	C-Cl	C-Cl	CH	N
E1.666	CH ₂ CH ₂ OCH ₃	C-Br	C-Cl	CH	N
E1.667	CH ₂ CH ₂ OCH ₃	C-CN	C-Cl	CH	N
E1.668	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-Cl	CH	N
E1.669	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-Cl	CH	N
E1.670	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	N
E1.671	CH ₂ CH ₂ OCH ₃	C-OH	C-Cl	CH	N
E1.672	CH ₂ CH ₂ OCH ₃	CH	C-CH ₃	CH	N
E1.673	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-CH ₃	CH	N
E1.674	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₃	CH	N
E1.675	CH ₂ CH ₂ OCH ₃	C-F	C-CH ₃	CH	N
E1.676	CH ₂ CH ₂ OCH ₃	C-Cl	C-CH ₃	CH	N
E1.677	CH ₂ CH ₂ OCH ₃	C-Br	C-CH ₃	CH	N
E1.678	CH ₂ CH ₂ OCH ₃	C-CN	C-CH ₃	CH	N
E1.679	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-CH ₃	CH	N
E1.680	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₃	CH	N
E1.681	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N
E1.682	CH ₂ CH ₂ OCH ₃	C-OH	C-CH ₃	CH	N
E1.683	CH ₂ CH ₂ OCH ₃	CH	C-CH ₂ CH ₃	CH	N
E1.684	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-CH ₂ CH ₃	CH	N
E1.685	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.686	CH ₂ CH ₂ OCH ₃	C-F	C-CH ₂ CH ₃	CH	N
E1.687	CH ₂ CH ₂ OCH ₃	C-Cl	C-CH ₂ CH ₃	CH	N
E1.688	CH ₂ CH ₂ OCH ₃	C-Br	C-CH ₂ CH ₃	CH	N
E1.689	CH ₂ CH ₂ OCH ₃	C-CN	C-CH ₂ CH ₃	CH	N
E1.690	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-CH ₂ CH ₃	CH	N
E1.691	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.692	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.693	CH ₂ CH ₂ OCH ₃	C-OH	C-CH ₂ CH ₃	CH	N
E1.694	CH ₂ CH ₂ OCH ₃	CH	C-CN	CH	N
E1.695	CH ₂ CH ₂ OCH ₃	C-CH ₃	C-CN	CH	N
E1.696	CH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	C-CN	CH	N
E1.697	CH ₂ CH ₂ OCH ₃	C-F	C-CN	CH	N
E1.698	CH ₂ CH ₂ OCH ₃	C-Cl	C-CN	CH	N
E1.699	CH ₂ CH ₂ OCH ₃	C-Br	C-CN	CH	N
E1.700	CH ₂ CH ₂ OCH ₃	C-CN	C-CN	CH	N
E1.701	CH ₂ CH ₂ OCH ₃	C-OCH ₃	C-CN	CH	N
E1.702	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₃	C-CN	CH	N
E1.703	CH ₂ CH ₂ OCH ₃	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	N
E1.704	CH ₂ CH ₂ OCH ₃	C-OH	C-CN	CH	N
E1.705	CH ₂ CN	CH	CH	CH	CH
E1.706	CH ₂ CN	C-CH ₃	CH	CH	CH
E1.707	CH ₂ CN	C-CH ₂ CH ₃	CH	CH	CH
E1.708	CH ₂ CN	C-F	CH	CH	CH
E1.709	CH ₂ CN	C-Cl	CH	CH	CH
E1.710	CH ₂ CN	C-Br	CH	CH	CH
E1.711	CH ₂ CN	C-CN	CH	CH	CH
E1.712	CH ₂ CN	C-OCH ₃	CH	CH	CH
E1.713	CH ₂ CN	C-OCH ₂ CH ₃	CH	CH	CH
E1.714	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	CH	CH	CH
E1.715	CH ₂ CN	C-OH	CH	CH	CH
E1.716	CH ₂ CN	CH	N	CH	CH
E1.717	CH ₂ CN	C-CH ₃	N	CH	CH
E1.718	CH ₂ CN	C-CH ₂ CH ₃	N	CH	CH
E1.719	CH ₂ CN	C-F	N	CH	CH
E1.720	CH ₂ CN	C-Cl	N	CH	CH
E1.721	CH ₂ CN	C-Br	N	CH	CH
E1.722	CH ₂ CN	C-CN	N	CH	CH
E1.723	CH ₂ CN	C-OCH ₃	N	CH	CH
E1.724	CH ₂ CN	C-OCH ₂ CH ₃	N	CH	CH
E1.725	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	N	CH	CH
E1.726	CH ₂ CN	C-OH	N	CH	CH
E1.727	CH ₂ CN	CH	CH	N	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.728	CH ₂ CN	C-CH ₃	CH	N	CH
E1.729	CH ₂ CN	C-CH ₂ CH ₃	CH	N	CH
E1.730	CH ₂ CN	C-F	CH	N	CH
E1.731	CH ₂ CN	C-Cl	CH	N	CH
E1.732	CH ₂ CN	C-Br	CH	N	CH
E1.733	CH ₂ CN	C-CN	CH	N	CH
E1.734	CH ₂ CN	C-OCH ₃	CH	N	CH
E1.735	CH ₂ CN	C-OCH ₂ CH ₃	CH	N	CH
E1.736	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	CH	N	CH
E1.737	CH ₂ CN	C-OH	CH	N	CH
E1.738	CH ₂ CN	CH	C-F	CH	CH
E1.739	CH ₂ CN	C-CH ₃	C-F	CH	CH
E1.740	CH ₂ CN	C-CH ₂ CH ₃	C-F	CH	CH
E1.741	CH ₂ CN	C-F	C-F	CH	CH
E1.742	CH ₂ CN	C-Cl	C-F	CH	CH
E1.743	CH ₂ CN	C-Br	C-F	CH	CH
E1.744	CH ₂ CN	C-CN	C-F	CH	CH
E1.745	CH ₂ CN	C-OCH ₃	C-F	CH	CH
E1.746	CH ₂ CN	C-OCH ₂ CH ₃	C-F	CH	CH
E1.747	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	CH
E1.748	CH ₂ CN	C-OH	C-F	CH	CH
E1.749	CH ₂ CN	CH	C-Cl	CH	CH
E1.750	CH ₂ CN	C-CH ₃	C-Cl	CH	CH
E1.751	CH ₂ CN	C-CH ₂ CH ₃	C-Cl	CH	CH
E1.752	CH ₂ CN	C-F	C-Cl	CH	CH
E1.753	CH ₂ CN	C-Cl	C-Cl	CH	CH
E1.754	CH ₂ CN	C-Br	C-Cl	CH	CH
E1.755	CH ₂ CN	C-CN	C-Cl	CH	CH
E1.756	CH ₂ CN	C-OCH ₃	C-Cl	CH	CH
E1.757	CH ₂ CN	C-OCH ₂ CH ₃	C-Cl	CH	CH
E1.758	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	CH
E1.759	CH ₂ CN	C-OH	C-Cl	CH	CH
E1.760	CH ₂ CN	CH	C-CH ₃	CH	CH
E1.761	CH ₂ CN	C-CH ₃	C-CH ₃	CH	CH
E1.762	CH ₂ CN	C-CH ₂ CH ₃	C-CH ₃	CH	CH

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.763	CH ₂ CN	C-F	C-CH ₃	CH	CH
E1.764	CH ₂ CN	C-Cl	C-CH ₃	CH	CH
E1.765	CH ₂ CN	C-Br	C-CH ₃	CH	CH
E1.766	CH ₂ CN	C-CN	C-CH ₃	CH	CH
E1.767	CH ₂ CN	C-OCH ₃	C-CH ₃	CH	CH
E1.768	CH ₂ CN	C-OCH ₂ CH ₃	C-CH ₃	CH	CH
E1.769	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH
E1.770	CH ₂ CN	C-OH	C-CH ₃	CH	CH
E1.771	CH ₂ CN	CH	C-CH ₂ CH ₃	CH	CH
E1.772	CH ₂ CN	C-CH ₃	C-CH ₂ CH ₃	CH	CH
E1.773	CH ₂ CN	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.774	CH ₂ CN	C-F	C-CH ₂ CH ₃	CH	CH
E1.775	CH ₂ CN	C-Cl	C-CH ₂ CH ₃	CH	CH
E1.776	CH ₂ CN	C-Br	C-CH ₂ CH ₃	CH	CH
E1.777	CH ₂ CN	C-CN	C-CH ₂ CH ₃	CH	CH
E1.778	CH ₂ CN	C-OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.779	CH ₂ CN	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E1.780	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH
E1.781	CH ₂ CN	C-OH	C-CH ₂ CH ₃	CH	CH
E1.782	CH ₂ CN	CH	C-CN	CH	CH
E1.783	CH ₂ CN	C-CH ₃	C-CN	CH	CH
E1.784	CH ₂ CN	C-CH ₂ CH ₃	C-CN	CH	CH
E1.785	CH ₂ CN	C-F	C-CN	CH	CH
E1.786	CH ₂ CN	C-Cl	C-CN	CH	CH
E1.787	CH ₂ CN	C-Br	C-CN	CH	CH
E1.788	CH ₂ CN	C-CN	C-CN	CH	CH
E1.789	CH ₂ CN	C-OCH ₃	C-CN	CH	CH
E1.790	CH ₂ CN	C-OCH ₂ CH ₃	C-CN	CH	CH
E1.791	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	CH
E1.792	CH ₂ CN	C-OH	C-CN	CH	CH
E1.793	CH ₂ CN	CH	CH	CH	N
E1.794	CH ₂ CN	C-CH ₃	CH	CH	N
E1.795	CH ₂ CN	C-CH ₂ CH ₃	CH	CH	N
E1.796	CH ₂ CN	C-F	CH	CH	N
E1.797	CH ₂ CN	C-Cl	CH	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.798	CH ₂ CN	C-Br	CH	CH	N
E1.799	CH ₂ CN	C-CN	CH	CH	N
E1.800	CH ₂ CN	C-OCH ₃	CH	CH	N
E1.801	CH ₂ CN	C-OCH ₂ CH ₃	CH	CH	N
E1.802	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	CH	CH	N
E1.803	CH ₂ CN	C-OH	CH	CH	N
E1.804	CH ₂ CN	CH	N	CH	N
E1.805	CH ₂ CN	C-CH ₃	N	CH	N
E1.806	CH ₂ CN	C-CH ₂ CH ₃	N	CH	N
E1.807	CH ₂ CN	C-F	N	CH	N
E1.808	CH ₂ CN	C-Cl	N	CH	N
E1.809	CH ₂ CN	C-Br	N	CH	N
E1.810	CH ₂ CN	C-CN	N	CH	N
E1.811	CH ₂ CN	C-OCH ₃	N	CH	N
E1.812	CH ₂ CN	C-OCH ₂ CH ₃	N	CH	N
E1.813	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	N	CH	N
E1.814	CH ₂ CN	C-OH	N	CH	N
E1.815	CH ₂ CN	CH	CH	N	N
E1.816	CH ₂ CN	C-CH ₃	CH	N	N
E1.817	CH ₂ CN	C-CH ₂ CH ₃	CH	N	N
E1.818	CH ₂ CN	C-F	CH	N	N
E1.819	CH ₂ CN	C-Cl	CH	N	N
E1.820	CH ₂ CN	C-Br	CH	N	N
E1.821	CH ₂ CN	C-CN	CH	N	N
E1.822	CH ₂ CN	C-OCH ₃	CH	N	N
E1.823	CH ₂ CN	C-OCH ₂ CH ₃	CH	N	N
E1.824	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	CH	N	N
E1.825	CH ₂ CN	C-OH	CH	N	N
E1.826	CH ₂ CN	CH	C-F	CH	N
E1.827	CH ₂ CN	C-CH ₃	C-F	CH	N
E1.828	CH ₂ CN	C-CH ₂ CH ₃	C-F	CH	N
E1.829	CH ₂ CN	C-F	C-F	CH	N
E1.830	CH ₂ CN	C-Cl	C-F	CH	N
E1.831	CH ₂ CN	C-Br	C-F	CH	N
E1.832	CH ₂ CN	C-CN	C-F	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.833	CH ₂ CN	C-OCH ₃	C-F	CH	N
E1.834	CH ₂ CN	C-OCH ₂ CH ₃	C-F	CH	N
E1.835	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	N
E1.836	CH ₂ CN	C-OH	C-F	CH	N
E1.837	CH ₂ CN	CH	C-Cl	CH	N
E1.838	CH ₂ CN	C-CH ₃	C-Cl	CH	N
E1.839	CH ₂ CN	C-CH ₂ CH ₃	C-Cl	CH	N
E1.840	CH ₂ CN	C-F	C-Cl	CH	N
E1.841	CH ₂ CN	C-Cl	C-Cl	CH	N
E1.842	CH ₂ CN	C-Br	C-Cl	CH	N
E1.843	CH ₂ CN	C-CN	C-Cl	CH	N
E1.844	CH ₂ CN	C-OCH ₃	C-Cl	CH	N
E1.845	CH ₂ CN	C-OCH ₂ CH ₃	C-Cl	CH	N
E1.846	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	N
E1.847	CH ₂ CN	C-OH	C-Cl	CH	N
E1.848	CH ₂ CN	CH	C-CH ₃	CH	N
E1.849	CH ₂ CN	C-CH ₃	C-CH ₃	CH	N
E1.850	CH ₂ CN	C-CH ₂ CH ₃	C-CH ₃	CH	N
E1.851	CH ₂ CN	C-F	C-CH ₃	CH	N
E1.852	CH ₂ CN	C-Cl	C-CH ₃	CH	N
E1.853	CH ₂ CN	C-Br	C-CH ₃	CH	N
E1.854	CH ₂ CN	C-CN	C-CH ₃	CH	N
E1.855	CH ₂ CN	C-OCH ₃	C-CH ₃	CH	N
E1.856	CH ₂ CN	C-OCH ₂ CH ₃	C-CH ₃	CH	N
E1.857	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N
E1.858	CH ₂ CN	C-OH	C-CH ₃	CH	N
E1.859	CH ₂ CN	CH	C-CH ₂ CH ₃	CH	N
E1.860	CH ₂ CN	C-CH ₃	C-CH ₂ CH ₃	CH	N
E1.861	CH ₂ CN	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E1.862	CH ₂ CN	C-F	C-CH ₂ CH ₃	CH	N
E1.863	CH ₂ CN	C-Cl	C-CH ₂ CH ₃	CH	N
E1.864	CH ₂ CN	C-Br	C-CH ₂ CH ₃	CH	N
E1.865	CH ₂ CN	C-CN	C-CH ₂ CH ₃	CH	N
E1.866	CH ₂ CN	C-OCH ₃	C-CH ₂ CH ₃	CH	N
E1.867	CH ₂ CN	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	N

Compound	R ⁴	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E1.868	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N
E1.869	CH ₂ CN	C-OH	C-CH ₂ CH ₃	CH	N
E1.870	CH ₂ CN	CH	C-CN	CH	N
E1.871	CH ₂ CN	C-CH ₃	C-CN	CH	N
E1.872	CH ₂ CN	C-CH ₂ CH ₃	C-CN	CH	N
E1.873	CH ₂ CN	C-F	C-CN	CH	N
E1.874	CH ₂ CN	C-Cl	C-CN	CH	N
E1.875	CH ₂ CN	C-Br	C-CN	CH	N
E1.876	CH ₂ CN	C-CN	C-CN	CH	N
E1.877	CH ₂ CN	C-OCH ₃	C-CN	CH	N
E1.878	CH ₂ CN	C-OCH ₂ CH ₃	C-CN	CH	N
E1.879	CH ₂ CN	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	N
E1.880	CH ₂ CN	C-OH	C-CN	CH	N

Table 1.2: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is methoxy and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

- 5 **Table 1.3:** This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is methoxy and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.4: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is methoxy and R⁵ is methyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined

10 in Table Z.1.

Table 1.5: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is methoxy and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

- 15 **Table 1.6:** This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is methoxy and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.7: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is methoxy and R⁵ is methyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

- 20 **Table 1.8:** This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is methoxy and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

- 25 **Table 1.9:** This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is methoxy and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.10: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is fluoro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.11: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is fluoro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.12: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is fluoro and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.13: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is fluoro and R⁵ is methyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.14: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is fluoro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.15: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is fluoro and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.16: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is fluoro and R⁵ is methyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.17: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is fluoro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.18: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is fluoro and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.19: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is chloro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.20: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is chloro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.21: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H, R³ is chloro and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.22: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is chloro and R⁵ is methyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.23: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is chloro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.24: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H, R³ is chloro and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.25: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is chloro and R⁵ is methyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

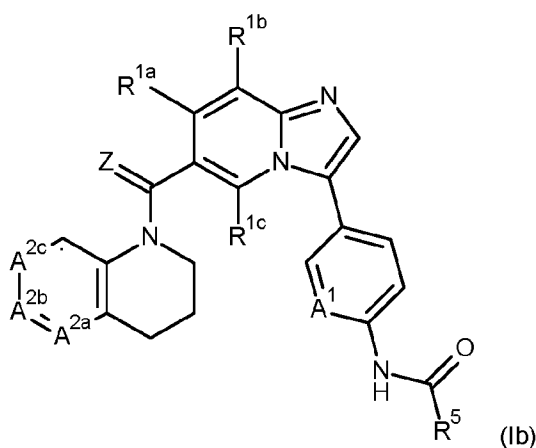
10 Table 1.26: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is chloro and R⁵ is methoxy and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

Table 1.27: This table discloses specific compounds of formula (Ia) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H, R³ is chloro and R⁵ is cyclopropyl and wherein the values of R⁴, A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.1.

The compounds in Tables 2.1 to 2.45 below illustrate the compounds of the invention.

Table 2.1 provides compounds of formula (Ib)

20



wherein Z is O; and wherein A³ and R⁴ taken together form the depicted ring;

R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methyl; and

25 the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2 below.

Each of Tables 2.1 to 2.45 (which follow Table Z.2) discloses individual compounds of the formula (Ib), formula (Ic), formula (Id), formula (Ie), and formula (If) in which R^{1a}, R^{1b}, R^{1c}, and R⁵ are specifically defined in Tables 2.1 to 2.45, which refer to Table Z.2 wherein A^{2c}, A^{2b}, A^{2a} and A¹ are specifically defined.

30

Table Z.2

Compound	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E2.1	CH	CH	CH	CH
E2.2	C-CH ₃	CH	CH	CH
E2.3	C-CH ₂ CH ₃	CH	CH	CH
E2.4	C-F	CH	CH	CH
E2.5	C-Cl	CH	CH	CH
E2.6	C-Br	CH	CH	CH
E2.7	C-CN	CH	CH	CH
E2.8	C-OCH ₃	CH	CH	CH
E2.9	C-OCH ₂ CH ₃	CH	CH	CH
E2.10	C-OCH ₂ CH ₂ OCH ₃	CH	CH	CH
E2.11	C-OH	CH	CH	CH
E2.12	CH	N	CH	CH
E2.13	C-CH ₃	N	CH	CH
E2.14	C-CH ₂ CH ₃	N	CH	CH
E2.15	C-F	N	CH	CH
E2.16	C-Cl	N	CH	CH
E2.17	C-Br	N	CH	CH
E2.18	C-CN	N	CH	CH
E2.19	C-OCH ₃	N	CH	CH
E2.20	C-OCH ₂ CH ₃	N	CH	CH
E2.21	C-OCH ₂ CH ₂ OCH ₃	N	CH	CH
E2.22	C-OH	N	CH	CH
E2.23	CH	CH	N	CH
E2.24	C-CH ₃	CH	N	CH
E2.25	C-CH ₂ CH ₃	CH	N	CH
E2.26	C-F	CH	N	CH
E2.27	C-Cl	CH	N	CH
E2.28	C-Br	CH	N	CH
E2.29	C-CN	CH	N	CH
E2.30	C-OCH ₃	CH	N	CH
E2.31	C-OCH ₂ CH ₃	CH	N	CH
E2.32	C-OCH ₂ CH ₂ OCH ₃	CH	N	CH
E2.33	C-OH	CH	N	CH
E2.34	CH	C-F	CH	CH

Compound	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E2.35	C-CH ₃	C-F	CH	CH
E2.36	C-CH ₂ CH ₃	C-F	CH	CH
E2.37	C-F	C-F	CH	CH
E2.38	C-Cl	C-F	CH	CH
E2.39	C-Br	C-F	CH	CH
E2.40	C-CN	C-F	CH	CH
E2.41	C-OCH ₃	C-F	CH	CH
E2.42	C-OCH ₂ CH ₃	C-F	CH	CH
E2.43	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	CH
E2.44	C-OH	C-F	CH	CH
E2.45	CH	C-Cl	CH	CH
E2.46	C-CH ₃	C-Cl	CH	CH
E2.47	C-CH ₂ CH ₃	C-Cl	CH	CH
E2.48	C-F	C-Cl	CH	CH
E2.49	C-Cl	C-Cl	CH	CH
E2.50	C-Br	C-Cl	CH	CH
E2.51	C-CN	C-Cl	CH	CH
E2.52	C-OCH ₃	C-Cl	CH	CH
E2.53	C-OCH ₂ CH ₃	C-Cl	CH	CH
E2.54	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	CH
E2.55	C-OH	C-Cl	CH	CH
E2.56	CH	C-CH ₃	CH	CH
E2.57	C-CH ₃	C-CH ₃	CH	CH
E2.58	C-CH ₂ CH ₃	C-CH ₃	CH	CH
E2.59	C-F	C-CH ₃	CH	CH
E2.60	C-Cl	C-CH ₃	CH	CH
E2.61	C-Br	C-CH ₃	CH	CH
E2.62	C-CN	C-CH ₃	CH	CH
E2.63	C-OCH ₃	C-CH ₃	CH	CH
E2.64	C-OCH ₂ CH ₃	C-CH ₃	CH	CH
E2.65	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	CH
E2.66	C-OH	C-CH ₃	CH	CH
E2.67	CH	C-CH ₂ CH ₃	CH	CH
E2.68	C-CH ₃	C-CH ₂ CH ₃	CH	CH
E2.69	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH

Compound	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E2.70	C-F	C-CH ₂ CH ₃	CH	CH
E2.71	C-Cl	C-CH ₂ CH ₃	CH	CH
E2.72	C-Br	C-CH ₂ CH ₃	CH	CH
E2.73	C-CN	C-CH ₂ CH ₃	CH	CH
E2.74	C-OCH ₃	C-CH ₂ CH ₃	CH	CH
E2.75	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	CH
E2.76	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	CH
E2.77	C-OH	C-CH ₂ CH ₃	CH	CH
E2.78	CH	C-CN	CH	CH
E2.79	C-CH ₃	C-CN	CH	CH
E2.80	C-CH ₂ CH ₃	C-CN	CH	CH
E2.81	C-F	C-CN	CH	CH
E2.82	C-Cl	C-CN	CH	CH
E2.83	C-Br	C-CN	CH	CH
E2.84	C-CN	C-CN	CH	CH
E2.85	C-OCH ₃	C-CN	CH	CH
E2.86	C-OCH ₂ CH ₃	C-CN	CH	CH
E2.87	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	CH
E2.88	C-OH	C-CN	CH	CH
E2.89	CH	CH	CH	N
E2.90	C-CH ₃	CH	CH	N
E2.91	C-CH ₂ CH ₃	CH	CH	N
E2.92	C-F	CH	CH	N
E2.93	C-Cl	CH	CH	N
E2.94	C-Br	CH	CH	N
E2.95	C-CN	CH	CH	N
E2.96	C-OCH ₃	CH	CH	N
E2.97	C-OCH ₂ CH ₃	CH	CH	N
E2.98	C-OCH ₂ CH ₂ OCH ₃	CH	CH	N
E2.99	C-OH	CH	CH	N
E2.100	CH	N	CH	N
E2.101	C-CH ₃	N	CH	N
E2.102	C-CH ₂ CH ₃	N	CH	N
E2.103	C-F	N	CH	N
E2.104	C-Cl	N	CH	N

Compound	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E2.105	C-Br	N	CH	N
E2.106	C-CN	N	CH	N
E2.107	C-OCH ₃	N	CH	N
E2.108	C-OCH ₂ CH ₃	N	CH	N
E2.109	C-OCH ₂ CH ₂ OCH ₃	N	CH	N
E2.110	C-OH	N	CH	N
E2.111	CH	CH	N	N
E2.112	C-CH ₃	CH	N	N
E2.113	C-CH ₂ CH ₃	CH	N	N
E2.114	C-F	CH	N	N
E2.115	C-Cl	CH	N	N
E2.116	C-Br	CH	N	N
E2.117	C-CN	CH	N	N
E2.118	C-OCH ₃	CH	N	N
E2.119	C-OCH ₂ CH ₃	CH	N	N
E2.120	C-OCH ₂ CH ₂ OCH ₃	CH	N	N
E2.121	C-OH	CH	N	N
E2.122	CH	C-F	CH	N
E2.123	C-CH ₃	C-F	CH	N
E2.124	C-CH ₂ CH ₃	C-F	CH	N
E2.125	C-F	C-F	CH	N
E2.126	C-Cl	C-F	CH	N
E2.127	C-Br	C-F	CH	N
E2.128	C-CN	C-F	CH	N
E2.129	C-OCH ₃	C-F	CH	N
E2.130	C-OCH ₂ CH ₃	C-F	CH	N
E2.131	C-OCH ₂ CH ₂ OCH ₃	C-F	CH	N
E2.132	C-OH	C-F	CH	N
E2.133	CH	C-Cl	CH	N
E2.134	C-CH ₃	C-Cl	CH	N
E2.135	C-CH ₂ CH ₃	C-Cl	CH	N
E2.136	C-F	C-Cl	CH	N
E2.137	C-Cl	C-Cl	CH	N
E2.138	C-Br	C-Cl	CH	N
E2.139	C-CN	C-Cl	CH	N

Compound	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E2.140	C-OCH ₃	C-Cl	CH	N
E2.141	C-OCH ₂ CH ₃	C-Cl	CH	N
E2.142	C-OCH ₂ CH ₂ OCH ₃	C-Cl	CH	N
E2.143	C-OH	C-Cl	CH	N
E2.144	CH	C-CH ₃	CH	N
E2.145	C-CH ₃	C-CH ₃	CH	N
E2.146	C-CH ₂ CH ₃	C-CH ₃	CH	N
E2.147	C-F	C-CH ₃	CH	N
E2.148	C-Cl	C-CH ₃	CH	N
E2.149	C-Br	C-CH ₃	CH	N
E2.150	C-CN	C-CH ₃	CH	N
E2.151	C-OCH ₃	C-CH ₃	CH	N
E2.152	C-OCH ₂ CH ₃	C-CH ₃	CH	N
E2.153	C-OCH ₂ CH ₂ OCH ₃	C-CH ₃	CH	N
E2.154	C-OH	C-CH ₃	CH	N
E2.155	CH	C-CH ₂ CH ₃	CH	N
E2.156	C-CH ₃	C-CH ₂ CH ₃	CH	N
E2.157	C-CH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E2.158	C-F	C-CH ₂ CH ₃	CH	N
E2.159	C-Cl	C-CH ₂ CH ₃	CH	N
E2.160	C-Br	C-CH ₂ CH ₃	CH	N
E2.161	C-CN	C-CH ₂ CH ₃	CH	N
E2.162	C-OCH ₃	C-CH ₂ CH ₃	CH	N
E2.163	C-OCH ₂ CH ₃	C-CH ₂ CH ₃	CH	N
E2.164	C-OCH ₂ CH ₂ OCH ₃	C-CH ₂ CH ₃	CH	N
E2.165	C-OH	C-CH ₂ CH ₃	CH	N
E2.166	CH	C-CN	CH	N
E2.167	C-CH ₃	C-CN	CH	N
E2.168	C-CH ₂ CH ₃	C-CN	CH	N
E2.169	C-F	C-CN	CH	N
E2.170	C-Cl	C-CN	CH	N
E2.171	C-Br	C-CN	CH	N
E2.172	C-CN	C-CN	CH	N
E2.173	C-OCH ₃	C-CN	CH	N
E2.174	C-OCH ₂ CH ₃	C-CN	CH	N

Compound	A ^{2c}	A ^{2b}	A ^{2a}	A ¹
E2.175	C-OCH ₂ CH ₂ OCH ₃	C-CN	CH	N
E2.176	C-OH	C-CN	CH	N

Table 2.2: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.3: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.4: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.5: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

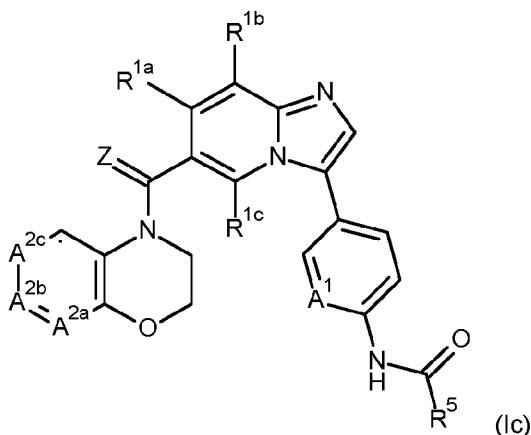
Table 2.6: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.7: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.8: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.9: This table discloses specific compounds of formula (Ib) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.10 provides compounds of formula (Ic)



wherein Z is O; and wherein A³ and R⁴ taken together form the depicted ring; wherein R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methyl; and the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2 above.

Table 2.11: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.12: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table

5 Z.2.

Table 2.13: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.14: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.15: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

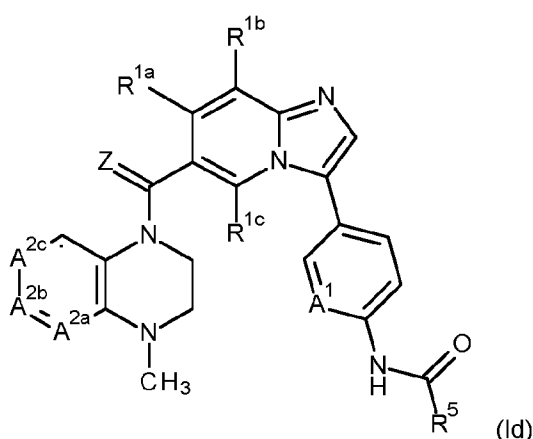
Table 2.16: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.17: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined

20 in Table Z.2.

Table 2.18: This table discloses specific compounds of formula (Ic) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

25 **Table 2.19** provides compounds of formula (Id)



wherein Z is O; and wherein A³ and R⁴ taken together form the depicted ring

R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methyl; and

the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2 above.

30 **Table 2.20:** This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.21: This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.22: This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.23: This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

10 **Table 2.24:** This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

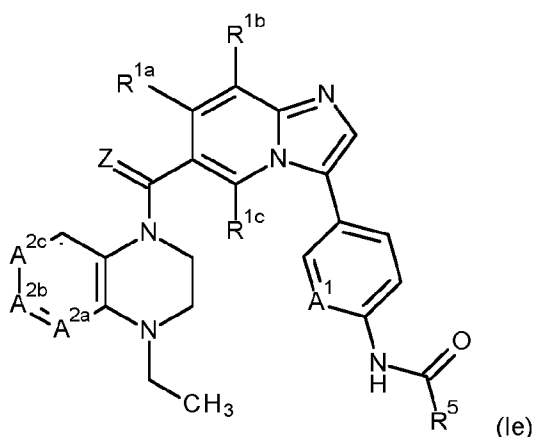
Table 2.25: This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined

15 in Table Z.2.

Table 2.26: This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.27: This table discloses specific compounds of formula (Id) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.28 provides compounds of formula (Ie)



25 wherein Z is O; and wherein A³ and R⁴ taken together form the depicted ring
R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methyl; and
the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2 above.

Table 2.29: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.30: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.31: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.32: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

10 **Table 2.33:** This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.34: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined

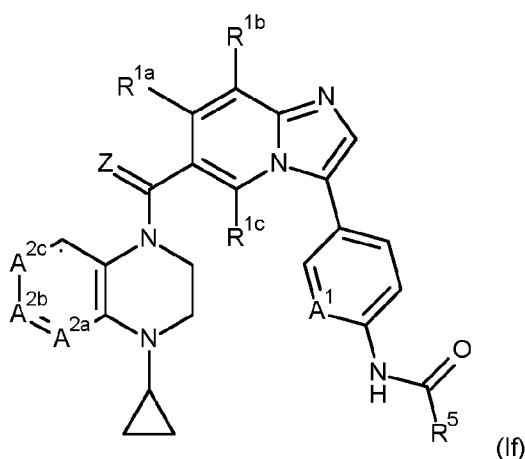
15 in Table Z.2.

Table 2.35: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.36: This table discloses specific compounds of formula (Ie) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

20

Table 2.37 provides compounds of formula (If)



25 wherein Z is O; and wherein A³ and R⁴ taken together form the depicted ring

R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methyl; and

the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2 above.

Table 2.38: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.39: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is H, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.40: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.41: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.42: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is methyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.43: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

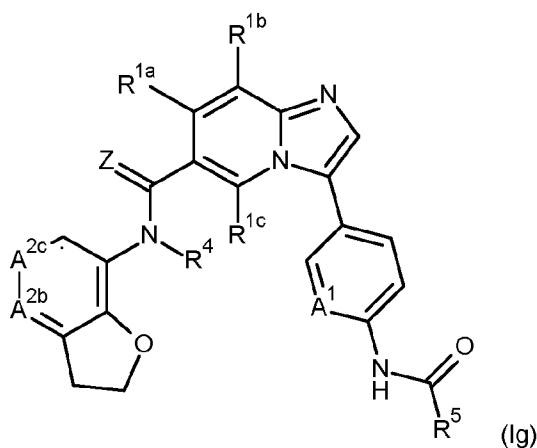
Table 2.44: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is methoxy, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

Table 2.45: This table discloses specific compounds of formula (If) wherein Z is O, R^{1a} is H, R^{1b} is methoxymethyl, R^{1c} is H and R⁵ is cyclopropyl, and wherein the values of A^{2c}, A^{2b}, A^{2a} and A¹ are as defined in Table Z.2.

20

The compounds in Tables 3.1 to 3.55 below illustrate the compounds of the invention.

Table 3.1: This table discloses specific compounds of formula (Ig)



25 wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CH, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3 below.

Table Z.3: Substituent definitions of R^{1b}, A¹, A^{2b} and R⁵:

Compound	A ¹	A ^{2b}	R ⁵	R ^{1b}
E3.1	CH	CH	CH ₃	H

E3.2	CH	CH	OCH ₃	H
E3.3	CH	CH	cyclopropyl	H
E3.4	CH	CH	CH ₂ OCH ₃	H
E3.5	CH	N	CH ₃	H
E3.6	CH	N	OCH ₃	H
E3.7	CH	N	cyclopropyl	H
E3.8	CH	N	CH ₂ OCH ₃	H
E3.9	CH	CF	CH ₃	H
E3.10	CH	CF	OCH ₃	H
E3.11	CH	CF	cyclopropyl	H
E3.12	CH	CF	CH ₂ OCH ₃	H
E3.13	CH	CCl	CH ₃	H
E3.14	CH	CCl	OCH ₃	H
E3.15	CH	CCl	cyclopropyl	H
E3.16	CH	CCl	CH ₂ OCH ₃	H
E3.17	CH	CCH ₃	CH ₃	H
E3.18	CH	CCH ₃	OCH ₃	H
E3.19	CH	CCH ₃	cyclopropyl	H
E3.20	CH	CCH ₃	CH ₂ OCH ₃	H
E3.21	CH	CCH ₂ CH ₃	CH ₃	H
E3.22	CH	CCH ₂ CH ₃	OCH ₃	H
E3.23	CH	CCH ₂ CH ₃	cyclopropyl	H
E3.24	CH	CCH ₂ CH ₃	CH ₂ OCH ₃	H
E3.25	CH	CCN	CH ₃	H
E3.26	CH	CCN	OCH ₃	H
E3.27	CH	CCN	cyclopropyl	H
E3.28	CH	CCN	CH ₂ OCH ₃	H
E3.29	CH	CC(O)OCH ₃	CH ₃	H
E3.30	CH	CC(O)OCH ₃	OCH ₃	H
E3.31	CH	CC(O)OCH ₃	cyclopropyl	H
E3.32	CH	CC(O)OCH ₃	CH ₂ OCH ₃	H
E3.33	N	CH	CH ₃	H
E3.34	N	CH	OCH ₃	H
E3.35	N	CH	cyclopropyl	H
E3.36	N	CH	CH ₂ OCH ₃	H
E3.37	N	N	CH ₃	H

E3.38	N	N	OCH ₃	H
E3.39	N	N	cyclopropyl	H
E3.40	N	N	CH ₂ OCH ₃	H
E3.41	N	CF	CH ₃	H
E3.42	N	CF	OCH ₃	H
E3.43	N	CF	cyclopropyl	H
E3.44	N	CF	CH ₂ OCH ₃	H
E3.45	N	CCI	CH ₃	H
E3.46	N	CCI	OCH ₃	H
E3.47	N	CCI	cyclopropyl	H
E3.48	N	CCI	CH ₂ OCH ₃	H
E3.49	N	CCH ₃	CH ₃	H
E3.50	N	CCH ₃	OCH ₃	H
E3.51	N	CCH ₃	cyclopropyl	H
E3.52	N	CCH ₃	CH ₂ OCH ₃	H
E3.53	N	CCH ₂ CH ₃	CH ₃	H
E3.54	N	CCH ₂ CH ₃	OCH ₃	H
E3.55	N	CCH ₂ CH ₃	cyclopropyl	H
E3.56	N	CCH ₂ CH ₃	CH ₂ OCH ₃	H
E3.57	N	CCN	CH ₃	H
E3.58	N	CCN	OCH ₃	H
E3.59	N	CCN	cyclopropyl	H
E3.60	N	CCN	CH ₂ OCH ₃	H
E3.61	N	CC(O)OCH ₃	CH ₃	H
E3.62	N	CC(O)OCH ₃	OCH ₃	H
E3.63	N	CC(O)OCH ₃	cyclopropyl	H
E3.64	N	CC(O)OCH ₃	CH ₂ OCH ₃	H
E3.65	CH	CH	CH ₃	CH ₃
E3.66	CH	CH	OCH ₃	CH ₃
E3.67	CH	CH	cyclopropyl	CH ₃
E3.68	CH	CH	CH ₂ OCH ₃	CH ₃
E3.69	CH	N	CH ₃	CH ₃
E3.70	CH	N	OCH ₃	CH ₃
E3.71	CH	N	cyclopropyl	CH ₃
E3.72	CH	N	CH ₂ OCH ₃	CH ₃
E3.73	CH	CF	CH ₃	CH ₃

E3.74	CH	CF	OCH ₃	CH ₃
E3.75	CH	CF	cyclopropyl	CH ₃
E3.76	CH	CF	CH ₂ OCH ₃	CH ₃
E3.77	CH	CCI	CH ₃	CH ₃
E3.78	CH	CCI	OCH ₃	CH ₃
E3.79	CH	CCI	cyclopropyl	CH ₃
E3.80	CH	CCI	CH ₂ OCH ₃	CH ₃
E3.81	CH	CCH ₃	CH ₃	CH ₃
E3.82	CH	CCH ₃	OCH ₃	CH ₃
E3.83	CH	CCH ₃	cyclopropyl	CH ₃
E3.84	CH	CCH ₃	CH ₂ OCH ₃	CH ₃
E3.85	CH	CCH ₂ CH ₃	CH ₃	CH ₃
E3.86	CH	CCH ₂ CH ₃	OCH ₃	CH ₃
E3.87	CH	CCH ₂ CH ₃	cyclopropyl	CH ₃
E3.88	CH	CCH ₂ CH ₃	CH ₂ OCH ₃	CH ₃
E3.89	CH	CCN	CH ₃	CH ₃
E3.90	CH	CCN	OCH ₃	CH ₃
E3.91	CH	CCN	cyclopropyl	CH ₃
E3.92	CH	CCN	CH ₂ OCH ₃	CH ₃
E3.93	CH	CC(O)OCH ₃	CH ₃	CH ₃
E3.94	CH	CC(O)OCH ₃	OCH ₃	CH ₃
E3.95	CH	CC(O)OCH ₃	cyclopropyl	CH ₃
E3.96	CH	CC(O)OCH ₃	CH ₂ OCH ₃	CH ₃
E3.97	N	CH	CH ₃	CH ₃
E3.98	N	CH	OCH ₃	CH ₃
E3.99	N	CH	cyclopropyl	CH ₃
E3.100	N	CH	CH ₂ OCH ₃	CH ₃
E3.101	N	N	CH ₃	CH ₃
E3.102	N	N	OCH ₃	CH ₃
E3.103	N	N	cyclopropyl	CH ₃
E3.104	N	N	CH ₂ OCH ₃	CH ₃
E3.105	N	CF	CH ₃	CH ₃
E3.106	N	CF	OCH ₃	CH ₃
E3.107	N	CF	cyclopropyl	CH ₃
E3.108	N	CF	CH ₂ OCH ₃	CH ₃
E3.109	N	CCI	CH ₃	CH ₃

E3.110	N	CCI	OCH ₃	CH ₃
E3.111	N	CCI	cyclopropyl	CH ₃
E3.112	N	CCI	CH ₂ OCH ₃	CH ₃
E3.113	N	CCH ₃	CH ₃	CH ₃
E3.114	N	CCH ₃	OCH ₃	CH ₃
E3.115	N	CCH ₃	cyclopropyl	CH ₃
E3.116	N	CCH ₃	CH ₂ OCH ₃	CH ₃
E3.117	N	CCH ₂ CH ₃	CH ₃	CH ₃
E3.118	N	CCH ₂ CH ₃	OCH ₃	CH ₃
E3.119	N	CCH ₂ CH ₃	cyclopropyl	CH ₃
E3.120	N	CCH ₂ CH ₃	CH ₂ OCH ₃	CH ₃
E3.121	N	CCN	CH ₃	CH ₃
E3.122	N	CCN	OCH ₃	CH ₃
E3.123	N	CCN	cyclopropyl	CH ₃
E3.124	N	CCN	CH ₂ OCH ₃	CH ₃
E3.125	N	CC(O)OCH ₃	CH ₃	CH ₃
E3.126	N	CC(O)OCH ₃	OCH ₃	CH ₃
E3.127	N	CC(O)OCH ₃	cyclopropyl	CH ₃
E3.128	N	CC(O)OCH ₃	CH ₂ OCH ₃	CH ₃
E3.129	CH	CH	CH ₃	CH ₂ OCH ₃
E3.130	CH	CH	OCH ₃	CH ₂ OCH ₃
E3.131	CH	CH	cyclopropyl	CH ₂ OCH ₃
E3.132	CH	CH	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.133	CH	N	CH ₃	CH ₂ OCH ₃
E3.134	CH	N	OCH ₃	CH ₂ OCH ₃
E3.135	CH	N	cyclopropyl	CH ₂ OCH ₃
E3.136	CH	N	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.137	CH	CF	CH ₃	CH ₂ OCH ₃
E3.138	CH	CF	OCH ₃	CH ₂ OCH ₃
E3.139	CH	CF	cyclopropyl	CH ₂ OCH ₃
E3.140	CH	CF	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.141	CH	CCI	CH ₃	CH ₂ OCH ₃
E3.142	CH	CCI	OCH ₃	CH ₂ OCH ₃
E3.143	CH	CCI	cyclopropyl	CH ₂ OCH ₃
E3.144	CH	CCI	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.145	CH	CCH ₃	CH ₃	CH ₂ OCH ₃

E3.146	CH	CCH ₃	OCH ₃	CH ₂ OCH ₃
E3.147	CH	CCH ₃	cyclopropyl	CH ₂ OCH ₃
E3.148	CH	CCH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.149	CH	CCH ₂ CH ₃	CH ₃	CH ₂ OCH ₃
E3.150	CH	CCH ₂ CH ₃	OCH ₃	CH ₂ OCH ₃
E3.151	CH	CCH ₂ CH ₃	cyclopropyl	CH ₂ OCH ₃
E3.152	CH	CCH ₂ CH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.153	CH	CCN	CH ₃	CH ₂ OCH ₃
E3.154	CH	CCN	OCH ₃	CH ₂ OCH ₃
E3.155	CH	CCN	cyclopropyl	CH ₂ OCH ₃
E3.156	CH	CCN	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.157	CH	CC(O)OCH ₃	CH ₃	CH ₂ OCH ₃
E3.158	CH	CC(O)OCH ₃	OCH ₃	CH ₂ OCH ₃
E3.159	CH	CC(O)OCH ₃	cyclopropyl	CH ₂ OCH ₃
E3.160	CH	CC(O)OCH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.161	N	CH	CH ₃	CH ₂ OCH ₃
E3.162	N	CH	OCH ₃	CH ₂ OCH ₃
E3.163	N	CH	cyclopropyl	CH ₂ OCH ₃
E3.164	N	CH	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.165	N	N	CH ₃	CH ₂ OCH ₃
E3.166	N	N	OCH ₃	CH ₂ OCH ₃
E3.167	N	N	cyclopropyl	CH ₂ OCH ₃
E3.168	N	N	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.169	N	CF	CH ₃	CH ₂ OCH ₃
E3.170	N	CF	OCH ₃	CH ₂ OCH ₃
E3.171	N	CF	cyclopropyl	CH ₂ OCH ₃
E3.172	N	CF	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.173	N	CCl	CH ₃	CH ₂ OCH ₃
E3.174	N	CCl	OCH ₃	CH ₂ OCH ₃
E3.175	N	CCl	cyclopropyl	CH ₂ OCH ₃
E3.176	N	CCl	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.177	N	CCH ₃	CH ₃	CH ₂ OCH ₃
E3.178	N	CCH ₃	OCH ₃	CH ₂ OCH ₃
E3.179	N	CCH ₃	cyclopropyl	CH ₂ OCH ₃
E3.180	N	CCH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.181	N	CCH ₂ CH ₃	CH ₃	CH ₂ OCH ₃

E3.182	N	CCH ₂ CH ₃	OCH ₃	CH ₂ OCH ₃
E3.183	N	CCH ₂ CH ₃	cyclopropyl	CH ₂ OCH ₃
E3.184	N	CCH ₂ CH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.185	N	CCN	CH ₃	CH ₂ OCH ₃
E3.186	N	CCN	OCH ₃	CH ₂ OCH ₃
E3.187	N	CCN	cyclopropyl	CH ₂ OCH ₃
E3.188	N	CCN	CH ₂ OCH ₃	CH ₂ OCH ₃
E3.189	N	CC(O)OCH ₃	CH ₃	CH ₂ OCH ₃
E3.190	N	CC(O)OCH ₃	OCH ₃	CH ₂ OCH ₃
E3.191	N	CC(O)OCH ₃	cyclopropyl	CH ₂ OCH ₃
E3.192	N	CC(O)OCH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃

Table 3.2: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CH, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.3: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CH, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.4: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CH, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.5: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CH, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.6: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₃, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.7: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₃, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.8: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₃, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.9: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₃, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.10: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₃, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.11: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₂CH₃, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.12: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₂CH₃, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.13: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₂CH₃, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.14: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCH₂CH₃, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

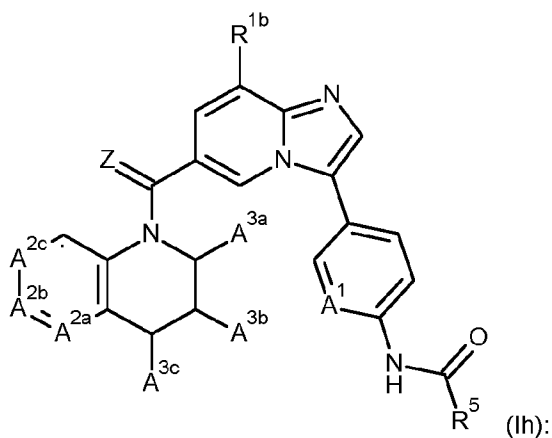
- Table 3.35: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is CCN, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.36: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₃, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- 5 Table 3.37: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₃, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.38: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₃, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.39 provides 192 compounds E-39.001 to E-39.192 of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c}
10 is H, A^{2c} is COCH₃, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.40: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₃, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.41: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₃, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- 15 Table 3.42: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₃, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.43: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₃, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.44: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H,
20 A^{2c} is COCH₂CH₃, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.45: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₃, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.46: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₂OCH₃, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- 25 Table 3.47: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₂OCH₃, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.48: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₂OCH₃, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.49: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H,
30 A^{2c} is COCH₂CH₂OCH₃, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.50: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COCH₂CH₂OCH₃, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.51: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COH, R⁴ is CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- 35 Table 3.52: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COH, R⁴ is CH₂CH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.53: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COH, R⁴ is CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.
- Table 3.54: This table discloses specific compounds of formula (I_g) wherein Z is O, R^{1a} is H, R^{1c} is H,
40 A^{2c} is COH, R⁴ is CH₂CH₂OCH₃ and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

Table 3.55: This table discloses specific compounds of formula (Ig) wherein Z is O, R^{1a} is H, R^{1c} is H, A^{2c} is COH, R⁴ is CH₂CN and R^{1b}, A¹, A^{2b}, R⁵ are as defined in Table Z.3.

The compounds in Tables 4.1 to 4.24 below illustrate the compounds of the invention.

5

Table 4.1 provides compounds of formula (Ih)



wherein Z is O; A³ and R⁴ taken together form the depicted ring; and

R^{1b} is H, R⁵ is CH₃, A¹ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4 below.

10

Table Z.4: Substituent definitions of A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b} and A^{3c}:

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.1	CH	CH	CH	H	H	H
E4.2	CH	CH	CH	H	H	CH ₃
E4.3	CH	CH	CH	H	H	C(O)OCH ₃
E4.4	CH	CH	CH	H	OH	H
E4.5	CH	CH	CH	H	C(O)OCH ₃	H
E4.6	CH	CH	CH	H	OCH ₃	H
E4.7	CH	CH	CH	H	CH ₃	H
E4.8	CH	CH	CH	CH ₃	H	H
E4.9	CH	CH	COCH ₃	H	H	H
E4.10	CH	CH	COCH ₃	H	H	CH ₃
E4.11	CH	CH	COCH ₃	H	H	C(O)OCH ₃
E4.12	CH	CH	COCH ₃	H	OH	H
E4.13	CH	CH	COCH ₃	H	C(O)OCH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.14	CH	CH	COCH ₃	H	OCH ₃	H
E4.15	CH	CH	COCH ₃	H	CH ₃	H
E4.16	CH	CH	COCH ₃	CH ₃	H	H
E4.17	CH	CH	CCH ₃	H	H	H
E4.18	CH	CH	CCH ₃	H	H	CH ₃
E4.19	CH	CH	CCH ₃	H	H	C(O)OCH ₃
E4.20	CH	CH	CCH ₃	H	OH	H
E4.21	CH	CH	CCH ₃	H	C(O)OCH ₃	H
E4.22	CH	CH	CCH ₃	H	OCH ₃	H
E4.23	CH	CH	CCH ₃	H	CH ₃	H
E4.24	CH	CH	CCH ₃	CH ₃	H	H
E4.25	CH	CH	CF	H	H	H
E4.26	CH	CH	CF	H	H	CH ₃
E4.27	CH	CH	CF	H	H	C(O)OCH ₃
E4.28	CH	CH	CF	H	OH	H
E4.29	CH	CH	CF	H	C(O)OCH ₃	H
E4.30	CH	CH	CF	H	OCH ₃	H
E4.31	CH	CH	CF	H	CH ₃	H
E4.32	CH	CH	CF	CH ₃	H	H
E4.33	CH	CH	CN	H	H	H
E4.34	CH	CH	CN	H	H	CH ₃
E4.35	CH	CH	CN	H	H	C(O)OCH ₃
E4.36	CH	CH	CN	H	OH	H
E4.37	CH	CH	CN	H	C(O)OCH ₃	H
E4.38	CH	CH	CN	H	OCH ₃	H
E4.39	CH	CH	CN	H	CH ₃	H
E4.40	CH	CH	CN	CH ₃	H	H
E4.41	CH	CH	CC(O)OCH ₃	H	H	H
E4.42	CH	CH	CC(O)OCH ₃	H	H	CH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.43	CH	CH	CC(O)OCH ₃	H	H	C(O)OCH ₃
E4.44	CH	CH	CC(O)OCH ₃	H	OH	H
E4.45	CH	CH	CC(O)OCH ₃	H	C(O)OCH ₃	H
E4.46	CH	CH	CC(O)OCH ₃	H	OCH ₃	H
E4.47	CH	CH	CC(O)OCH ₃	H	CH ₃	H
E4.48	CH	CH	CC(O)OCH ₃	CH ₃	H	H
E4.49	CH	CF	CH	H	H	H
E4.50	CH	CF	CH	H	H	CH ₃
E4.51	CH	CF	CH	H	H	C(O)OCH ₃
E4.52	CH	CF	CH	H	OH	H
E4.53	CH	CF	CH	H	OCH ₃	H
E4.54	CH	CF	CH	H	CH ₃	H
E4.55	CH	CF	CH	CH ₃	H	H
E4.56	CH	CF	COCH ₃	H	H	H
E4.57	CH	CF	COCH ₃	H	H	CH ₃
E4.58	CH	CF	COCH ₃	H	H	C(O)OCH ₃
E4.59	CH	CF	COCH ₃	H	OH	H
E4.60	CH	CF	COCH ₃	H	C(O)OCH ₃	H
E4.61	CH	CF	COCH ₃	H	OCH ₃	H
E4.62	CH	CF	COCH ₃	H	CH ₃	H
E4.63	CH	CF	COCH ₃	CH ₃	H	H
E4.64	CH	CF	CCH ₃	H	H	H
E4.65	CH	CF	CCH ₃	H	H	CH ₃
E4.66	CH	CF	CCH ₃	H	H	C(O)OCH ₃
E4.67	CH	CF	CCH ₃	H	OH	H
E4.68	CH	CF	CCH ₃	H	C(O)OCH ₃	H
E4.69	CH	CF	CCH ₃	H	OCH ₃	H
E4.70	CH	CF	CCH ₃	H	CH ₃	H
E4.71	CH	CF	CCH ₃	CH ₃	H	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.72	CH	CF	CF	H	H	H
E4.73	CH	CF	CF	H	H	CH ₃
E4.74	CH	CF	CF	H	H	C(O)OCH ₃
E4.75	CH	CF	CF	H	OH	H
E4.76	CH	CF	CF	H	C(O)OCH ₃	H
E4.77	CH	CF	CF	H	OCH ₃	H
E4.78	CH	CF	CF	H	CH ₃	H
E4.79	CH	CF	CF	CH ₃	H	H
E4.80	CH	CF	CN	H	H	H
E4.81	CH	CF	CN	H	H	CH ₃
E4.82	CH	CF	CN	H	H	C(O)OCH ₃
E4.83	CH	CF	CN	H	OH	H
E4.84	CH	CF	CN	H	C(O)OCH ₃	H
E4.85	CH	CF	CN	H	OCH ₃	H
E4.86	CH	CF	CN	H	CH ₃	H
E4.87	CH	CF	CN	CH ₃	H	H
E4.88	CH	CCl	CH	H	H	H
E4.89	CH	CCl	CH	H	H	CH ₃
E4.90	CH	CCl	CH	H	H	C(O)OCH ₃
E4.91	CH	CCl	CH	H	OH	H
E4.92	CH	CCl	CH	H	C(O)OCH ₃	H
E4.93	CH	CCl	CH	H	OCH ₃	H
E4.94	CH	CCl	CH	H	CH ₃	H
E4.95	CH	CCl	CH	CH ₃	H	H
E4.96	CH	CCl	COCH ₃	H	H	H
E4.97	CH	CCl	COCH ₃	H	H	CH ₃
E4.98	CH	CCl	COCH ₃	H	H	C(O)OCH ₃
E4.99	CH	CCl	COCH ₃	H	OH	H
E4.100	CH	CCl	COCH ₃	H	C(O)OCH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.101	CH	CCl	COCH ₃	H	CH ₃	H
E4.102	CH	CCl	COCH ₃	CH ₃	H	H
E4.103	CH	CCl	CCH ₃	H	H	H
E4.104	CH	CCl	CCH ₃	H	H	CH ₃
E4.105	CH	CCl	CCH ₃	H	H	C(O)OCH ₃
E4.106	CH	CCl	CCH ₃	H	OH	H
E4.107	CH	CCl	CCH ₃	H	C(O)OCH ₃	H
E4.108	CH	CCl	CCH ₃	H	OCH ₃	H
E4.109	CH	CCl	CCH ₃	H	CH ₃	H
E4.110	CH	CCl	CCH ₃	CH ₃	H	H
E4.111	CH	CCl	CF	H	H	H
E4.112	CH	CCl	CF	H	H	CH ₃
E4.113	CH	CCl	CF	H	H	C(O)OCH ₃
E4.114	CH	CCl	CF	H	OH	H
E4.115	CH	CCl	CF	H	C(O)OCH ₃	H
E4.116	CH	CCl	CF	H	OCH ₃	H
E4.117	CH	CCl	CF	H	CH ₃	H
E4.118	CH	CCl	CF	CH ₃	H	H
E4.119	CH	CCl	CN	H	H	H
E4.120	CH	CCl	CN	H	H	CH ₃
E4.121	CH	CCl	CN	H	H	C(O)OCH ₃
E4.122	CH	CCl	CN	H	OH	H
E4.123	CH	CCl	CN	H	C(O)OCH ₃	H
E4.124	CH	CCl	CN	H	OCH ₃	H
E4.125	CH	CCl	CN	H	CH ₃	H
E4.126	CH	CCl	CN	CH ₃	H	H
E4.127	CH	CBr	CH	H	H	H
E4.128	CH	CBr	CH	H	H	CH ₃
E4.129	CH	CBr	CH	H	H	C(O)OCH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.130	CH	CBr	CH	H	OH	H
E4.131	CH	CBr	CH	H	C(O)OCH ₃	H
E4.132	CH	CBr	CH	H	OCH ₃	H
E4.133	CH	CBr	CH	H	CH ₃	H
E4.134	CH	CBr	CH	CH ₃	H	H
E4.135	CH	CBr	COCH ₃	H	H	H
E4.136	CH	CBr	COCH ₃	H	H	CH ₃
E4.137	CH	CBr	COCH ₃	H	H	C(O)OCH ₃
E4.138	CH	CBr	COCH ₃	H	OH	H
E4.139	CH	CBr	COCH ₃	H	C(O)OCH ₃	H
E4.140	CH	CBr	COCH ₃	H	OCH ₃	H
E4.141	CH	CBr	COCH ₃	H	CH ₃	H
E4.142	CH	CBr	COCH ₃	CH ₃	H	H
E4.143	CH	CBr	CCH ₃	H	H	H
E4.144	CH	CBr	CCH ₃	H	H	CH ₃
E4.145	CH	CBr	CCH ₃	H	H	C(O)OCH ₃
E4.146	CH	CBr	CCH ₃	H	OH	H
E4.147	CH	CBr	CCH ₃	H	C(O)OCH ₃	H
E4.148	CH	CBr	CCH ₃	H	OCH ₃	H
E4.149	CH	CBr	CCH ₃	H	CH ₃	H
E4.150	CH	CBr	CCH ₃	CH ₃	H	H
E4.151	CH	CCH ₃	CH	H	H	H
E4.152	CH	CCH ₃	CH	H	H	CH ₃
E4.153	CH	CCH ₃	CH	H	H	C(O)OCH ₃
E4.154	CH	CCH ₃	CH	H	OH	H
E4.155	CH	CCH ₃	CH	H	C(O)OCH ₃	H
E4.156	CH	CCH ₃	CH	H	OCH ₃	H
E4.157	CH	CCH ₃	CH	H	CH ₃	H
E4.158	CH	CCH ₃	CH	CH ₃	H	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.159	CH	CCH ₃	COCH ₃	H	H	H
E4.160	CH	CCH ₃	COCH ₃	H	H	CH ₃
E4.161	CH	CCH ₃	COCH ₃	H	H	C(O)OCH ₃
E4.162	CH	CCH ₃	COCH ₃	H	OH	H
E4.163	CH	CCH ₃	COCH ₃	H	C(O)OCH ₃	H
E4.164	CH	CCH ₃	COCH ₃	H	OCH ₃	H
E4.165	CH	CCH ₃	COCH ₃	H	CH ₃	H
E4.166	CH	CCH ₃	COCH ₃	CH ₃	H	H
E4.167	CH	CCH ₃	CCH ₃	H	H	H
E4.168	CH	CCH ₃	CCH ₃	H	H	CH ₃
E4.169	CH	CCH ₃	CCH ₃	H	H	C(O)OCH ₃
E4.170	CH	CCH ₃	CCH ₃	H	OH	H
E4.171	CH	CCH ₃	CCH ₃	H	C(O)OCH ₃	H
E4.172	CH	CCH ₃	CCH ₃	H	OCH ₃	H
E4.173	CH	CCH ₃	CCH ₃	H	CH ₃	H
E4.174	CH	CCH ₃	CCH ₃	CH ₃	H	H
E4.175	CH	CCH ₃	CF	H	H	H
E4.176	CH	CCH ₃	CF	H	H	CH ₃
E4.177	CH	CCH ₃	CF	H	H	C(O)OCH ₃
E4.178	CH	CCH ₃	CF	H	OH	H
E4.179	CH	CCH ₃	CF	H	C(O)OCH ₃	H
E4.180	CH	CCH ₃	CF	H	OCH ₃	H
E4.181	CH	CCH ₃	CF	H	CH ₃	H
E4.182	CH	CCH ₃	CF	CH ₃	H	H
E4.183	CH	CCH ₃	CN	H	H	H
E4.184	CH	CCH ₃	CN	H	H	CH ₃
E4.185	CH	CCH ₃	CN	H	H	C(O)OCH ₃
E4.186	CH	CCH ₃	CN	H	OH	H
E4.187	CH	CCH ₃	CN	H	C(O)OCH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.188	CH	CCH ₃	CN	H	OCH ₃	H
E4.189	CH	CCH ₃	CN	H	CH ₃	H
E4.190	CH	CCH ₃	CN	CH ₃	H	H
E4.191	CH	CN	CH	H	H	H
E4.192	CH	CN	CH	H	H	CH ₃
E4.193	CH	CN	CH	H	H	C(O)OCH ₃
E4.194	CH	CN	CH	H	OH	H
E4.195	CH	CN	CH	H	C(O)OCH ₃	H
E4.196	CH	CN	CH	H	OCH ₃	H
E4.197	CH	CN	CH	H	CH ₃	H
E4.198	CH	CN	CH	CH ₃	H	H
E4.199	CH	CN	COCH ₃	H	H	H
E4.200	CH	CN	COCH ₃	H	H	CH ₃
E4.201	CH	CN	COCH ₃	H	H	C(O)OCH ₃
E4.202	CH	CN	COCH ₃	H	OH	H
E4.203	CH	CN	COCH ₃	H	C(O)OCH ₃	H
E4.204	CH	CN	COCH ₃	H	OCH ₃	H
E4.205	CH	CN	COCH ₃	H	CH ₃	H
E4.206	CH	CN	COCH ₃	CH ₃	H	H
E4.207	CH	CN	CCH ₃	H	H	H
E4.208	CH	CN	CCH ₃	H	H	CH ₃
E4.209	CH	CN	CCH ₃	H	H	C(O)OCH ₃
E4.210	CH	CN	CCH ₃	H	OH	H
E4.211	CH	CN	CCH ₃	H	C(O)OCH ₃	H
E4.212	CH	CN	CCH ₃	H	OCH ₃	H
E4.213	CH	CN	CCH ₃	H	CH ₃	H
E4.214	CH	CN	CCH ₃	CH ₃	H	H
E4.215	CH	CN	CF	H	H	H
E4.216	CH	CN	CF	H	H	CH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.217	CH	CN	CF	H	H	C(O)OCH ₃
E4.218	CH	CN	CF	H	OH	H
E4.219	CH	CN	CF	H	C(O)OCH ₃	H
E4.220	CH	CN	CF	H	OCH ₃	H
E4.221	CH	CN	CF	H	CH ₃	H
E4.222	CH	CN	CF	CH ₃	H	H
E4.223	CH	COCHF ₂	CH	H	H	H
E4.224	CH	COCHF ₂	CH	H	H	CH ₃
E4.225	CH	COCHF ₂	CH	H	OCH ₃	H
E4.226	CH	COCHF ₂	CH	H	CH ₃	H
E4.227	CH	COCH ₃	CH	H	H	H
E4.228	CH	COCH ₃	CH	H	H	CH ₃
E4.229	CH	COCH ₃	CH	H	H	C(O)OCH ₃
E4.230	CH	COCH ₃	CH	H	OH	H
E4.231	CH	COCH ₃	CH	H	C(O)OCH ₃	H
E4.232	CH	COCH ₃	CH	H	OCH ₃	H
E4.233	CH	COCH ₃	CH	H	CH ₃	H
E4.234	CH	COCH ₃	CH	CH ₃	H	H
E4.235	CH	COCH ₃	COCH ₃	H	H	H
E4.236	CH	COCH ₃	COCH ₃	H	H	CH ₃
E4.237	CH	COCH ₃	COCH ₃	H	H	C(O)OCH ₃
E4.238	CH	COCH ₃	COCH ₃	H	OH	H
E4.239	CH	COCH ₃	COCH ₃	H	C(O)OCH ₃	H
E4.240	CH	COCH ₃	COCH ₃	H	OCH ₃	H
E4.241	CH	COCH ₃	COCH ₃	H	CH ₃	H
E4.242	CH	COCH ₃	COCH ₃	CH ₃	H	H
E4.243	CH	COCH ₃	CCH ₃	H	H	H
E4.244	CH	COCH ₃	CCH ₃	H	H	CH ₃
E4.245	CH	COCH ₃	CCH ₃	H	H	C(O)OCH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.246	CH	COCH ₃	CCH ₃	H	OH	H
E4.247	CH	COCH ₃	CCH ₃	H	C(O)OCH ₃	H
E4.248	CH	COCH ₃	CCH ₃	H	OCH ₃	H
E4.249	CH	COCH ₃	CCH ₃	H	CH ₃	H
E4.250	CH	COCH ₃	CCH ₃	CH ₃	H	H
E4.251	CH	COCH ₃	CF	H	H	H
E4.252	CH	COCH ₃	CF	H	H	CH ₃
E4.253	CH	COCH ₃	CF	H	H	C(O)OCH ₃
E4.254	CH	COCH ₃	CF	H	OH	H
E4.255	CH	COCH ₃	CF	H	C(O)OCH ₃	H
E4.256	CH	COCH ₃	CF	H	OCH ₃	H
E4.257	CH	COCH ₃	CF	H	CH ₃	H
E4.258	CH	COCH ₃	CF	CH ₃	H	H
E4.259	CH	COCH ₃	CN	H	H	H
E4.260	CH	COCH ₃	CN	H	H	CH ₃
E4.261	CH	COCH ₃	CN	H	H	C(O)OCH ₃
E4.262	CH	COCH ₃	CN	H	OH	H
E4.263	CH	COCH ₃	CN	H	C(O)OCH ₃	H
E4.264	CH	COCH ₃	CN	H	OCH ₃	H
E4.265	CH	COCH ₃	CN	H	CH ₃	H
E4.266	CH	COCH ₃	CN	CH ₃	H	H
E4.267	COCH ₃	CH	CH	H	H	H
E4.268	COCH ₃	CH	CH	H	H	CH ₃
E4.269	COCH ₃	CH	CH	H	H	C(O)OCH ₃
E4.270	COCH ₃	CH	CH	H	OH	H
E4.271	COCH ₃	CH	CH	H	C(O)OCH ₃	H
E4.272	COCH ₃	CH	CH	H	OCH ₃	H
E4.273	COCH ₃	CH	CH	H	CH ₃	H
E4.274	COCH ₃	CH	CH	CH ₃	H	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.275	COCH ₃	CH	COCH ₃	H	H	H
E4.276	COCH ₃	CH	COCH ₃	H	H	CH ₃
E4.277	COCH ₃	CH	COCH ₃	H	H	C(O)OCH ₃
E4.278	COCH ₃	CH	COCH ₃	H	OH	H
E4.279	COCH ₃	CH	COCH ₃	H	C(O)OCH ₃	H
E4.280	COCH ₃	CH	COCH ₃	H	OCH ₃	H
E4.281	COCH ₃	CH	COCH ₃	H	CH ₃	H
E4.282	COCH ₃	CH	COCH ₃	CH ₃	H	H
E4.283	COCH ₃	CH	CCH ₃	H	H	H
E4.284	COCH ₃	CH	CCH ₃	H	OH	H
E4.285	COCH ₃	CH	CCH ₃	H	C(O)OCH ₃	H
E4.286	COCH ₃	CH	CCH ₃	H	OCH ₃	H
E4.287	COCH ₃	CH	CCH ₃	H	CH ₃	H
E4.288	COCH ₃	CH	CCH ₃	CH ₃	H	H
E4.289	COCH ₃	CH	CF	H	H	H
E4.290	COCH ₃	CH	CF	H	H	CH ₃
E4.291	COCH ₃	CH	CF	H	H	C(O)OCH ₃
E4.292	COCH ₃	CH	CF	H	OH	H
E4.293	COCH ₃	CH	CF	H	C(O)OCH ₃	H
E4.294	COCH ₃	CH	CF	H	OCH ₃	H
E4.295	COCH ₃	CH	CF	H	CH ₃	H
E4.296	COCH ₃	CH	CF	CH ₃	H	H
E4.297	COCH ₃	CH	CN	H	H	H
E4.298	COCH ₃	CH	CN	H	H	CH ₃
E4.299	COCH ₃	CH	CN	H	H	C(O)OCH ₃
E4.300	COCH ₃	CH	CN	H	OH	H
E4.301	COCH ₃	CH	CN	H	C(O)OCH ₃	H
E4.302	COCH ₃	CH	CN	H	OCH ₃	H
E4.303	COCH ₃	CH	CN	H	CH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.304	COCH ₃	CH	CN	CH ₃	H	H
E4.305	COCH ₃	CF	CH	H	H	H
E4.306	COCH ₃	CF	CH	H	H	CH ₃
E4.307	COCH ₃	CF	CH	H	H	C(O)OCH ₃
E4.308	COCH ₃	CF	CH	H	OH	H
E4.309	COCH ₃	CF	CH	H	C(O)OCH ₃	H
E4.310	COCH ₃	CF	CH	H	OCH ₃	H
E4.311	COCH ₃	CF	CH	H	CH ₃	H
E4.312	COCH ₃	CF	CH	CH ₃	H	H
E4.313	COCH ₃	CCl	CH	H	H	H
E4.314	COCH ₃	CCl	CH	H	H	CH ₃
E4.315	COCH ₃	CCl	CH	H	H	C(O)OCH ₃
E4.316	COCH ₃	CCl	CH	H	OH	H
E4.317	COCH ₃	CCl	CH	H	C(O)OCH ₃	H
E4.318	COCH ₃	CCl	CH	H	OCH ₃	H
E4.319	COCH ₃	CCl	CH	H	CH ₃	H
E4.320	COCH ₃	CCl	CH	CH ₃	H	H
E4.321	COCH ₃	CBr	CH	H	H	H
E4.322	COCH ₃	CBr	CH	H	H	CH ₃
E4.323	COCH ₃	CBr	CH	H	H	C(O)OCH ₃
E4.324	COCH ₃	CBr	CH	H	OH	H
E4.325	COCH ₃	CBr	CH	H	C(O)OCH ₃	H
E4.326	COCH ₃	CBr	CH	H	OCH ₃	H
E4.327	COCH ₃	CBr	CH	H	CH ₃	H
E4.328	COCH ₃	CBr	CH	CH ₃	H	H
E4.329	COCH ₃	CCH ₃	CH	H	H	H
E4.330	COCH ₃	CCH ₃	CH	H	H	CH ₃
E4.331	COCH ₃	CCH ₃	CH	H	H	C(O)OCH ₃
E4.332	COCH ₃	CCH ₃	CH	H	OH	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.333	COCH ₃	CCH ₃	CH	H	C(O)OCH ₃	H
E4.334	COCH ₃	CCH ₃	CH	H	OCH ₃	H
E4.335	COCH ₃	CCH ₃	CH	H	CH ₃	H
E4.336	COCH ₃	CCH ₃	CH	CH ₃	H	H
E4.337	COCH ₃	CN	CH	H	H	H
E4.338	COCH ₃	CN	CH	H	H	CH ₃
E4.339	COCH ₃	CN	CH	H	H	C(O)OCH ₃
E4.340	COCH ₃	CN	CH	H	OH	H
E4.341	COCH ₃	CN	CH	H	OCH ₃	H
E4.342	COCH ₃	CN	CH	H	CH ₃	H
E4.343	COCH ₃	CN	CH	CH ₃	H	H
E4.344	COCH ₃	COCH ₃	CH	H	H	H
E4.345	COCH ₃	COCH ₃	CH	H	H	CH ₃
E4.346	COCH ₃	COCH ₃	CH	H	H	C(O)OCH ₃
E4.347	COCH ₃	COCH ₃	CH	H	OH	H
E4.348	COCH ₃	COCH ₃	CH	H	C(O)OCH ₃	H
E4.349	COCH ₃	COCH ₃	CH	H	OCH ₃	H
E4.350	COCH ₃	COCH ₃	CH	H	CH ₃	H
E4.351	COCH ₃	COCH ₃	CH	CH ₃	H	H
E4.352	CF	CH	CH	H	H	H
E4.353	CF	CH	CH	H	H	CH ₃
E4.354	CF	CH	CH	H	H	C(O)OCH ₃
E4.355	CF	CH	CH	H	OH	H
E4.356	CF	CH	CH	H	C(O)OCH ₃	H
E4.357	CF	CH	CH	H	OCH ₃	H
E4.358	CF	CH	CH	H	CH ₃	H
E4.359	CF	CH	CH	CH ₃	H	H
E4.360	CF	CH	COCH ₃	H	H	H
E4.361	CF	CH	COCH ₃	H	H	CH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.362	CF	CH	COCH ₃	H	H	C(O)OCH ₃
E4.363	CF	CH	COCH ₃	H	OH	H
E4.364	CF	CH	COCH ₃	H	C(O)OCH ₃	H
E4.365	CF	CH	COCH ₃	H	OCH ₃	H
E4.366	CF	CH	COCH ₃	H	CH ₃	H
E4.367	CF	CH	COCH ₃	CH ₃	H	H
E4.368	CF	CH	CCH ₃	H	H	H
E4.369	CF	CH	CCH ₃	H	H	CH ₃
E4.370	CF	CH	CCH ₃	H	H	C(O)OCH ₃
E4.371	CF	CH	CCH ₃	H	OH	H
E4.372	CF	CH	CCH ₃	H	C(O)OCH ₃	H
E4.373	CF	CH	CCH ₃	H	OCH ₃	H
E4.374	CF	CH	CCH ₃	H	CH ₃	H
E4.375	CF	CH	CCH ₃	CH ₃	H	H
E4.376	CF	CH	CF	H	H	H
E4.377	CF	CH	CF	H	H	CH ₃
E4.378	CF	CH	CF	H	H	C(O)OCH ₃
E4.379	CF	CH	CF	H	OH	H
E4.380	CF	CH	CF	H	C(O)OCH ₃	H
E4.381	CF	CH	CF	H	OCH ₃	H
E4.382	CF	CH	CF	H	CH ₃	H
E4.383	CF	CH	CF	CH ₃	H	H
E4.384	CF	CH	CN	H	H	H
E4.385	CF	CH	CN	H	H	CH ₃
E4.386	CF	CH	CN	H	H	C(O)OCH ₃
E4.387	CF	CH	CN	H	OH	H
E4.388	CF	CH	CN	H	C(O)OCH ₃	H
E4.389	CF	CH	CN	H	OCH ₃	H
E4.390	CF	CH	CN	H	CH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.391	CF	CH	CN	CH ₃	H	H
E4.392	CF	CH	CC(O)OCH ₃	H	H	H
E4.393	CF	CH	CC(O)OCH ₃	H	H	CH ₃
E4.394	CF	CH	CC(O)OCH ₃	H	H	C(O)OCH ₃
E4.395	CF	CH	CC(O)OCH ₃	H	OH	H
E4.396	CF	CH	CC(O)OCH ₃	H	C(O)OCH ₃	H
E4.397	CF	CH	CC(O)OCH ₃	H	OCH ₃	H
E4.398	CF	CH	CC(O)OCH ₃	H	CH ₃	H
E4.399	CF	CH	CC(O)OCH ₃	CH ₃	H	H
E4.400	CF	CF	CH	H	H	H
E4.401	CF	CF	CH	H	H	CH ₃
E4.402	CF	CF	CH	H	H	C(O)OCH ₃
E4.403	CF	CF	CH	H	OH	H
E4.404	CF	CF	CH	H	C(O)OCH ₃	H
E4.405	CF	CF	CH	H	OCH ₃	H
E4.406	CF	CF	CH	H	CH ₃	H
E4.407	CF	CF	CH	CH ₃	H	H
E4.408	CF	CCl	CH	H	H	H
E4.409	CF	CCl	CH	H	H	CH ₃
E4.410	CF	CCl	CH	H	H	C(O)OCH ₃
E4.411	CF	CCl	CH	H	OH	H
E4.412	CF	CCl	CH	H	C(O)OCH ₃	H
E4.413	CF	CCl	CH	H	OCH ₃	H
E4.414	CF	CCl	CH	H	CH ₃	H
E4.415	CF	CCl	CH	CH ₃	H	H
E4.416	CF	CBr	CH	H	H	H
E4.417	CF	CBr	CH	H	H	CH ₃
E4.418	CF	CBr	CH	H	H	C(O)OCH ₃
E4.419	CF	CBr	CH	H	OH	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.420	CF	CBr	CH	H	C(O)OCH ₃	H
E4.421	CF	CBr	CH	H	OCH ₃	H
E4.422	CF	CBr	CH	H	CH ₃	H
E4.423	CF	CBr	CH	CH ₃	H	H
E4.424	CF	CCH ₃	CH	H	H	H
E4.425	CF	CCH ₃	CH	H	H	CH ₃
E4.426	CF	CCH ₃	CH	H	H	C(O)OCH ₃
E4.427	CF	CCH ₃	CH	H	OH	H
E4.428	CF	CCH ₃	CH	H	C(O)OCH ₃	H
E4.429	CF	CCH ₃	CH	H	OCH ₃	H
E4.430	CF	CCH ₃	CH	H	CH ₃	H
E4.431	CF	CCH ₃	CH	CH ₃	H	H
E4.432	CF	COCH ₃	CH	H	H	H
E4.433	CF	COCH ₃	CH	H	H	CH ₃
E4.434	CF	COCH ₃	CH	H	H	C(O)OCH ₃
E4.435	CF	COCH ₃	CH	H	OH	H
E4.436	CF	COCH ₃	CH	H	C(O)OCH ₃	H
E4.437	CF	COCH ₃	CH	H	OCH ₃	H
E4.438	CF	COCH ₃	CH	H	CH ₃	H
E4.439	CF	COCH ₃	CH	CH ₃	H	H
E4.440	CCH ₃	CH	CH	H	H	H
E4.441	CCH ₃	CH	CH	H	H	CH ₃
E4.442	CCH ₃	CH	CH	H	H	C(O)OCH ₃
E4.443	CCH ₃	CH	CH	H	OH	H
E4.444	CCH ₃	CH	CH	H	C(O)OCH ₃	H
E4.445	CCH ₃	CH	CH	H	OCH ₃	H
E4.446	CCH ₃	CH	CH	H	CH ₃	H
E4.447	CCH ₃	CH	CH	CH ₃	H	H
E4.448	CCH ₃	CH	COCH ₃	H	H	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.449	CCH ₃	CH	COCH ₃	H	H	CH ₃
E4.450	CCH ₃	CH	COCH ₃	H	H	C(O)OCH ₃
E4.451	CCH ₃	CH	COCH ₃	H	OH	H
E4.452	CCH ₃	CH	COCH ₃	H	C(O)OCH ₃	H
E4.453	CCH ₃	CH	COCH ₃	H	OCH ₃	H
E4.454	CCH ₃	CH	COCH ₃	H	CH ₃	H
E4.455	CCH ₃	CH	COCH ₃	CH ₃	H	H
E4.456	CCH ₃	CH	CCH ₃	H	H	H
E4.457	CCH ₃	CH	CCH ₃	H	H	CH ₃
E4.458	CCH ₃	CH	CCH ₃	H	H	C(O)OCH ₃
E4.459	CCH ₃	CH	CCH ₃	H	OH	H
E4.460	CCH ₃	CH	CCH ₃	H	C(O)OCH ₃	H
E4.461	CCH ₃	CH	CCH ₃	H	OCH ₃	H
E4.462	CCH ₃	CH	CCH ₃	H	CH ₃	H
E4.463	CCH ₃	CH	CCH ₃	CH ₃	H	H
E4.464	CCH ₃	CH	CF	H	H	H
E4.465	CCH ₃	CH	CF	H	H	CH ₃
E4.466	CCH ₃	CH	CF	H	H	C(O)OCH ₃
E4.467	CCH ₃	CH	CF	H	OH	H
E4.468	CCH ₃	CH	CF	H	C(O)OCH ₃	H
E4.469	CCH ₃	CH	CF	H	OCH ₃	H
E4.470	CCH ₃	CH	CF	H	CH ₃	H
E4.471	CCH ₃	CH	CF	CH ₃	H	H
E4.472	CCH ₃	CH	CN	H	H	H
E4.473	CCH ₃	CH	CN	H	H	CH ₃
E4.474	CCH ₃	CH	CN	H	H	C(O)OCH ₃
E4.475	CCH ₃	CH	CN	H	OH	H
E4.476	CCH ₃	CH	CN	H	C(O)OCH ₃	H
E4.477	CCH ₃	CH	CN	H	OCH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.478	CCH ₃	CH	CN	H	CH ₃	H
E4.479	CCH ₃	CH	CN	CH ₃	H	H
E4.480	CCH ₃	CH	CC(O)OCH ₃	H	H	H
E4.481	CCH ₃	CH	CC(O)OCH ₃	H	H	CH ₃
E4.482	CCH ₃	CH	CC(O)OCH ₃	H	H	C(O)OCH ₃
E4.483	CCH ₃	CH	CC(O)OCH ₃	H	OH	H
E4.484	CCH ₃	CH	CC(O)OCH ₃	H	C(O)OCH ₃	H
E4.485	CCH ₃	CH	CC(O)OCH ₃	H	OCH ₃	H
E4.486	CCH ₃	CH	CC(O)OCH ₃	H	CH ₃	H
E4.487	CCH ₃	CH	CC(O)OCH ₃	CH ₃	H	H
E4.488	CCH ₃	CF	CH	H	H	H
E4.489	CCH ₃	CF	CH	H	H	CH ₃
E4.490	CCH ₃	CF	CH	H	H	C(O)OCH ₃
E4.491	CCH ₃	CF	CH	H	OH	H
E4.492	CCH ₃	CF	CH	H	C(O)OCH ₃	H
E4.493	CCH ₃	CF	CH	H	OCH ₃	H
E4.494	CCH ₃	CF	CH	H	CH ₃	H
E4.495	CCH ₃	CF	CH	CH ₃	H	H
E4.496	CCH ₃	CCl	CH	H	H	H
E4.497	CCH ₃	CCl	CH	H	H	CH ₃
E4.498	CCH ₃	CCl	CH	H	H	C(O)OCH ₃
E4.499	CCH ₃	CCl	CH	H	OH	H
E4.500	CCH ₃	CCl	CH	H	C(O)OCH ₃	H
E4.501	CCH ₃	CCl	CH	H	OCH ₃	H
E4.502	CCH ₃	CCl	CH	H	CH ₃	H
E4.503	CCH ₃	CCl	CH	CH ₃	H	H
E4.504	CCH ₃	CBr	CH	H	H	H
E4.505	CCH ₃	CBr	CH	H	H	CH ₃
E4.506	CCH ₃	CBr	CH	H	H	C(O)OCH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.507	CCH ₃	CBr	CH	H	OH	H
E4.508	CCH ₃	CBr	CH	H	C(O)OCH ₃	H
E4.509	CCH ₃	CBr	CH	H	OCH ₃	H
E4.510	CCH ₃	CBr	CH	H	CH ₃	H
E4.511	CCH ₃	CBr	CH	CH ₃	H	H
E4.512	CCH ₃	CCH ₃	CH	H	H	H
E4.513	CCH ₃	CCH ₃	CH	H	H	CH ₃
E4.514	CCH ₃	CCH ₃	CH	H	H	C(O)OCH ₃
E4.515	CCH ₃	CCH ₃	CH	H	OH	H
E4.516	CCH ₃	CCH ₃	CH	H	C(O)OCH ₃	H
E4.517	CCH ₃	CCH ₃	CH	H	OCH ₃	H
E4.518	CCH ₃	CCH ₃	CH	H	CH ₃	H
E4.519	CCH ₃	CCH ₃	CH	CH ₃	H	H
E4.520	CCH ₃	CN	CH	H	H	H
E4.521	CCH ₃	CN	CH	H	H	CH ₃
E4.522	CCH ₃	CN	CH	H	H	C(O)OCH ₃
E4.523	CCH ₃	CN	CH	H	OH	H
E4.524	CCH ₃	CN	CH	H	C(O)OCH ₃	H
E4.525	CCH ₃	CN	CH	H	OCH ₃	H
E4.526	CCH ₃	CN	CH	H	CH ₃	H
E4.527	CCH ₃	CN	CH	CH ₃	H	H
E4.528	CCH ₃	COCH ₃	CH	H	H	H
E4.529	CCH ₃	COCH ₃	CH	H	H	CH ₃
E4.530	CCH ₃	COCH ₃	CH	H	H	C(O)OCH ₃
E4.531	CCH ₃	COCH ₃	CH	H	OH	H
E4.532	CCH ₃	COCH ₃	CH	H	C(O)OCH ₃	H
E4.533	CCH ₃	COCH ₃	CH	H	OCH ₃	H
E4.534	CCH ₃	COCH ₃	CH	H	CH ₃	H
E4.535	CCH ₃	COCH ₃	CH	CH ₃	H	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.536	CC(O)OCH ₃	CH	CH	H	H	H
E4.537	CC(O)OCH ₃	CH	CH	H	H	CH ₃
E4.538	CC(O)OCH ₃	CH	CH	H	H	C(O)OCH ₃
E4.539	CC(O)OCH ₃	CH	CH	H	OH	H
E4.540	CC(O)OCH ₃	CH	CH	H	C(O)OCH ₃	H
E4.541	CC(O)OCH ₃	CH	CH	H	OCH ₃	H
E4.542	CC(O)OCH ₃	CH	CH	H	CH ₃	H
E4.543	CC(O)OCH ₃	CH	CH	CH ₃	H	H
E4.544	CC(O)OCH ₃	CH	COCH ₃	H	H	H
E4.545	CC(O)OCH ₃	CH	COCH ₃	H	H	CH ₃
E4.546	CC(O)OCH ₃	CH	COCH ₃	H	H	C(O)OCH ₃
E4.547	CC(O)OCH ₃	CH	COCH ₃	H	OH	H
E4.548	CC(O)OCH ₃	CH	COCH ₃	H	C(O)OCH ₃	H
E4.549	CC(O)OCH ₃	CH	COCH ₃	H	OCH ₃	H
E4.550	CC(O)OCH ₃	CH	COCH ₃	H	CH ₃	H
E4.551	CC(O)OCH ₃	CH	COCH ₃	CH ₃	H	H
E4.552	CC(O)OCH ₃	CH	CCH ₃	H	H	H
E4.553	CC(O)OCH ₃	CH	CCH ₃	H	H	CH ₃
E4.554	CC(O)OCH ₃	CH	CCH ₃	H	H	C(O)OCH ₃
E4.555	CC(O)OCH ₃	CH	CCH ₃	H	OH	H
E4.556	CC(O)OCH ₃	CH	CCH ₃	H	C(O)OCH ₃	H
E4.557	CC(O)OCH ₃	CH	CCH ₃	H	OCH ₃	H
E4.558	CC(O)OCH ₃	CH	CCH ₃	H	CH ₃	H
E4.559	CC(O)OCH ₃	CH	CCH ₃	CH ₃	H	H
E4.560	CC(O)OCH ₃	CH	CF	H	H	H
E4.561	CC(O)OCH ₃	CH	CF	H	H	CH ₃
E4.562	CC(O)OCH ₃	CH	CF	H	H	C(O)OCH ₃
E4.563	CC(O)OCH ₃	CH	CF	H	OH	H
E4.564	CC(O)OCH ₃	CH	CF	H	C(O)OCH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.565	CC(O)OCH ₃	CH	CF	H	OCH ₃	H
E4.566	CC(O)OCH ₃	CH	CF	H	CH ₃	H
E4.567	CC(O)OCH ₃	CH	CF	CH ₃	H	H
E4.568	CC(O)OCH ₃	CH	CN	H	H	H
E4.569	CC(O)OCH ₃	CH	CN	H	H	CH ₃
E4.570	CC(O)OCH ₃	CH	CN	H	H	C(O)OCH ₃
E4.571	CC(O)OCH ₃	CH	CN	H	OH	H
E4.572	CC(O)OCH ₃	CH	CN	H	C(O)OCH ₃	H
E4.573	CC(O)OCH ₃	CH	CN	H	OCH ₃	H
E4.574	CC(O)OCH ₃	CH	CN	H	CH ₃	H
E4.575	CC(O)OCH ₃	CH	CN	CH ₃	H	H
E4.576	CC(O)OCH ₃	CF	CH	H	H	H
E4.577	CC(O)OCH ₃	CF	CH	H	H	CH ₃
E4.578	CC(O)OCH ₃	CF	CH	H	H	C(O)OCH ₃
E4.579	CC(O)OCH ₃	CF	CH	H	OH	H
E4.580	CC(O)OCH ₃	CF	CH	H	C(O)OCH ₃	H
E4.581	CC(O)OCH ₃	CF	CH	H	OCH ₃	H
E4.582	CC(O)OCH ₃	CF	CH	H	CH ₃	H
E4.583	CC(O)OCH ₃	CF	CH	CH ₃	H	H
E4.584	CC(O)OCH ₃	CCl	CH	H	H	H
E4.585	CC(O)OCH ₃	CCl	CH	H	H	CH ₃
E4.586	CC(O)OCH ₃	CCl	CH	H	H	C(O)OCH ₃
E4.587	CC(O)OCH ₃	CCl	CH	H	OH	H
E4.588	CC(O)OCH ₃	CCl	CH	H	C(O)OCH ₃	H
E4.589	CC(O)OCH ₃	CCl	CH	H	OCH ₃	H
E4.590	CC(O)OCH ₃	CCl	CH	H	CH ₃	H
E4.591	CC(O)OCH ₃	CCl	CH	CH ₃	H	H
E4.592	CC(O)OCH ₃	CCH ₃	CH	H	H	H
E4.593	CC(O)OCH ₃	CCH ₃	CH	H	H	CH ₃

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.594	CC(O)OCH ₃	CCH ₃	CH	H	H	C(O)OCH ₃
E4.595	CC(O)OCH ₃	CCH ₃	CH	H	OH	H
E4.596	CC(O)OCH ₃	CCH ₃	CH	H	C(O)OCH ₃	H
E4.597	CC(O)OCH ₃	CCH ₃	CH	H	OCH ₃	H
E4.598	CC(O)OCH ₃	CCH ₃	CH	H	CH ₃	H
E4.599	CC(O)OCH ₃	CCH ₃	CH	CH ₃	H	H
E4.600	CC(O)OCH ₃	CN	CH	H	H	H
E4.601	CC(O)OCH ₃	CN	CH	H	H	CH ₃
E4.602	CC(O)OCH ₃	CN	CH	H	H	C(O)OCH ₃
E4.603	CC(O)OCH ₃	CN	CH	H	OH	H
E4.604	CC(O)OCH ₃	CN	CH	H	C(O)OCH ₃	H
E4.605	CC(O)OCH ₃	CN	CH	H	OCH ₃	H
E4.606	CC(O)OCH ₃	CN	CH	H	CH ₃	H
E4.607	CC(O)OCH ₃	CN	CH	CH ₃	H	H
E4.608	CN	CH	CH	H	H	H
E4.609	CN	CH	CH	H	H	CH ₃
E4.610	CN	CH	CH	H	H	C(O)OCH ₃
E4.611	CN	CH	CH	H	OH	H
E4.612	CN	CH	CH	H	C(O)OCH ₃	H
E4.613	CN	CH	CH	H	OCH ₃	H
E4.614	CN	CH	CH	H	CH ₃	H
E4.615	CN	CH	CH	CH ₃	H	H
E4.616	CN	CH	COCH ₃	H	H	H
E4.617	CN	CH	COCH ₃	H	H	CH ₃
E4.618	CN	CH	COCH ₃	H	H	C(O)OCH ₃
E4.619	CN	CH	COCH ₃	H	OH	H
E4.620	CN	CH	COCH ₃	H	C(O)OCH ₃	H
E4.621	CN	CH	COCH ₃	H	OCH ₃	H
E4.622	CN	CH	COCH ₃	H	CH ₃	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.623	CN	CH	COCH ₃	CH ₃	H	H
E4.624	CN	CH	CCH ₃	H	H	H
E4.625	CN	CH	CCH ₃	H	H	CH ₃
E4.626	CN	CH	CCH ₃	H	H	C(O)OCH ₃
E4.627	CN	CH	CCH ₃	H	OH	H
E4.628	CN	CH	CCH ₃	H	C(O)OCH ₃	H
E4.629	CN	CH	CCH ₃	H	OCH ₃	H
E4.630	CN	CH	CCH ₃	H	CH ₃	H
E4.631	CN	CH	CCH ₃	CH ₃	H	H
E4.632	CN	CH	CF	H	H	H
E4.633	CN	CH	CF	H	H	CH ₃
E4.634	CN	CH	CF	H	H	C(O)OCH ₃
E4.635	CN	CH	CF	H	OH	H
E4.636	CN	CH	CF	H	C(O)OCH ₃	H
E4.637	CN	CH	CF	H	OCH ₃	H
E4.638	CN	CH	CF	H	CH ₃	H
E4.639	CN	CH	CF	CH ₃	H	H
E4.640	CN	CH	CN	H	H	H
E4.641	CN	CH	CN	H	H	CH ₃
E4.642	CN	CH	CN	H	H	C(O)OCH ₃
E4.643	CN	CH	CN	H	OH	H
E4.644	CN	CH	CN	H	C(O)OCH ₃	H
E4.645	CN	CH	CN	H	OCH ₃	H
E4.646	CN	CH	CN	H	CH ₃	H
E4.647	CN	CH	CN	CH ₃	H	H
E4.648	CN	CH	CC(O)OCH ₃	H	H	H
E4.649	CN	CH	CC(O)OCH ₃	H	H	CH ₃
E4.650	CN	CH	CC(O)OCH ₃	H	H	C(O)OCH ₃
E4.651	CN	CH	CC(O)OCH ₃	H	OH	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.652	CN	CH	CC(O)OCH ₃	H	C(O)OCH ₃	H
E4.653	CN	CH	CC(O)OCH ₃	H	OCH ₃	H
E4.654	CN	CH	CC(O)OCH ₃	H	CH ₃	H
E4.655	CN	CH	CC(O)OCH ₃	CH ₃	H	H
E4.656	CN	CF	CH	H	H	H
E4.657	CN	CF	CH	H	H	CH ₃
E4.658	CN	CF	CH	H	H	C(O)OCH ₃
E4.659	CN	CF	CH	H	OH	H
E4.660	CN	CF	CH	H	C(O)OCH ₃	H
E4.661	CN	CF	CH	H	OCH ₃	H
E4.662	CN	CF	CH	H	CH ₃	H
E4.663	CN	CF	CH	CH ₃	H	H
E4.664	CN	CCl	CH	H	H	H
E4.665	CN	CCl	CH	H	H	CH ₃
E4.666	CN	CCl	CH	H	H	C(O)OCH ₃
E4.667	CN	CCl	CH	H	OH	H
E4.668	CN	CCl	CH	H	C(O)OCH ₃	H
E4.669	CN	CCl	CH	H	OCH ₃	H
E4.670	CN	CCl	CH	H	CH ₃	H
E4.671	CN	CCl	CH	CH ₃	H	H
E4.672	CN	CCH ₃	CH	H	H	H
E4.673	CN	CCH ₃	CH	H	H	CH ₃
E4.674	CN	CCH ₃	CH	H	H	C(O)OCH ₃
E4.675	CN	CCH ₃	CH	H	OH	H
E4.676	CN	CCH ₃	CH	H	C(O)OCH ₃	H
E4.677	CN	CCH ₃	CH	H	OCH ₃	H
E4.678	CN	CCH ₃	CH	H	CH ₃	H
E4.679	CN	CCH ₃	CH	CH ₃	H	H
E4.680	CN	COCH ₃	CH	H	H	H

Compound	A ^{2a}	A ^{2b}	A ^{2c}	A ^{3a}	A ^{3b}	A ^{3c}
E4.681	CN	COCH ₃	CH	H	H	CH ₃
E4.682	CN	COCH ₃	CH	H	H	C(O)OCH ₃
E4.683	CN	COCH ₃	CH	H	OH	H
E4.684	CN	COCH ₃	CH	H	C(O)OCH ₃	H
E4.685	CN	COCH ₃	CH	H	OCH ₃	H
E4.686	CN	COCH ₃	CH	H	CH ₃	H
E4.687	CN	COCH ₃	CH	CH ₃	H	H
E4.688	COCHF ₂	CH	CH	H	H	H
E4.689	COCHF ₂	CH	CH	H	H	CH ₃
E4.690	COCHF ₂	CH	CH	H	H	C(O)OCH ₃
E4.691	COCHF ₂	CH	CH	H	OCH ₃	H
E4.692	COCHF ₂	CH	CH	H	CH ₃	H

Table 4.2: This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is CH₃, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.3: This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is methoxy, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.4: This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is methoxy, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.5: This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is cyclopropyl, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

10 **Table 4.6:** This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is cyclopropyl, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.7: This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is CH₂OCH₃, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

15 **Table 4.8:** This table discloses specific compounds of formula (Ih) wherein R^{1b} is H, R⁵ is CH₂OCH₃, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.9: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is CH₃, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.10: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is CH₃, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

20 **Table 4.11:** This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is methoxy, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.12: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is methoxy, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.13: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is cyclopropyl, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.14: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is cyclopropyl, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

5 Table 4.15: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is CH₂OCH₃, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.16: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₃, R⁵ is CH₂OCH₃, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

10 Table 4.17: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is CH₃, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.18: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is CH₃, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.19: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is methoxy, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

15 Table 4.20: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is methoxy, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.21: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is cyclopropyl, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

20 Table 4.22: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is cyclopropyl, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.23: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is CH₂OCH₃, A₁ is CH and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

Table 4.24: This table discloses specific compounds of formula (Ih) wherein R^{1b} is CH₂OCH₃, R⁵ is CH₂OCH₃, A₁ is N and A^{2a}, A^{2b}, A^{2c}, A^{3a}, A^{3b}, A^{3c} are as defined in Table Z.4.

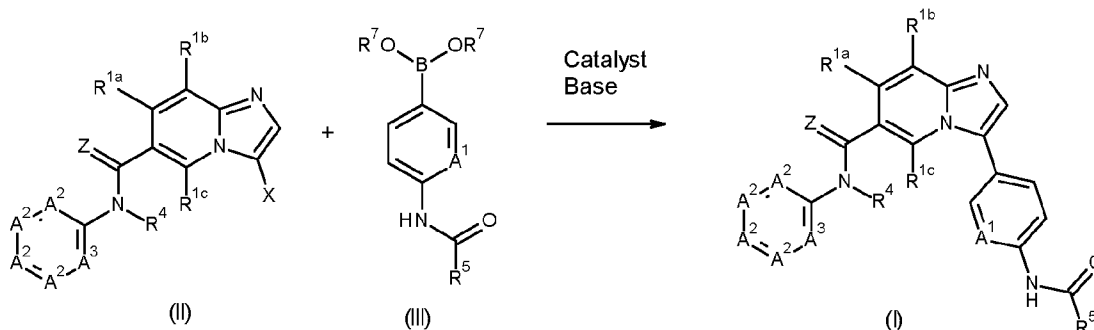
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Compounds according to the invention may possess any number of benefits including, *inter alia*, advantageous levels of biological activity for protecting plants against diseases that are caused by fungi or superior properties for use as agrochemical active ingredients (for example, greater biological activity, an advantageous spectrum of activity, an increased safety profile, improved physico-chemical properties, or increased biodegradability). Compounds according to the invention have particularly advantageous levels of biological activity for protecting plants against oomycetes such as *Phytophthora*, *Plasmopara* and *Pythium*.

35 Compounds of formula (I) wherein Z is O, can be made as shown in the following schemes 1 to 19, in which, unless otherwise stated, the definition of each variable is as defined in the present invention.

Compounds of formula (I) can be prepared via Suzuki cross coupling of compounds of formula (II), wherein X is chloro (Cl), bromo (Br) or iodo (I), and a compound of formula (III), wherein either R⁷ is independently from each other hydrogen, C₁₋₃alkyl or wherein two R⁷ together can form a C₃₋₈cycloalkyl, in the presence of a base, such as Cs₂CO₃, K₂CO₃ or NaOtBu, and a suitable palladium catalyst, such as tetrakis(triphenylphosphine)palladium, palladium dichloride, [1,1-

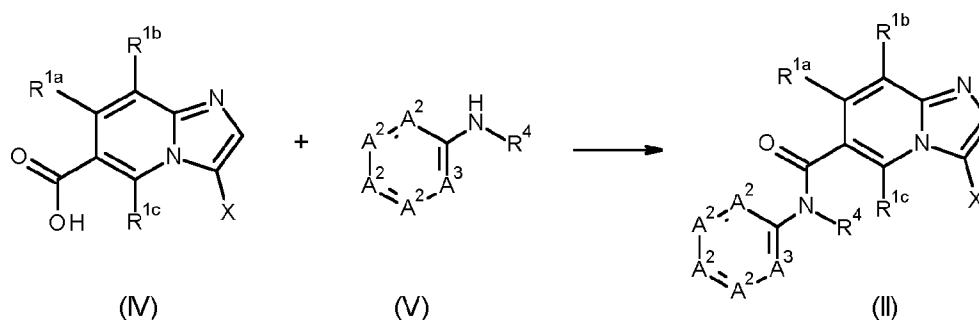
bis(diphenylphosphino)ferrocene]dichloropalladium(II), palladium acetate or bis(diphenylphosphine)palladium(II) chloride), in a suitable solvent, such as dimethylformamide, dioxane, tetrahydrofuran, ethanol or water. This transformation is depicted in Scheme 1.



5

Scheme 1

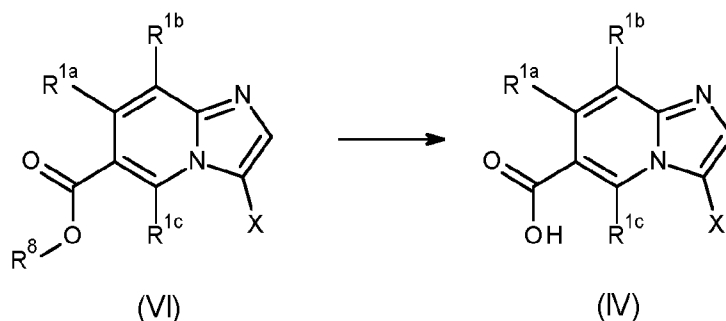
Compounds of formula (II), wherein X is Cl, Br or I, can be prepared by the reaction of a compound of formula (IV), wherein X is Cl, Br or I, with a compounds of formula (V) and a coupling agent, such as N,N'-dicyclohexylcarbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, propylphosphonic anhydride or cyanuric chloride, and, optionally, a base such, as triethylamine, ethyldiisopropylamine or N-methylmorpholine in a suitable solvent such ethyl acetate, dimethylformamide, tetrahydrofuran or dichloromethane. Amines of formula (V) are commercially available or can be synthesized according to methods known to those skilled in the art. This transformation is depicted in Scheme 2.



Scheme 2

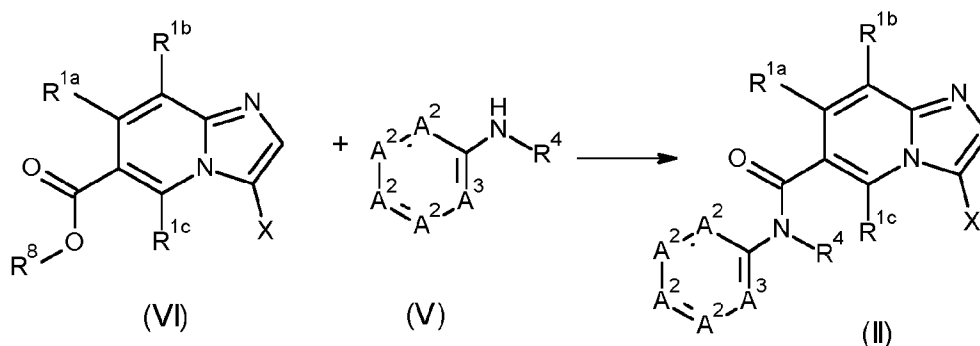
Compounds of formula (IV), wherein X is Cl, Br or I, are commercially available or, alternatively can be prepared by the saponification of compounds of formula (VI), wherein X is Cl, Br or I and R8 is a C₁₋₆alkyl, using a base, such as NaOH or LiOH, in a suitable solvent such as methanol, ethanol or water at temperature between room temperature and reflux. This transformation is depicted in Scheme 3.

20



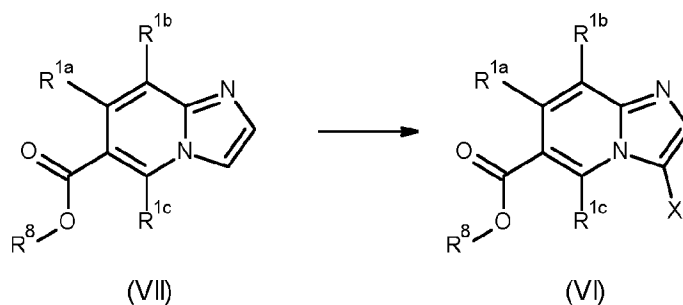
Scheme 3

Alternatively, compounds of formula (II), wherein X is Cl, Br or I, can be prepared directly by the reaction
 5 of a compounds of formula (VI), wherein X is Cl, Br or I and R⁸ is a C₁₋₆alkyl, and a compounds of
 formula (V) in the presence of trimethylaluminum or bis(trimethylaluminum)-1,4-
 diazabicyclo[2.2.2]octane adduct in a suitable solvent, such as tetrahydrofuran or toluene. These
 transformations have been described in the literature (see for examples: Weinreb, S. M. et al.
Tetrahedron Lett. **1977**, *48*, 4171; Woodward, S. et al. in *Tetrahedron Letters* **2006**, *47*, 5767; Woodward
 10 S. et al. in *Org. Process Res. Dev.*, **2015**, *19*, 831). This transformation is depicted in scheme 4.



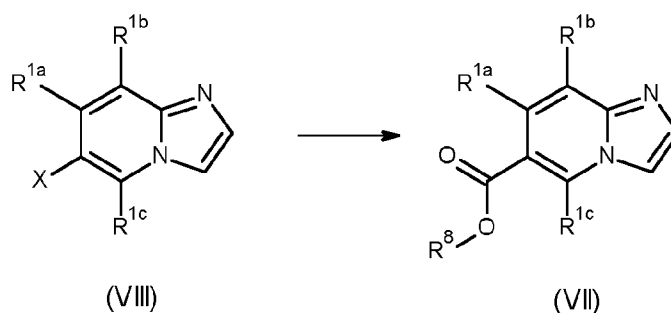
Scheme 4

Compounds of formula (VI), wherein X is Cl, Br or I and R⁸ is a C₁₋₆alkyl, are commercially available or,
 15 alternatively, can be prepared from the reaction of a compound of formula (VII), wherein R⁸ is a C₁₋₆
 alkyl, and a halogenating agent, such as N-chlorosuccinimide, N-bromosuccinimide, N-iodosuccinimide
 or bromine in a suitable solvent, such as dichloromethane, chloroform, tetrahydrofuran or acetonitrile.
 This transformation is depicted in scheme 5.



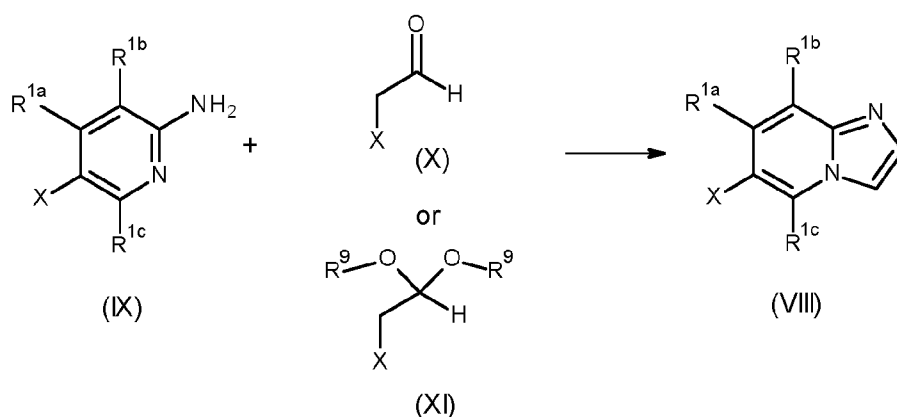
Scheme 5

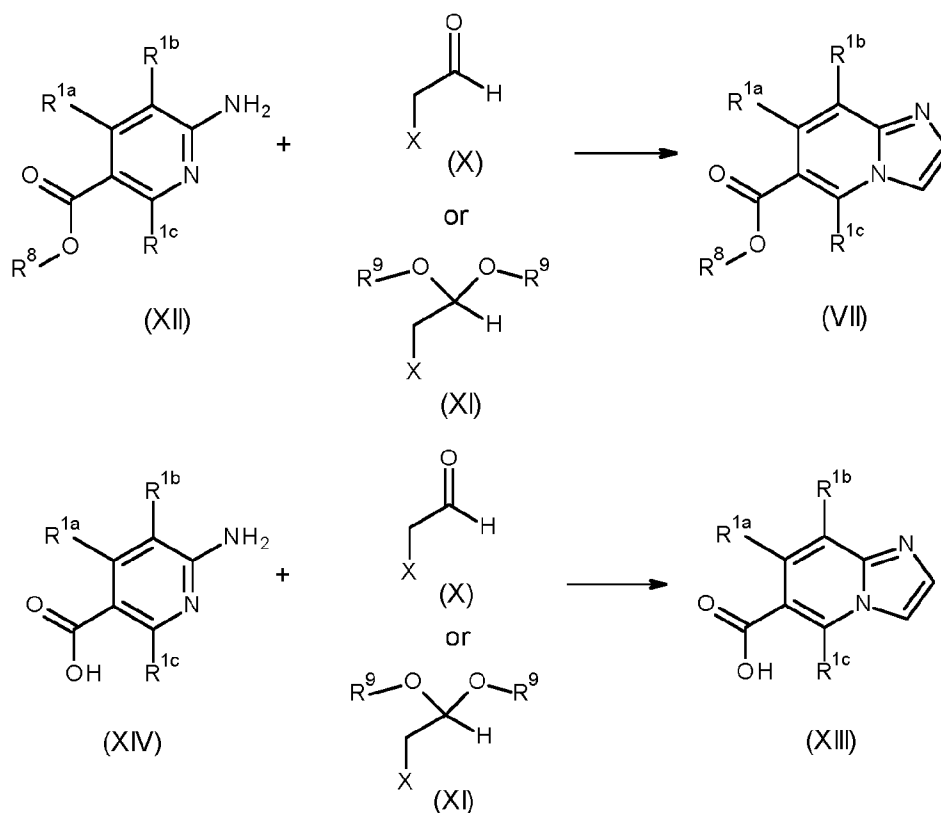
Compounds of formula (VII), wherein R^8 is a C_{1-6} alkyl, are commercially available or, alternatively, can be prepared by the reaction of a compound of formula (VIII), wherein X is Cl, Br or I, with carbon monoxide and an alcohol R^8OH , wherein R^8 is a C_{1-6} alkyl, in the presence of a catalyst, such as [1,1'-5-Bis-(diphenylphosphino)-ferrocen]-dichloro-palladium(II), and, optionally, a base such as triethylamine. This transformation is depicted in scheme 6.



Scheme 6

Compounds of formula (VIII) are commercially available or, alternatively, can be prepared by the reaction of a compound of formula (IX), wherein X is Cl, Br or I, and a compound of formula (X), wherein X is Cl, Br or I, or its corresponding acetal of formula (XI), wherein X is Cl, Br or I and either R^9 is independently from each other C_{1-6} alkyl or wherein two R^9 together can form a C_{3-8} cycloalkyl, in a solvent, such as water, ethanol, acetone or acetonitrile. In some instance, the outcome of the reaction can be improved by using a base, such as sodium bicarbonate or potassium carbonate, or by using an acid, such as *p*-toluenesulfonic acid or hydrogen bromide. Additionally, this transformation can be utilized to prepare compounds of formula (VII), wherein R^8 is a C_{1-6} alkyl, from a compound of formula (XII), wherein R^8 is a C_{1-6} alkyl, and to prepare compounds of formula (XIII) from a compound of formula (XIV). These transformations are depicted in scheme 7

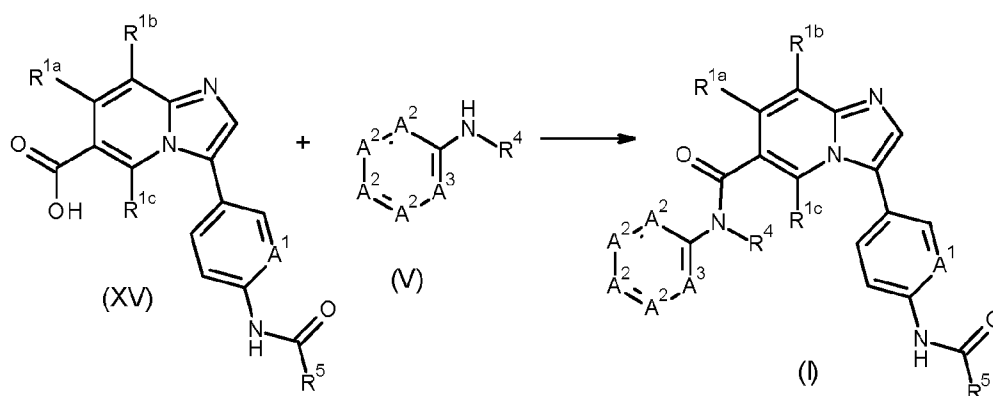




Scheme 7

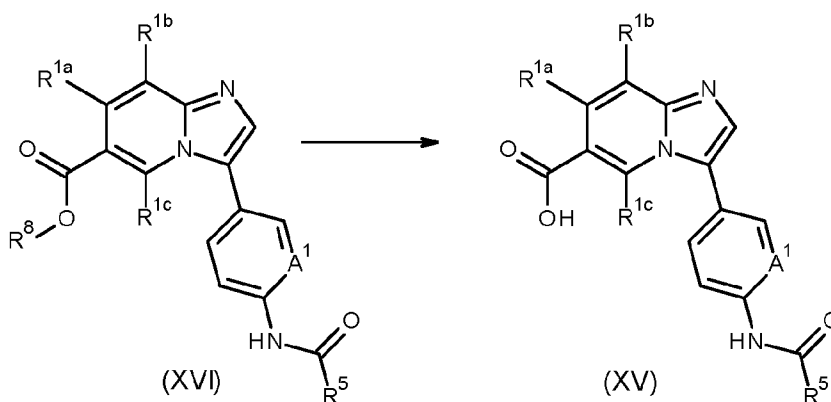
5 Compounds of formula (IX), wherein X is Cl, Br or I, compounds of formula (XII), wherein R⁸ is a C₁₋₆alkyl, and compounds of formula (XIV) are prepared by known methods or are commercially available.

Alternatively, compounds of formula (I) can be prepared by the reaction of a compound of formula (XV), with a compounds of formula (V) and a coupling agent, such as N,N'-dicyclohexylcarbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, propylphosphonic anhydride or cyanuric chloride, and, optionally, a base such as triethylamine, ethyldiisopropylamine or N-methylmorpholine in a suitable solvent such ethyl acetate, dimethylformamide, tetrahydrofuran or dichloromethane. This transformation is depicted in Scheme 8.



Scheme 8

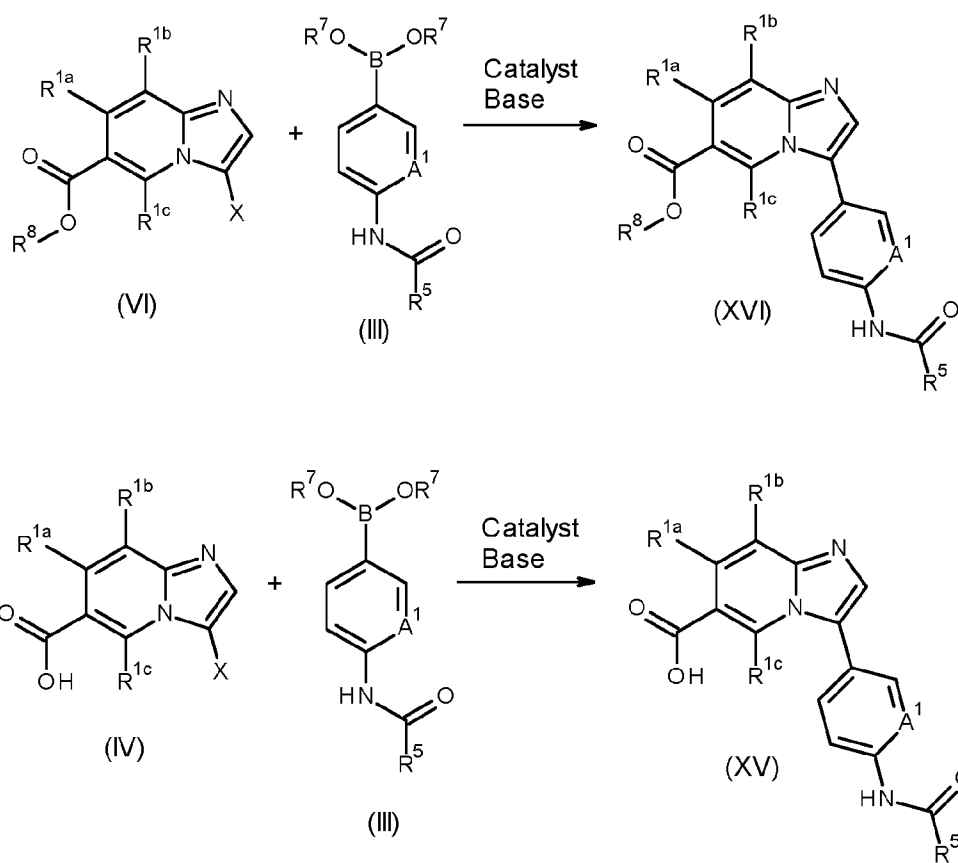
Compound of formula (XV) can be prepared by the saponification of compounds of formula (XVI),
 5 wherein R^8 is a C_{1-6} alkyl, using a base such as NaOH or LiOH, in a suitable solvent such as methanol, ethanol or water at temperature between room temperature and reflux. This transformation is depicted in Scheme 9.



Scheme 9

10

Compounds of formula (XVI), wherein R^8 is a C_{1-6} alkyl, can be prepared via Suzuki cross coupling of
 compounds of formula (VI), wherein X is Cl, Br or I, and R^8 is a C_{1-6} alkyl, and a compound of formula
 (III), wherein either R^7 is independently from each other hydrogen, C_{1-6} alkyl or wherein two R^7 together
 can form a C_{3-8} cycloalkyl, in the presence of a base, such as Cs_2CO_3 , K_2CO_3 or NaOtBu, and a suitable
 15 palladium catalyst, such as tetrakis(triphenylphosphine)palladium, palladium dichloride, [1,1-
 bis(diphenylphosphino)ferrocene]dichloropalladium(II), palladium acetate or
 bis(diphenylphosphine)palladium(II) chloride), in a suitable solvent, such as dimethylformamide,
 dioxane, tetrahydrofuran, ethanol or water. Additionally, this transformation can be utilized to prepare a
 compound of formula (XV) from a compound of formula (IV). These transformations are depicted in
 20 Scheme 10.

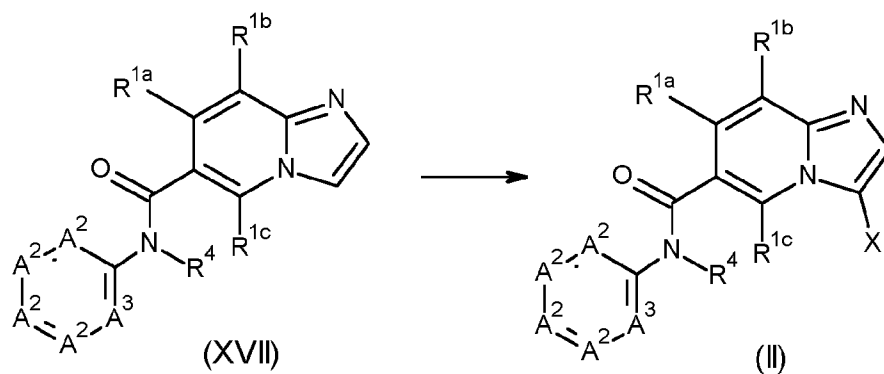


Scheme 10

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Alternatively, compounds of formula (II), wherein X is Cl, Br or I, can be prepared by the reaction of a compound of formula (XVII) and a halogenating agent, such as N-chlorosuccinimide, N-bromosuccinimide, N-iodosuccinimide or bromine in a suitable solvent, such as dichloromethane, chloroform, tetrahydrofuran or acetonitrile. This transformation is depicted in scheme 11.

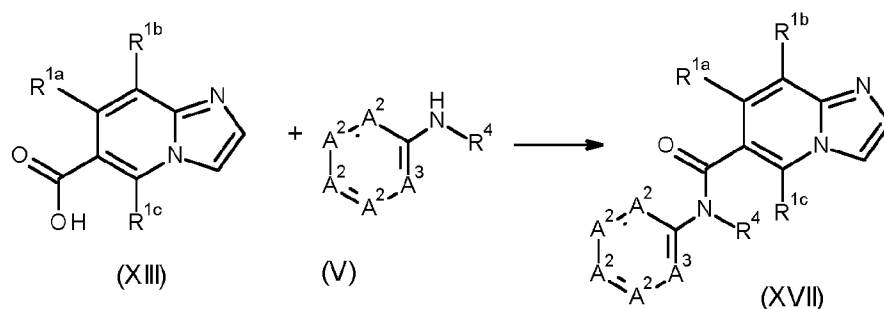
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Scheme 11

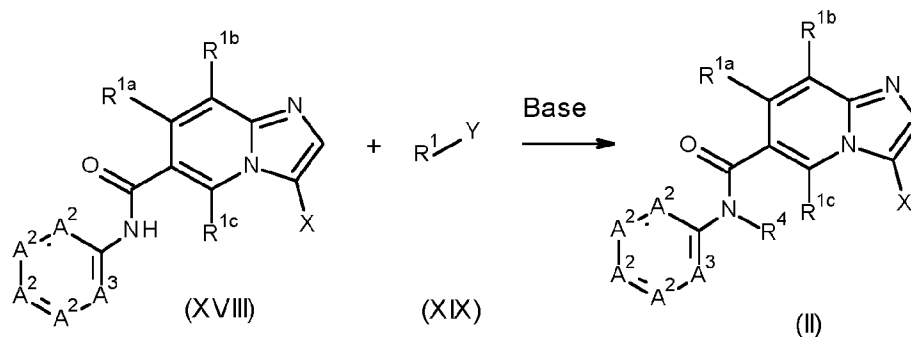
Compounds of formula (XVII) can be prepared by the reaction of a compound of formula (XIII), with a
15 compounds of formula (V) and a coupling agent, such as N,N'-dicyclohexylcarbodiimide, bis(2-oxo-3-

oxazolidinyl)phosphinic chloride, 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, propylphosphonic anhydride or cyanuric chloride, and, optionally, a base such as triethylamine, ethyldiisopropylamine or N-methylmorpholine in a suitable solvent such ethyl acetate, dimethylformamide, tetrahydrofuran or dichloromethane. This transformation is depicted in Scheme 12.



Scheme 12

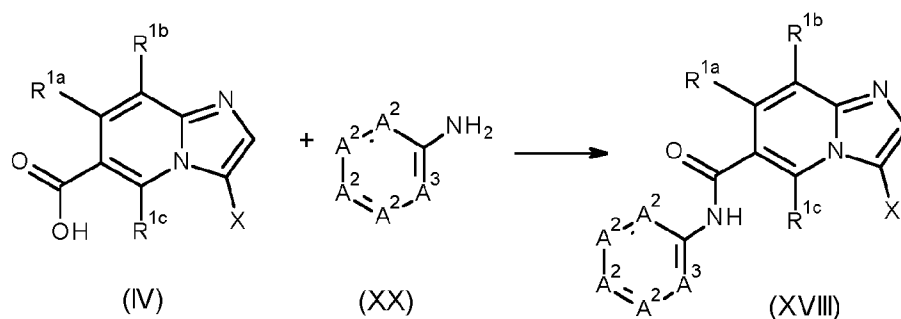
10 Alternatively, compounds of formula (II) can be prepared by the reaction of a compound of formula (XVIII), with a compound of formula (XIX), wherein Y is Cl, Br, I, OSO₂CF₃, OSO₂C₆H₄CH₃ or OSO₂CH₃, in the presence of a base, such as Cs₂CO₃, K₂CO₃ or NaOtBu. This transformation is depicted in scheme 13.



15

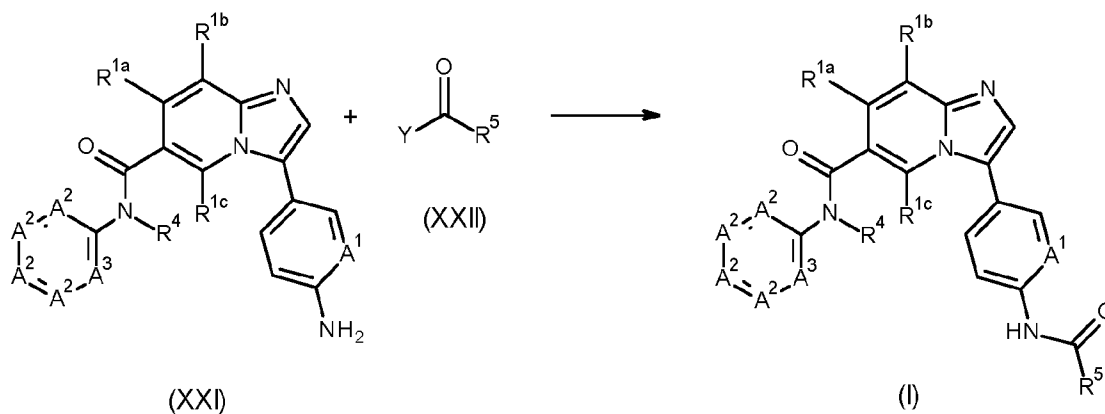
Scheme 13

Compounds of formula (XVIII), wherein X is Cl, Br or I, can be prepared by the reaction of a compound of formula (IV), wherein X is Cl, Br or I, with a compounds of formula (XX) and a coupling agent, such as N,N'-dicyclohexylcarbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, propylphosphonic anhydride or cyanuric chloride, and, optionally, a base such, as triethylamine, ethyldiisopropylamine or N-methylmorpholine in a suitable solvent such ethyl acetate, dimethylformamide, tetrahydrofuran or dichloromethane. This transformation is depicted in Scheme 14



Scheme 14

Alternatively, compounds of formula (I) can be prepared by the reaction of a compound of formula (XXI) with a compound of formula (XXII), wherein Y is OH, and a coupling agent, such as N,N'-dicyclohexylcarbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, propylphosphonic anhydride or cyanuric chloride, and, optionally, a base such as triethylamine, ethyldiisopropylamine or N-methylmorpholine in a suitable solvent such ethyl acetate, dimethylformamide, tetrahydrofuran or dichloromethane. The transformation can also be accomplished by the reaction of a compound of formula (XXI) with a compound of formula (XXII), wherein Y is Cl, and, optionally, a base such as, triethylamine, ethyldiisopropylamine or pyridine in a suitable solvent such as ethyl acetate, pyridine or tetrahydrofuran. This transformation is depicted in Scheme 15.

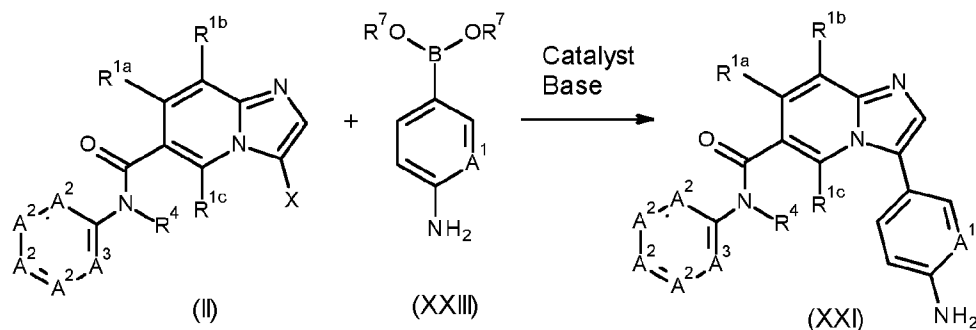


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Scheme 15

Compounds of formula (XXI) can be prepared via Suzuki cross coupling of compounds of formula (II), wherein X is Cl, Br or I, and a compound of formula (XXIII), wherein either R⁷ is independently from each other hydrogen, C₁₋₈alkyl or wherein two R⁷ together can form a C₃₋₈cycloalkyl, in the presence of a base, such as Cs₂CO₃, K₂CO₃ or NaOtBu, and a suitable palladium catalyst, such as tetrakis(triphenylphosphine)palladium, palladium dichloride, [1,1-bis(diphenylphosphino)ferrocene]dichloropalladium(II), palladium acetate or bis(diphenylphosphine)palladium(II) chloride), in a suitable solvent, such as dimethylformamide, dioxane, tetrahydrofuran, ethanol or water. This transformation is depicted in Scheme 16.

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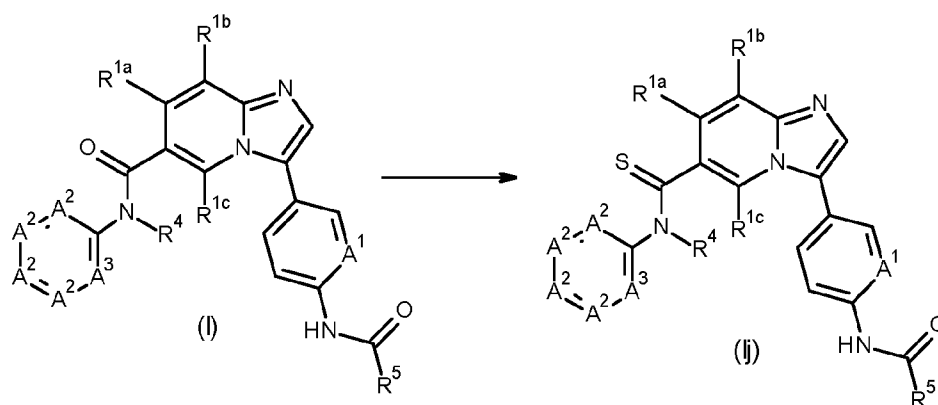
Scheme 16

Compounds of formula (V) and of formula (XX) are commercially available or can be prepared by known methods. See for example M. Milen, B. Nyulasi, T. Nagy, G. Simig, B. Volk, *Beilstein J. Org. Chem.* **2022**, *18*, 653; M. Imanishi, M. Sonoda, H. Miyazato, K.o Sugimoto, M. Akagawa, S. Tanimori, *ACS Omega* **2017**, *2*, 1875; J. X. Qiao, T. C. Wang, R. Ruel, C. Thibeault, A. L'Heureux, W. A. Schumacher, S. A. Spronk, S. Hiebert, G. Bouthillier, J. Lloyd, Z. Pi, D. M. Schnur, L. M. Abell, J. Hua, L. A. Price, E. Liu, Q. Wu, T. E. Steinbacher, J. S. Bostwick, M. Chang, J. Zheng, Q. Gao, B. Ma, P. A. McDonnell, C. S. Huang, R. Rehfuß, R. R. Wexler, P. Y. S. Lam *J. Med. Chem.* **2013**, *56*, 9275–9295; A. M. McKinney, K. R. Jackson, R. Nicholas Salvatore, E.-M. Savrides, M. J. Edattel, T. Gavin, *J. Heterocyclic Chem.* **2005**, *42*, 1031; S. Uçar, S. Eşsiz, A. Daştan, *Tetrahedron* **2017**, *73*, 1618-1632; F. Fache, *Synlett* **2004**, *15*, 2827–2829.

Compounds of formula (III) and of formula (XXIII), wherein either R^7 is independently from each other hydrogen, C_{1-6} alkyl or wherein two R^7 together can form a C_{3-8} cycloalkyl are prepared by known methods or are commercially available. See for example G. S. Basarab, J. I. Manchester, S. Bist, P. A. Boriack-Sjodin, B. Dangel, R. Illingworth†, B. A. Sherer, S. Sriram, M. Uria-Nickelsen, A. E. Eakin, *J. Med. Chem.* **2013**, *56*, 8712–8735; M. Gravel, K. A. Thompson, M. Zak, C. Bérubé, D. G. Hall, *J. Org. Chem.*, **2002**, *67*, 3-15; S. Kitamura, K. L. Hvorecny, J. Niu, B. D. Hammock, D. R. Madden, C. Morisseau, *J. Med. Chem.* **2016**, *59*, 4790–4799; J. Maity, D. Honcharenko, R. Strömberg, *Tetrahedron Letters* **2015**, *56*, 4780–4783.

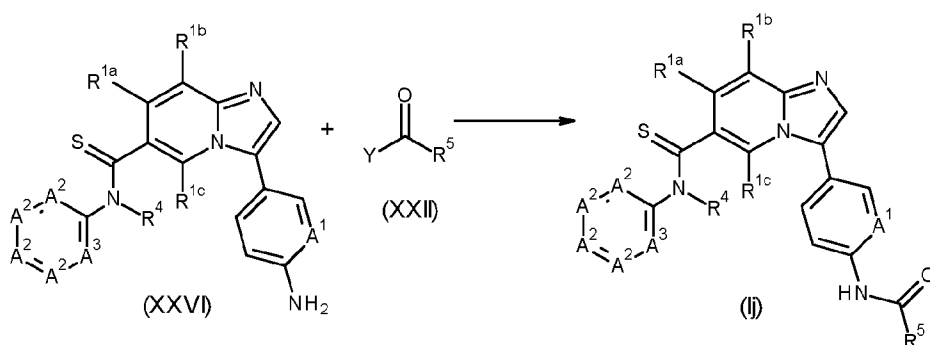
It is understood that a person skilled in the art would recognize that the amide coupling reactions described above between an acid, an amine and a coupling agent could also be performed using the corresponding acid chloride and amine. The transformation of an acid into its corresponding acid chloride is well known for the person skilled in the art.

Compounds of formula (Ij) can be prepared by the reaction of a compound of formula (I) wherein Z is O, with phosphorus pentasulfide or Lawesson's reagent (CAS: 19172-47-5) in a suitable solvent such as toluene, xylene or dichloromethane. This transformation is depicted in Scheme 17.



Scheme 17

Alternatively, compounds of formula (II), wherein Z is S, can be prepared by the reaction of a compound 5 of formula (XXIV) with a compound of formula (XXII), wherein Y is OH, and a coupling agent, such as N,N'-dicyclohexylcarbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, 2-(1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, propylphosphonic anhydride or cyanuric chloride, and, optionally, a base such as triethylamine, ethyldiisopropylamine or N-methylmorpholine in a suitable solvent such ethyl acetate, 10 dimethylformamide, tetrahydrofuran or dichloromethane. The transformation can also be accomplished by the reaction of a compound of formula (XXIV) with a compound of formula (XXII), wherein Y is Cl, and, optionally, a base such as, triethylamine, ethyldiisopropylamine or pyridine in a suitable solvent such as ethyl acetate, pyridine or tetrahydrofuran. These transformations are depicted in Scheme 18.

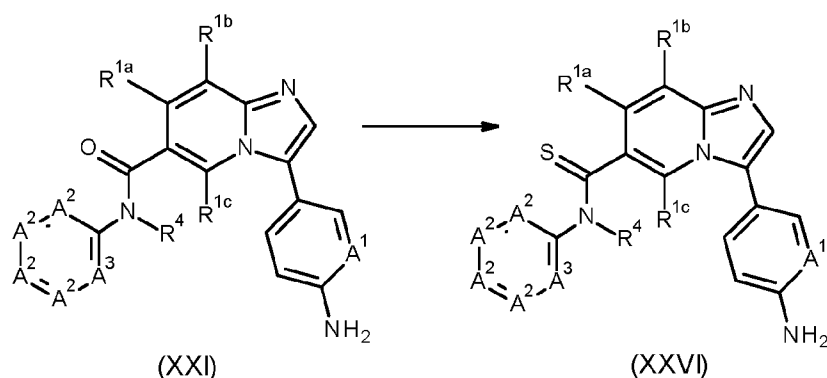


15

Scheme 18

Compounds of formula (XXIV) can be prepared by the reaction of a compound of formula (XXI), with phosphorus pentasulfide or Lawesson's reagent (CAS: 19172-47-5) in a suitable solvent such as toluene, xylene or dichloromethane. This transformation is depicted in Scheme 19.

20



Scheme 19

It is understood by the person skilled in the art that the amide coupling reactions described above
 5 between an acid, an amine and a coupling agent could also be performed using the corresponding acid chloride and amine. The transformation of an acid into its corresponding acid chloride is well known by the person skilled in the art.

It is also understood by the person skilled in the art that some of the reactions described above where
 10 the imidazole-ring nitrogen atom of the central ring is unsubstituted might work more efficiently if that imidazole nitrogen atom is masked with a protecting group, such as trimethylsilylethoxymethyl (SEM), tert-butoxycarbonyl (Boc) or 2-tetrahydropyranyl (THP). Protection reactions of imidazole ring with SEM, Boc or THP, along with the subsequent deprotection of those groups, are transformations well understood by the person skilled in the art. Information about those transformations can be found in
 15 literature including the Greene's Protective Groups in Organic Synthesis, Fourth Edition, Chapter 7.

When the term "compound/compounds according to the invention" is used, then this refers to compounds according to the present invention.

20 Alternatively, the compounds according to the present invention can be obtained by using standard synthesis techniques known to the person skilled in the art. Non-exhaustive examples include oxidation reactions, reduction reactions, hydrolysis reactions, coupling reactions, aromatic nucleophilic or electrophilic substitution reactions, nucleophilic substitution reactions, nucleophilic addition reactions, olefination reactions, oxime formation, alkylation and halogenation reactions.

25

A compound according to the present invention can be converted in a manner known per se into another compound according to the present invention by replacing one or more substituents of the starting compound according to the present invention in the customary manner by (an)other substituent(s) according to the invention.

30

Depending on the choice of the reaction conditions and starting materials which are suitable in each case, it is possible, for example, in one reaction step only to replace one substituent by another

substituent according to the invention, or a plurality of substituents can be replaced by other substituents according to the invention in the same reaction step.

Salts of the compounds according to the present invention can be prepared in a manner known per se.

5 Thus, for example, acid addition salts of the compounds according to the present invention are obtained by treatment with a suitable acid or a suitable ion exchanger reagent and salts with bases are obtained by treatment with a suitable base or with a suitable ion exchanger reagent.

Salts of compounds the compounds according to the present invention can be converted in the
10 customary manner into the free compounds, acid addition salts, for example, by treatment with a suitable basic compound or with a suitable ion exchanger reagent and salts with bases, for example, by treatment with a suitable acid or with a suitable ion exchanger reagent.

Salts of the compounds according to the present invention can be converted in a manner known per se
15 into other salts of the compounds according to the present invention, acid addition salts, for example, into other acid addition salts, for example by treatment of a salt of inorganic acid such as hydrochloride with a suitable metal salt such as a sodium, barium or silver salt, of an acid, for example with silver acetate, in a suitable solvent in which an inorganic salt which forms, for example silver chloride, is insoluble and thus precipitates from the reaction mixture.

20

Depending on the procedure or the reaction conditions, the compounds according to the present invention, which have salt-forming properties can be obtained in free form or in the form of salts.

The compounds according to the present invention and, where appropriate, the tautomers thereof, in
25 each case in free form or in salt form, can be present in the form of one of the stereoisomers which are possible or as a mixture of these, for example in the form of pure stereoisomers, such as antipodes and/or diastereomers, or as stereoisomer mixtures, such as enantiomer mixtures, for example racemates, diastereomer mixtures or racemate mixtures, depending on the number, absolute and relative configuration of asymmetric carbon atoms which occur in the molecule and/or depending on the
30 configuration of non-aromatic double bonds which occur in the molecule; the invention relates to the pure stereoisomers and also to all stereoisomer mixtures which are possible and is to be understood in each case in this sense hereinabove and hereinbelow, even when stereochemical details are not mentioned specifically in each case.

35 Diastereomer mixtures or racemate mixtures of the compounds according to the present invention, in free form or in salt form, which can be obtained depending on which starting materials and procedures have been chosen can be separated in a known manner into the pure diastereomers or racemates on the basis of the physicochemical differences of the components, for example by fractional crystallization, distillation and/or chromatography.

40

Enantiomer mixtures, such as racemates, which can be obtained in a similar manner can be resolved into the optical antipodes by known methods, for example by recrystallization from an optically active solvent, by chromatography on chiral adsorbents, for example high-performance liquid chromatography (HPLC) on acetyl cellulose, with the aid of suitable microorganisms, by cleavage with specific, 5 immobilized enzymes, via the formation of inclusion compounds, for example using chiral crown ethers, where only one enantiomer is complexed, or by conversion into diastereomeric salts, for example by reacting a basic end-product racemate with an optically active acid, such as a carboxylic acid, for example camphor, tartaric or malic acid, or sulfonic acid, for example camphorsulfonic acid, and separating the diastereomer mixture which can be obtained in this manner, for example by fractional 10 crystallization based on their differing solubilities, to give the diastereomers, from which the desired enantiomer can be set free by the action of suitable agents, for example basic agents.

Pure diastereomers or enantiomers can be obtained according to the invention not only by separating suitable stereoisomer mixtures, but also by generally known methods of diastereoselective or 15 enantioselective synthesis, for example by carrying out the process according to the invention with starting materials of a suitable stereochemistry.

N-oxides can be prepared by reacting a compound according to the present invention with a suitable oxidizing agent, for example the H₂O₂/urea adduct in the presence of an acid anhydride, e.g. trifluoroacetic anhydride. Such oxidations are known from the literature, for example from J. Med. 20 Chem., 32 (12), 2561-73, 1989 or WO 00/15615.

It is advantageous to isolate or synthesize in each case the biologically more effective stereoisomer, for example enantiomer or diastereomer, or stereoisomer mixture, for example enantiomer mixture or diastereomer mixture, if the individual components have a different biological activity.

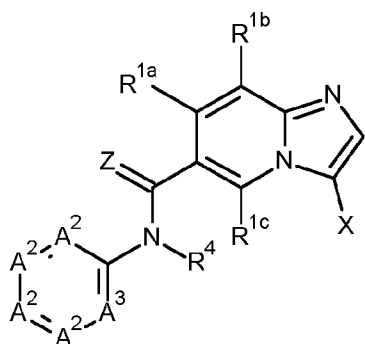
The compounds according to the present invention and, where appropriate, the tautomers thereof, in 25 each case in free form or in salt form, can, if appropriate, also be obtained in the form of hydrates and/or include other solvents, for example those which may have been used for the crystallization of compounds which are present in solid form.

The following Examples illustrate, but do not limit, the invention.

30 The present invention also provides intermediates useful for the preparation of compounds according to the present invention.

The below intermediates form a further aspect of the invention.

35 A compound of formula (II)



(II)

wherein Z is O or S, and preferably Z is O;

R^{1a}, R^{1b} and R^{1c} are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxy, amino, and -NHC(O)C₁₋₆alkyl; preferably R^{1a} and R^{1c} are hydrogen and R^{1b} is selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkylsulfonyl, and -NHC(O)C₁₋₆alkyl;

A² are independently CR² or N, with the proviso that no more than three A² are N, preferably no more than two A² are N, preferably no more than one A² is N, and more preferably the four A² are CR²;

R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; preferably R² are independently selected from hydrogen, halogen, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and CN;

A³ is CR³ or N;

R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; and preferably R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxycarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen, hydroxy, and CN; and wherein R³ taken together with the adjacent R² optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 5-membered heterocycle or a 6-membered heterocycle; R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfinyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋

alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, and CN, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfinyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl and diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN; and preferably R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy groups is optionally substituted with one to three substituents, preferably one substituent, independently selected from halogen and CN; and wherein A³ and R⁴ taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle;

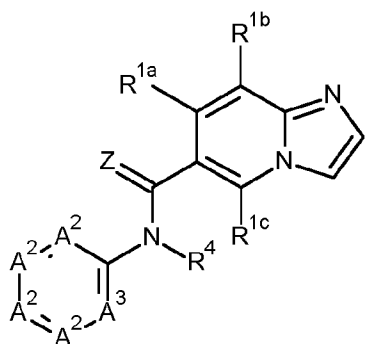
and

X is Cl, Br or I;

or a salt or N-oxide thereof.

15

A compound of formula (XVII)



(XVII)

wherein Z is O or S, and preferably Z is O;

R^{1a}, R^{1b} and R^{1c} are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxy, amino, and -NHC(O)C₁₋₆alkyl; preferably R^{1a} and R^{1c} are hydrogen and R^{1b} is selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkylsulfonyl, and -NHC(O)C₁₋₆alkyl;

A² are independently CR² or N, with the proviso that no more than three A² are N, preferably no more than two A² are N, preferably no more than one A² is N, and more preferably the four A² are CR²;

R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋

30

C_{1-6} alkylaminocarbonyl, and C_{1-6} alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; preferably R^2 are independently selected from hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and CN;

A^3 is CR^3 or N;

5 R^3 is selected from hydroxy, halogen, CN, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkoxy, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkylsulfanyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, amino, C_{1-6} alkylamino, di- C_{1-6} alkylamino, and C_{3-6} cycloalkylamino, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkoxy, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkylsulfanyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, amino, C_{1-6} alkylamino, di- C_{1-6} alkylamino, and C_{3-6} cycloalkylamino groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; and preferably R^3 is selected from hydroxy, halogen, CN, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and C_{1-6} alkoxycarbonyl, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, and C_{1-6} alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen, hydroxy, and CN; and wherein R^3 taken together with the adjacent R^2 optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 5-membered heterocycle or a 6-membered heterocycle; and

R^4 is selected from C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{1-6} alkylsulfanyl- C_{1-6} alkyl, C_{1-6} alkylsulfinyl- C_{1-6} alkyl, C_{1-6} alkylsulfonyl- C_{1-6} alkyl, C_{1-6} alkoxycarbonyl- C_{1-6} alkyl, C_{1-6} alkylaminocarbonyl- C_{1-6} alkyl, di- C_{1-6} alkylaminocarbonyl- C_{1-6} alkyl, and CN, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{1-6} alkylsulfanyl- C_{1-6} alkyl, C_{1-6} alkylsulfinyl- C_{1-6} alkyl, C_{1-6} alkylsulfonyl- C_{1-6} alkyl, C_{1-6} alkoxycarbonyl- C_{1-6} alkyl, C_{1-6} alkylaminocarbonyl- C_{1-6} alkyl and di- C_{1-6} alkylaminocarbonyl- C_{1-6} alkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN; and preferably R^4 is selected from C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl, and C_{1-6} alkoxy, wherein each of the C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl, and C_{1-6} alkoxy groups is optionally substituted with one to three substituents, preferably one substituent, independently selected from halogen and CN; and wherein A^3 and R^4 taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle; or a salt or N-oxide thereof.

The compounds of formula (I) as defined in the present invention can be used in the agricultural sector and related fields of use e.g. as active ingredients for controlling plant pathogens or on non-living materials for control of spoilage microorganisms or organisms potentially harmful to man. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and may be used for protecting numerous cultivated plants. The compounds of formula (I) as defined in the present invention can be used to inhibit or destroy the pathogens that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at

the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic microorganisms.

It is also possible to use compounds of formula (I) as defined in the present invention as fungicide. The term “fungicide” as used herein means a compound that controls, modifies, or prevents the growth of fungi. The term “fungicidally effective amount” means the quantity of such a compound or combination of such compounds that is capable of producing an effect on the growth of fungi. Controlling or modifying effects include all deviation from natural development, such as killing, retardation and the like, and prevention includes barrier or other defensive formation in or on a plant to prevent fungal infection.

10

It is also possible to use compounds of formula (I) as defined in the present invention as dressing agents for the treatment of plant propagation material, e.g., seed, such as fruits, tubers or grains, or plant cuttings (for example rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil. The propagation material can be treated with a composition comprising a compound of formula (I) as defined in the present invention before planting: seed, for example, can be dressed before being sown. The compounds of formula (I) as defined in the present invention can also be applied to grains (coating), either by impregnating the seeds in a liquid formulation or by coating them with a solid formulation. The composition can also be applied to the planting site when the propagation material is being planted, for example, to the seed furrow during sowing. The invention relates also to such methods of treating plant propagation material and to the plant propagation material so treated.

Furthermore the compounds of formula (I) as defined in the present invention can be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage, in hygiene management.

In addition, the invention could be used to protect non-living materials from fungal attack, e.g. lumber, wall boards and paint.

Compounds of formula (I) as defined in the present invention and fungicidal compositions containing them may be used to control plant diseases caused by a broad spectrum of fungal plant pathogens. They are effective in controlling a broad spectrum of plant diseases, such as foliar pathogens of ornamental, turf, vegetable, field, cereal, and fruit crops.

These fungi and fungal vectors of disease, as well as phytopathogenic bacteria and viruses, which may be controlled are for example:

Absidia corymbifera, *Alternaria* spp, *Aphanomyces* spp, *Ascochyta* spp, *Aspergillus* spp. including *A. flavus*, *A. fumigatus*, *A. nidulans*, *A. niger*, *A. terreus*, *Aureobasidium* spp. including *A. pullulans*, *Blastomyces dermatitidis*, *Blumeria graminis*, *Bremia lactucae*, *Botryosphaeria* spp. including *B. dothidea*, *B. obtusa*, *Botrytis* spp. including *B. cinerea*, *Candida* spp. including *C. albicans*, *C. glabrata*, *C. krusei*, *C. lusitaniae*, *C. parapsilosis*, *C. tropicalis*, *Cephaloascus fragrans*, *Ceratocystis* spp,

Cercospora spp. including *C. arachidicola*, *Cercosporidium personatum*, *Cladosporium* spp, *Claviceps purpurea*,
Coccidioides immitis, *Cochliobolus* spp, *Colletotrichum* spp. including *C. musae*,
Cryptococcus neoformans, *Diaporthe* spp, *Didymella* spp, *Drechslera* spp, *Elsinoe* spp,
5 *Epidermophyton* spp, *Erwinia amylovora*, *Erysiphe* spp. including *E. cichoracearum*,
Eutypa lata, *Fusarium* spp. including *F. culmorum*, *F. graminearum*, *F. langsethiae*, *F. moniliforme*, *F. oxysporum*, *F. proliferatum*, *F. subglutinans*, *F. solani*, *Gaeumannomyces graminis*, *Gibberella fujikuroi*,
Gloeodes pomigena, *Gloeosporium musarum*, *Glomerella cingulate*, *Guignardia bidwellii*,
Gymnosporangium juniperi-virginianae, *Helminthosporium* spp, *Hemileia* spp, *Histoplasma* spp.
10 including *H. capsulatum*, *Laetisaria fuciformis*, *Leptographium lindbergi*, *Leveillula taurica*,
Lophodermium seditiosum, *Microdochium nivale*, *Microsporium* spp, *Monilinia* spp, *Mucor* spp,
Mycosphaerella spp. including *M. graminicola*, *M. pomi*, *Oncobasidium theobromaeon*, *Ophiostoma piceae*, *Paracoccidioides* spp,
Penicillium spp. including *P. digitatum*, *P. italicum*, *Petriellidium* spp,
Peronosclerospora spp. Including *P. maydis*, *P. philippinensis* and *P. sorghi*, *Peronospora* spp,
15 *Phaeosphaeria nodorum*, *Phakopsora pachyrhizi*, *Phellinus igniarius*, *Phialophora* spp, *Phoma* spp,
Phomopsis viticola, *Phytophthora* spp. including *P. infestans*, *Plasmopara* spp. including *P. halstedii*, *P. viticola*,
Pleospora spp., *Podosphaera* spp. including *P. leucotricha*, *Polymyxa graminis*, *Polymyxa betae*, *Pseudocercospora herpotrichoides*,
Pseudomonas spp, *Pseudoperonospora* spp. including *P. cubensis*, *P. humuli*, *Pseudopeziza tracheiphila*, *Puccinia* Spp. including *P. hordei*, *P. recondita*, *P.*
20 *striiformis*, *P. triticina*, *Pyrenopeziza* spp, *Pyrenophora* spp, *Pyricularia* spp. including *P. oryzae*, *Pythium* spp.
including *P. ultimum*, *Ramularia* spp, *Rhizoctonia* spp, *Rhizomucor pusillus*, *Rhizopus arrhizus*, *Rhynchosporium* spp,
Scedosporium spp. including *S. apiospermum* and *S. prolificans*, *Schizothyrium pomi*,
Sclerotinia spp, *Sclerotium* spp, *Septoria* spp, including *S. nodorum*, *S. tritici*, *Sphaerotheca macularis*,
25 *Sphaerotheca fusca* (*Sphaerotheca fuliginea*), *Sporothrix* spp, *Stagonospora nodorum*, *Stemphylium* spp., *Stereum hirsutum*,
Thanatephorus cucumeris, *Thielaviopsis basicola*, *Tilletia* spp, *Trichoderma* spp. including *T. harzianum*, *T. pseudokoningii*, *T. viride*,
Trichophyton spp, *Typhula* spp, *Uncinula necator*, *Urocystis* spp, *Ustilago* spp, *Venturia* spp. including *V. inaequalis*,
Verticillium spp, and *Xanthomonas* spp.

30

In particular, compounds of formula (I) as defined in the present invention and fungicidal compositions containing them may be used to control plant diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and/or Deuteromycete, Blasocladiomycete, Chytridiomycete, Glomeromycete and/or Mucoromycete classes. More particularly, the compounds of
35 formula (I) as defined in the present invention may be used to control oomycetes.

These pathogens may include:

Oomycetes, including *Phytophthora* diseases such as those caused by *Phytophthora capsici*, *Phytophthora infestans*, *Phytophthora sojae*, *Phytophthora fragariae*, *Phytophthora nicotianae*,
40 *Phytophthora cinnamomi*, *Phytophthora citricola*, *Phytophthora citrophthora* and *Phytophthora erythroseptica*; *Pythium* diseases such as those caused by *Pythium aphanidermatum*, *Pythium*

arrhenomanes, *Pythium graminicola*, *Pythium irregulare*, *Pythium sylvaticum* and *Pythium ultimum*; diseases caused by Peronosporales such as *Peronospora destructor*, *Peronospora parasitica*, *Plasmopara viticola*, *Plasmopara halstedii*, *Pseudoperonospora cubensis*, *Albugo candida*, *Sclerophthora macrospora* and *Bremia lactucae*; and others such as *Aphanomyces cochlioides*,
5 *Labyrinthula zosterae*, *Peronosclerospora sorghi* and *Sclerospora graminicola*.
Ascomycetes, including blotch, spot, blast or blight diseases and/or rots for example those caused by Pleosporales such as *Stemphylium solani*, *Stagonospora tainanensis*, *Spilocaea oleaginea*, *Setosphaeria turcica*, *Pyrenochaeta lycopersici*, *Pleospora herbarum*, *Phoma destructiva*, *Phaeosphaeria herpotrichoides*, *Phaeocryptococcus gaeumannii*, *Ophiosphaerella graminicola*,
10 *Ophiobolus graminis*, *Leptosphaeria maculans*, *Hendersonia creberrima*, *Helminthosporium tritici-repentis*, *Setosphaeria turcica*, *Drechslera glycines*, *Didymella bryoniae*, *Cycloconium oleagineum*, *Corynespora cassiicola*, *Cochliobolus sativus*, *Bipolaris cactivora*, *Venturia inaequalis*, *Pyrenophora teres*, *Pyrenophora tritici-repentis*, *Alternaria alternata*, *Alternaria brassicicola*, *Alternaria solani* and *Alternaria tomatophila*, Capnodiales such as *Septoria tritici*, *Septoria nodorum*, *Septoria glycines*,
15 *Cercospora arachidicola*, *Cercospora sojina*, *Cercospora zea-maydis*, *Cercospora capsellae* and *Cercospora herpotrichoides*, *Cladosporium carpophilum*, *Cladosporium effusum*, *Passalora fulva*, *Cladosporium oxysporum*, *Dothistroma septosporum*, *Isariopsis clavispora*, *Mycosphaerella fijiensis*, *Mycosphaerella graminicola*, *Mycovellosiella koepkeii*, *Phaeoisariopsis bataticola*, *Pseudocercospora vitis*, *Pseudocercospora herpotrichoides*, *Ramularia beticola*, *Ramularia collo-cygni*, Magnaporthales
20 such as *Gaeumannomyces graminis*, *Magnaporthe grisea*, *Pyricularia oryzae*, Diaporthales such as *Anisogramma anomala*, *Apiognomonina errabunda*, *Cytospora platani*, *Diaporthe phaseolorum*, *Discula destructiva*, *Gnomonia fructicola*, *Greeneria uvicola*, *Melanconium juglandinum*, *Phomopsis viticola*, *Sirococcus clavigignenti-juglandacearum*, *Tubakia dryina*, *Dicarpella* spp., *Valsa ceratosperma*, and others such as *Actinothyrium graminis*, *Ascochyta pisi*, *Aspergillus flavus*, *Aspergillus fumigatus*,
25 *Aspergillus nidulans*, *Asperisporium caricae*, *Blumeriella jaapii*, *Candida* spp., *Capnodium ramosum*, *Cephalosporium* spp., *Cephalosporium gramineum*, *Ceratocystis paradoxa*, *Chaetomium* spp., *Hymenoscyphus pseudoalbidus*, *Coccidioides* spp., *Cylindrosporium padi*, *Diplocarpon malae*, *Drepanopeziza campestris*, *Elsinoe ampelina*, *Epicoccum nigrum*, *Epidermophyton* spp., *Eutypa lata*, *Geotrichum candidum*, *Gibellina cerealis*, *Gloeocercospora sorghi*, *Gloeodes pomigena*, *Gloeosporium*
30 *perennans*; *Gloeotinia temulenta*, *Griphosphaeria corticola*, *Kabatiella lini*, *Leptographium microsporium*, *Leptosphaerulina crassiasca*, *Lophodermium seditiosum*, *Marssonina graminicola*, *Microdochium nivale*, *Monilinia fructicola*, *Monographella albescens*, *Monosporascus cannonballus*, *Naemacyclus* spp., *Ophiostoma novo-ulmi*, *Paracoccidioides brasiliensis*, *Penicillium expansum*, *Pestalotia rhododendri*, *Petriellidium* spp., *Pezicula* spp., *Phialophora gregata*, *Phyllachora pomigena*,
35 *Phymatotrichum omnivora*, *Physalospora abdita*, *Plectosporium tabacinum*, *Polyscytalum pustulans*, *Pseudopeziza medicaginis*, *Pyrenopeziza brassicae*, *Ramulispora sorghi*, *Rhabdocline pseudotsugae*, *Rhynchosporium secalis*, *Sacrocladium oryzae*, *Scedosporium* spp., *Schizothyrium pomi*, *Sclerotinia sclerotiorum*, *Sclerotinia minor*, *Sclerotium* spp., *Typhula ishikariensis*, *Seimatosporium mariae*, *Lepteutypa cupressi*, *Septocytia ruborum*, *Sphaceloma perseae*, *Sporonema phacidioides*, *Stigmia*
40 *palmivora*, *Tapesia yallundae*, *Taphrina bullata*, *Thielviopsis basicola*, *Trichoseptoria fructigena*, *Zygophiala jamaicensis*; powdery mildew diseases for example those caused by Erysiphales such as

Blumeria graminis, *Erysiphe polygoni*, *Uncinula necator*, *Sphaerotheca fuliginea*, *Podosphaera leucotricha*, *Podosphaera macularis* *Golovinomyces cichoracearum*, *Leveillula taurica*, *Microsphaera diffusa*, *Oidiopsis gossypii*, *Phyllactinia guttata* and *Oidium arachidis*; molds for example those caused by Botryosphaerales such as *Dothiorella aromatica*, *Diplodia seriata*, *Guignardia bidwellii*, *Botrytis*
 5 *cinerea*, *Botryotinia allii*, *Botryotinia fabae*, *Fusicoccum amygdali*, *Lasiodiplodia theobromae*, *Macrophoma theicola*, *Macrophomina phaseolina*, *Phyllosticta cucurbitacearum*; anthracnoses for example those caused by Glommerelales such as *Colletotrichum gloeosporioides*, *Colletotrichum lagenarium*, *Colletotrichum gossypii*, *Glomerella cingulata*, and *Colletotrichum graminicola*; and wilts or blights for example those caused by Hypocreales such as *Acremonium strictum*, *Claviceps purpurea*,
 10 *Fusarium culmorum*, *Fusarium graminearum*, *Fusarium virguliforme*, *Fusarium oxysporum*, *Fusarium subglutinans*, *Fusarium oxysporum* f.sp. *cubense*, *Gerlachia nivale*, *Gibberella fujikuroi*, *Gibberella zeae*, *Gliocladium* spp., *Myrothecium verrucaria*, *Nectria ramulariae*, *Trichoderma viride*, *Trichothecium roseum*, and *Verticillium theobromae*.

Basidiomycetes, including smuts for example those caused by Ustilaginales such as *Ustilagoidea*
 15 *virens*, *Ustilago nuda*, *Ustilago tritici*, *Ustilago zeae*, rusts for example those caused by Pucciniales such as *Cerotelium fici*, *Chrysomyxa arctostaphyli*, *Coleosporium ipomoeae*, *Hemileia vastatrix*, *Puccinia arachidis*, *Puccinia cacabata*, *Puccinia graminis*, *Puccinia recondita*, *Puccinia sorghi*, *Puccinia hordei*, *Puccinia striiformis* f.sp. *Hordei*, *Puccinia striiformis* f.sp. *Secalis*, *Pucciniastrum coryli*, or Uredinales such as *Cronartium ribicola*, *Gymnosporangium juniperi-viginianae*, *Melampsora medusae*, *Phakopsora*
 20 *pachyrhizi*, *Phragmidium mucronatum*, *Physopella ampelosisidis*, *Tranzschelia discolor* and *Uromyces viciae-fabae*; and other rots and diseases such as those caused by *Cryptococcus* spp., *Exobasidium vexans*, *Marasmiellus inoderma*, *Mycena* spp., *Sphacelotheca reiliana*, *Typhula ishikariensis*, *Urocystis agropyri*, *Itersonilia perplexans*, *Corticium invisum*, *Laetisaria fuciformis*, *Waitea circinata*, *Rhizoctonia solani*, *Thanetophorus cucurmeris*, *Entyloma dahliae*, *Entylomella microspora*, *Neovossia molinae* and
 25 *Tilletia caries*.

Blastocladiomycetes, such as *Physoderma maydis*.

Mucoromycetes, such as *Choanephora cucurbitarum*.; *Mucor* spp.; *Rhizopus arrhizus*.

As well as diseases caused by other species and genera closely related to those listed above.

30 In addition to their fungicidal activity, the compounds and compositions comprising compounds of formula (I) as defined in the present invention may also have activity against bacteria such as *Erwinia amylovora*, *Erwinia caratovora*, *Xanthomonas campestris*, *Pseudomonas syringae*, *Strptomyces scabies* and other related species as well as certain protozoa.

35 Within the scope of the present invention, target crops and/or useful plants to be protected typically comprise perennial and annual crops, such as berry plants for example blackberries, blueberries, cranberries, raspberries and strawberries; cereals for example barley, maize (corn), millet, oats, rice, rye, sorghum triticale and wheat; fibre plants for example cotton, flax, hemp, jute and sisal; field crops for example sugar and fodder beet, coffee, hops, mustard, oilseed rape (canola), poppy, sugar cane,
 40 sunflower, tea and tobacco; fruit trees for example apple, apricot, avocado, banana, cherry, citrus, nectarine, peach, pear and plum; grasses for example Bermuda grass, bluegrass, bentgrass, centipede

grass, fescue, ryegrass, St. Augustine grass and Zoysia grass; herbs such as basil, borage, chives, coriander, lavender, lovage, mint, oregano, parsley, rosemary, sage and thyme; legumes for example beans, lentils, peas and soya beans; nuts for example almond, cashew, ground nut, hazelnut, peanut, pecan, pistachio and walnut; palms for example oil palm; ornamentals for example flowers, shrubs and trees; other trees, for example cacao, coconut, olive and rubber; vegetables for example asparagus, aubergine, broccoli, cabbage, carrot, cucumber, garlic, lettuce, marrow, melon, okra, onion, pepper, potato, pumpkin, rhubarb, spinach and tomato; and vines for example grapes.

The useful plants and / or target crops in accordance with the invention include conventional as well as genetically enhanced or engineered varieties such as, for example, insect resistant (e.g. Bt. and VIP varieties) as well as disease resistant, herbicide tolerant (e.g. glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady® and LibertyLink®) and nematode tolerant varieties. By way of example, suitable genetically enhanced or engineered crop varieties include the Stoneville 5599BR cotton and Stoneville 4892BR cotton varieties.

15

The term "useful plants" and/or "target crops" is to be understood as including also useful plants that have been rendered tolerant to herbicides like bromoxynil or classes of herbicides (such as, for example, HPPD inhibitors, ALS inhibitors, for example primisulfuron, prosulfuron and trifloxysulfuron, EPSPS (5-enol-pyruvyl-shikimate-3-phosphate-synthase) inhibitors, GS (glutamine synthetase) inhibitors or PPO (protoporphyrinogen-oxidase) inhibitors) as a result of conventional methods of breeding or genetic engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding (mutagenesis) is Clearfield® summer rape (Canola). Examples of crops that have been rendered tolerant to herbicides or classes of herbicides by genetic engineering methods include glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady®, Herculex I® and LibertyLink®.

25

The term "useful plants" and/or "target crops" is to be understood as including those which naturally are or have been rendered resistant to harmful insects. This includes plants transformed by the use of recombinant DNA techniques, for example, to be capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria. Examples of toxins which can be expressed include δ -endotoxins, vegetative insecticidal proteins (Vip), insecticidal proteins of bacteria colonising nematodes, and toxins produced by scorpions, arachnids, wasps and fungi. An example of a crop that has been modified to express the *Bacillus thuringiensis* toxin is the Bt maize KnockOut® (Syngenta Seeds). An example of a crop comprising more than one gene that codes for insecticidal resistance and thus expresses more than one toxin is VipCot® (Syngenta Seeds). Crops or seed material thereof can also be resistant to multiple types of pests (so-called stacked transgenic events when created by genetic modification). For example, a plant can have the ability to express an insecticidal protein while at the same time being herbicide tolerant, for example Herculex I® (Dow AgroSciences, Pioneer Hi-Bred International).

40

The term "useful plants" and/or "target crops" is to be understood as including also useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising antipathogenic substances having a selective action, such as, for example, the so-called "pathogenesis-related proteins" (PRPs, see e.g. EP-A-0 392 225). Examples of such antipathogenic substances and transgenic plants capable of synthesising such antipathogenic substances are known, for example, from EP-A-0 392 225, WO 95/33818, and EP-A-0 353 191. The methods of producing such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above.

10 Toxins that can be expressed by transgenic plants include, for example, insecticidal proteins from *Bacillus cereus* or *Bacillus popilliae*; or insecticidal proteins from *Bacillus thuringiensis*, such as δ -endotoxins, e.g. Cry1Ab, Cry1Ac, Cry1F, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), e.g. Vip1, Vip2, Vip3 or Vip3A; or insecticidal proteins of bacteria colonising nematodes, for example *Photorhabdus* spp. or *Xenorhabdus* spp., such as *Photorhabdus luminescens*,
15 *Xenorhabdus nematophilus*; toxins produced by animals, such as scorpion toxins, arachnid toxins, wasp toxins and other insect-specific neurotoxins; toxins produced by fungi, such as *Streptomyces* toxins, plant lectins, such as pea lectins, barley lectins or snowdrop lectins; agglutinins; proteinase inhibitors, such as trypsin inhibitors, serine protease inhibitors, patatin, cystatin, papain inhibitors; ribosome-inactivating proteins (RIP), such as ricin, maize-RIP, abrin, luffin, saporin or bryodin;
20 enzymes, such as 3-hydroxysteroidoxidase, ecdysteroid-UDP-glycosyl-transferase, cholesterol oxidases, ecdysone inhibitors, HMG-COA-reductase, ion channel blockers, such as blockers of sodium or calcium channels, juvenile hormone esterase, diuretic hormone receptors, stilbene synthase, bibenzyl synthase, chitinases and glucanases.

25 Further, in the context of the present invention there are to be understood by δ -endotoxins, for example Cry1Ab, Cry1Ac, Cry1F, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), for example Vip1, Vip2, Vip3 or Vip3A, expressly also hybrid toxins, truncated toxins and modified toxins. Hybrid toxins are produced recombinantly by a new combination of different domains of those proteins (see, for example, WO 02/15701). Truncated toxins, for example a truncated Cry1Ab, are
30 known. In the case of modified toxins, one or more amino acids of the naturally occurring toxin are replaced. In such amino acid replacements, preferably non-naturally present protease recognition sequences are inserted into the toxin, such as, for example, in the case of Cry3A055, a cathepsin-G-recognition sequence is inserted into a Cry3A toxin (see WO03/018810).

35 More examples of such toxins or transgenic plants capable of synthesising such toxins are disclosed, for example, in EP-A-0 374 753, WO93/07278, WO95/34656, EP-A-0 427 529, EP-A-451 878 and WO03/052073.

The processes for the preparation of such transgenic plants are generally known to the person skilled
40 in the art and are described, for example, in the publications mentioned above. CryI-type

deoxyribonucleic acids and their preparation are known, for example, from WO 95/34656, EP-A-0 367 474, EP-A-0 401 979 and WO 90/13651.

The toxin contained in the transgenic plants imparts to the plants tolerance to harmful insects. Such insects can occur in any taxonomic group of insects, but are especially commonly found in the beetles (Coleoptera), two-winged insects (Diptera) and butterflies (Lepidoptera).

Transgenic plants containing one or more genes that code for an insecticidal resistance and express one or more toxins are known and some of them are commercially available. Examples of such plants are: YieldGard® (maize variety that expresses a Cry1Ab toxin); YieldGard Rootworm® (maize variety that expresses a Cry3Bb1 toxin); YieldGard Plus® (maize variety that expresses a Cry1Ab and a Cry3Bb1 toxin); Starlink® (maize variety that expresses a Cry9C toxin); Herculex I® (maize variety that expresses a Cry1Fa2 toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a Cry1Ac toxin); Bollgard I® (cotton variety that expresses a Cry1Ac toxin); Bollgard II® (cotton variety that expresses a Cry1Ac and a Cry2Ab toxin); VipCot® (cotton variety that expresses a Vip3A and a Cry1Ab toxin); NewLeaf® (potato variety that expresses a Cry3A toxin); NatureGard®, Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait) and Protecta®.

20

Further examples of such transgenic crops are:

1. **Bt11 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a truncated Cry1Ab toxin. Bt11 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
2. **Bt176 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a Cry1Ab toxin. Bt176 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
3. **MIR604 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Maize which has been rendered insect-resistant by transgenic expression of a modified Cry3A toxin. This toxin is Cry3A055 modified by insertion of a cathepsin-G-protease recognition sequence. The preparation of such transgenic maize plants is described in WO 03/018810.
4. **MON 863 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/DE/02/9. MON 863 expresses a Cry3Bb1 toxin and has resistance to certain Coleoptera insects.

5. **IPC 531 Cotton** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/ES/96/02.
6. **1507 Maize** from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. Genetically modified maize for the expression of the protein Cry1F for achieving resistance to certain Lepidoptera insects and of the PAT protein for achieving tolerance to the herbicide glufosinate ammonium.
7. **NK603 × MON 810 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/GB/02/M3/03. Consists of conventionally bred hybrid maize varieties by crossing the genetically modified varieties NK603 and MON 810. NK603 × MON 810 Maize transgenically expresses the protein CP4 EPSPS, obtained from *Agrobacterium sp.* strain CP4, which imparts tolerance to the herbicide Roundup® (contains glyphosate), and also a Cry1Ab toxin obtained from *Bacillus thuringiensis subsp. kurstaki* which brings about tolerance to certain Lepidoptera, include the European corn borer.
- 15 The term "locus" as used herein means fields in or on which plants are growing, or where seeds of cultivated plants are sown, or where seed will be placed into the soil. It includes soil, seeds, and seedlings, as well as established vegetation.

The term "plants" refers to all physical parts of a plant, including seeds, seedlings, saplings, roots, tubers, stems, stalks, foliage, and fruits.

The term "plant propagation material" is understood to denote generative parts of the plant, such as seeds, which can be used for the multiplication of the latter, and vegetative material, such as cuttings or tubers, for example potatoes. There may be mentioned for example seeds (in the strict sense), roots, fruits, tubers, bulbs, rhizomes and parts of plants. Germinated plants and young plants which are to be transplanted after germination or after emergence from the soil, may also be mentioned. These young plants may be protected before transplantation by a total or partial treatment by immersion. Preferably "plant propagation material" is understood to denote seeds.

Pesticidal agents referred to herein using their common name are known, for example, from "The Pesticide Manual", 19th Ed., British Crop Protection Council 2021.

The compounds of formula (I) as defined in the present invention may be used in unmodified form or, preferably, together with the adjuvants conventionally employed in the art of formulation. To this end they may be conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions or suspensions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and/or adjuvants, e.g. for agricultural use, can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in 5 WO 97/33890.

Suspension concentrates are aqueous formulations in which finely divided solid particles of the active compound are suspended. Such formulations include anti-settling agents and dispersing agents and may further include a wetting agent to enhance activity as well an anti-foam and a crystal growth 10 inhibitor. In use, these concentrates are diluted in water and normally applied as a spray to the area to be treated. The amount of active ingredient may range from 0.5% to 95% of the concentrate.

Wettable powders are in the form of finely divided particles which disperse readily in water or other liquid carriers. The particles contain the active ingredient retained in a solid matrix. Typical solid matrices 15 include fuller's earth, kaolin clays, silicas and other readily wet organic or inorganic solids. Wettable powders normally contain from 5% to 95% of the active ingredient plus a small amount of wetting, dispersing or emulsifying agent.

Emulsifiable concentrates are homogeneous liquid compositions dispersible in water or other liquid and 20 may consist entirely of the active compound with a liquid or solid emulsifying agent, or may also contain a liquid carrier, such as xylene, heavy aromatic naphthas, isophorone and other non-volatile organic solvents. In use, these concentrates are dispersed in water or other liquid and normally applied as a spray to the area to be treated. The amount of active ingredient may range from 0.5% to 95% of the concentrate.

25 Granular formulations include both extrudates and relatively coarse particles and are usually applied without dilution to the area in which treatment is required. Typical carriers for granular formulations include sand, fuller's earth, attapulgite clay, bentonite clays, montmorillonite clay, vermiculite, perlite, calcium carbonate, brick, pumice, pyrophyllite, kaolin, dolomite, plaster, wood flour, ground corn cobs, 30 ground peanut hulls, sugars, sodium chloride, sodium sulphate, sodium silicate, sodium borate, magnesia, mica, iron oxide, zinc oxide, titanium oxide, antimony oxide, cryolite, gypsum, diatomaceous earth, calcium sulphate and other organic or inorganic materials which absorb or which can be coated with the active compound. Granular formulations normally contain 5% to 25% of active ingredients which may include surface-active agents such as heavy aromatic naphthas, kerosene and other 35 petroleum fractions, or vegetable oils; and/or stickers such as dextrans, glue or synthetic resins.

Dusts are free-flowing admixtures of the active ingredient with finely divided solids such as talc, clays, flours and other organic and inorganic solids which act as dispersants and carriers.

40 Microcapsules are typically droplets or granules of the active ingredient enclosed in an inert porous shell which allows escape of the enclosed material to the surroundings at controlled rates. Encapsulated

droplets are typically 1 to 50 microns in diameter. The enclosed liquid typically constitutes 50 to 95% of the weight of the capsule and may include solvent in addition to the active compound. Encapsulated granules are generally porous granules with porous membranes sealing the granule pore openings, retaining the active species in liquid form inside the granule pores. Granules typically range from 1
5 millimetre to 1 centimetre and preferably 1 to 2 millimetres in diameter. Granules are formed by extrusion, agglomeration or prilling, or are naturally occurring. Examples of such materials are vermiculite, sintered clay, kaolin, attapulgite clay, sawdust and granular carbon. Shell or membrane materials include natural and synthetic rubbers, cellulosic materials, styrene-butadiene copolymers, polyacrylonitriles, polyacrylates, polyesters, polyamides, polyureas, polyurethanes and starch
10 xanthates.

Other useful formulations for agrochemical applications include simple solutions of the active ingredient in a solvent in which it is completely soluble at the desired concentration, such as acetone, alkylated naphthalenes, xylene and other organic solvents. Pressurised sprayers, wherein the active ingredient
15 is dispersed in finely-divided form as a result of vaporisation of a low boiling dispersant solvent carrier, may also be used.

Suitable agricultural adjuvants and/or carriers that are useful in formulating the compositions of the invention in the formulation types described above are well known to those skilled in the art.

20

Liquid carriers that can be employed include, for example, water, toluene, xylene, petroleum naphtha, crop oil, acetone, methyl ethyl ketone, cyclohexanone, acetic anhydride, acetonitrile, acetophenone, amyl acetate, 2-butanone, chlorobenzene, cyclohexane, cyclohexanol, alkyl acetates, diacetonolcohol, 1,2-dichloropropane, diethanolamine, p-diethylbenzene, diethylene glycol, diethylene glycol abietate,
25 diethylene glycol butyl ether, diethylene glycol ethyl ether, diethylene glycol methyl ether, N,N-dimethyl formamide, dimethyl sulfoxide, 1,4-dioxane, dipropylene glycol, dipropylene glycol methyl ether, dipropylene glycol dibenzoate, diproxitol, alkyl pyrrolidinone, ethyl acetate, 2-ethyl hexanol, ethylene carbonate, 1,1,1-trichloroethane, 2-heptanone, alpha pinene, d-limonene, ethylene glycol, ethylene glycol butyl ether, ethylene glycol methyl ether, gamma-butyrolactone, glycerol, glycerol diacetate,
30 glycerol monoacetate, glycerol triacetate, hexadecane, hexylene glycol, isoamyl acetate, isobornyl acetate, isooctane, isophorone, isopropyl benzene, isopropyl myristate, lactic acid, laurylamine, mesityl oxide, methoxy-propanol, methyl isoamyl ketone, methyl isobutyl ketone, methyl laurate, methyl octanoate, methyl oleate, methylene chloride, m-xylene, n-hexane, n-octylamine, octadecanoic acid, octyl amine acetate, oleic acid, oleylamine, o-xylene, phenol, polyethylene glycol (PEG400), propionic
35 acid, propylene glycol, propylene glycol monomethyl ether, p-xylene, toluene, triethyl phosphate, triethylene glycol, xylene sulfonic acid, paraffin, mineral oil, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, methanol, ethanol, isopropanol, and higher molecular weight alcohols such as amyl alcohol, tetrahydrofurfuryl alcohol, hexanol, octanol, etc., ethylene glycol, propylene glycol, glycerine and N-methyl-2-pyrrolidinone. Water is generally the carrier of choice for
40 the dilution of concentrates.

Suitable solid carriers include, for example, talc, titanium dioxide, pyrophyllite clay, silica, attapulgite clay, kieselguhr, chalk, diatomaceous earth, lime, calcium carbonate, bentonite clay, fuller's earth, cotton seed hulls, wheat flour, soybean flour, pumice, wood flour, walnut shell flour and lignin.

5 A broad range of surface-active agents are advantageously employed in both said liquid and solid compositions, especially those designed to be diluted with carrier before application. These agents, when used, normally comprise from 0.1% to 15% by weight of the formulation. They can be anionic, cationic, non-ionic or polymeric in character and can be employed as emulsifying agents, wetting agents, suspending agents or for other purposes. Typical surface active agents include salts of alkyl sulfates, 10 such as diethanolammonium lauryl sulphate; alkylarylsulfonate salts, such as calcium dodecylbenzenesulfonate; alkylphenol-alkylene oxide addition products, such as nonylphenol-C.sub. 18 ethoxylate; alcohol-alkylene oxide addition products, such as tridecyl alcohol-C.sub. 16 ethoxylate; soaps, such as sodium stearate; alkylnaphthalenesulfonate salts, such as sodium dibutylnaphthalenesulfonate; dialkyl esters of sulfosuccinate salts, such as sodium di(2-ethylhexyl) 15 sulfosuccinate; sorbitol esters, such as sorbitol oleate; quaternary amines, such as lauryl trimethylammonium chloride; polyethylene glycol esters of fatty acids, such as polyethylene glycol stearate; block copolymers of ethylene oxide and propylene oxide; and salts of mono and dialkyl phosphate esters.

20 Other adjuvants commonly utilized in agricultural compositions include crystallisation inhibitors, viscosity modifiers, suspending agents, spray droplet modifiers, pigments, antioxidants, foaming agents, anti-foaming agents, light-blocking agents, compatibilizing agents, antifoam agents, sequestering agents, neutralising agents and buffers, corrosion inhibitors, dyes, odorants, spreading agents, penetration aids, micronutrients, emollients, lubricants and sticking agents.

25

In addition, further, other biocidally active ingredients or compositions may be combined with the compositions of the invention and used in the methods of the invention and applied simultaneously or sequentially with the compositions of the invention. When applied simultaneously, these further active ingredients may be formulated together with the compositions of the invention or mixed in, for example, 30 the spray tank. These further biocidally active ingredients may be fungicides, herbicides, insecticides, bactericides, acaricides, nematocides, plant growth regulators, and/or biologicals.

The following combinations of a compound of formula I with another active substance in a weight ratio of 1:1 are preferred (where the abbreviation "TX" means "one compound selected from the compounds 35 defined in the Tables 1.1 to 1.27, Tables 2.1 to 2.45, Tables 3.1 to 3.55, Tables 4.1 to 4.24, and Table A):

(7E,9Z)-dodeca-7,9-dien-1-yl acetate + TX, (9Z,11E)-tetradeca-9,11-dien-1-yl acetate + TX, (9Z,12E)-tetradeca-9,12-dien-1-yl acetate + TX, (E)-6-methylhept-2-en-4-ol + TX, (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol + TX, (E)-tridec-4-en-1-yl acetate + TX, (E,Z)-tetradeca-4,10-dien-1-yl acetate + TX, (Z)-dodec-7-en-1-yl acetate + TX, (Z)-hexadec-11-en-1-yl acetate + TX, (Z)-hexadec-11-enal + TX, (Z)-

hexadec-13-en-11-yn-1-yl acetate + TX, (Z)-icos-13-en-10-one + TX, (Z)-tetradec-7-en-1-al + TX, (Z)-tetradec-9-en-1-ol + TX, (Z)-tetradec-9-en-1-yl acetate + TX, 1,2-dibromo-3-chloropropane + TX, 1,2-dichloropropane + TX, 1,2-dichloropropane with 1,3-dichloropropene + TX, 1,3-dichloropropene + TX, 14-methyloctadec-1-ene + TX, 1-hydroxy-1H-pyridine-2-thione + TX, 2-(octylthio)ethanol + TX, 2-chlorophenyl N-methylcarbamate (CPMC) + TX, 3-(4-chlorophenyl)-5-methylrhodanine + TX, 3,4-dichlorotetrahydrothiophene 1,1-dioxide + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one + TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid + TX, 6-isopentenylaminopurine + TX, 8-hydroxyquinoline sulfate + TX, abamectin + TX, acequinocyl + TX, acetamiprid + TX, acetoprole + TX, acrinathrin + TX, acynonapyr + TX, Adoxophyes orana GV + TX, afidopyropen + TX, afoxolaner + TX, Agrobacterium radiobacter + TX, AKD-3088 + TX, alanycarb + TX, aldicarb + TX, aldoxycarb + TX, allethrin + TX, alpha-cypermethrin + TX, alphamethrin + TX, alpha-multistriatin + TX, Amblyseius spp. + TX, amidoflumet + TX, amino acids + TX, aminocarb + TX, Anagrapha falcifera NPV + TX, Anagrus atomus + TX, Aphelinus abdominalis + TX, Aphidius colemani + TX, Aphidoletes aphidimyza + TX, apholate + TX, Autographa californica NPV + TX, AZ 60541 + TX, azadirachtin + TX, azocyclotin + TX, Bacillus aizawai + TX, Bacillus chitinosporus AQ746 (NRRL Accession No B-21 618) + TX, Bacillus firmus + TX, Bacillus kurstaki + TX, Bacillus mycoides AQ726 (NRRL Accession No. B-21664) + TX, Bacillus pumilus (NRRL Accession No B-30087) + TX, Bacillus pumilus AQ717 (NRRL Accession No. B-21662) + TX, Bacillus sp. AQ175 (ATCC Accession No. 55608) + TX, Bacillus sp. AQ177 (ATCC Accession No. 55609) + TX, Bacillus sp. AQ178 (ATCC Accession No. 53522) + TX, Bacillus sphaericus Neide + TX, Bacillus subtilis AQ153 (ATCC Accession No. 55614) + TX, Bacillus subtilis AQ30002 (NRRL Accession No. B-50421) + TX, Bacillus subtilis AQ30004 (NRRL Accession No. B- 50455) + TX, Bacillus subtilis AQ713 (NRRL Accession No. B-21661) + TX, Bacillus subtilis AQ743 (NRRL Accession No. B-21665) + TX, Bacillus subtilis unspecified + TX, Bacillus thuringiensis AQ52 (NRRL Accession No. B-21619) + TX, Bacillus thuringiensis BD#32 (NRRL Accession No B-21530) + TX, Bacillus thuringiensis Berliner + TX, Bacillus thuringiensis subsp. Aizawai + TX, Bacillus thuringiensis subsp. Israelensis + TX, Bacillus thuringiensis subsp. Japonensis + TX, Bacillus thuringiensis subsp. Kurstaki + TX, Bacillus thuringiensis subsp. Tenebrionis + TX, Bacillus thuringiensis subspec. kurstaki BMP 123 + TX, Beauveria bassiana + TX, Beauveria brongniartii + TX, benclotiaz + TX, benomyl + TX, bensultap + TX, benzoximate + TX, benzpyrimoxan + TX, betacyfluthrin + TX, beta-cypermethrin + TX, bethoxazin + TX, bifenazate + TX, bifenthrin + TX, binapacryl + TX, bioallethrin + TX, bioresmethrin + TX, bis(tributyltin) oxide + TX, bisazir + TX, bistrifluron + TX, bisulflufen + TX, brevicomin + TX, broflanilide + TX, brofluthrin + TX, bromoacetamide + TX, bromophos-ethyl + TX, bronopol + TX, busulfan + TX, butocarboxim + TX, butopyronoxyl + TX, butoxy(polypropylene glycol) + TX, butylpyridaben + TX, cadusafos + TX, calcium arsenate + TX, carbaryl + TX, carbofuran + TX, carbon disulfide + TX, carbosulfan + TX, cartap + TX, CAS number: 1594624-87-9 + TX, CAS number: 1922957-47-8 + TX, CAS number: 1255091-74-7 + TX, CAS number: 1365070-72-9 + TX, CAS number: 1445683-71-5 + TX, CAS number: 1445684-82-1 + TX, CAS number: 1594626-19-3 + TX, CAS number: 1594637-65-6 + TX, CAS number: 1632218-00-8 + TX, CAS number: 1808115-49-2 + TX, CAS number: 1922957-46-7 + TX, CAS number: 1922957-48-9 + TX, CAS number: 1956329-03-5 + TX, CAS number: 1990457-52-7 + TX, CAS number: 1990457-

55-0 + TX, CAS number: 1990457-57-2 + TX, CAS number: 1990457-66-3 + TX, CAS number: 1990457-77-6 + TX, CAS number: 1990457-85-6 + TX, CAS number: 2032403-97-5 + TX, CAS number: 2044701-44-0 + TX, CAS number: 2095470-94-1 + TX, CAS Number: 2128706-04-5 + TX, CAS number: 2128706-05-6 + TX, CAS number: 2133042-31-4 + TX, CAS number: 2133042-44-9 + TX, CAS number: 2171099-09-3 + TX, CAS number: 2220132-55-6 + TX, CAS number: 2396747-83-2 + TX, CAS number: 2408220-91-5 + TX, CAS number: 2408220-94-8 + TX, CAS number: 2415706-16-8 + TX, Piperflaniide (CAS number: 2615135-05-0) + TX, CAS number: 2719848-60-7 + TX, CAS number: RNA (Leptinotarsa decemlineata-specific recombinant double-stranded interfering GS2) + TX, chlorantraniliprole + TX, chlordane + TX, chlorfenapyr + TX, chloropicrin + TX, chlorprallethrin + TX, chlorpyrifos + TX, chromafenozide + TX, Chrysoperla carnea + TX, clenpirin + TX, cloethocarb + TX, clothianidin + TX, codlure + TX, codlemone + TX, copper acetoarsenite + TX, copper dioctanoate + TX, copper hydroxide + TX, copper sulfate + TX, cresol + TX, crufomate + TX, Cryptolaemus montrouzieri + TX, cuelure + TX, cyanofenphos + TX, cyantraniliprole + TX, cybutryne + TX, cyclaniliprole + TX, cyclobutrifluram + TX, cycloprothrin + TX, cycloxaprid + TX, Cydia pomonella GV + TX, cyenopyrafen + TX, cyetpyrafen + TX, cyflumetofen + TX, cyfluthrin + TX, cyhalodiamide + TX, cyhalothrin + TX, cypermethrin + TX, cyphenothrin + TX, cyproflaniide + TX, cyromazine + TX, cytokinins + TX, Dacnusa sibirica + TX, dazomet + TX, DBCP + TX, DCIP + TX, deltamethrin + TX, diafenthiuron + TX, dialifos + TX, diamidafos + TX, dibrom + TX, dibutyl adipate + TX, dibutyl phthalate + TX, dibutyl succinate + TX, dichlofenthion + TX, dichlone + TX, dichlorophen + TX, dicliphos + TX, dicloromezotiaz + TX, diethyltoluamide + TX, diflubenzuron + TX, Diglyphus isaea + TX, dimatif + TX, dimethoate + TX, dimethyl carbate + TX, dimethyl phthalate + TX, dimpropyridaz + TX, dinactin + TX, dinocap + TX, dinotefuran + TX, dioxabenzofos + TX, dipyrithione + TX, disparlure + TX, D-limonene + TX, dodec-8-en-1-yl acetate + TX, dodec-9-en-1-yl acetate + TX, dodeca-8,10-dien-1-yl acetate + TX, dodicin + TX, dominicalure + TX, doramectin + TX, emamectin + TX, emamectin benzoate + TX, empenthrin + TX, Encarsia formosa + TX, endothal + TX, endrin + TX, eprinomectin + TX, epsilon - momfluorothrin + TX, epsilon-metofluthrin + TX, Eretmocerus eremicus + TX, esfenvaterate + TX, ethion + TX, ethiprole + TX, ethoprophos + TX, ethyl 4-methyloctanoate + TX, ethyl hexanediol + TX, ethylene dibromide + TX, etofenprox + TX, etoxazole + TX, etpyrafen + TX, eugenol + TX, Extract of seaweed and fermentation product derived from melasse + TX, Extract of seaweed and fermentation product derived from melasse comprising urea + TX, Extract of seaweed and fermented plant products + TX, Extract of seaweed and fermented plant products comprising phytohormones, vitamins, EDTA-chelated copper, zinc, and iron + TX, famphur + TX, fenaminosulf + TX, fenamiphos + TX, fenazaquin + TX, fenfluthrin + TX, fenitrothion + TX, fenmezoditiaz + TX, fenobucarb + TX, fenothiocarb + TX, fenoxycarb + TX, fenpropathrin + TX, fenpyrad + TX, fenpyroximate + TX, fensulfothion + TX, fenthion + TX, fentin + TX, fentinacetate + TX, fenvalerate + TX, ferric phosphate + TX, fipronil + TX, flometoquin + TX, flonicamid + TX, fluacrypyrim + TX, fluazaindolizine + TX, fluazuron + TX, flubendiamide + TX, flubenzimine + TX, fluchlordiniliprole + TX, flucitriate + TX, flucycloxuron + TX, flucythrinate + TX, fluensulfone [318290-98-1] + TX, fluensulfone + TX, flufenerim + TX, flufenprox + TX, flufiprole + TX, fluhexafon + TX, flumethrin + TX, fluopyram + TX, flupyradifurone + TX, flupyrimin + TX, flupyroxystrobin + TX, fluralaner + TX, fluvalinate + TX, fluxametamide + TX, formaldehyde + TX, fosthiazate + TX,

fosthietan + TX, frontaline + TX, furfural + TX, gamma-cyhalothrin + TX, Gossypure® (1:1 mixture of the (Z,E) and (Z,Z) isomers of hexadeca-7,11-dien-1-yl-acetate) + TX, grandlure + TX, grandlure I + TX, grandlure II + TX, grandlure III + TX, grandlure IV + TX, Granulovirus + TX, guadipyr + TX, GY-81 + TX, halfenprox + TX, halofenozide + TX, Harpin + TX, Helicoverpa armigera Nucleopolyhedrovirus + TX, Helicoverpa zea NPV + TX, Helicoverpa zea Nucleopolyhedrovirus + TX, Heliothis punctigera Nucleopolyhedrovirus + TX, Heliothis virescens Nucleopolyhedrovirus + TX, hemel + TX, hempa + TX, heptafluthrin + TX, heterophos + TX, Heterorhabditis bacteriophora and H. megidis + TX, hexalure + TX, hexamide + TX, hexythiazox + TX, Hippodamia convergens + TX, hydramethylnon + TX, hydrargaphen + TX, hydrated lime + TX, imicyafos + TX, imidacloprid + TX, imiprothrin + TX, Indazapyroxamet + TX, indoxacarb + TX, iodomethane + TX, iprodione + TX, ipsdienol + TX, ipsenol + TX, isamidofos + TX, isazofos + TX, isocycloseram + TX, Isoflualanam (CAS number: 2892524-05-7) + TX, isothioate + TX, ivermectin + TX, japonilure + TX, kappa-bifenthrin + TX, kappa-tefluthrin + TX, kasugamycin + TX, kasugamycin hydrochloride hydrate + TX, kinetin + TX, lambda-cyhalothrin + TX, ledprona + TX, lepimectin + TX, Leptomastix dactylopii + TX, lineatin + TX, litlure + TX, looplure + TX, lotilaner + TX, lufenuron + TX, Macrolophus caliginosus + TX, Mamestra brassicae NPV + TX, mecarphon + TX, medlure + TX, megatomoic acid + TX, metaflumizone + TX, metaldehyde + TX, metam + TX, metam-potassium + TX, metam-sodium + TX, Metaphycus helvolus + TX, Metarhizium anisopliae var. acridum + TX, Metarhizium anisopliae var. anisopliae + TX, Metarhizium spp. + TX, metepa + TX, methiocarb + TX, methiotepa + TX, methomyl + TX, methoquin-butyl + TX, methoxyfenozide + TX, methyl apholate + TX, methyl bromide + TX, methyl eugenol + TX, methyl isothiocyanate + TX, methylneodecanamide + TX, metofluthrin + TX, metolcarb + TX, mexacarbate + TX, milbemectin + TX, milbemycin oxime + TX, momfluorothrin + TX, morzid + TX, moxidectin + TX, muscalure + TX, Muscodor albus 620 (NRRL Accession No. 30547) + TX, Muscodor roseus A3-5 (NRRL Accession No. 30548) + TX, Myrothecium verrucaria composition + TX, nabam + TX, NC-184 + TX, Neem tree based products + TX, Neodiprion sertifer NPV and N. lecontei NPV + TX, nickel bis(dimethyldithiocarbamate) + TX, niclosamide + TX, niclosamide-olamine + TX, nicofluprole + TX, nitenpyram + TX, nithiazine + TX, nitrapyrin + TX, octadeca-2,13-dien-1-yl acetate + TX, octadeca-3,13-dien-1-yl acetate + TX, octhilinone + TX, omethoate + TX, orfralure + TX, Orius spp. + TX, oryctalure + TX, ostramone + TX, oxamate + TX, oxamyl + TX, oxazosulfyl + TX, oxolinic acid + TX, oxytetracycline + TX, Paecilomyces fumosoroseus + TX, Paecilomyces lilacinus + TX, parathion-ethyl + TX, Pasteuria nishizawae + TX, Pasteuria penetrans + TX, Pasteuria ramosa + TX, Pasteuria thornei + TX, Pasteuria usgae + TX, P-cymene + TX, penfluron + TX, pentachlorophenol + TX, permethrin + TX, phenothrin + TX, phorate + TX, phosphamidon + TX, phosphocarb + TX, Phytoseiulus persimilis + TX, picaridin + TX, pioxaniliprole + TX, piperazine + TX, piperonylbutoxide + TX, pirimicarb + TX, pirimiphos-ethyl + TX, pirimiphos-methyl + TX, Plutella xylostella Granulosis virus + TX, Plutella xylostella Nucleopolyhedrovirus + TX, Polyhedrosis virus + TX, potassium and molybdenum and EDTA-chelated manganese + TX, potassium ethylxanthate + TX, potassium hydroxyquinoline sulfate + TX, prallethrin + TX, probenazole + TX, profenofos + TX, profluthrin + TX, propargite + TX, propetamphos + TX, propoxur + TX, prothiophos + TX, protrifenbute + TX, pyflubumide + TX, pymetrozine + TX, pyraclofos + TX, pyrafluprole + TX, pyrethrum + TX, pyridaben + TX, pyridalyl + TX, pyridin-4-amine + TX,

TX, (Z)-hexadec-11-enal + TX, (Z)-hexadec-13-en-11-yn-1-yl acetate + TX, (Z)-icos-13-en-10-one + TX, (Z)-tetradec-7-en-1-al + TX, (Z)-tetradec-9-en-1-ol + TX, (Z)-tetradec-9-en-1-yl acetate + TX, (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (this compound may be prepared from the methods described in WO 2018/153707) + TX, (Z,2E)-5-[1-(4-chlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide + TX, [2-[3-[2-[1-[2-[3,5-bis(difluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]thiazol-4-yl]-4,5-dihydroisoxazol-5-yl]-3-chlorophenyl] methanesulfonate + TX, 1-(4,5-dimethylbenzimidazol-1-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline + TX, 1-(4,5-dimethylbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline + TX, 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline + TX, 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,6-trifluoro-3,3-dimethyl-isoquinoline + TX, 1-(6-chloro-7-methylpyrazolo[1,5-a]pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline (these compounds may be prepared from the methods described in WO2017/025510) + TX, 1,1-bis(4-chlorophenyl)-2-ethoxyethanol + TX, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane + TX, 1,2-dibromo-3-chloropropane + TX, 1,2-dichloropropane with 1,3-dichloropropene + TX, 1,3-dichloropropene + TX, 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, 1-[2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]-3-methyl-phenyl]-4-methyl-tetrazol-5-one + TX, 10-dien-1-yl acetate + TX, 14-methyloctadec-1-ene + TX, 1-bromo-2-chloroethane + TX, 1-dichloro-1-nitroethane + TX, 1-hydroxy-1H-pyridine-2-thione + TX, 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, 1-methyl-4-[3-methyl-2-[[2-methyl-4-(3,4,5-trimethylpyrazol-1-yl)phenoxy]methyl]phenyl]tetrazol-5-one + TX, 2-(difluoromethyl)-N-((3R)-1,1,3-trimethylindan-4-yl)pyridine-3-carboxamide + TX, 2-(difluoromethyl)-N-((3R)-1,1,3-trimethylindan-4-yl)pyridine-3-carboxamide + TX, 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate + TX, 2-(2-butoxyethoxy)ethyl piperonylate + TX, 2-(2-butoxyethoxy)ethyl thiocyanate + TX, 2-(4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate + TX, 2-(4-chloro-3,5-xilyloxy)ethanol + TX, 2-(difluoromethyl)-N-(3-ethyl-1,1-dimethyl-indan-4-yl)pyridine-3-carboxamide + TX, 2-(difluoromethyl)-N-[(3R)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide + TX, 2-(difluoromethyl)-N-[(3S)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide (this compound may be prepared from the methods described in WO 2014/095675) + TX, 2-(difluoromethyl)-N-[3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide + TX, 2-(octylthio)ethanol + TX, 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate + TX, 2,2-dichlorovinyl 2-ethylsulfinyethyl methyl phosphate + TX, 2,2-difluoro-N-methyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide + TX, 2,4-dichlorophenyl benzenesulfonate + TX, 2,6-Dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2H,6H)-tetrone (this compound may be prepared from the methods described in WO 2011/138281) + TX, 2-[2-fluoro-6-[(8-fluoro-2-methyl-3-quinolyl)oxy]phenyl]propan-2-ol + TX, 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods described in WO 2017/029179) + TX, 2-[6-(4-chlorophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods described in WO 2017/029179) + TX, 2-chlorovinyl diethyl phosphate + TX, 2-fluoro-N-methyl-N-1-naphthylacetamide + TX, 2-imidazolidone + TX, 2-isovaleryindan-1,3-dione + TX, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate + TX, 2-oxo-N-propyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide (this compound may be

prepared from the methods described in WO 2018/065414) + TX, 2-thiocyanatoethyl laurate + TX, 3-(4,4-difluoro-3,3-dimethyl-1-isoquinolyl)-7,8-dihydro-6H-cyclopenta[e]benzimidazole (these compounds may be prepared from the methods described in WO2016/156085) + TX, 3-(4,4-difluoro-3,4-dihydro-3,3-dimethylisoquinolin-1-yl)quinolone + TX, 3-(4-chlorophenyl)-5-methylrhodanine + TX, 3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide + TX, 3,4-dichlorotetrahydrothiophene 1,1-dioxide + TX, 3-[2-(1-chlorocyclopropyl)-3-(2-fluorophenyl)-2-hydroxypropyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO 2016/156290) + TX, 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxypropyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO 2016/156290) + TX, 3-bromo-1-chloroprop-1-ene + TX, 3-chloro-6-methyl-5-phenyl-4-(2,4,6-trifluorophenyl)pyridazine + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid + TX, 3-ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate + TX, 4-(2-bromo-4-fluorophenyl)-N-(2-chloro-6-fluorophenyl)-1,3-dimethyl-1H-pyrazol-5-amine + TX, 4-(2,6-difluorophenyl)-6-methyl-5-phenylpyridazine-3-carbonitrile + TX, 4-(2-bromo-4-fluoro-phenyl)-N-(2-chloro-6-fluoro-phenyl)-2,5-dimethylpyrazol-3-amine + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide + TX, 4,4-difluoro-1-(5-fluoro-4-methyl-benzimidazol-1-yl)-3,3-dimethyl-isoquinoline + TX, 4,4-difluoro-3,3-dimethyl-1-(6-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline + TX, 4,4-difluoro-3,3-dimethyl-1-(7-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline + TX, 4,4-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-sulfanyl-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-thio-4H-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile + TX, 4-chloro-2-(2-chloro-2-methyl-propyl)-5-[(6-iodo-3-pyridyl)methoxy]pyridazin-3-one + TX, 4-chlorophenyl phenyl sulfone + TX, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone + TX, 5,5-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one + TX, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate + TX, 5-amino-1,3,4-thiadiazole-2-thiol zinc salt (2:1) + TX, 5-methyl-6-thio-1,3,5-thiadiazinan-3-ylacetic acid + TX, 6-chloro-3-(3-cyclopropyl-2-fluoro-phenoxy)-N-[2-(2,4-dimethylphenyl)-2,2-difluoro-ethyl]-5-methyl-pyridazine-4-carboxamide (may be prepared from the methods described in WO 2020/109391) + TX, 6-chloro-3-(3-cyclopropyl-2-fluoro-phenoxy)-N-[2-(3,4-dimethylphenyl)-2,2-difluoro-ethyl]-5-methyl-pyridazine-4-carboxamide (may be prepared from the methods described in WO 2020/109391) + TX, 6-chloro-4,4-difluoro-3,3-dimethyl-1-(4-methylbenzimidazol-1-yl)isoquinoline + TX, 6-chloro-N-[2-(2-chloro-4-methyl-phenyl)-2,2-difluoro-ethyl]-3-(3-cyclopropyl-2-fluoro-phenoxy)-5-methyl-pyridazine-4-carboxamide (may be prepared from the methods described in WO 2020/109391) + TX, 6-ethyl-5,7-dioxo-pyrrolo[4,5][1,4]dithiino[1,2-c]isothiazole-3-carbonitrile + TX, 6-isopentenylaminopurine + TX, 8-fluoro-N-[(1R)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide + TX, 8-fluoro-N-[(1S)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide + TX, 8-hydroxyquinoline sulfate + TX, acethion + TX, acetoprole + TX, acibenzolar + TX, acibenzolar-S-methyl + TX, acrylonitrile + TX,

Adoxophyes orana GV + TX, Agrobacterium radiobacter + TX, aldoxycarb + TX, aldrin + TX, allosamidin + TX, allyxycarb + TX, alpha-chlorohydrin + TX, alpha-ecdysone + TX, alpha-multistriatin + TX, aluminium phosphide + TX, Amblyseius spp. + TX, amectotractin + TX, ametoctradin + TX, amidithion + TX, amidothioate + TX, aminocarb + TX, aminopyrifin + TX, amisulbrom + TX, amiton + TX, amiton hydrogen oxalate + TX, amitraz + TX, anabasine + TX, Anagrapha falcifera NPV + TX, Anagrus atomus + TX, ancymidol + TX, anilazine + TX, anisiflupurin + TX, anthraquinone + TX, antu + TX, Aphelinus abdominalis + TX, Aphidius colemani + TX, Aphidoletes aphidimyza + TX, apholate + TX, aramite + TX, arsenous oxide + TX, athidathion + TX, Autographa californica NPV + TX, azaconazole + TX, azamethiphos + TX, azobenzene + TX, azothoate + TX, azoxystrobin + TX, Bacillus sphaericus Neide + TX, Bacillus thuringiensis delta endotoxins + TX, barium carbonate + TX, barium hexafluorosilicate + TX, barium polysulfide + TX, barthrin + TX, Bayer 22/190 + TX, Bayer 22408 + TX, Beauveria brongniartii + TX, benalaxyl + TX, benclotiaz + TX, benomyl + TX, benoxafos + TX, benthiavalicarb + TX, benzothiofostrobin + TX, benzovindiflupyr + TX, benzyl benzoate + TX, beta-cyfluthrin + TX, beta-cypermethrin + TX, bethoxazin + TX, bioethanomethrin + TX, biopermethrin + TX, bis(2-chloroethyl) ether + TX, bis(tributyltin) oxide + TX, bisazir + TX, bisthiosemi + TX, bitertanol + TX, bixafen + TX, blasticidin-S + TX, borax + TX, bordeaux mixture + TX, boscalid + TX, brevicomin + TX, brodifacoum + TX, brofenvalerate + TX, bromadiolone + TX, bromethalin + TX, bromfenvinfos + TX, bromoacetamide + TX, bromocyclen + TX, bromo-DDT + TX, bromophos + TX, bromopropylate + TX, bromuconazole + TX, bronopol + TX, bufencarb + TX, bupirimate + TX, buprofezin + TX, busulfan + TX, but-3-ynyl N-[6-[[[(Z)-[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate + TX, butacarb + TX, butathiofos + TX, butocarboxim + TX, butonate + TX, butopyronoxyl + TX, butoxy(polypropylene glycol) + TX, butoxycarboxim + TX, butylpyridaben + TX, calcium arsenate + TX, calcium cyanide + TX, calcium polysulfide + TX, camphechlor + TX, captafol + TX, captan + TX, carbanolate + TX, carbendazim + TX, carbon disulfide + TX, carbon tetrachloride + TX, carbophenothion + TX, carboxin + TX, cartap hydrochloride + TX, CAS Number: 2132414-04-9 + TX, CAS Number: 2344721-61-3 + TX, cevadine + TX, chinomethionat + TX, chloralose + TX, chlorbenside + TX, chlorbicyclen + TX, chlordane + TX, chlordecone + TX, chlordimeform + TX, chlordimeform hydrochloride + TX, chlorfenethol + TX, chlorfenson + TX, chlorfensulfide + TX, chlorobenzilate + TX, chloroform + TX, chloroinconazide + TX, chloromebuform + TX, chloromethiuron + TX, chloroneb + TX, chlorophacinone + TX, chloropicrin + TX, chloropropylate + TX, chlorothalonil + TX, chlorphoxim + TX, chlorprazophos + TX, chlorthiophos + TX, chlozolate + TX, cholecalciferol + TX, Chrysoperla carnea + TX, cinerin I + TX, cinerin II + TX, cinerins + TX, cismethrin + TX, cis-resmethrin + TX, clocythrin + TX, closantel + TX, codlure + TX, codlemone + TX, copper acetoarsenite + TX, copper arsenate + TX, copper dioctanoate + TX, copper hydroxide + TX, copper naphthenate + TX, copper oleate + TX, copper oxide + TX, copper oxychloride + TX, copper sulfate + TX, coumachlor + TX, coumafuryl + TX, coumaphos + TX, coumatetralyl + TX, coumethoxystrobin (jiaxiangjunzhi) + TX, coumithoate + TX, coumoxystrobin + TX, cresol + TX, crimidine + TX, crotamiton + TX, crotoxyphos + TX, crufomate + TX, cryolite + TX, Cryptolaemus montrouzieri + TX, CS 708 + TX, cuelure + TX, cufraneb + TX, cyanofenphos + TX, cyanophos + TX, cyanthoate + TX, cyazofamid + TX, cybutryne + TX, cyclethrin + TX, cyclobutrifluram + TX, Cydia pomonella GV + TX, cyflufenamid + TX, cymiazole + TX, cymoxanil + TX, cyproconazole + TX, cyprodinil

+ TX, cythioate + TX, cytokinins + TX, Dacnusa sibirica + TX, DAEP + TX, dazomet + TX, DCIP + TX, DCPM + TX, DDT + TX, debacarb + TX, decarbofuran + TX, demephion + TX, demephion-O + TX, demephion-S + TX, demeton-methyl + TX, demeton-O + TX, demeton-O-methyl + TX, demeton-S + TX, demeton-S-methyl + TX, demeton-S-methylsulfon + TX, diamidafos + TX, dibutyl adipate + TX, dibutyl phthalate + TX, dibutyl succinate + TX, dicapthon + TX, dichlobentiazox + TX, dichlofenthion + TX, dichlofluand + TX, dichlone + TX, dichlorophen + TX, dichlorvos + TX, dichlozoline + TX, dicliphos + TX, diclocymet + TX, diclomezine + TX, dicloran + TX, dicresyl + TX, dicyclanil + TX, dicyclopentadiene + TX, dieldrin + TX, dienochlor + TX, diethofencarb + TX, diethyl 5-methylpyrazol-3-yl phosphate + TX, diethyltoluamide + TX, difenacoum + TX, difenoconazole + TX, difethialone + TX, diflovidazin + TX, Diglyphus isaea + TX, dilor + TX, dimatif + TX, dimefluthrin + TX, dimefox + TX, dimetan + TX, dimethirimol + TX, dimethomorph + TX, dimethrin + TX, dimethyl carbate + TX, dimethyl phthalate + TX, dimethylvinphos + TX, dimetilan + TX, dimoxystrobin + TX, dinex + TX, dinex-diclexine + TX, diniconazole + TX, dinocap-4 + TX, dinocap-6 + TX, dinocton + TX, dinopenton + TX, dinoprop + TX, dinosam + TX, dinoseb + TX, dinosulfon + TX, dinoterbon + TX, diofenolan + TX, dioxabenzofos + TX, dioxathion + TX, diphacinone + TX, diphenyl sulfone + TX, dipymetitrone + TX, dipyrithione + TX, disparlure + TX, disulfiram + TX, dithianon + TX, dithicrofos + TX, DNOC + TX, dodec-8-en-1-yl acetate + TX, dodec-9-en-1-yl acetate + TX, dodeca-8 + TX, dodemorph + TX, dodicin + TX, dodine + TX, dofenapyn + TX, dominicalure + TX, doramectin + TX, DSP + TX, d-tetramethrin + TX, ecdysterone + TX, edifenphos + TX, EI 1642 + TX, EMPC + TX, Encarsia formosa + TX, endothal + TX, endothion + TX, enestroburin + TX, enoxastrobin + TX, EPBP + TX, epoxiconazole + TX, eprinomectin + TX, Eretmocerus eremicus + TX, ergocalciferol + TX, etaphos + TX, ethaboxam + TX, ethiofencarb + TX, ethirimol + TX, ethoate-methyl + TX, ethyl 1-[[4-[(Z)-2-ethoxy-3,3,3-trifluoro-prop-1-enoxy]phenyl]methyl]pyrazole-3-carboxylate (may be prepared from the methods described in WO 2020/056090) + TX, ethyl 1-[[4-[[2-(trifluoromethyl)-1,3-dioxolan-2-yl]methoxy]phenyl]methyl]pyrazole-3-carboxylate (may be prepared from the methods described in WO 2020/056090) + TX, ethyl 1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrazole-4-carboxylate + TX, ethyl 1-[[5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl]methyl]pyrazole-4-carboxylate (this compound may be prepared from the methods described in WO 2018/158365) + TX, ethyl 4-methyloctanoate + TX, ethyl formate + TX, ethyl hexanediol + TX, ethylene dibromide + TX, ethylene dichloride + TX, ethylene oxide + TX, etridiazole + TX, etrimfos + TX, eugenol + TX, EXD + TX, famoxadone + TX, farnesol + TX, farnesol with nerolidol + TX, fenamidone + TX, fenaminosulf + TX, fenaminstrobin + TX, fenanimol + TX, fenazaflor + TX, fenbuconazole + TX, fenbutatin oxide + TX, fenchlorphos + TX, fenethacarb + TX, fenfuram + TX, fenhexamid + TX, fenitrothion + TX, fenothiocarb + TX, fenoxacrim + TX, fenoxanil + TX, fenpiclonil + TX, fempicoxamid + TX, fenpirithrin + TX, fenpropidin + TX, fenpropimorph + TX, fenpyrad + TX, fenpyrazamine + TX, fenpyroximate + TX, fenson + TX, fensulfothion + TX, fenthion + TX, fenthion-ethyl + TX, fentin + TX, fentrifanil + TX, ferbam + TX, ferimzone + TX, ferric phosphate + TX, flocoumafen + TX, florylpicoxamid + TX, fluazinam + TX, flubeneteram + TX, flubenzimine + TX, flucofuron + TX, flucycloxuron + TX, fludioxonil + TX, fluenetil + TX, flufenoxadiazam + TX, flufenoxystrobin + TX, fluindapyr + TX, flumetylsulforim + TX, flumorph + TX, fluopicolide + TX, fluopimomide + TX, fluopyram + TX, fluorbenside + TX, fluoroacetamide + TX, fluoroimide + TX,

fluoxapiprolin + TX, fluoxastrobin + TX, fluoxytioconazole + TX, flupropradine + TX, flupropradine hydrochloride + TX, fluquinconazole + TX, flusilazole + TX, flusulfamide + TX, flutianil + TX, flutolanil + TX, flutriafol + TX, fluxapyroxad + TX, FMC 1137 + TX, folpet + TX, formaldehyde + TX, formetanate + TX, formetanate hydrochloride + TX, formparanate + TX, fosetyl-aluminium + TX, fosmethilan + TX, fospirate + TX, fosthietan + TX, frontalinal + TX, fuberidazole + TX, furalaxyl + TX, furametpyr + TX, furathiocarb + TX, furethrin + TX, furfural + TX, gamma-HCH + TX, glyodin + TX, grandlure + TX, grandlure I + TX, grandlure II + TX, grandlure III + TX, grandlure IV + TX, guazatine + TX, guazatine acetates + TX, halfenprox + TX, HCH + TX, hemel + TX, hempa + TX, HEOD + TX, heptachlor + TX, heterophos + TX, Heterorhabditis bacteriophora and H. megidis + TX, hexaconazole + TX, hexadecyl cyclopropanecarboxylate + TX, hexalure + TX, hexamide + TX, HDDN + TX, Hippodamia convergens + TX, hydrargaphen + TX, hydrated lime + TX, hydrogen cyanide + TX, hymexazol + TX, hyquincarb + TX, imanin + TX, imazalil + TX, imibenconazole + TX, iminoctadine + TX, inpyrfluxam + TX, ipconazole + TX, ipfentrifluconazole + TX, ipflufenquin + TX, iprobenphos + TX, iprodione + TX, iprovalicarb + TX, ipsdienol + TX, ipsenol + TX, IPSP + TX, isamidofos + TX, isazofos + TX, isobenzan + TX, isocarbophos + TX, isodrin + TX, isofenphos + TX, isofetamid + TX, isoflucypram + TX, isolane + TX, isoprothiolane + TX, isopyrazam + TX, isotianil + TX, isoxathion + TX, japonilure + TX, jasmolin I + TX, jasmolin II + TX, jodfenphos + TX, juvenile hormone I + TX, juvenile hormone II + TX, juvenile hormone III + TX, kadethrin + TX, kasugamycin + TX, kasugamycin hydrochloride hydrate + TX, kelevan + TX, kinetin + TX, kinoprene + TX, kresoxim-methyl + TX, lead arsenate + TX, Leptomastix dactylopii + TX, leptophos + TX, lindane + TX, lineatin + TX, lirimfos + TX, litlure + TX, looplure + TX, lvbemmixianan + TX, lythidathion + TX, Macrolophus caliginosus + TX, magnesium phosphide + TX, malonoben + TX, Mamestra brassicae NPV + TX, mancopper + TX, mancozeb + TX, mandestrobin + TX, mandipropamid + TX, maneb + TX, mazidox + TX, m-cumenyl methylcarbamate + TX, mecarbam + TX, mecarphon + TX, medlure + TX, mefentrifluconazole + TX, megatomoic acid + TX, menazon + TX, mepanipyrim + TX, meperfluthrin + TX, mephosfolan + TX, mepronil + TX, mercuric oxide + TX, mercurous chloride + TX, mesulfen + TX, mesulfenfos + TX, metalaxyl + TX, metam + TX, metam-potassium + TX, metam-sodium + TX, Metaphycus helvolus + TX, Metarhizium anisopliae var. acridum + TX, Metarhizium anisopliae var. anisopliae + TX, metarylpicoxamid + TX, metconazole + TX, metepa + TX, methacrifos + TX, methanesulfonyl fluoride + TX, methasulfocarb + TX, methiotepa + TX, methocrotophos + TX, methoprene + TX, methoquin-butyl + TX, methothrin + TX, methoxychlor + TX, methyl (Z)-2-(5-cyclohexyl-2-methyl-phenoxy)-3-methoxy-prop-2-enoate + TX, methyl (Z)-2-(5-cyclopentyl-2-methyl-phenoxy)-3-methoxy-prop-2-enoate (these compounds may be prepared from the methods described in WO2020/193387) + TX, methyl (Z)-2-[5-(3-isopropylpyrazol-1-yl)-2-methyl-phenoxy]-3-methoxy-prop-2-enoate + TX, methyl (Z)-3-methoxy-2-[2-methyl-5-(3-propylpyrazol-1-yl)phenoxy]prop-2-enoate + TX, methyl (Z)-3-methoxy-2-[2-methyl-5-(4-propyltriazol-2-yl)phenoxy]prop-2-enoate + TX, methyl (Z)-3-methoxy-2-[2-methyl-5-[3-(trifluoromethyl)pyrazol-1-yl]phenoxy]prop-2-enoate (these compounds may be prepared from the methods described in WO2020/079111) + TX, methyl (Z)-3-methoxy-2-[2-methyl-5-[4-(trifluoromethyl)triazol-2-yl]phenoxy]prop-2-enoate + TX, methyl apholate + TX, methyl bromide + TX, methyl eugenol + TX, methyl isothiocyanate + TX, methyl N-[[4-[1-(2,6-difluoro-4-isopropyl-phenyl)pyrazol-4-yl]-2-methyl-phenyl]methyl]carbamate (may be prepared from the methods

described in WO 2020/097012) + TX, methyl N-[[4-[1-(4-cyclopropyl-2,6-difluoro-phenyl)pyrazol-4-yl]-2-methyl-phenyl]methyl]carbamate (may be prepared from the methods described in WO 2020/097012) + TX, methyl N-[[5-[4-(2,4-dimethylphenyl)triazol-2-yl]-2-methyl-phenyl]methyl]carbamate + TX, methylchloroform + TX, methylene chloride + TX, methylneodecanamide + TX, metiram + TX, metolcarb + TX, metominostrobin + TX, metoxadiazone + TX, metrafenone + TX, metyltetraprole + TX, MGK 264 + TX, milbemycin oxime + TX, mipafox + TX, mirex + TX, monocrotophos + TX, morphothion + TX, morzid + TX, moxidectin + TX, muscalure + TX, myclobutanil + TX, myclozoline + TX, Myrothecium verrucaria composition + TX, N-((1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide (these compounds may be prepared from the methods described in WO2017/153380) + TX, N-((1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide (these compounds may be prepared from the methods described in WO2017/153380) + TX, N'-(2,5-dimethyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine + TX, N'-(2-chloro-5-methyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine + TX, N,2-dimethoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide + TX, N,N-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]-1,2,4-triazol-3-amine (THESE COMPOUNDS may be prepared from the methods described in WO 2017/055473, WO 2017/055469, WO 2017/093348 and WO 2017/118689) + TX, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide + TX, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1R)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(E)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX, N-[(Z)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX, N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide + TX, N-[2-[2,4-dichlorophenoxy]phenyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide + TX, N-[2-[2-chloro-4-(trifluoromethyl)phenoxy]phenyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide + TX, N'-[2-chloro-4-(2-fluorophenoxy)-5-methyl-phenyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in WO 2016/202742) + TX, N'-[4-(4,5-dichlorothiazol-2-yl)oxy-2,5-dimethyl-phenyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described in WO2015/155075) + TX, N'-[5-bromo-2-methyl-6-(2-propoxypropoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in IPCOM000249876D) + TX, N'-[5-bromo-2-methyl-6-[(1R)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-[(1S)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-chloro-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N-[N-methoxy-C-methyl-carbonimidoyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide (these compounds may be prepared from the methods described in WO 2018/202428) + TX, N'-[4-(1-cyclopropyl-2,2,2-trifluoro-1-hydroxy-ethyl)-5-methoxy-2-methyl-phenyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described in

WO2018/228896) + TX, nabam + TX, naftalofos + TX, naled + TX, naphthalene + TX, NC-170 + TX, Neodiprion sertifer NPV and N. lecontei NPV + TX, nerolidol + TX, N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide + TX, N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifluoromethyl)oxetan-2-yl]phenyl]-N-methyl-formamidine + TX, nickel bis(dimethyldithiocarbamate) + TX, niclosamide-olamine + TX, nicotine + TX, nicotine sulfate + TX, nifluridide + TX, nikkomycins + TX, N-isopropyl-N'-[5-methoxy-2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenyl-ethyl)phenyl]-N-methyl-formamidine + TX, nithiazine + TX, nitrapyrin + TX, nitrilacarb + TX, nitrilacarb 1:1 zinc chloride complex + TX, nitrothal-isopropyl + TX, N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]cyclopropanecarboxamide + TX, N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX, N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide + TX, norbormide + TX, nuarimol + TX, O,O,O',O'-tetrapropyl dithiopyrophosphate + TX, octadeca-2,13-dien-1-yl acetate + TX, octadeca-3,13-dien-1-yl acetate + TX, octhilinone + TX, ofurace + TX, oleic acid + TX, omethoate + TX, orfralure + TX, Orius spp. + TX, oryctalure + TX, orysastrobin + TX, ostramone + TX, oxadixyl + TX, oxamate + TX, oxathiapirolin + TX, oxine-copper + TX, oxolinic acid + TX, oxycarboxin + TX, oxydeprofos + TX, oxydisulfoton + TX, oxytetracycline + TX, paclobutrazole + TX, Paecilomyces fumosoroseus + TX, para-dichlorobenzene + TX, parathion + TX, parathion-methyl + TX, pefurazoate + TX, penconazole + TX, pencycuron + TX, penflufen + TX, penfluron + TX, pentachlorophenol + TX, pentachlorophenyl laurate + TX, penthiopyrad + TX, permethrin + TX, PH 60-38 + TX, phenamacril + TX, phenkapton + TX, phosacetim + TX, phosalone + TX, phosdiphen + TX, phosfolan + TX, phosglycin + TX, phosnichlor + TX, phosphamidon + TX, phosphine + TX, phosphorus + TX, phoxim-methyl + TX, phthalide + TX, Phytoseiulus persimilis + TX, picarbutrazox + TX, picaridin + TX, picoxystrobin + TX, pindone + TX, piperazine + TX, piperonyl butoxide + TX, piprotal + TX, pirimetaphos + TX, polychlorodicyclopentadiene isomers + TX, polychloroterpenes + TX, polynactins + TX, polyoxins + TX, potassium arsenite + TX, potassium ethylxanthate + TX, potassium hydroxyquinoline sulfate + TX, potassium thiocyanate + TX, pp'-DDT + TX, precocene I + TX, precocene II + TX, precocene III + TX, primidophos + TX, probenazole + TX, prochloraz + TX, proclonol + TX, procymidone + TX, profluthrin + TX, promacyl + TX, promecarb + TX, propamocarb + TX, propiconazole + TX, propineb + TX, propoxur + TX, propyl isomer + TX, proquinazid + TX, prothidathion + TX, prothioconazole + TX, prothiofos + TX, prothoate + TX, pydiflumetofen + TX, pyraclostrobin + TX, pyrametostrobin + TX, pyraoxystrobin + TX, pyrapropoyne + TX, pyraziflumid + TX, pyrazophos + TX, pyresmethrin + TX, pyrethrin I + TX, pyrethrin II + TX, pyrethrins + TX, pyribencarb + TX, pyridachlometyl + TX, pyridaphenthion + TX, pyridin-4-amine + TX, pyrifenoxy + TX, pyrimethanil + TX, pyrimitate + TX, pyrimorph + TX, pyrinuron + TX, pyriofenone + TX, pyrisoxazole + TX, pyroquilon + TX, quassia + TX, quinalphos + TX, quinalphos-methyl + TX, quinoclamine + TX, quinofumelin + TX, quinonamid + TX, quinothion + TX, quinoxifen + TX, quintiofos + TX, quintozone + TX, R-1492 + TX, rafoxanide + TX, resmethrin + TX, Reynoutria sachalinensis extract + TX, ribavirin + TX, Rmetalaxyl + TX, rotenone + TX, ryania + TX, ryanodine + TX, S421 + TX, sabadilla + TX, schradan + TX, scilliroside + TX, seboctylamine + TX, sebufos + TX, sedaxane + TX, selamectin + TX, sesamex + TX, sesasmolin + TX, SI-0009 + TX, siglure + TX, simazine + TX, simeconazole + TX, sodium arsenite + TX, sodium cyanide + TX, sodium fluoride + TX, sodium fluoroacetate + TX, sodium hexafluorosilicate + TX, sodium

pentachlorophenoxide + TX, sodium selenate + TX, sodium tetrathiocarbonate + TX, sodium thiocyanate + TX, sophamide + TX, sordidin + TX, spiroxamine + TX, SSI-121 + TX, Steinernema bibionis + TX, Steinernema carpocapsae + TX, Steinernema feltiae + TX, Steinernema glaseri + TX, Steinernema riobrave + TX, Steinernema riobravus + TX, Steinernema scapterisci + TX, Steinernema spp. + TX, streptomycin + TX, streptomycin sesquisulfate + TX, strychnine + TX, sulcatol + TX, sulcofuron + TX, sulcofuron-sodium + TX, sulfiram + TX, sulfluramid + TX, sulfotep + TX, sulfoxide + TX, sulfur + TX, sulfuryl fluoride + TX, sulprofos + TX, tar oils + TX, tau-fluvalinate + TX, tazimcarb + TX, TDE + TX, tebuconazole + TX, tebufloquin + TX, tebupirimfos + TX, tecloftalam + TX, temephos + TX, tepa + TX, TEPP + TX, terallethrin + TX, terbam + TX, tert-butyl N-[6-[[[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate + TX, tetrachloroethane + TX, tetrachlorothiophene + TX, tetraconazole + TX, tetradec-11-en-1-yl acetate + TX, tetradifon + TX, tetramethylfluthrin + TX, tetrasul + TX, thallium sulfate + TX, thiabendazole + TX, thiafenox + TX, thiapronil + TX, thicofos + TX, thifluzamide + TX, thiocarboxime + TX, thiocyclam + TX, thiocyclam hydrogen oxalate + TX, thiodiazole copper + TX, thiofanox + TX, thiohempa + TX, thiomersal + TX, thiometon + TX, thionazin + TX, thiophanate + TX, thiophanate-methyl + TX, thioquinox + TX, thiosultap + TX, thiosultap-sodium + TX, thiotepa + TX, thiram + TX, thuringiensin + TX, tiadinil + TX, tolclofos-methyl + TX, tolprocarb + TX, tolylfluanid + TX, tralomethrin + TX, transpermethrin + TX, tretamine + TX, triadimefon + TX, triadimenol + TX, triamiphos + TX, triarathene + TX, triazamate + TX, triazophos + TX, triazoxide + TX, triazuron + TX, tributyltin oxide + TX, trichlormetaphos-3 + TX, trichloronat + TX, Trichogramma spp. + TX, triclopyricarb + TX, tricyclazole + TX, tridemorph + TX, trifenmorph + TX, trifenofos + TX, trifloxystrobin + TX, triflumizole + TX, triforine + TX, trimedlure + TX, trimedlure A + TX, trimedlure B1 + TX, trimedlure B2 + TX, trimedlure C + TX, trimethacarb + TX, trinactin + TX, trinexapac + TX, triphenyltin acetate + TX, triphenyltin hydroxide + TX, triprene + TX, triticonazole + TX, trunc-call + TX, Typhlodromus occidentalis + TX, uredepa + TX, validamycin + TX, valifenalate + TX, vamidothion + TX, vaniliprole + TX, veratridine + TX, veratrine + TX, verbutin + TX, Verticillium lecanii + TX, vinclozoline + TX, warfarin + TX, XMC + TX, xyleneols + TX, zeatin + TX, zetamethrin + TX, zhongshengmycin + TX, zinc naphthenate + TX, zinc phosphide + TX, zinc thiazole + TX, zineb + TX, ziram + TX, zolaprofos + TX;

Acinetobacter lwoffii + TX, Acremonium alternatum + TX, Acremonium cephalosporium + TX, Acremonium diospyri + TX, Acremonium obclavatum + TX, Adoxophyes orana granulovirus (AdoxGV) (Capex®) + TX, Agrobacterium radiobacter strain K84 (Galltrol-A®) + TX, Alternaria alternate + TX, Alternaria cassia + TX, Alternaria destruens (Smolder®) + TX, Ampelomyces quisqualis (AQ10®) + TX, Aspergillus flavus AF36 (AF36®) + TX, Aspergillus flavus NRRL 21882 (Aflaguard®) + TX, Aspergillus spp. + TX, Aureobasidium pullulans + TX, Azospirillum (MicroAZ®, TAZO B®) + TX, Azotobacter + TX, Azotobacter chroococcum (Azotomeal®) + TX, Azotobacter cysts (Bionatural Blooming Blossoms®) + TX, Bacillus amyloliquefaciens + TX, Bacillus cereus + TX, Bacillus chitinosporus strain AQ746 + TX, Bacillus chitinosporus strain CM-1 + TX, Bacillus circulans + TX, Bacillus firmus (BioSafe®, BioNem-WP®) in particular strain CNMC 1-1582 (e.g. VOTIVO® from BASF SE) + TX, Bacillus licheniformis strain 3086 (EcoGuard®, Green Release®) + TX, Bacillus licheniformis strain HB-2 (Biostart™ formerly Rhizoboost®) + TX, Bacillus macerans + TX, Bacillus marismortui + TX, Bacillus megaterium + TX,

Bacillus mycoides strain AQ726 + TX, Bacillus papillae (Milky Spore Powder®) + TX, Bacillus pumilus spp. + TX, Bacillus pumilus strain AQ717 + TX, Bacillus pumilus strain GB34 (Yield Shield®) + TX, Bacillus pumilus strain QST 2808 (Sonata®, Ballad Plus®) + TX, Bacillus sphaericus (VectoLex®) + TX, Bacillus spp. + TX, Bacillus spp. strain AQ175 + TX, Bacillus spp. strain AQ177 + TX, Bacillus spp. strain AQ178 + TX, Bacillus subtilis strain AQ153 + TX, Bacillus subtilis strain AQ743 + TX, Bacillus subtilis strain QST 713 (CEASE®, Serenade®, Rhapsody®) + TX, Bacillus subtilis strain QST 714 (JAZZ®) + TX, Bacillus subtilis strain QST3002 + TX, Bacillus subtilis strain QST3004 + TX, Bacillus subtilis var. amyloliquefaciens strain FZB24 (Taegro®, Rhizopro®) + TX, Bacillus thuringiensis aizawai GC 91 (Agree®) + TX, Bacillus thuringiensis Cry 2Ae + TX, Bacillus thuringiensis Cry1Ab + TX, Bacillus thuringiensis israelensis (BMP123®, Aquabac®, VectoBac®) + TX, Bacillus thuringiensis kurstaki (Javelin®, Deliver®, CryMax®, Bonide®, Scutella WP®, Turilav WP®, Astuto®, Dipel WP®, Biobit®, Foray®) + TX, Bacillus thuringiensis kurstaki BMP 123 (Baritone®) + TX, Bacillus thuringiensis kurstaki HD-1 (Bioprotec-CAF / 3P®) + TX, Bacillus thuringiensis strain AQ52 + TX, Bacillus thuringiensis strain BD#32 + TX, Bacillus thuringiensis tenebrionis (Novodor®, BtBooster) + TX, Bacillus thuringiensis var. aizawai (XenTari®, DiPel®) + TX, bacteria spp. (GROWMEND®, GROWSWEET®, Shootup®) + TX, bacteriophage of *Clavipacter michiganensis* (AgriPhage®, Bakflor®) + TX, *Beauveria bassiana* (Beaugenic®, Brocaril WP®) + TX, *Beauveria bassiana* GHA (Mycotrol ES®, Mycotrol O®, BotaniGuard®) + TX, *Beauveria brongniartii* (Engerlingspilz®, Schweizer Beauveria®, Melocont®) + TX, *Beauveria* spp. + TX, *Botrytis cineria* + TX, *Bradyrhizobium japonicum* (TerraMax®) + TX, *Brevibacillus brevis* + TX, *Burkholderia cepacia* (Deny®, Intercept®, Blue Circle®) + TX, *Burkholderia gladii* + TX, *Burkholderia gladioli* + TX, *Burkholderia* spp. + TX, Canadian thistle fungus (CBH Canadian Bioherbicide®) + TX, *Candida butyri* + TX, *Candida famata* + TX, *Candida fructus* + TX, *Candida glabrata* + TX, *Candida guilliermondii* + TX, *Candida melibiosica* + TX, *Candida oleophila* strain O + TX, *Candida parapsilosis* + TX, *Candida pelliculosa* + TX, *Candida pulcherrima* + TX, *Candida reukaufii* + TX, *Candida saitoana* (Bio-Coat®, Biocure®) + TX, *Candida sake* + TX, *Candida* spp. + TX, *Candida tenuis* + TX, *Cedecea davisae* + TX, *Cellulomonas flavigena* + TX, *Chaetomium cochliodes* (Nova-Cide®) + TX, *Chaetomium globosum* (Nova-Cide®) + TX, *Chromobacterium subtsugae* strain PRAA4-1T (Grandevo®) + TX, *Cladosporium chlorocephalum* + TX, *Cladosporium cladosporioides* + TX, *Cladosporium oxysporum* + TX, *Cladosporium* spp. + TX, *Cladosporium tenuissimum* + TX, *Clonostachys rosea* (EndoFine®) + TX, *Colletotrichum acutatum* + TX, *Coniothyrium minitans* (Cotans WG®) + TX, *Coniothyrium* spp. + TX, *Cryptococcus albidus* (YIELDPLUS®) + TX, *Cryptococcus humicola* + TX, *Cryptococcus infirmo-miniatus* + TX, *Cryptococcus laurentii* + TX, *Cryptophlebia leucotreta granulovirus* (Cryptex®) + TX, *Cupriavidus campinensis* + TX, *Cydia pomonella granulovirus* (CYD-X®, Madex®, Madex® Plus, Madex Max, Carpovirusine®) + TX, *Cylindrobasidium laeve* (Stumpout®) + TX, *Cylindrocladium* + TX, *Debaryomyces hansenii* + TX, *Drechslera hawaiiensis* + TX, *Enterobacter cloacae* + TX, *Enterobacteriaceae* + TX, *Entomophthora virulenta* (Vektor®) + TX, *Epicoccum nigrum* + TX, *Epicoccum purpurascens* + TX, *Epicoccum* spp. + TX, *Filobasidium floriforme* + TX, *Fusarium acuminatum* + TX, *Fusarium chlamydosporum* + TX, *Fusarium oxysporum* (Fusaclean®, Biofox C®) + TX, *Fusarium proliferatum* + TX, *Fusarium* spp. + TX, *Galactomyces geotrichum* + TX, *Gliocladium catenulatum* (Primastop®, Prestop®) + TX, *Gliocladium roseum* + TX, *Gliocladium* spp.

(SoilGard®) + TX, Gliocladium virens (Soilgard®) + TX, Granulovirus (Granupom®) + TX, Halobacillus halophilus + TX, Halobacillus litoralis + TX, Halobacillus trueperi + TX, Halomonas spp. + TX, Halomonas subglaciescola + TX, Halovibrio variabilis + TX, Hanseniaspora uvarum + TX, Helicoverpa armigera nucleopolyhedrovirus (Helicovex®) + TX, Helicoverpa zea nuclear polyhedrosis virus (Gemstar®) + TX, Isaria fumosorosea (previously known as Paecilomyces fumosoroseus strain, PFR-97®, PreFeRal®) + TX, Isoflavone formononetin (Myconate®) + TX, Kloeckera apiculata + TX, Kloeckera spp. + TX, Lagenidium giganteum (Laginex®) + TX, Lecanicillium lecanii (formerly known as Verticillium lecanii (Mycotal®) conidia of strain KV01 (e.g. Vertalec® by Koppert/Arysta) + TX, Lecanicillium longisporum (Vertiblast®) + TX, Lecanicillium muscarium (Vertikil®) + TX, Lymantria Dispar nucleopolyhedrosis virus (Disparvirus®) + TX, Marinococcus halophilus + TX, Meira geulakonigii + TX, Metarhizium anisopliae (Destruxin WP®) + TX, Metarhizium anisopliae (Met52®) + TX, Metschnikowia fruticola (Shemer®) + TX, Metschnikowia pulcherrima + TX, Microdochium dimerum (Antibot®) + TX, Micromonospora coerulea + TX, Microsphaeropsis ochracea + TX, Muscodor albus 620 (Muscodor®) + TX, Muscodor roseus in particular strain A3-5 (Accession No. NRRL 30548) + TX, Mycorrhizae spp. (AMykor®, Root Maximizer®) + TX, Myrothecium verrucaria strain AARC-0255 (DiTera®, BROS PLUS®) + TX, Ophiostoma piliferum strain D97 (Sylvanex®) + TX, Paecilomyces farinosus + TX, Paecilomyces lilacinus strain 251 (MeloCon WG®) + TX, Paecilomyces linacinus (Biostat WP®) + TX, Paenibacillus polymyxa + TX, Pantoea agglomerans (BlightBan C9-1®) + TX, Pantoea spp. + TX, Pasteuria nishizawae in particular strain Pn1 (CLARIVA from Syngenta/ChemChina); + TX, Pasteuria spp. (Econem®) + TX, Penicillium aurantiogriseum + TX, Penicillium billai (Jumpstart®, TagTeam®) + TX, Penicillium brevicompactum + TX, Penicillium frequentans + TX, Penicillium griseofulvum + TX, Penicillium purpurogenum + TX, Penicillium spp. + TX, Penicillium viridicatum + TX, Phlebiopsis gigantea (Rotstop®) + TX, phosphate solubilizing bacteria (Phosphomeal®) + TX, Phytophthora cryptogea + TX, Phytophthora palmivora (Devine®) + TX, Pichia anomala + TX, Pichia guilliermondii + TX, Pichia membranaefaciens + TX, Pichia onychis + TX, Pichia stipites + TX, Pseudomonas aeruginosa + TX, Pseudomonas aureofasciens (Spot-Less Biofungicide®) + TX, Pseudomonas cepacia + TX, Pseudomonas chlororaphis (AtEze®) + TX, Pseudomonas corrugate + TX, Pseudomonas fluorescens (Zequanox®) + TX, Pseudomonas fluorescens strain A506 (BlightBan A506®) + TX, Pseudomonas putida + TX, Pseudomonas reactans + TX, Pseudomonas spp. + TX, Pseudomonas syringae (Bio-Save®) + TX, Pseudomonas viridiflava + TX, Pseudozyma flocculosa strain PF-A22 UL (Sporodex L®) + TX, Puccinia canaliculata + TX, Puccinia thlaspeos (Wood Warrior®) + TX, Pythium paroecandrum + TX, Pythium oligandrum (Polygandron®, Polyversum®) + TX, Pythium periplocum + TX, Rhanella aquatilis + TX, Rhanella spp. + TX, Rhizobia (Dormal®, Vault®) + TX, Rhizoctonia + TX, Rhodococcus globerulus strain AQ719 + TX, Rhodosporidium diobovatum + TX, Rhodosporidium toruloides + TX, Rhodotorula glutinis + TX, Rhodotorula graminis + TX, Rhodotorula mucilagnosa + TX, Rhodotorula rubra + TX, Rhodotorula spp. + TX, Saccharomyces cerevisiae + TX, Salinococcus roseus + TX, Sclerotinia minor (SARRITOR®) + TX, Sclerotinia minor + TX, Scytalidium spp. + TX, Scytalidium uredinicola + TX, Serratia marcescens + TX, Serratia plymuthica + TX, Serratia spp. + TX, Sordaria fimicola + TX, Spodoptera exigua nuclear polyhedrosis virus (Spod-X®, Spexit®) + TX, Spodoptera littoralis nucleopolyhedrovirus (Littovir®) + TX,

Sporobolomyces roseus + TX, Stenotrophomonas maltophilia + TX, Streptomyces albaduncus + TX, Streptomyces exfoliates + TX, Streptomyces galbus + TX, Streptomyces griseoplanus + TX, Streptomyces griseoviridis (Mycostop®) + TX, Streptomyces hygroscopicus + TX, Streptomyces lydicus (Actinovate®) + TX, Streptomyces lydicus WYEC-108 (ActinoGrow®) + TX, Streptomyces violaceus + TX, Tilletiopsis minor + TX, Tilletiopsis spp. + TX, Trichoderma asperellum (T34 Biocontrol®) + TX, Trichoderma atroviride (Plantmate®) + TX, Trichoderma gamsii (Tenet®) + TX, Trichoderma hamatum TH 382 + TX, Trichoderma harzianum rifai (Mycostar®) + TX, Trichoderma harzianum T-22 (Trianium-P®, PlantShield HC®, RootShield®, Trianium-G® + TX, Trichoderma harzianum T-39 (Trichodex®) + TX, Trichoderma inhamatum + TX, Trichoderma koningii + TX, Trichoderma lignorum + TX, Trichoderma longibrachiatum + TX, Trichoderma polysporum (Binab T®) + TX, Trichoderma spp. LC 52 (Sentinel®) + TX, Trichoderma taxi + TX, Trichoderma virens (formerly Gliocladium virens GL-21) (SoilGuard®) + TX, Trichoderma virens + TX, Trichoderma viride + TX, Trichoderma viride strain ICC 080 (Remedier®) + TX, Trichosporon pullulans + TX, Trichosporon spp. + TX, Trichothecium roseum + TX, Trichothecium spp. + TX, Typhula phacorrhiza strain 94670 + TX, Typhula phacorrhiza strain 94671 + TX, Ulocladium atrum + TX, Ulocladium oudemansii (Botry-Zen®) + TX, Ustilago maydis + TX, various bacteria and supplementary micronutrients (Natural II®) + TX, various fungi (Millennium Microbes®) + TX, Verticillium chlamydosporium + TX, Vip3Aa20 (VIPTera®) + TX, Virgibacillus marismortui + TX, Xanthomonas campestris pv. Poae (Camperico®) + TX, Xenorhabdus bovienii + TX, Xenorhabdus nematophilus + TX;

AGNIQUE® MMF + TX, azadirachtin (Plasma Neem Oil®, AzaGuard®, MeemAzal®, Molt-X® e.g. AZATIN XL from Certis, US) + TX, Botanical IGR (Neemazad®, Neemix®) + TX, BugOil® + TX, canola oil (Lilly Miller Vegol®) + TX, Chenopodium ambrosioides near ambrosioides (Requiem®) + TX, Chrysanthemum extract (Crisant®) + TX, essentials oils of Labiatae (Botania®) + TX, extract of neem oil (Trilogy®) + TX, extracts of clove rosemary peppermint and thyme oil (Garden insect killer®) + TX, garlic + TX, Glycinebetaine (Greenstim®) + TX, kaolin (Screen®) + TX, lemongrass oil (GreenMatch®) + TX, Melaleuca alternifolia extract (also called tea tree oil) (Timorex Gold®) + TX, mixture of clove peppermint garlic oil and mint (Soil Shot®) + TX, mixture of clove rosemary and peppermint extract (EF 400®) + TX, mixture of rosemary sesame peppermint thyme and cinnamon extracts (EF 300®) + TX, neem oil + TX, Nepeta cataria (Catnip oil) + TX, Nepeta catarina + TX, nicotine + TX, oregano oil (MossBuster®) + TX, Pedaliaceae oil (Nematon®) + TX, pine oil (Retenol®) + TX, pyrethrum + TX, Quillaja saponaria (NemaQ®) + TX, Reynoutria sachalinensis (Regalia®, Sakalia®) + TX, rotenone (Eco Roten®) + TX, Rutaceae plant extract (Soleo®) + TX, soybean oil (Ortho ecosense®) + TX, storage glucan of brown algae (Laminarin®) + TX, thyme oil + TX;

(E,Z)-7,9-Dodecadien-1-yl acetate + TX, (E,Z,Z)-3,8,11 Tetradecatrienyl acetate + TX, (Z,Z,E)-7,11,13-Hexadecatrienal + TX, 2-Methyl-1-butanol + TX, Biolure® + TX, blackheaded fireworm pheromone (3M Sprayable Blackheaded Fireworm Pheromone®) + TX, Calcium acetate + TX, Check-Mate® + TX, Codling Moth Pheromone (Paramount dispenser-(CM)/ Isomate C-Plus®) + TX, Entostat powder (extract from palm tree) (Exosex CM®) + TX, Grape Berry Moth Pheromone (3M MEC-GBM Sprayable Pheromone®) + TX, Lavandulyl senecioate + TX, Leafroller pheromone (3M MEC – LR Sprayable Pheromone®) + TX, Muscamone (Snip7 Fly Bait®) + TX, Oriental Fruit Moth Pheromone (3M oriental

fruit moth sprayable pheromone®) + TX, Peachtree Borer Pheromone (Isomate-P®) + TX, Scenturion® + TX, Starbar Premium Fly Bait®) + TX, Tomato Pinworm Pheromone (3M Sprayable pheromone®) + TX;

Acerophagus papaya + TX, Adalia bipunctata (Adalia-System®) + TX, Adalia bipunctata (Adaline®) + TX, Adalia bipunctata (Aphidalia®) + TX, Aeniaspis citricola + TX, Aeniaspis fuscicollis + TX, Amblyseius andersoni (Anderline®, Andersoni-System®) + TX, Amblyseius californicus (Amblyline®, Spical®) + TX, Amblyseius cucumeris (Thripex®, Bugline cucumeris®) + TX, Amblyseius fallacis (Fallacis®) + TX, Amblyseius swirskii (Bugline swirskii®, Swirskii-Mite®) + TX, Amblyseius womersleyi (WomerMite®) + TX, Amitus hesperidum + TX, Anagrus atomus + TX, Anagrus fusciventris + TX, Anagrus kamali + TX, Anagrus loecki + TX, Anagrus pseudococci (Citripar®) + TX, Anicetus benefices + TX, Anisopteromalus calandrae + TX, Anthocoris nemoralis (Anthocoris-System®) + TX, Aphelinus abdominalis (Apheline®, Aphiline®), + TX, Aphelinus asychis + TX, Aphidius colemani (Ahipar®) + TX, Aphidius ervi (Aphelinus-System®) + TX, Aphidius ervi (Ervipar®) + TX, Aphidius gifuensis + TX, Aphidius matricariae (Ahipar-M®) + TX, Aphidoletes aphidimyza (Aphidend®, Aphidoline®) + TX, Aphytis lingnanensis + TX, Aphytis melinus + TX, Aprostocetus hagenowii + TX, Atheta coriaria (Staphyline®) + TX, Bombus spp. + TX, Bombus terrestris (Beeline®, Tripol®) + TX, Bombus terrestris (Natupol Beehive®) + TX, Cephalonomia stephanoderis + TX, Chilocorus nigritus + TX, Chrysoperla carnea (Chrysoline®, Chrysopa®) + TX, Chrysoperla rufilabris + TX, Cirrospilus ingenuus + TX, Cirrospilus quadristriatus + TX, Citrostichus phyllocnistoides + TX, Closterocerus chamaeleon + TX, Closterocerus spp. + TX, Coccidoxenoides perminutus (Planopar®) + TX, Coccophagus cowperi + TX, Coccophagus lycimnia + TX, Cotesia flavipes + TX, Cotesia plutellae + TX, Cryptolaemus montrouzieri (Cryptobug®, Cryptoline®) + TX, Cybocephalus nipponicus + TX, Dacnusa sibirica (Minusa®, DacDigline®, Minex®) + TX, Delphastus catalinae (Delphastus®) + TX, Delphastus pusillus + TX, Diachasmimorpha krausii + TX, Diachasmimorpha longicaudata + TX, Diaparsis jucunda + TX, Diaphorencyrtus aligarhensis + TX, Diglyphus isaea (Diminex®, Miglyphus®, Digline®) + TX, Diversinervus spp. + TX, Encarsia citrina + TX, Encarsia formosa (Encarsia max®, Encarline®, EnStrip®) + TX, Encarsia guadeloupae + TX, Encarsia haitiensis + TX, Episyrrhus balteatus (Syrphidend®) + TX, Eretmoceris siphonini + TX, Eretmocerus californicus + TX, Eretmocerus eremicus (Eremix®, Ercal®, Eretline e®, Bemimix®) + TX, Eretmocerus hayati + TX, Eretmocerus mundus (Bemipar®, Eretline m®) + TX, Eretmocerus siphonini + TX, Exochomus quadripustulatus + TX, Feltiella acarisuga (Feltiline®) + TX, Feltiella acarisuga (Spidend®) + TX, Fopius arisanus + TX, Fopius ceratitivorius + TX, Formononetin (Wirless Beehome®) + TX, Franklinothrips vespiformis (Vespop®) + TX, Galendromus occidentalis + TX, Goniozus legneri + TX, Habrobracon hebetor + TX, Harmonia axyridis (HarmoBeetle®) + TX, Heterorhabditis bacteriophora (NemaShield HB®, Nemaseek®, Terranem-Nam®, Terranem®, Larvanem®, B-Green®, NemAttack®, Nematop®) + TX, Heterorhabditis megidis (Nemasys H®, BioNem H®, Exhibitline hm®, Larvanem-M®) + TX, Heterorhabditis spp. (Lawn Patrol®) + TX, Hippodamia convergens + TX, Hypoaspis aculeifer (Aculeifer-System®, Entomite-A®) + TX, Hypoaspis miles (Hypoline m®, Entomite-M®) + TX, Lbalia leucospoides + TX, Lecanoideus floccissimus + TX, Lemophagus errabundus + TX, Leptomastidea abnormis + TX, Leptomastix dactylopii (Leptopar®) + TX, Leptomastix epona + TX, Lindorus lophanthae + TX, Lipolexis oregmae +

TX, *Lucilia caesar* (NatuFly®) + TX, *Lysiphlebus testaceipes* + TX, *Macrolophus caliginosus* (Mirical-N®, Macroline c®, Mirical®) + TX, *Mesoseiulus longipes* + TX, *Metaphycus flavus* + TX, *Metaphycus lounsburyi* + TX, *Micromus angulatus* (Milacewing®) + TX, *Microterys flavus* + TX, *Muscidifurax raptorellus* and *Spalangia cameroni* (Biopar®) + TX, *Neodryinus typhlocybae* + TX, *Neoseiulus californicus* + TX, *Neoseiulus cucumeris* (THRYPEX®) + TX, *Neoseiulus fallacis* + TX, *Nesideocoris tenuis* (NesidioBug®, Nesibug®) + TX, *Ophyra aenescens* (Biofly®) + TX, *Orius insidiosus* (Thripor-l®, Oriline i®) + TX, *Orius laevigatus* (Thripor-L®, Oriline l®) + TX, *Orius majusculus* (Oriline m®) + TX, *Orius strigicollis* (Thripor-S®) + TX, *Pauesia juniperorum* + TX, *Pediobius foveolatus* + TX, *Phasmarhabditis hermaphrodita* (Nemaslug®) + TX, *Phymastichus coffea* + TX, *Phytoseiulus macropilus* + TX, *Phytoseiulus persimilis* (Spidex®, Phytoline p®) + TX, *Podisus maculiventris* (Podisus®) + TX, *Pseudacteon curvatus* + TX, *Pseudacteon obtusus* + TX, *Pseudacteon tricuspsis* + TX, *Pseudaphycus maculipennis* + TX, *Pseudleptomastix mexicana* + TX, *Psyllaephagus pilosus* + TX, *Psytalia concolor* (complex) + TX, *Quadrastichus* spp. + TX, *Rhyzobius lophanthae* + TX, *Rodolia cardinalis* + TX, *Rumina decollate* + TX, *Semiolachar petiolatus* + TX, *Sitobion avenae* (Ervibank®) + TX, *Steinernema carpocapsae* (Nematac C®, Millenium®, BioNem C®, NemAttack®, Nemastar®, Capsanem®) + TX, *Steinernema feltiae* (NemaShield®, Nemasys F®, BioNem F®, Steinernema-System®, NemAttack®, Nemaplus®, Exhibitline sf®, Scia-rid®, Entonem®) + TX, *Steinernema kraussei* (Nemasys L®, BioNem L®, Exhibitline srb®) + TX, *Steinernema riobrave* (BioVector®, BioVektor®) + TX, *Steinernema scapterisci* (Nematac S®) + TX, *Steinernema* spp. + TX, *Steinernematid* spp. (Guardian Nematodes®) + TX, *Stethorus punctillum* (Stethorus®) + TX, *Tamarixia radiata* + TX, *Tetrastichus setifer* + TX, *Thripobius semiluteus* + TX, *Torymus sinensis* + TX, *Trichogramma brassicae* (Tricholine b®) + TX, *Trichogramma brassicae* (Tricho-Strip®) + TX, *Trichogramma evanescens* + TX, *Trichogramma minutum* + TX, *Trichogramma ostrinae* + TX, *Trichogramma platneri* + TX, *Trichogramma pretiosum* + TX, *Xanthopimpla stemmator* + TX;

abscisic acid + TX, Aminomite® + TX, BioGain® + TX, bioSea® + TX, CAS Number: 2643947-26-4 + TX, *Chondrostereum purpureum* (Chontrol Paste®) + TX, *Colletotrichum gloeosporioides* (Collego®) + TX, Copper Octanoate (Cueva®) + TX, Delta traps (Trapline d®) + TX, *Erwinia amylovora* (Harpin) (ProAct®, Ni-HIBIT Gold CST®) + TX, fatty acids derived from a natural by-product of extra virgin olive oil (FLIPPER®) + TX, Ferri-phosphate (Ferramol®) + TX, Funnel traps (Trapline y®) + TX, Gallex® + TX, Grower's Secret® + TX, Homo-brassonolide + TX, Iron Phosphate (Lilly Miller Worry Free Ferramol Slug & Snail Bait®) + TX, MCP hail trap (Trapline f®) + TX, *Microctonus hyperodae* + TX, *Mycyleptodiscus terrestris* (Des-X®) + TX, *Nosema locustae* (Semaspore Organic Grasshopper Control®) + TX, Pheromone trap (Thripline ams®) + TX, potassium bicarbonate (MilStop®) + TX, potassium iodide + potassiumthiocyanate (Enzicur®) + TX, potassium salts of fatty acids (Sanova®) + TX, potassium silicate solution (Sil-Matrix®) + TX, Spider venom + TX, Sticky traps (Trapline YF®, Rebell Amarillo®) + TX, SuffOil-X® + TX, Traps (Takitrapline y + b®) + TX;

Bacillus mojavensis strain R3B (Accession No. NCAIM (P) B001389) (WO 2013/034938) from Certis USA LLC + TX, *Bacillus pumilus*, in particular strain BU F-33, having NRRL Accession No. 50185 (CARTISSA® from BASF, EPA Reg. No. 71840-19) + TX, *Bacillus subtilis* CX-9060 from Certis USA LLC, *Bacillus* sp., in particular strain D747 (available as DOUBLE NICKEL® from Kumiai Chemical

Industry Co., Ltd.), having Accession No. FERM BP-8234, U.S. Patent No. 7,094,592 + TX, *Bacillus subtilis* strain BU1814, (VELONDIS® PLUS, VELONDIS® FLEX and VELONDIS® EXTRA from BASF SE) + TX, *Bacillus subtilis* var. *amyloliquefaciens* strain FZB24 having Accession No. DSM 10271 (available from Novozymes as TAEGRO® or TAEGRO® ECO (EPA Registration No. 70127-5)) + TX, *Bacillus subtilis*, in particular strain QST713/AQ713 (having NRRL Accession No. B-21661 and described in U.S. Patent No. 6,060,051, available as SERENADE® OPTI or SERENADE® ASO from Bayer CropScience LP, US) + TX, *Paenibacillus polymyxa*, in particular strain AC-1 (e.g. TOPSEED® from Green Biotech Company Ltd.) + TX, *Paenibacillus* sp. strain having Accession No. NRRL B-50972 or Accession No. NRRL B-67129, WO 2016/154297 + TX, *Pantoea agglomerans*, in particular strain E325 (Accession No. NRRL B-21856) (available as BLOOMTIME BIOLOGICAL™ FD BIOPESTICIDE from Northwest Agri Products) + TX, *Pseudomonas proradix* (e.g. PRORADIX® from Sourcon Padena) + TX;

Aureobasidium pullulans, in particular blastospores of strain DSM14940, blastospores of strain DSM 14941 or mixtures of blastospores of strains DSM14940 and DSM14941 (e.g., BOTECTOR® and BLOSSOM PROTECT® from bio-ferm, CH) + TX, *Pseudozyma aphidis* (as disclosed in WO2011/151819 by Yissum Research Development Company of the Hebrew University of Jerusalem) + TX, *Saccharomyces cerevisiae*, in particular strains CNCM No. 1-3936, CNCM No. 1-3937, CNCM No. 1-3938 or CNCM No. 1-3939 (WO 2010/086790) from Lesaffre et Compagnie, FR + TX;

Agrobacterium radiobacter strain K84 (e.g. GALLTROL-A® from AgBioChem, CA) + TX, *Bacillus amyloliquefaciens* isolate B246 (e.g. AVOGREEN™ from University of Pretoria) + TX, *Bacillus amyloliquefaciens* strain F727 (also known as strain MBI110) (NRRL Accession No. B-50768, WO 2014/028521) (STARGUS® from Marrone Bio Innovations) + TX, *Bacillus amyloliquefaciens* strain FZB42, Accession No. DSM 23117 (available as RHIZOVITAL® from ABiTEP, DE) + TX, *Bacillus amyloliquefaciens*, in particular strain D747 (available as Double Nickel™ from Kumiai Chemical Industry Co., Ltd., having accession number FERM BP-8234, US Patent No. 7,094,592) + TX, *Bacillus licheniformis* FMCH001 and *Bacillus subtilis* FMCH002 (QUARTZO® (WG) and PRESENCE® (WP) from FMC Corporation) + TX, *Bacillus licheniformis*, in particular strain SB3086, having Accession No. ATCC 55406, WO 2003/000051 (available as ECOGUARD® Biofungicide and GREEN RELEAF™ from Novozymes) + TX, *Bacillus methylophilus* strain BAC-9912 (from Chinese Academy of Sciences' Institute of Applied Ecology) + TX, *Bacillus mycoides*, isolate, having Accession No. B-30890 (available as BMJ TGAi® or WG and LifeGard™ from Certis USA LLC) + TX, *Bacillus pumilus*, in particular strain GB34 (available as Yield Shield® from Bayer AG, DE) + TX, *Bacillus pumilus*, in particular strain QST2808 (available as SONATA® from Bayer CropScience LP, US, having Accession No. NRRL B-30087 and described in U.S. Patent No. 6,245,551) + TX, *Bacillus subtilis* CX-9060 from Certis USA LLC + TX, *Bacillus subtilis* IAB/BS03 (AVIV™ from STK Bio-Ag Technologies, PORTENTO® from Idai Nature) + TX, *Bacillus subtilis* KTSB strain (FOLIACTIVE® from Donaghys) + TX, *Bacillus subtilis* strain BU1814, (available as VELONDIS® PLUS, VELONDIS® FLEX and VELONDIS® EXTRA from BASF SE) + TX, *Bacillus subtilis* strain GB03 (available as Kodiak® from Bayer AG, DE) + TX, *Bacillus subtilis* strain MBI 600 (available as SUBTILEX from BASF SE), having Accession Number NRRL B-50595, U.S. Patent No. 5,061,495 + TX, *Bacillus subtilis* strain Y1336 (available as BIOBAC® WP from Bion-

Tech, Taiwan, registered as a biological fungicide in Taiwan under Registration Nos. 4764, 5454, 5096 and 5277) + TX, *Bacillus subtilis* var. *amyloliquefaciens* strain FZB24 having Accession No. DSM 10271 (available from Novozymes as TAEGRO® or TAEGRO® ECO (EPA Registration No. 70127-5)) + TX, *Bacillus subtilis* Y1336 (available as BIOBAC® WP from Bion-Tech, Taiwan, registered as a biological fungicide in Taiwan under Registration Nos. 4764, 5454, 5096 and 5277) + TX, *Paenibacillus epiphyticus* (WO 2016/020371) from BASF SE + TX, *Paenibacillus polymyxa* ssp. *plantarum* (WO 2016/020371) from BASF SE + TX, *Paenibacillus* sp. strain having Accession No. NRRL B-50972 or Accession No. NRRL B-67129, WO 2016/154297 + TX, *Pseudomonas chlororaphis* strain AFS009, having Accession No. NRRL B-50897, WO 2017/019448 (e.g., HOWLER™ and ZIO® from AgBiome Innovations, US) + TX, *Pseudomonas chlororaphis*, in particular strain MA342 (e.g. CEDOMON®, CERALL®, and CEDRESS® by Bioagri and Koppert) + TX, *Pseudomonas fluorescens* strain A506 (e.g. BLIGHTBAN® A506 by NuFarm) + TX, *Pseudomonas proradix* (e.g. PRORADIX® from Sourcon Padena) + TX, *Streptomyces griseoviridis* strain K61 (also known as *Streptomyces galbus* strain K61) (Accession No. DSM 7206) (MYCOSTOP® from Verdera, PREFENCE® from BioWorks, cf. Crop Protection 2006, 25, 468-475) + TX, *Streptomyces lydicus* strain WYEC108 (also known as *Streptomyces lydicus* strain WYCD108US) (ACTINO-IRON® and ACTINOVATE® from Novozymes) + TX;

Trichoderma atroviride strain T11 (IMI352941/ CECT20498) + TX, *Ampelomyces quisqualis* strain AQ10, having Accession No. CNCM 1-807 (e.g., AQ 10® by IntrachemBio Italia) + TX, *Ampelomyces quisqualis*, in particular strain AQ 10 (e.g. AQ 10® by IntrachemBio Italia) + TX, *Aspergillus flavus* strain NRRL 21882 (products known as AFLA-GUARD® from Syngenta/ChemChina) + TX, *Aureobasidium pullulans*, in particular blastospores of strain DSM 14941 + TX, *Aureobasidium pullulans*, in particular blastospores of strain DSM14940 + TX, *Aureobasidium pullulans*, in particular mixtures of blastospores of strains DSM14940 and DSM 14941 (e.g. Botector® by bio-ferm, CH) + TX, *Chaetomium cupreum* (Accession No. CABI 353812) (e.g. BLOKUPRUM™ by AgriLife) + TX, *Chaetomium globosum* (available as RIVADIOM® by Rivale) + TX, *Cladosporium cladosporioides*, strain H39, having Accession No. CBS122244, US 2010/0291039 (by Stichting Dienst Landbouwkundig Onderzoek) + TX, *Coniothyrium minitans*, in particular strain CON/M/91-8 (Accession No. DSM9660, e.g. Contans ® from Bayer CropScience Biologics GmbH) + TX, *Cryptococcus flavescens*, strain 3C (NRRL Y-50378), + TX, *Dactylaria candida*, *Dilophosphora alopecuri* (available as TWIST FUNGUS®), *Fusarium oxysporum*, strain Fo47 (available as FUSACLEAN® by Natural Plant Protection) + TX, *Gliocladium catenulatum* (Synonym: *Clonostachys rosea* f. *catenulate*) strain J1446 (e.g. Prestop ® by Lallemand) + TX, *Gliocladium roseum* (also known as *Clonostachys rosea* f. *rosea*) strain IK726 (Jensen DF, et al. Development of a biocontrol agent for plant disease control with special emphasis on the near commercial fungal antagonist *Clonostachys rosea* strain 'IK726', Australasian Plant Pathol. 2007,36(2):95-101) + TX, *Gliocladium roseum* (also known as *Clonostachys rosea* f. *rosea*), in particular strain 321U from Adjuvants Plus, strain ACM941 as disclosed in Xue A.G. (Efficacy of *Clonostachys rosea* strain ACM941 and fungicide seed treatments for controlling the root rot complex of field pea, Can Jour Plant Sci 2003, 83(3): 519-524) + TX, *Metschnikowia fructicola*, in particular strain NRRL Y-30752 + TX, *Microsphaeropsis ochracea*, *Penicillium steckii* (DSM 27859, WO 2015/067800) from BASF SE +

TX, mixtures of *Trichoderma asperellum* strain ICC 012 (also known as *Trichoderma harzianum* ICC012), having Accession No. CABI CC IMI 392716 and *Trichoderma gamsii* (formerly *T. viride*) strain ICC 080, having Accession No. IMI 392151 (e.g., BIO-TAM™ from Isagro USA, Inc. or BIODERMA® by Agrobiosol de Mexico, S.A. de C.V.) + TX, *Penicillium vermiculatum* + TX, *Phlebiopsis gigantea* strain VRA 1992 (ROTSTOP® C from Danstar Ferment) + TX, *Pseudozyma flocculosa*, strain PF-A22 UL (available as SPORODEX® L by Plant Products Co., CA) + TX, *Saccharomyces cerevisiae* strain LAS117 cell walls (CEREVISANE® from Lesaffre, ROMEO® from BASF SE) + TX, *Saccharomyces cerevisiae* strains CNCM No. 1-3936, CNCM No. 1-3937, CNCM No. 1-3938, CNCM No. 1-3939 (WO 2010/086790) from Lesaffre et Compagnie, FR + TX, *Saccharomyces cerevisiae*, in particular strain LASO2 (from Agro-Levures et Dérivés) + TX, *Simplicillium lanosoniveum* + TX, strain T34 (e.g. T34 Biocontrol by Biocontrol Technologies S.L., ES) or strain ICC 012 from Isagro + TX, strain WRL-076 (NRRL Y-30842), U.S. Patent No. 7,579,183 + TX, *Talaromyces flavus*, strain V117b + TX, *Trichoderma asperelloides* JM41R (Accession No. NRRL B-50759) (TRICHO PLUS® from BASF SE) + TX, *Trichoderma asperellum*, in particular strain SKT-1, having Accession No. FERM P-16510 (e.g. ECO-HOPE® from Kumiai Chemical Industry) + TX, *Trichoderma asperellum*, in particular, strain kd (e.g. T-Gro from Andermatt Biocontrol) + TX, *Trichoderma atroviride* strain 77B (T77 from Andermatt Biocontrol) + TX, *Trichoderma atroviride* strain ATCC 20476 (IMI 206040) + TX, *Trichoderma atroviride* strain LC52 (e.g. Tenet by Agrimm Technologies Limited) + TX, *Trichoderma atroviride* strain LU132 (e.g. Sentinel from Agrimm Technologies Limited) + TX, *Trichoderma atroviride* strain NMI no. V08/002388 + TX, *Trichoderma atroviride* strain NMI no. V08/002389 + TX, *Trichoderma atroviride* strain NMI no. V08/002390 + TX, *Trichoderma atroviride* strain no. V08/002387 + TX, *Trichoderma atroviride* strain SKT-1 (FERM P-16510), JP Patent Publication (Kokai) 11-253151 A + TX, *Trichoderma atroviride* strain SKT-2 (FERM P-16511), JP Patent Publication (Kokai) 11-253151 A + TX, *Trichoderma atroviride* strain SKT-3 (FERM P-17021), JP Patent Publication (Kokai) 11-253151 A + TX, *Trichoderma atroviride*, in particular strain SC1 (Accession No. CBS 122089, WO 2009/116106 and U.S. Patent No. 8,431,120 (from Bi-PA)) + TX, *Trichoderma atroviride*, strain CNCM 1-1237 (e.g. Esquive® WP from Agrauxine, FR) + TX, *Trichoderma fertile* (e.g. product TrichoPlus from BASF) + TX, *Trichoderma gamsii* (formerly *T. viride*) + TX, *Trichoderma gamsii* (formerly *T. viride*) strain ICC 080 (IMI CC 392151 CABI) (available as BIODERMA® by AGROBIOSOL DE MEXICO, S.A. DE C.V.), + TX, *Trichoderma gamsii* strain ICC080 (IMI CC 392151 CABI, e.g. BioDerma by AGROBIOSOL DE MEXICO, S.A. DE C.V.), + TX, *Trichoderma harmatum* + TX, *Trichoderma harmatum*, having Accession No. ATCC 28012 + TX, *Trichoderma harzianum* + TX, *Trichoderma harzianum* rifai T39 (e.g. Trichodex® from Makhteshim, US) + TX, *Trichoderma harzianum* strain Cepa SimbT5 (from Simbiose Agro), + TX, *Trichoderma harzianum* strain DB 103 (available as T-GRO® 7456 by Dagutat Biolab) + TX, *Trichoderma harzianum* strain ITEM 908 (e.g. Trianum-P from Koppert) + TX, *Trichoderma harzianum* strain T-22 (e.g. Trianum-P from Andermatt Biocontrol or Koppert) + TX, *Trichoderma harzianum* strain TH35 (e.g. Root-Pro by Mycontrol) + TX, *Trichoderma polysporum* strain IMI 206039 (e.g. Binab TF WP by BINAB Bio-Innovation AB, Sweden) + TX, *Trichoderma stromaticum* having Accession No. Ts3550 (e.g. Tricovab by CEPLAC, Brazil) + TX, *Trichoderma virens* (also known as *Gliocladium virens*) in particular strain GL-21 (e.g. SoilGard by Certis, US) + TX, *Trichoderma virens* strain G-41, formerly known as

Gliocladium virens (Accession No. ATCC 20906) (e.g., ROOTSHIELD® PLUS WP and TURFSHIELD® PLUS WP from BioWorks, US) + TX, *Trichoderma viride* in particular strain B35 (Pietr et al., 1993, Zesz. Nauk. A R w Szczecinie 161: 125-137) + TX, *Trichoderma viride* strain TV1 (e.g. Trianium-P by Koppert) + TX, *Ulocladium oudemansii* strain U3, having Accession No. NM 99/06216 (e.g., BOTRY-ZEN® by Botry-Zen Ltd, New Zealand and BOTRYSTOP® from BioWorks, Inc.) + TX, *Verticillium albo-atrum* (formerly *V. dahliae*) strain WCS850 having Accession No. WCS850, deposited at the Central Bureau for Fungi Cultures (e.g., DUTCH TRIG® by Tree Care Innovations) + TX, *Verticillium chlamydosporium* + TX;

a mixture of *Azotobacter vinelandii* and *Clostridium pasteurianum* (available as INVIGORATE® from Agrinos) + TX, a mixture of *Bacillus licheniformis* FMCH001 and *Bacillus subtilis* FMCH002 (available as QUARTZO® (WG), PRESENCE® (WP) from FMC Corporation) + TX, *Azorhizobium caulinodans*, in particular strain ZB-SK-5 + TX, *Azospirillum brasilense* (e.g., VIGOR® from KALO, Inc.) + TX, *Azospirillum lipoferum* (e.g., VERTEX-IF™ from TerraMax, Inc.) + TX, *Azotobacter chroococcum*, in particular strain H23 + TX, *Azotobacter vinelandii*, in particular strain ATCC 12837 + TX, *Bacillus amyloliquefaciens* BS27 (Accession No. NRRL B-5015) + TX, *Bacillus amyloliquefaciens* in particular strain FZB42 (e.g. RHIZOVITAL® from ABITEP, DE) + TX, *Bacillus amyloliquefaciens* in particular strain IN937a + TX, *Bacillus amyloliquefaciens* pm414 (LOLI-PEPTA® from Biofilm Crop Protection) + TX, *Bacillus amyloliquefaciens* SB3281 (ATCC # PTA-7542, WO 2017/205258) + TX, *Bacillus amyloliquefaciens* TJ1000 (available as QUIKROOTS® from Novozymes) + TX, *Bacillus cereus* family member EE128 (NRRL No. B-50917) + TX, *Bacillus cereus* family member EE349 (NRRL No. B-50928) + TX, *Bacillus cereus* in particular strain BP01 (ATCC 55675, e.g. MEPICHLOR® from Arysta Lifescience, US) + TX, *Bacillus mycoides* BT155 (NRRL No. B-50921) + TX, *Bacillus mycoides* BT46-3 (NRRL No. B-50922) + TX, *Bacillus mycoides* EE118 (NRRL No. B-50918) + TX, *Bacillus mycoides* EE141 (NRRL No. B-50916) + TX, *Bacillus pumilus* in particular strain GB34 (e.g. YIELD SHIELD® from Bayer Crop Science, DE), + TX, *Bacillus pumilus* in particular strain QST2808 (Accession No. NRRL No. B-30087) + TX, *Bacillus siamensis* in particular strain KCTC 13613T + TX, *Bacillus subtilis* in particular strain AQ30002 (Accession No. NRRL No. B-50421 and described in U.S. Patent Application No. 13/330,576) + TX, *Bacillus subtilis* in particular strain AQ30004 (NRRL No. B-50455 and described in U.S. Patent Application No. 13/330,576) + TX, *Bacillus subtilis* in particular strain MBI 600 (e.g. SUBTILEX® from BASF SE) + TX, *Bacillus subtilis* rm303 (RHIZOMAX® from Biofilm Crop Protection) + TX, *Bacillus subtilis* strain BU1814 (available as TEQUALIS® from BASF SE) + TX, *Bacillus tequilensis* in particular strain NII-0943 + TX, *Bacillus thuringiensis* BT013A (NRRL No. B-50924) also known as *Bacillus thuringiensis* 4Q7 + TX, *Bradyrhizobium japonicum* (e.g. OPTIMIZE® from Novozymes) + TX, *Delftia acidovorans* in particular strain RAY209 (e.g. BIOBOOST® from Brett Young Seeds) + TX, *Lactobacillus* sp. (e.g. LACTOPLANT® from LactoPAFI) + TX, *Mesorhizobium cicer* (e.g., NODULATOR from BASF SE) + TX, *Paenibacillus polymyxa* in particular strain AC-1 (e.g. TOPSEED® from Green Biotech Company Ltd.) + TX, *Pseudomonas aeruginosa* in particular strain PN1 + TX, *Pseudomonas proradix* (e.g. PRORADIX® from Sourcon Padena) + TX, *Rhizobium leguminosarium* biovar *viciae* (e.g., NODULATOR from BASF SE) + TX, *Rhizobium leguminosarum* in particular *bv. viciae* strain Z25 (Accession No. CECT 4585) + TX, *Serratia marcescens* in particular strain SRM

(Accession No. MTCC 8708), + TX, *Sinorhizobium meliloti* strain NRG-185-1 (NITRAGIN® GOLD from Bayer CropScience) + TX, *Thiobacillus* sp. (e.g. CROPAID® from Cropaid Ltd UK) + TX; *Myrothecium verrucaria* strain AARC-0255 (e.g. DiTera™ from Valent Biosciences) + TX, *Penicillium bilaii* strain ATCC 22348 (e.g. JumpStart® from Acceleron BioAg) + TX, *Penicillium bilaii* strain ATCC ATCC20851 + TX, *Purpureocillium lilacinum* (previously known as *Paecilomyces lilacinus*) strain 251 (AGAL 89/030550, e.g. BioAct from Bayer CropScience Biologics GmbH) + TX, *Pythium oligandrum* strain DV74 + TX, *Pythium oligandrum* strain M1 (ATCC 38472 e.g. Polyversum from Bioprepaty, CZ) + TX, *Rhizopogon amylopogon* (Myco-Sol from Agri-Enterprise, LLC, formerly Helena Chemical Company) + TX, *Rhizopogon fulvigleba* (e.g. Myco-Sol from Agri-Enterprise, LLC, formerly Helena Chemical Company) + TX, *Talaromyces flavus* strain V117b + TX, *Trichoderma asperellum* strain (Eco-T from Plant Health Products, ZA) + TX, *Trichoderma asperellum* strain kd (e.g. T-Gro from Andermatt Biocontrol) + TX, *Trichoderma atroviride* in particular strain no. V08/002387 + TX, *Trichoderma atroviride* strain CNCM 1-1237 (e.g. Esquive® WP from Agrauxine, FR) + TX, *Trichoderma atroviride* strain LC52 (also known as *Trichoderma atroviride* strain LU132, e.g. Sentinel from Agrimm Technologies Limited) + TX, *Trichoderma atroviride* strain no. NMI No. V08/002388 + TX, *Trichoderma atroviride* strain no. NMI No. V08/002389 + TX, *Trichoderma atroviride* strain no. NMI No. V08/002390 + TX, *Trichoderma atroviride* strain SC1 (described in WO2009/116106) + TX, *Trichoderma harzianum* strain 1295-22 + TX, *Trichoderma harzianum* strain ITEM 908 + TX, *Trichoderma harzianum* strain T-22 (e.g. Trianum-P from Andermatt Biocontrol or Koppert) + TX, *Trichoderma harzianum* strain TSTh20, + TX, *Trichoderma virens* strain GI-3 + TX, *Trichoderma virens* strain GL-21 (e.g. SoilGard® from Certis, USA) + TX, *Trichoderma viride* strain B35 (Pietr et al., 1993, Zesz. Nauk. A R w Szczecinie 161: 125-137) + TX, *Verticillium albo-atrum* (formerly *V. dahliae*) strain WCS850 (CBS 276.92, e.g. Dutch Trig from Tree Care Innovations) + TX; *Agrobacterium radiobacter* strain K84 (Galltrol from AgBiochem Inc.), + TX, *Bacillus amyloliquefaciens* in particular strain PTS-4838 (e.g. AVEO from Valent Biosciences, US), + TX, *Bacillus mycoides*, isolate J. (e.g. BmJ from Certis USA LLC), + TX, *Bacillus sphaericus* in particular Serotype H5a5b strain 2362 (strain ABTS-1743) (e.g. VECTOLEX® from Valent BioSciences, US), + TX, *Bacillus thuringiensis israelensis* strain BMP 144 (e.g. AQUABAC® by Becker Microbial Products IL) + TX, *Bacillus thuringiensis* subsp. *aizawai* strain GC-91 + TX, *Bacillus thuringiensis* subsp. *aizawai*, in particular serotype H-7 (e.g. FLORBAC® WG from Valent BioSciences, US) + TX, *Bacillus thuringiensis* subsp. *aizawai*, in particular strain ABTS-1857 (SD-1372, e.g. XENTARI® from Valent BioSciences) + TX, *Bacillus thuringiensis* subsp. *israelensis* (serotype H-14) strain AM65-52 (Accession No. ATCC 1276) (e.g. VECTOBAC® by Valent BioSciences, US) + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain ABTS 351 + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain BMP 123 (from Becker Microbial Products, IL, BARITONE from Bayer CropScience) + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain EG 2348 (LEPINOX from Certis, US) + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain EG 7841 (CRYMAX from Certis, US) + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain HD-1 (e.g. DIPEL® ES from Valent BioSciences, US) + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain PB 54 + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain SA 11 (JAVELIN from Certis, US) + TX, *Bacillus thuringiensis* subsp. *kurstaki* strain SA 12 (THURICIDE from Certis, US) + TX, *Bacillus thuringiensis* subsp. *tenebrionis* strain NB 176

(SD-5428, e.g. NOVODOR® FC from BioFa DE) + TX, *Bacillus thuringiensis* var. Colmeri (e.g. TIANBAOBTC by Changzhou Jianghai Chemical Factory) + TX, *Bacillus thuringiensis* var. japonensis strain Buibui + TX, *Bacillus thuringiensis* var. kurstaki strain EVB-113-19 (e.g., BIOPROTEC® from AEF Global) + TX, *Brevibacillus laterosporus* + TX, *Burkholderia* spp. in particular *Burkholderia rinojensis* strain A396 (also known as *Burkholderia rinojensis* strain MBI 305) (Accession No. NRRL B-50319, WO 2011/106491 and WO 2013/032693, e.g. MBI206 TGA1 and ZELTO® from Marrone Bio Innovations), + TX, *Chromobacterium subtsugae* in particular strain PRAA4-1T (e.g. MBI-203, e.g. GRANDEVO® from Marrone Bio Innovations) + TX, *Lecanicillium muscarium* Ve6 (MYCOTAL from Koppert) + TX, *Paenibacillus popilliae* (formerly *Bacillus popilliae*, e.g. MILKY SPORE POWDER™ or MILKY SPORE GRANULAR™ from St. Gabriel Laboratories) + TX, *Serratia entomophila* (e.g. INVADE® by Wrightson Seeds) + TX, *Serratia marcescens* in particular strain SRM (Accession No. MTCC 8708) + TX, *Trichoderma asperellum* (TRICHODERMAX from Novozymes) + TX, *Wolbachia pipientis* ZAP strain (e.g., ZAP MALES® from MosquitoMate) + TX;

Beauveria bassiana strain ATCC 74040 (e.g. NATURALIS® from Intrachem Bio Italia) + TX, *Beauveria bassiana* strain ATP02 (Accession No. DSM 24665), Apopka 97 (PREFERAL from SePRO) + TX, *Beauveria bassiana* strain GHA (Accession No. ATCC74250, e.g. BOTANIGUARD® ES and MYCONTROL-O® from Laverlam International Corporation) + TX, *Metarhizium anisopliae* 3213-1 (deposited under NRRL accession number 67074 disclosed in WO 2017/066094, Pioneer Hi-Bred International) + TX, *Metarhizium robertsii* 15013-1 (deposited under NRRL accession number 67073) + TX, *Metarhizium robertsii* 23013-3 (deposited under NRRL accession number 67075) + TX, *Paecilomyces lilacinus* strain 251 (MELOCON from Certis, US) + TX;

Cydia pomonella (codling moth) granulosis virus (GV) + TX, *Helicoverpa armigera* (cotton bollworm) nuclear polyhedrosis virus (NPV) + TX, of *Adoxophyes orana* (summer fruit tortrix) granulosis virus (GV) + TX, *Spodoptera exigua* (beet armyworm) mNPV + TX, *Spodoptera frugiperda* (fall armyworm) mNPV + TX;

Burkholderia spp. in particular *Burkholderia cepacia* (formerly known as *Pseudomonas cepacia*) + TX, *Gigaspora* spp. + TX, *Glomus* spp. + TX, *Laccaria* spp. + TX, *LactoBacillus buchneri* + TX, *Paraglomus* spp. + TX, *Pisolithus tinctorius* + TX, *Pseudomonas* spp. + TX, *Rhizobium* spp. in particular *Rhizobium trifolii* + TX, *Rhizopogon* spp. + TX, *Scleroderma* spp. + TX, *Streptomyces* spp. + TX, *Suillus* spp. + TX, *Agrobacterium* spp. + TX, *Azorhizobium caulinodans* + TX, *Azospirillum* spp. + TX, *Azotobacter* spp. + TX, *Bradyrhizobium* spp. + TX, *Gigaspora monosporum* + TX;

Allium sativum (NEMGUARD from Eco-Spray, BRALIC from ADAMA) + TX, Armour-Zen + TX, *Artemisia absinthium* + TX, Biokeeper WP + TX, Brassicaceae extract in particular oilseed rape powder or mustard powder + TX, *Cassia nigricans* + TX, *Celastrus angulatus* + TX, *Chenopodium anthelminticum* + TX, *Chenopodium quinoa* saponin extract from quinoa seeds (e.g. Heads Up® (Saponins of Quinoa) from Heads Up plant Protectants, CA) + TX, Chitin + TX, *Dryopteris filix-mas* + TX, *Equisetum arvense* + TX, Fortune Aza + TX, Fungastop + TX, *Melaleuca alternifolia* extract (TIMOREX GOLD from STK) + TX, naturally occurring Blad polypeptide extracted from Lupin seeds (FRACTURE® from FMC) + TX, naturally occurring Blad polypeptide extracted from Lupin seeds (PROBLAD® from Certis EU) + TX, Pyrethrins + TX, *Quassia amara* + TX, *Quercus* + TX, *Quillaja* extract (QL AGRI 35 from BASF) + TX,

REGALIA MAXX from Marrone Bio) + TX, Requiem™ Insecticide + TX, Reynoutria sachalinensis extract (REGALLIA + TX, ryania/ryanodine + TX, Symphytum officinale + TX, Tanacetum vulgare + TX, Thymol + TX, Thymol mixed with Geraniol (CEDROZ from Eden Research) + TX, Thymol mixed with Geraniol and Eugenol (MEVALONE from Eden Research) + TX, Triact 70 + TX, TriCon + TX, Tropaeolum majus + TX, Urtica dioica + TX, Veratrin + TX, Viscum album + TX; mercuric oxide + TX, octhilinone + TX, thiophanate-methyl + TX; MGK 264 + TX, 2-(2-butoxyethoxy)ethyl piperonylate + TX, 2-isovalerylindan-1,3-dione + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone + TX, acibenzolar + TX, acibenzolar-S-methyl + TX, alpha-bromadiolone + TX, alpha-chlorohydrin + TX, aluminium phosphide + TX, anthraquinone + TX, antu + TX, arsenous oxide + TX, barium carbonate + TX, benoxacor + TX, bithiosemi + TX, brodifacoum + TX, bromadiolone + TX, bromethalin + TX, calcium cyanide + TX, chloralose + TX, chlorophacinone + TX, cholecalciferol + TX, cloquintocet (including cloquintocet-mexyl) + TX, copper naphthenate + TX, copper oxychloride + TX, coumachlor + TX, coumafuryl + TX, coumatetralyl + TX, crimidine + TX, cyprosulfamide + TX, diazinon + TX, dichlormid + TX, dicyclopentadiene + TX, difenacoum + TX, difethialone + TX, diphacinone + TX, ergocalciferol + TX, farnesol + TX, farnesol with nerolidol + TX, fenchlorazole (including fenchlorazole-ethyl) + TX, fenclorim + TX, flocoumafen + TX, fluoroacetamide + TX, flupropradine + TX, flupropradine hydrochloride + TX, fluxofenim + TX, furilazole + TX, gamma-HCH + TX, guazatine + TX, guazatine acetates + TX, HCH + TX, hydrogen cyanide + TX, imanin + TX, iodomethane + TX, isoxadifen (including isoxadifen-ethyl) + TX, lindane + TX, magnesium phosphide + TX, MB-599 + TX, mefenpyr (including mefenpyr-diethyl) + TX, metcamifen + TX, methiocarb + TX, methyl bromide + TX, nerolidol + TX, norbormide + TX, petroleum oils + TX, phosacetim + TX, phosphine + TX, phosphorus + TX, pindone + TX, piperonyl butoxide + TX, piprotal + TX, potassium arsenite + TX, probenazole + TX, propyl isomer + TX, pyridin-4-amine + TX, pyrinuron + TX, Reynoutria sachalinensis extract + TX, ribavirin + TX, S421 + TX, scilliroside + TX, sesamex + TX, sesamol + TX, sodium arsenite + TX, sodium cyanide + TX, sodium fluoroacetate + TX, strychnine + TX, sulfoxide + TX, thallium sulfate + TX, thiram + TX, trimethacarb + TX, warfarin + TX, zinc naphthenate + TX, zinc phosphide + TX, ziram + TX.

In addition, the compositions of the invention may also be applied with one or more systemically acquired resistance inducers ("SAR" inducer). SAR inducers are known and described in, for example, United States Patent No. US 6,919,298 and include, for example, salicylates and the commercial SAR inducer
5 acibenzolar-S-methyl.

The compounds of formula (I) as defined in the present invention are normally used in the form of compositions and can be applied to the crop area or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other
10 preparations, which influence the growth of plants. They can also be selective herbicides or non-selective herbicides as well as insecticides, fungicides, bactericides, nematocides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

The compounds of formula (I) as defined in the present invention may be used in the form of (fungicidal) compositions for controlling or protecting against phytopathogenic microorganisms, comprising as active ingredient at least one compound of formula (I) as defined in the present invention, or of at least one preferred individual compound as above-defined, in free form or in agrochemically usable salt form, and
5 at least one of the above-mentioned adjuvants.

The invention therefore provides a composition, preferably a fungicidal composition, comprising at least one compound of formula (I) as defined in the present invention, an agriculturally acceptable carrier and optionally an adjuvant. An agricultural acceptable carrier is for example a carrier that is suitable for
10 agricultural use. Agricultural carriers are well known in the art. Preferably said composition may comprise at least one or more pesticidally active compounds, for example an additional fungicidal active ingredient in addition to the compound of formula (I) as defined in the present invention.

A further aspect of invention is related to a method of controlling or preventing an infestation of plants,
15 e.g. useful plants such as crop plants, propagation material thereof, e.g. seeds, harvested crops, e.g. harvested food crops, or of non-living materials by phytopathogenic or spoilage microorganisms or organisms potentially harmful to man, especially fungal organisms, which comprises the application of a compound of formula (I) as defined in the present invention or of a preferred individual compound as above-defined as active ingredient to the plants, to parts of the plants or to the locus thereof, to the
20 propagation material thereof, or to any part of the non-living materials.

Controlling or preventing means reducing infestation by insects or by phytopathogenic or spoilage microorganisms or organisms potentially harmful to man, especially fungal organisms, to such a level that an improvement is demonstrated.
25

A preferred method of controlling or preventing an infestation of crop plants by phytopathogenic microorganisms, especially fungal organisms, which comprises the application of a compound of formula (I) as defined in the present invention, or an agrochemical composition which contains at least one of said compounds, is foliar application. The frequency of application and the rate of application will depend
30 on the risk of infestation by the corresponding pathogen or insect. However, the compounds of formula (I) as defined in the present invention can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula (I) as defined in any the present invention
35 may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

A formulation, e.g. a composition containing the compound of formula (I) as defined in the present invention, and, if desired, a solid or liquid adjuvant or monomers for encapsulating the compound of
40 formula (I) as defined in the present invention, may be prepared in a known manner, typically by

intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface active compounds (surfactants).

The application methods for the compositions, that is the methods of controlling pathogens of the
5 abovementioned type, such as spraying, atomizing, dusting, brushing on, dressing, scattering or pouring
- which are to be selected to suit the intended aims of the prevailing circumstances - and the use of the
compositions for controlling pathogens of the abovementioned type are other subjects of the invention.
Typical rates of concentration are between 0.1 and 1000 ppm, preferably between 0.1 and 500 ppm, of
active ingredient. The rate of application per hectare is preferably 1g to 2000 g of active ingredient per
10 hectare, more preferably 10 to 1000 g/ha, most preferably 10 to 600 g/ha. When used as seed drenching
agent, convenient dosages are from 10mg to 1g of active substance per kg of seeds.

When the combinations of the present invention are used for treating seed, rates of 0.001 to 50 g of a
compound of formula (I) per kg of seed, preferably from 0.01 to 10g per kg of seed are generally
15 sufficient.

Suitably, a composition comprising a compound of formula (I) as defined in the present invention
according to the present invention is applied either preventative, meaning prior to disease development
or curative, meaning after disease development.

20

The compositions of the invention may be employed in any conventional form, for example in the form
of a twin pack, a powder for dry seed treatment (DS), an emulsion for seed treatment (ES), a flowable
concentrate for seed treatment (FS), a solution for seed treatment (LS), a water dispersible powder for
seed treatment (WS), a capsule suspension for seed treatment (CF), a gel for seed treatment (GF), an
25 emulsion concentrate (EC), a suspension concentrate (SC), a suspo-emulsion (SE), a capsule
suspension (CS), a water dispersible granule (WG), an emulsifiable granule (EG), an emulsion, water
in oil (EO), an emulsion, oil in water (EW), a micro-emulsion (ME), an oil dispersion (OD), an oil miscible
flowable (OF), an oil miscible liquid (OL), a soluble concentrate (SL), an ultra-low volume suspension
(SU), an ultra-low volume liquid (UL), a technical concentrate (TK), a dispersible concentrate (DC), a
30 wettable powder (WP) or any technically feasible formulation in combination with agriculturally
acceptable adjuvants.

Such compositions may be produced in conventional manner, e.g. by mixing the active ingredients with
appropriate formulation inerts (diluent, solvents, fillers and optionally other formulating ingredients such
35 as surfactants, biocides, anti-freeze, stickers, thickeners and compounds that provide adjuvancy
effects). Also conventional slow release formulations may be employed where long lasting efficacy is
intended. Particularly formulations to be applied in spraying forms, such as water dispersible
concentrates (e.g. EC, SC, DC, OD, SE, EW, EO and the like), wettable powders and granules, may
contain surfactants such as wetting and dispersing agents and other compounds that provide adjuvancy
40 effects, e.g. the condensation product of formaldehyde with naphthalene sulphonate, an

alkylarylsulphonate, a lignin sulphonate, a fatty alkyl sulphate, and ethoxylated alkylphenol and an ethoxylated fatty alcohol.

A seed dressing formulation is applied in a manner known per se to the seeds employing the combination of the invention and a diluent in suitable seed dressing formulation form, e.g. as an aqueous suspension or in a dry powder form having good adherence to the seeds. Such seed dressing formulations are known in the art. Seed dressing formulations may contain the single active ingredients or the combination of active ingredients in encapsulated form, e.g. as slow release capsules or microcapsules.

10

In general, the formulations include from 0.01 to 90% by weight of active agent, from 0 to 20% agriculturally acceptable surfactant and 10 to 99.99% solid or liquid formulation inerts and adjuvant(s), the active agent consisting of at least the compound of formula (I) as defined in the present invention together with component (B) and (C), and optionally other active agents, particularly microbiocides or conservatives or the like. Concentrated forms of compositions generally contain in between about 2 and 80%, preferably between about 5 and 70% by weight of active agent. Application forms of formulation may for example contain from 0.01 to 20% by weight, preferably from 0.01 to 5% by weight of active agent. Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ diluted formulations.

20

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

25 EXAMPLES

The Examples which follow serve to illustrate the invention. Certain compounds of the invention can be distinguished from known compounds by virtue of greater efficacy at low application rates, which can be verified by the person skilled in the art using the experimental procedures outlined in the Examples.

30

Formulation Examples

<u>Wettable powders</u>	a)	b)	c)
active ingredient [compound of formula (I)]	25 %	50 %	75 %
sodium lignosulfonate	5 %	5 %	-
sodium lauryl sulfate	3 %	-	5 %
sodium diisobutyl-naphthalenesulfonate	-	6 %	10 %
phenol polyethylene glycol ether (7-8 mol of ethylene oxide)	-	2 %	-
highly dispersed silicic acid	5 %	10 %	10 %

Kaolin	62 %	27 %	-
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The active ingredient is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording wettable powders that can be diluted with water to give suspensions of the desired concentration.

5

<u>Powders for dry seed treatment</u>	a)	b)	c)
active ingredient [compound of formula (I)]	25 %	50 %	75 %
light mineral oil	5 %	5 %	5 %
highly dispersed silicic acid	5 %	5 %	-
Kaolin	65 %	40 %	-
Talcum	-		20%

The active ingredient is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording powders that can be used directly for seed treatment.

Emulsifiable concentrate

active ingredient [compound of formula (I)]	10 %
octylphenol polyethylene glycol ether (4-5 mol of ethylene oxide)	3 %
calcium dodecylbenzenesulfonate	3 %
castor oil polyglycol ether (35 mol of ethylene oxide)	4 %
Cyclohexanone	30 %
xylene mixture	50 %

10

Emulsions of any required dilution, which can be used in plant protection, can be obtained from this concentrate by dilution with water.

<u>Dusts</u>	a)	b)	c)
Active ingredient [compound of formula (I)]	5 %	6 %	4 %
talcum	95 %	-	-
Kaolin	-	94 %	-
mineral filler	-	-	96 %

15 Ready-for-use dusts are obtained by mixing the active ingredient with the carrier and grinding the mixture in a suitable mill. Such powders can also be used for dry dressings for seed.

Extruder granules

Active ingredient [compound of formula (I)]	15 %
sodium lignosulfonate	2 %

carboxymethylcellulose	1 %
Kaolin	82 %

The active ingredient is mixed and ground with the adjuvants, and the mixture is moistened with water. The mixture is extruded and then dried in a stream of air.

Coated granules

Active ingredient [compound of formula (I)]	8 %
polyethylene glycol (mol. wt. 200)	3 %
Kaolin	89 %

5

The finely ground active ingredient is uniformly applied, in a mixer, to the kaolin moistened with polyethylene glycol. Non-dusty coated granules are obtained in this manner.

Suspension concentrate

active ingredient [compound of formula (I)]	40 %
propylene glycol	10 %
nonylphenol polyethylene glycol ether (15 mol of ethylene oxide)	6 %
Sodium lignosulfonate	10 %
carboxymethylcellulose	1 %
silicone oil (in the form of a 75 % emulsion in water)	1 %
Water	32 %

10

The finely ground active ingredient is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired dilution can be obtained by dilution with water. Using such dilutions, living plants as well as plant propagation material can be treated and protected against infestation by microorganisms, by spraying, pouring or immersion.

15

Flowable concentrate for seed treatment

active ingredient [compound of formula (I)]	40 %
propylene glycol	5 %
copolymer butanol PO/EO	2 %
tristyrenephenole with 10-20 moles EO	2 %
1,2-benzisothiazolin-3-one (in the form of a 20% solution in water)	0.5 %
monoazo-pigment calcium salt	5 %
Silicone oil (in the form of a 75 % emulsion in water)	0.2 %
Water	45.3 %

The finely ground active ingredient is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired dilution can be obtained by dilution with water. Using

such dilutions, living plants as well as plant propagation material can be treated and protected against infestation by microorganisms, by spraying, pouring or immersion.

Slow Release Capsule Suspension

- 5 28 parts of a combination of the compound of formula (I) are mixed with 2 parts of an aromatic solvent and 7 parts of toluene diisocyanate/polymethylene-polyphenylisocyanate-mixture (8:1). This mixture is emulsified in a mixture of 1.2 parts of polyvinylalcohol, 0.05 parts of a defoamer and 51.6 parts of water until the desired particle size is achieved. To this emulsion a mixture of 2.8 parts 1,6-diaminohexane in 5.3 parts of water is added. The mixture is agitated until the polymerization reaction is completed.
- 10 The obtained capsule suspension is stabilized by adding 0.25 parts of a thickener and 3 parts of a dispersing agent. The capsule suspension formulation contains 28% of the active ingredients. The medium capsule diameter is 8-15 microns.
- The resulting formulation is applied to seeds as an aqueous suspension in an apparatus suitable for that purpose.

15

Analytical Methods:

- Throughout this description, temperatures are given in degrees Celsius (°C) and "mp." means melting point. LC/MS means Liquid Chromatography Mass Spectrometry and the description of the apparatus
- 20 and the method is as follows:

Method A:

- Equipment: Shimadzu LCMS 2020 Mass Spectrometer; Column: HALO C₁₈ 2.7 μm, 3.0 mm × 30 mm; Mobile Phase: MeCN (with either 0.05% HCOOH or 0.05% TFA) - Water (with either 0.05% HCOOH or
- 25 0.05% TFA); Gradient: MeCN from 5% to 95% over 1.4 min, hold 0.6 min, total run time is 2.5 min; Flow rate: 1.8 mL/min; Column temperature: 50 °C; Wavelength: 214 and 254 nm PDA.

Methods B1 and B2:

- 30 Agilent 1100 Series LC/MSD system with DAD\ELSD Alltech 2000ES and Agilent LC\MSD VL (G1956B), SL (G1956B) mass-spectrometer.
- Agilent 1200 Series LC/MSD system with DAD\ELSD Alltech 3300 and Agilent LC\MSD G6130A, G6120B mass-spectrometer.
- Agilent Technologies 1260 Infinity LC/MSD system with DAD\ELSD Alltech 3300 and Agilent
- 35 LC\MSDG6120B mass-spectrometer.
- Agilent Technologies 1260 Infinity II LC/MSD system with DAD\ELSD G7102A 1290 Infinity II and Agilent LC\MSD G6120B mass-spectrometer.
- Agilent 1260 Series LC/MSD system with DAD\ELSD and Agilent LC\MSD (G6120B) mass-spectrometer.
- 40 UHPLC Agilent 1290 Series LC/MSD system with DAD\ELSD and Agilent LC\MSD (G6125B) mass-spectrometer.

Method B1:

Column: Agilent Poroshell 120 SB-C18 4.6x30mm 2.7 µm

Column Temperature: 60°C

5 Mobile phase: A – water (0.1% formic acid), B – acetonitrile (0.1% formic acid)

Flow rate: 3 ml/min

Gradient: 0.01 min – 1% B, 1.5 min – 100% B, 1.73 min – 100% B

MS Ionization mode: Electrospray ionization (ESI)

MS Scan range: 83 – 600 m/z

10 UV detection: 215 nm, 254nm, 280 nm

Method B2:

Column: Agilent Poroshell 120 SB-C18 4.6x30mm 2.7

Temperature: 60°C

15 Mobile phase: A – water (0.1% formic acid), B – acetonitrile (0.1% formic acid)

Flow rate: 3 ml/min

Gradient: 0.01 min – 1% B, 1.5 min – 100% B, 2.2 min – 100% B.

MS Ionization mode: Electrospray ionization (ESI)

MS Scan range: 83 – 1000 m/z

20 UV detection: 215 nm, 254nm, 280 nm

Method C:

Spectra were recorded on a Mass Spectrometer from Waters Corporation (SQD, SQDII or QDA Single quadrupole mass spectrometer) equipped with an electrospray source (Polarity: positive and negative ions), Capillary: 0.8-3.00 kV, Cone: 5-30 V, Source Temperature: 120-150°C, Desolvation Temperature: 350-600°C, Cone Gas Flow: 50-150 l/h, Desolvation Gas Flow: 650-1000 l/h, Mass range: 110 to 950 Da and an Acquity UPLC from Waters Corporation: Binary pump, heated column compartment, diode-array detector and ELSD. Column: Waters UPLC HSS T3, 1.8 µm, 30 x 2.1 mm, Temp: 60 °C, DAD Wavelength range (nm): 210 to 400, Runtime: 1.5 min; Solvents: A = water + 5% MeOH + 0.05 % HCOOH, B= Acetonitrile + 0.05 % HCOOH; Flow (mL/min) 0.85, Gradient: 10% B isocratic for 0.2 min, then 10-100% B in 1.0 min, 100% B isocratic for 0.2min, 100-10% B in 0.05min, 10% B isocratic for 0.05 min

Method D:

35 Spectra were recorded on a ACQUITY Mass Spectrometer from Waters Corporations (SQD or SQDII Single quadrupole mass spectrometer) equipped with an electrospray source (Polarity: positive or negative ions, Capillary: 3.0 kV, Cone: 30V, Extractor: 3.00 V, Source Temperature: 150°C, Desolvation Temperature: 400°C, Cone Gas Flow: 60 L/hr, Desolvation Gas Flow: 700 L/hr, Mass range: 140 to 800 Da) and an ACQUITY UPLC from Waters Corporations with solvent degasser, binary pump, heated column compartment and diode-array detector. Column: Waters UPLC HSS T3, 1.8 µm, 30 x 2.1 mm,

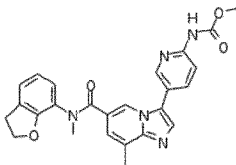
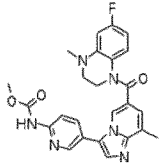
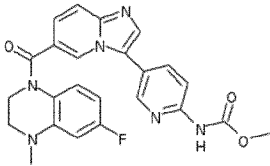
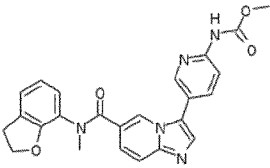
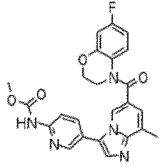
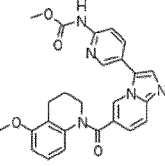
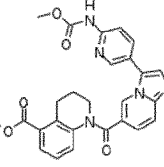
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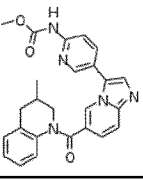
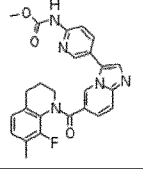
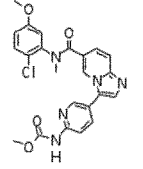
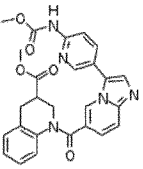
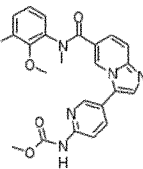
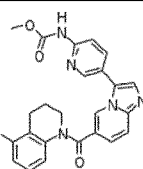
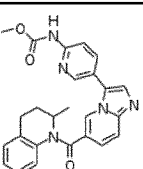
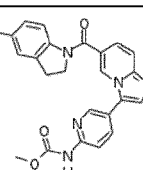
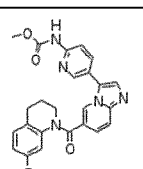
Temp: 60 °C, DAD Wavelength range (nm): 210 to 400, Solvent Gradient: A = Water/Methanol 9:1 + 0.1% formic acid, B= Acetonitrile + 0.1% formic acid, gradient: 0-100% B in 2.5 min; Flow (mL/min) 0.75.

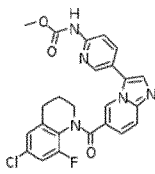
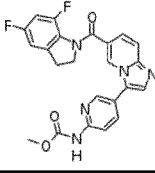
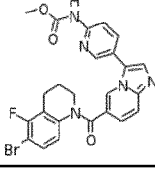
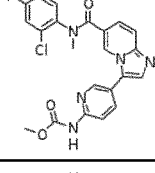
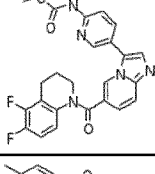
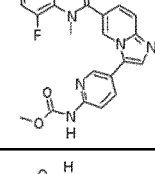
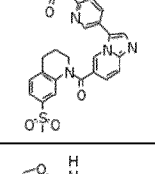
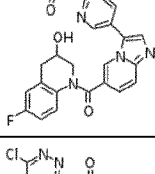
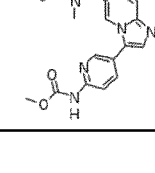
The below Table A gathers for compounds of formula (I):

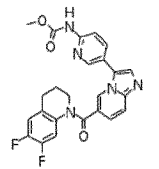
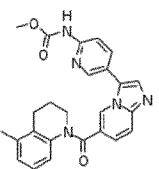
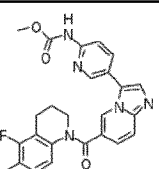
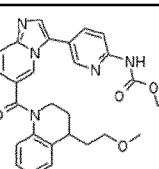
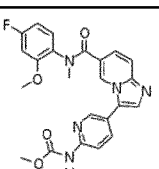
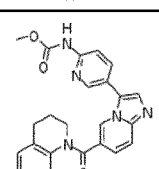
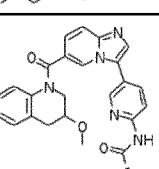
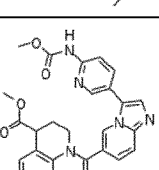
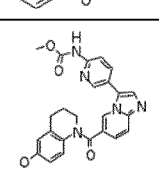
- 5 - LC/MS data, such as retention time (RT), [M+H]⁺,
 - the type of method, and/or
 - melting point (mp).

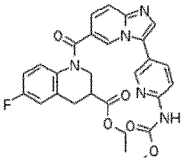
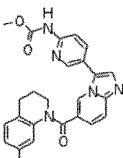
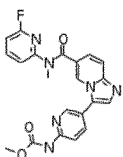
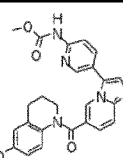
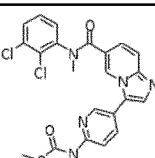
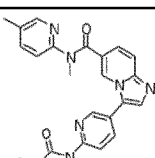
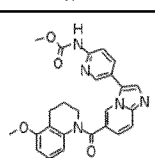
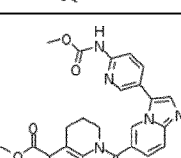
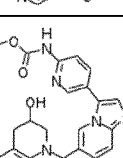
Table A:

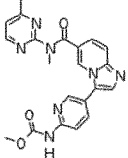
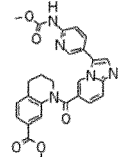
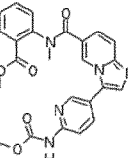
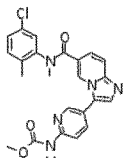
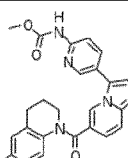
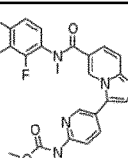
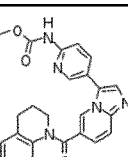
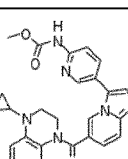
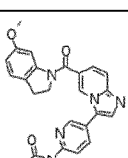
	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
1	methyl <i>N</i> -[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]-8-methylimidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.96	458	A	194 - 197
2	methyl <i>N</i> -[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)-8-methylimidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.05	461	A	173 - 176
3	methyl <i>N</i> -[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.05	461	A	217 - 219
4	methyl <i>N</i> -[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.47	444	A	180 - 182
5	methyl <i>N</i> -[5-[6-(7-fluoro-2,3-dihydro-1,4-benzoxazine-4-carbonyl)-8-methylimidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.03	462	A	213 - 216
6	methyl <i>N</i> -[5-[6-(5-methoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.87	458	B2	
7	methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2- <i>a</i>]pyridine-6-carbonyl]-3,4-dihydro-2 <i>H</i> -quinoline-5-carboxylate		0.85	486	B2	

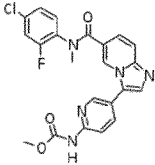
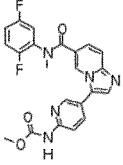
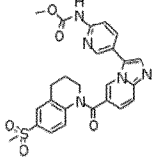
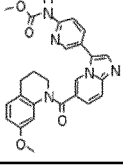
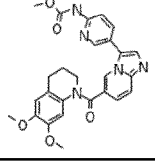
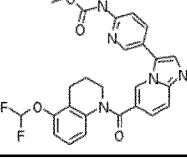
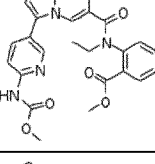
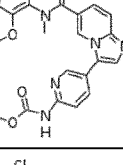
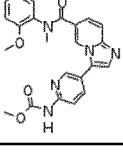
	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
8	methyl <i>N</i> -[5-[6-(3-methyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.89	442	B2	
9	methyl <i>N</i> -[5-[6-(8-fluoro-7-methyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.18	460	B1	
10	methyl <i>N</i> -[5-[6-[(2-chloro-5-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.13	466	B1	
11	methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2- <i>a</i>]pyridine-6-carbonyl]-3,4-dihydro-2 <i>H</i> -quinoline-3-carboxylate		1.03	486	B1	
12	methyl <i>N</i> -[5-[6-[(3-fluoro-2-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.07	450	B1	
13	methyl <i>N</i> -[5-[6-(5-fluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.14	446	B1	
14	methyl <i>N</i> -[5-[6-(2-methyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.13	442	B1	
15	methyl <i>N</i> -[5-[6-(5-chloroindoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.12	448	B1	
16	methyl <i>N</i> -[5-[6-(7-fluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.96	446	B1	

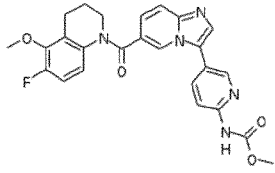
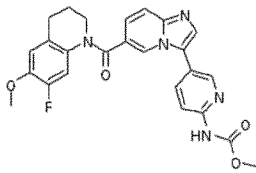
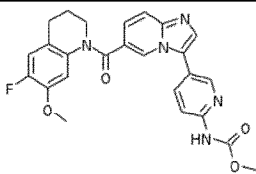
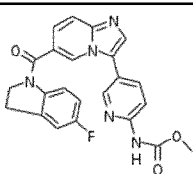
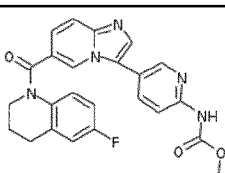
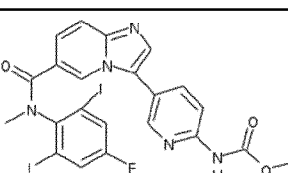
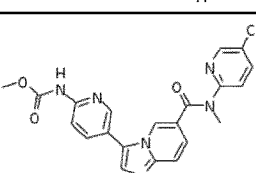
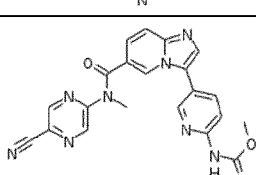
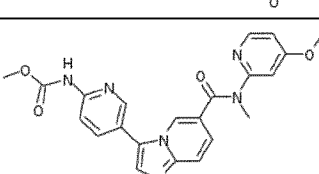
	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
17	methyl <i>N</i> -[5-[6-(6-chloro-8-fluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.09	480	B1	
18	methyl <i>N</i> -[5-[6-(5,7-difluoroindoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.04	450	B1	
19	methyl <i>N</i> -[5-[6-(6-bromo-5-fluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.12	526	B1	
20	methyl <i>N</i> -[5-[6-[(2-chloro-4-fluorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.84	454	B1	
21	methyl <i>N</i> -[5-[6-(5,6-difluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.14	464	B1	
22	methyl <i>N</i> -[5-[6-[(2-fluoro-4-methylphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.04	434	B1	
23	methyl <i>N</i> -[5-[6-(7-methylsulfonyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.75	506	B1	
24	methyl <i>N</i> -[5-[6-(6-fluoro-3-hydroxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.98	462	B1	
25	methyl <i>N</i> -[5-[6-[(6-chloropyridazin-3-yl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.91	438	B1	

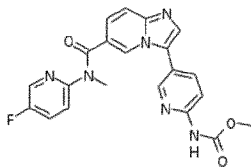
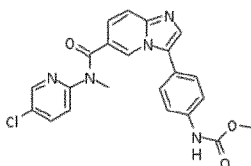
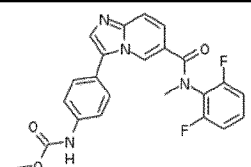
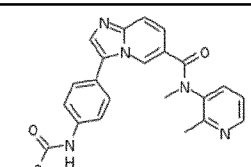
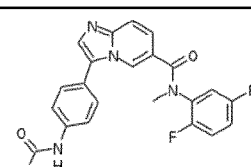
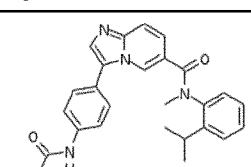
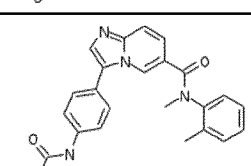
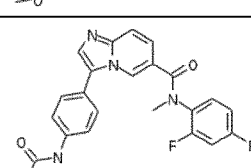
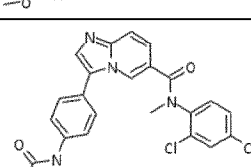
	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
26	methyl <i>N</i> -[5-[6-(6,7-difluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.13	464	B1	
27	methyl <i>N</i> -[5-[6-(5-methyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.16	442	B1	
28	methyl <i>N</i> -[5-[6-(5-fluoro-6-methyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.19	460	B1	
29	methyl <i>N</i> -[5-[6-[4-(2-methoxyethyl)-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.13	486	B1	
30	methyl <i>N</i> -[5-[6-[(4-fluoro-2-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.06	450	B1	
31	methyl <i>N</i> -[5-[6-(6-methyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.13	442	B1	
32	methyl <i>N</i> -[5-[6-(3-methoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.02	458	B1	
33	methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2- <i>a</i>]pyridine-6-carbonyl]-3,4-dihydro-2 <i>H</i> -quinoline-4-carboxylate		1.05	486	B1	
34	methyl <i>N</i> -[5-[6-[6-(difluoromethoxy)-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.16	494	B1	

	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
35	ethyl 6-fluoro-1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-3-carboxylate		1.16	518	B1	
36	methyl N-[5-[6-(7-methyl-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		1.13	442	B1	
37	methyl N-[5-[6-[(6-fluoro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		1.05	421	B1	
38	methyl N-[5-[6-(6-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		1.12	458	B1	
39	methyl N-[5-[6-[(2,3-dichlorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		0.93	470	B1	
40	methyl N-[5-[6-[methyl-(5-methyl-2-pyridyl)carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		0.82	417	B2	
41	methyl N-[5-[6-(5,7-dimethoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		1.08	488	B1	
42	methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-1,6-naphthyridine-5-carboxylate		0.59	487	B1	
43	methyl N-[5-[6-(3-hydroxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate		0.92	444	B1	

	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
44	methyl <i>N</i> -[5-[6-[methyl-(4-methylpyrimidin-2-yl)carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.95	418	B1	
45	methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2- <i>a</i>]pyridine-6-carbonyl]-3,4-dihydro-2 <i>H</i> -quinoline-7-carboxylate		1.09	486	B1	
46	methyl 2-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2- <i>a</i>]pyridine-6-carbonyl]-methyl-amino]benzoate		0.79	460	B1	
47	methyl <i>N</i> -[5-[6-[(5-chloro-2-methylphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.79	450	B1	
48	methyl <i>N</i> -[5-[6-(6-chloro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.84	462	B1	
49	methyl <i>N</i> -[5-[6-[methyl-(2,3,4-trifluorophenyl)carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.76	456	B1	
50	methyl <i>N</i> -[5-[6-(8-fluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.77	446	B1	
51	methyl <i>N</i> -[5-[6-(4-cyclopropyl-6-fluoro-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.87	487	B1	
52	methyl <i>N</i> -[5-[6-(6-methoxyindoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.75	444	B1	

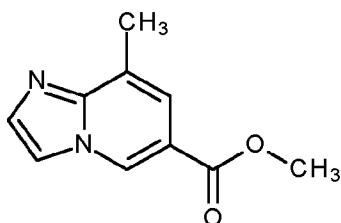
	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
53	methyl <i>N</i> -[5-[6-[(4-chloro-2-fluorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.79	454	B1	
54	methyl <i>N</i> -[5-[6-[(2,5-difluorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.72	438	B1	
55	methyl <i>N</i> -[5-[6-(6-methylsulfonyl-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.02	506	B1	
56	methyl <i>N</i> -[5-[6-(7-methoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.77	458	B1	
57	methyl <i>N</i> -[5-[6-(6,7-dimethoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.02	488	B1	
58	methyl <i>N</i> -[5-[6-[5-(difluoromethoxy)-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.84	494	B1	
59	methyl 2-[ethyl-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2- <i>a</i>]pyridine-6-carbonyl]amino]benzoate		0.75	474	B1	
60	methyl <i>N</i> -[5-[6-[(2-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.99	432	B1	
61	methyl <i>N</i> -[5-[6-[(5-chloro-2-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		1.09	466	B1	

	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
62	methyl <i>N</i> -[5-[6-(6-fluoro-5-methoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.74	476	C	
63	methyl <i>N</i> -[5-[6-(7-fluoro-6-methoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.71	476	C	
64	methyl <i>N</i> -[5-[6-(6-fluoro-7-methoxy-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.72	476	C	
65	methyl <i>N</i> -[5-[6-(5-fluoroindoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.72	476	C	210 - 212
66	methyl <i>N</i> -[5-[6-(6-fluoro-3,4-dihydro-2 <i>H</i> -quinoline-1-carbonyl)imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.72	467	C	179 - 183
67	methyl <i>N</i> -[5-[6-[(4-fluoro-2,6-diiodophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.94	672	C	
68	methyl <i>N</i> -[5-[6-[(5-chloro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.90	437	D	
69	methyl <i>N</i> -[5-[6-[(5-cyanopyrazin-2-yl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.70	429	C	
70	methyl <i>N</i> -[5-[6-[(4-methoxy-2-pyridyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.71	432	D	

	Compound name	Structure	RT (min)	[M+H] (measured)	Method	MP (°C)
71	methyl <i>N</i> -[5-[6-[(5-fluoro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]-2-pyridyl]carbamate		0.73	420	D	
72	methyl <i>N</i> -[4-[6-[(5-chloro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		0.71	436	C	
73	methyl <i>N</i> -[4-[6-[(2,6-difluorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		1.03	438	D	
74	methyl <i>N</i> -[4-[6-[methyl-(2-methyl-3-pyridyl)carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		0.73	416	D	
75	methyl <i>N</i> -[4-[6-[(2,5-difluorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		1.00	438	D	
76	methyl <i>N</i> -[4-[6-[(2-isopropylphenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		1.17	444	D	
77	methyl <i>N</i> -[4-[6-[methyl(<i>o</i> -tolyl)carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		1.00	416	D	
78	methyl <i>N</i> -[4-[6-[(2,4-difluorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		1.00	438	D	
79	methyl <i>N</i> -[4-[6-[(2,4-dichlorophenyl)-methyl-carbamoyl]imidazo[1,2- <i>a</i>]pyridin-3-yl]phenyl]carbamate		1.16	469	D	

Example 1: This example illustrates the preparation of methyl N-[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 1)

Step 1: Preparation of methyl 8-methylimidazo[1,2-a]pyridine-6-carboxylate



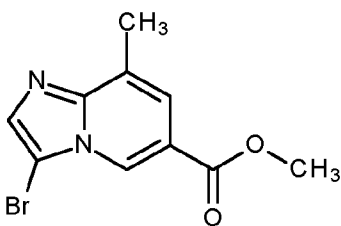
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A mixture of methyl 8-bromoimidazo[1,2-a]pyridine-6-carboxylate (CAS 1234616-08-0; 1.00 g, 3.80 mmol, 1.00 eq.), methylboronic acid (0.460 g, 7.50 mmol, 2.00 eq.) and potassium carbonate (1.00 g, 7.50 mmol, 2.00 eq.) in 2-methyl tetrahydrofuran (28 mL) was flushed with argon for 5 min. XPhos Pd G4 (CAS 1599466-81-5; 0.17 g, 0.19 mmol, 0.05 eq.) was then added and the reaction mixture was heated at 80 °C and stirred for an additional 16 hours. The reaction mixture was cooled down to room temperature and then water was added. The aqueous layer was extracted with ethyl acetate and the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (cyclohexane/ethyl acetate) to afford methyl 8-methylimidazo[1,2-a]pyridine-6-carboxylate as a light brown solid.

15 LC/MS (Method C) retention time = 0.14 min; $[M+H]^+$ = 190

^1H NMR (400 MHz, CDCl_3) δ ppm 8.81 (s, 1H), 7.70 (d, J = 1.2 Hz, 1H), 7.66 (d, J = 1.2 Hz, 1H), 7.54 (s, 1H), 3.95 (s, 3H), 2.65 (s, 3H).

Step 2: Preparation of methyl 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylate



20

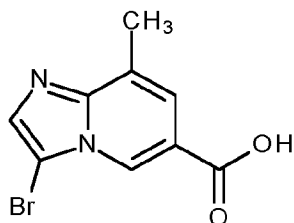
To a stirred solution of methyl 8-methylimidazo[1,2-a]pyridine-6-carboxylate (3.00 g, 16.0 mmol, 1.00 eq.) in acetonitrile (79 mL) at room temperature was added N-bromosuccinimide (3.20 g, 17.0 mmol, 1.10 eq.) and the mixture was stirred for an additional 2 hours. The reaction mixture was quenched with a saturated aqueous solution of sodium bisulfite and the precipitate was collected by filtration. The filter cake was washed with water and dried in vacuo at 40 °C overnight. The crude residue was purified over a silica gel (cyclohexane/ethyl acetate) to afford methyl 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylate as a light yellow solid.

25 LC/MS (Method C) retention time = 0.79; $[M+H]^+$ = 269/271

^1H NMR (400 MHz, CDCl_3) δ ppm 8.78 (br s, 1H), 7.68 (s, 1H), 7.60 – 7.64 (m, 1H), 3.99 (s, 3H), 2.60 (s, 3H).

30

Step 3: Preparation of 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid (compound X1)



(Compound X1)

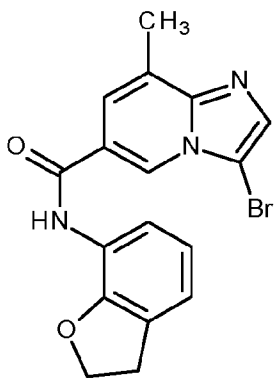
To a stirred mixture of methyl 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylate (7.00 g, 26.0 mmol, 1.00 eq.) in tetrahydrofuran/water (1/1; 120 mL) was added lithium hydroxide (1.25 g, 52.0 mmol, 2.00 eq.). The resulting mixture was stirred at room temperature for 1 hour. The reaction was diluted with water and extracted with diethyl ether. Then the aqueous layer was acidified to pH = 2 with 2M HCl aqueous solution and the precipitate was collected by filtration, washed with water and concentrated under reduced pressure to afford 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid as a brown solid.

10 LC/MS (Method C) retention time = 0.44; [M+H]⁺ = 255/257

¹H NMR (400 MHz, DMSO-d₆) δ ppm 13.46 (br s, 1H), 8.64 (br s, 1H), 7.82 (s, 1H), 7.58 (s, 1H), 2.54 (s, 3H).

Step 4: Preparation of 3-bromo-N-(2,3-dihydrobenzofuran-7-yl)-8-methyl-imidazo[1,2-a]pyridine-6-

15 carboxamide



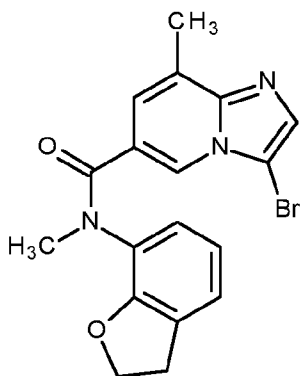
To an ice-cooled solution of 2,3-dihydrobenzofuran-7-amine (0.400 g, 2.96 mmol, 1.00 eq.) and 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid (compound X1) (0.830 g, 3.26 mmol, 1.10 eq.) in pyridine (10.0 mL) was added dropwise phosphoryl trichloride (1.36 g, 8.88 mmol, 3.00 eq.). The solution was stirred at room temperature for 2 hours. The aqueous solution was adjusted to pH~7 with a saturated solution of sodium bicarbonate. The reaction mixture was cooled down to room temperature and then water was added. The aqueous layer was extracted with ethyl acetate and the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (petroleum ether/ethyl acetate) to afford 3-bromo-N-(2,3-dihydrobenzofuran-7-yl)-8-methyl-imidazo[1,2-a]pyridine-6-carboxamide as a yellow solid.

25

LC/MS (Method B) retention time = 1.16; [M+H]⁺ = 372/374

¹H NMR (400 MHz, DMSO-d₆) δ ppm 10.16 (s, 1H), 8.85 (s, 1H), 7.81 (s, 1H), 7.67 (s, 1H), 7.31 (d, J = 7.8 Hz, 1H), 7.12 (d, J = 7.2 Hz, 1H), 6.85 (t, J = 7.6 Hz, 1H), 4.58 (t, J = 8.6 Hz, 2H), 3.25 (t, J = 8.6 Hz, 2H), 2.56 (s, 3H).

5 Step 5: Preparation of 3-bromo-N-(2,3-dihydrobenzofuran-7-yl)-N,8-dimethyl-imidazo[1,2-a]pyridine-6-carboxamide

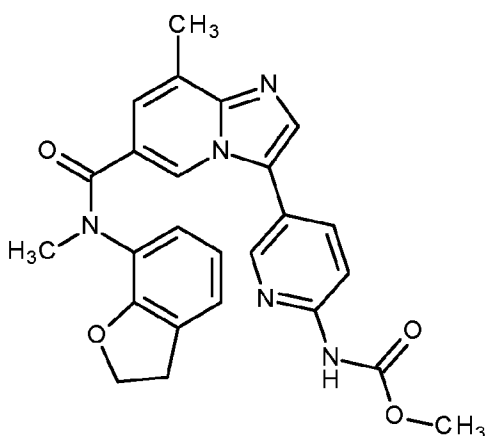


To an ice-cooled mixture of 3-bromo-8-methyl-N-(2,3,4,5-tetrahydrobenzofuran-7-yl)imidazo[1,2-a]pyridine-6-carboxamide (0.260 g, 0.695 mmol, 1.00 eq.) dissolved in dimethyl formamide (3.0 mL) and
 10 purged with a stream of argon, was added portion wise sodium hydride (60 mass% in oil; 0.025 g, 1.0 mmol, 1.50 eq.) and the resulting mixture was stirred at 0 °C for 20 minutes. Iodomethane (0.197 g, 1.39 mmol, 2.00 eq.) was then added dropwise and the mixture was stirred warmed to room temperature and stirred for an additional 2 hours. The mixture was then diluted with ethyl acetate and treated with ice cold water. The aqueous phase was extracted with ethyl acetate, the combined organic layers were
 15 washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over silica gel cartridge (dichloromethane / methanol) to afford 3-bromo-N-(2,3-dihydrobenzofuran-7-yl)-N,8-dimethyl-imidazo[1,2-a]pyridine-6-carboxamide as a yellow solid.

LC/MS (Method B) retention time = 1.15; [M+H]⁺ = 386/388

20 ¹H NMR (400 MHz, DMSO-d₆) δ ppm 7.93 (s, 1H), 7.67 (s, 1H), 7.31 – 7.05 (m, 2H), 6.98 (d, J = 7.6 Hz, 1H), 6.72 (t, J = 7.6 Hz, 1H), 4.56 (br s, 2H), 3.27 (s, 3H), 3.17 (br m, 2H), 2.41 (s, 3H).

Step 6: Preparation of methyl N-[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate

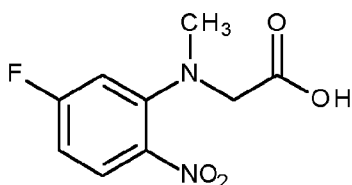


To a mixture of 3-bromo-N-(2,3-dihydrobenzofuran-7-yl)-N,8-dimethyl-imidazo[1,2-a]pyridine-6-carboxamide (0.210 g, 0.544 mmol, 1.00 eq.) and methyl N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]carbamate (0.227 g, 0.816 mmol, 1.50 eq.) were added dioxane/water (4/1; 3.0 mL),
 5 potassium carbonate (0.188 g, 1.36 mmol, 2.50 eq.) and the mixture was purged with a stream of argon for 5 minutes. Then [1,1'-bis-(diphenylphosphino)-ferrocen]-dichloro-palladium(II) (0.040 g, 0.054 mmol, 0.10 eq.) was added and the mixture was heated under microwave irradiation at 100 °C for 30 minutes. The mixture was cooled down and diluted with dichloromethane and water. The aqueous phase was extracted with dichloromethane, the combined organic layers were washed with water and brine, dried
 10 over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over silica gel cartridge (dichloromethane / methanol) to afford methyl N-[5-[6-[2,3-dihydrobenzofuran-7-yl(methyl)carbamoyl]-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as an off-white solid. LC/MS (Method B) retention time = 0.924; [M+H]⁺ = 458

¹H NMR (400 MHz, DMSO-d₆) δ ppm 10.48 (s, 1H), 8.23 – 8.15 (m, 1H), 7.98 (d, J = 8.6 Hz, 1H), 7.90
 15 (s, 1H), 7.70 (s, 1H), 7.60 (d, J = 7.4 Hz, 1H), 7.20 (s, 1H), 7.07 (d, J = 6.8 Hz, 1H), 6.89 (d, J = 7.6 Hz, 1H), 6.69 (t, J = 7.6 Hz, 1H), 4.55 (br s, 1H), 4.29 (br s, 1H), 3.74 (s, 3H), 3.24 (s, 3H), 3.11 (br s, 2H), 2.47 (s, 3H).

Example 2: This example illustrates the preparation of methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 2)

Step 1: Preparation of 2-(5-fluoro-N-methyl-2-nitro-anilino)acetic acid

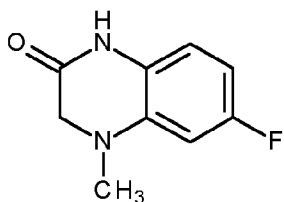


To a solution of 2,4-difluoro-1-nitro-benzene (CAS 446-35-5) (5.00 g, 31.4 mmol, 1.00 eq.) in a mixture
 25 of ethanol/water (7:3, 130 mL) was added 2-(methylamino)acetic acid (2.80 g, 31.4 mmol, 1.00 eq.) and sodium bicarbonate (6.60 g, 78.6 mmol, 2.50 eq.). The mixture was then heated to 80°C and stirred for an additional 2 hours. Then the organic solvent was removed under reduced pressure and the aqueous

solution was adjusted to pH~4 with 2N HCl. The resulting mixture was diluted with water and extracted with dichloromethane, the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure to afford 2-(5-fluoro-N-methyl-2-nitro-anilino)acetic acid.

5 LC/MS (Method B) retention time = 1.00; [M+H]⁺ = 229

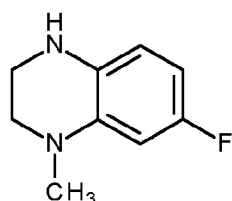
Step 2: Preparation of 6-fluoro-4-methyl-1,3-dihydroquinoxalin-2-one



To a solution of 2-(5-fluoro-N-methyl-2-nitro-anilino)acetic acid (4.00 g, 17.5 mmol, 1.00 eq.) and
10 potassium carbonate (18.9 g, 137 mmol, 7.80 eq.) in water (45 mL) was added dropwise a solution of sodium hydrosulfite (19.2 g, 110 mmol, 6.30 eq.) in water (55 mL). The reaction mixture was stirred at room temperature for an additional hour after which the aqueous solution was adjusted to pH~4 with 6N HCl. The resulting mixture was diluted with water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under
15 reduced pressure. The crude residue was purified over a silica gel cartridge (petroleum ether/ethyl acetate) to afford 6-fluoro-4-methyl-1,3-dihydroquinoxalin-2-one as a yellow solid.

LC/MS (Method B) retention time = 0.94; [M+H]⁺ = 181

Step 3: Preparation of 6-fluoro-4-methyl-2,3-dihydro-1H-quinoxaline



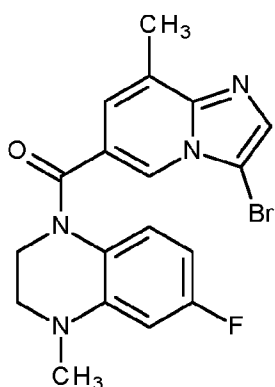
20

To an ice-cooled solution of lithium aluminium hydride (1.01 g, 26.6 mmol, 4.00 eq.) in tetrahydrofuran (30.0 mL) was added dropwise a solution of 6-fluoro-4-methyl-1,3-dihydroquinoxalin-2-one (1.20 g, 6.66 mmol, 1.00 eq.) in tetrahydrofuran (20.0 mL) after which the reaction mixture was warmed to room temperature and stirred for an additional 6 hours. The resulting mixture was quenched with water, 15%
25 aqueous sodium hydroxide and water. The mixture was filtered off and the filtrate concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (petroleum ether/ethyl acetate) to afford 6-fluoro-4-methyl-2,3-dihydro-1H-quinoxaline as a yellow oil. This compound is also commercially available (CAS 1354953-50-6).

LC/MS (Method B) retention time = 0.68; [M+H]⁺ = 167

30

Step 4: Preparation of (3-bromo-8-methyl-imidazo[1,2-a]pyridin-6-yl)-(6-fluoro-4-methyl-2,3-dihydroquinoxalin-1-yl)methanone



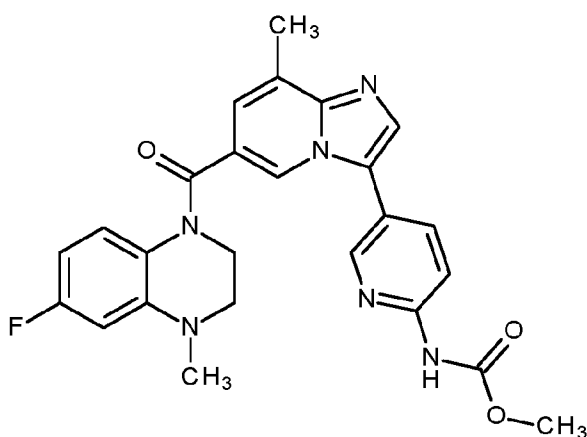
To an ice-cooled solution of 6-fluoro-4-methyl-2,3-dihydro-1H-quinoxaline (0.200 g, 1.20 mmol, 1.00 eq.) and 3-bromo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid (Compound X1) (0.338 g, 1.32 mmol, 1.10 eq.) in pyridine (5.0 mL) was added dropwise phosphoryl trichloride (0.554 g, 3.61 mmol, 3.00 eq.) and the reaction mixture was stirred at room temperature for an additional 3 hours. The mixture was then adjusted to pH~7 with a saturated solution of sodium bicarbonate, further diluted with water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (petroleum ether/ethyl acetate) to afford (3-bromo-8-methyl-imidazo[1,2-a]pyridin-6-yl)-(6-fluoro-4-methyl-2,3-dihydroquinoxalin-1-yl)methanone as a yellow solid.

LC/MS (Method B) retention time = 1.26; [M+H]⁺ = 403/405

¹H NMR (400 MHz, DMSO-d₆) δ ppm 8.24 (s, 1H), 7.76 (s, 1H), 7.09 (s, 1H), 6.92 (s, 1H), 6.57 (dd, *J* = 12.0, 2.8 Hz, 1H), 6.19 (t, *J* = 8.2 Hz, 1H), 3.88 (t, *J* = 5.2 Hz, 2H), 3.44 (t, *J* = 5.0 Hz, 2H), 2.96 (s, 3H), 2.45 (s, 3H).

15

Step 5: Preparation of methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate



To a mixture of (3-bromo-8-methyl-imidazo[1,2-a]pyridin-6-yl)-(6-fluoro-4-methyl-2,3-dihydroquinoxalin-1-yl)methanone (0.140 g, 0.347 mmol, 1.00 eq.), methyl N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]carbamate (0.145 g, 0.521 mmol, 1.50 eq.) in 1,4-dioxane/water (4:1, 2.5 mL) was added potassium carbonate (0.120 g, 0.868 mmol, 2.50 eq.). The mixture was purged with a stream of argon

20

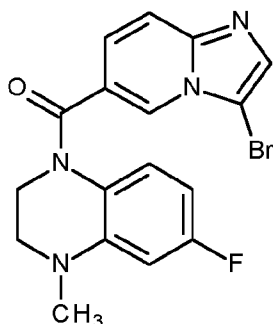
for 2 minutes and then [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) (0.0254 g, 0.0347 mmol, 0.100 eq.) was added to the reaction mixture under N₂ atmosphere. The resulting reaction mixture was heated at 65 °C for 1 hour. After cooling down to room temperature, the reaction mixture was filtered through a celite pad which was further extracted with ethyl acetate. The filtrate was washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified by trituration with acetonitrile to afford methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as a yellow solid.

LC/MS (Method B) retention time = 1.10; [M+H]⁺ = 475

10 ¹H NMR (400 MHz, DMSO-d₆) δ ppm 10.45 (s, 1H), 8.43 (d, *J* = 1.6 Hz, 1H), 8.28 (s, 1H), 7.96 (d, *J* = 8.6 Hz, 1H), 7.81 (s, 1H), 7.73 (s, 1H), 7.11 (s, 1H), 6.88 (s, 1H), 6.57 (dd, *J* = 12.0, 2.6 Hz, 1H), 6.21 (t, *J* = 7.2 Hz, 1H), 3.88 (t, *J* = 4.9 Hz, 2H), 3.72 (s, 3H), 3.43 (t, *J* = 4.9 Hz, 2H), 2.94 (s, 3H), 2.49 (s, 3H)

15 **Example 3: This example illustrates the preparation of methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 3)**

Step 1: Preparation of (3-bromoimidazo[1,2-a]pyridin-6-yl)-(6-fluoro-4-methyl-2,3-dihydroquinoxalin-1-yl)methanone

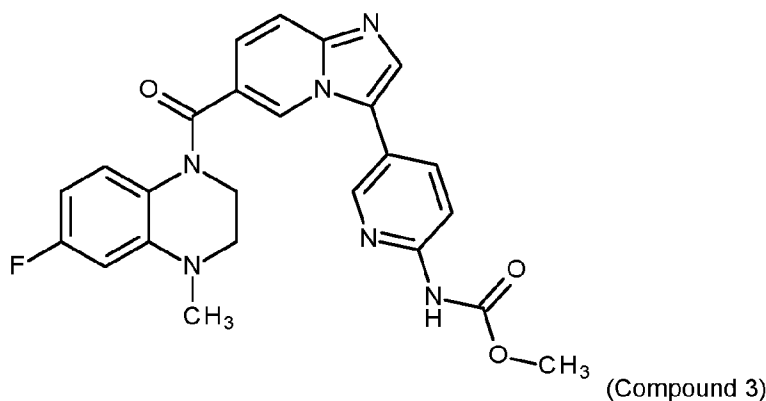


20 To an ice-cooled solution of 6-fluoro-4-methyl-2,3-dihydro-1H-quinoxaline (0.400 g, 2.41 mmol, 1.00 eq.) and 3-bromoimidazo[1,2-a]pyridine-6-carboxylic acid (CAS 886362-00-1) (0.638 g, 2.65 mmol, 1.10 eq.) in pyridine (10.0 mL) was added dropwise phosphoryl trichloride (1.11 g, 7.22 mmol, 3.00 eq.). The reaction mixture was stirred at room temperature for 3 hours. The mixture was then adjusted to pH~7 with a saturated solution of sodium bicarbonate, further diluted with water and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (petroleum ether/ethyl acetate) to afford (3-bromoimidazo[1,2-a]pyridin-6-yl)-(6-fluoro-4-methyl-2,3-dihydroquinoxalin-1-yl)methanone as a yellow solid.

LC/MS (Method B) retention time = 1.22; [M+H]⁺ = 389/391

30 ¹H NMR (400 MHz, DMSO-d₆) δ ppm 8.44 (s, 1H), 7.80 (s, 1H), 7.57 (d, *J* = 9.2 Hz, 1H), 7.14 (d, *J* = 8.0 Hz, 1H), 6.84 (s, 1H), 6.57 (dd, *J* = 12.0, 2.6 Hz, 1H), 6.16 (s, 1H), 3.90 (t, *J* = 5.0 Hz, 2H), 3.47 (t, *J* = 5.0 Hz, 2H), 2.96 (s, 3H).

Step 2: Preparation of methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 3)



To a mixture of (3-bromoimidazo[1,2-a]pyridin-6-yl)-(6-fluoro-4-methyl-2,3-dihydroquinoxalin-1-yl)methanone (0.280 g, 0.719 mmol, 1.00 eq.) and methyl N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]carbamate (0.300 g, 1.08 mmol, 1.50 eq.) in 1,4-dioxane/water (4:1, 3.00 mL) was added potassium carbonate (0.249 g, 1.80 mmol, 2.50 eq.). The mixture was purged with a stream of argon for 2 minutes. [1,1'-bis-(diphenylphosphino)-ferrocen]-dichloro-palladium(II) (0.053 g, 0.072 mmol, 0.10 eq.) was added and the resulting reaction mixture was heated at 65 °C for 1 hour. After cooling down to room temperature, the reaction mixture was filtered through a celite pad which was further extracted with ethyl acetate. The filtrate was washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified by trituration with acetonitrile to afford methyl N-[5-[6-(6-fluoro-4-methyl-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as a yellow solid.

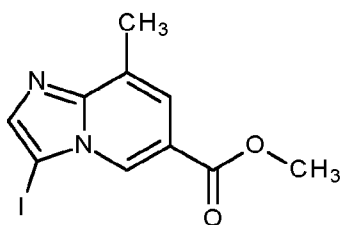
LC/MS (Method B) retention time = 1.03; [M+H]⁺ = 461

¹H NMR (400 MHz, DMSO-d₆) δ ppm 10.46 (s, 1H), 8.55 – 8.41 (m, 2H), 7.98 (d, J = 8.6 Hz, 1H), 7.86 (s, 1H), 7.85 (d, J = 5.0 Hz, 1H), 7.60 (d, J = 9.2 Hz, 1H), 7.17 (d, J = 8.8 Hz, 1H), 6.84 (s, 1H), 6.57 (dd, J = 12.0, 2.6 Hz, 1H), 6.19 (t, J = 7.4 Hz, 1H), 3.89 (t, J = 5.0 Hz, 2H), 3.72 (s, 3H), 3.46 (t, J = 4.8 Hz, 2H), 2.95 (s, 3H).

20

Example 4: This example illustrates the preparation of methyl N-[5-[6-(7-fluoro-2,3-dihydro-1,4-benzoxazine-4-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 5)

Step 1: Preparation of methyl 3-iodo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylate



25

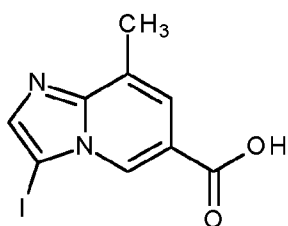
To a solution of methyl 8-methylimidazo[1,2-a]pyridine-6-carboxylate (3.00 g, 16.0 mmol, 1.00 eq.) in acetonitrile (79 mL, 5.00 mL/mmol) was added N-iodosuccinimide (3.20 g, 17.0 mmol, 1.10 eq.) at room

temperature and the mixture was stirred for 2 hours. The reaction mixture was then quenched with a saturated aqueous solution of sodium thiosulfate and the precipitate was collected by filtration. The filter cake was washed with water and dried in vacuo at 40 °C overnight. The crude residue was purified over a silica gel cartridge (cyclohexane/ethyl acetate) to afford methyl 3-iodo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylate as a light yellow solid.

LC/MS (Method B) retention time = 1.13; [M+H]⁺ = 317

¹H NMR (400 MHz, DMSO-d₆) δ ppm 8.62 (dd, J = 1.6, 0.6 Hz, 1H), 7.81 (s, 1H), 7.51 - 7.54 (m, 1H), 3.91 (s, 3H), 2.53 (s, 3H).

10 Step 2: Preparation of 3-iodo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid (compound X2)



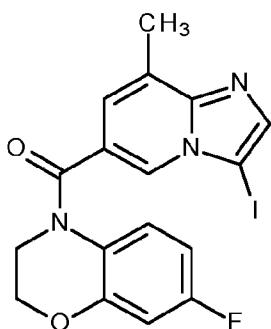
(compound X2)

To a stirred mixture of methyl 3-iodo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylate (7.00 g, 26.0 mmol, 1.00 eq.) in a mixture tetrahydrofuran/water (1:1, 120.0 mL) was added lithium hydroxide (1.25 g, 52.0 mmol, 2.00 eq.). The resulting mixture was stirred at room temperature for 1 hour. The reaction was diluted with water and extracted with diethyl ether. Then the aqueous layer was acidified to pH 2 with a 2M HCl aqueous solution and the precipitate was collected by filtration, washed with water and concentrated under reduced pressure to afford 3-iodo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid as a brown solid.

LC/MS (Method B) retention time = 0.75; [M+H]⁺ = 303

20 ¹H NMR (400 MHz, DMSO-d₆) δ ppm 8.52 (s, 1H), 7.64 (s, 1H), 7.58 - 7.62 (m, 1H), 2.47 (s, 3H).

Step 3: Preparation of (7-fluoro-2,3-dihydro-1,4-benzoxazin-4-yl)-(3-iodo-8-methyl-imidazo[1,2-a]pyridin-6-yl)methanone

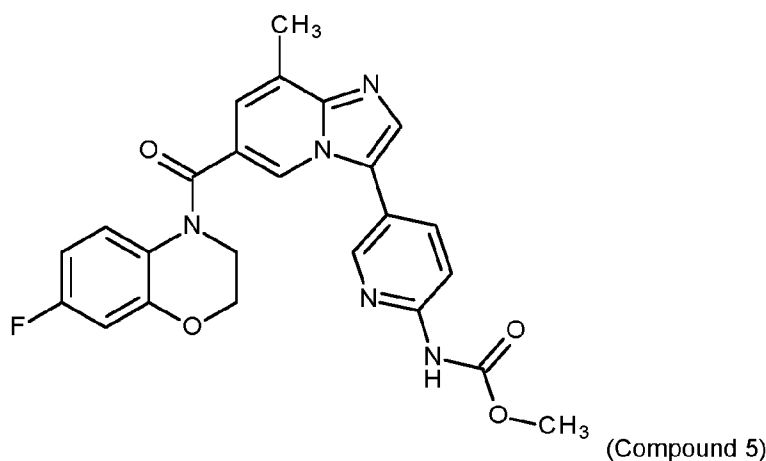


25 To a mixture of 3-iodo-8-methyl-imidazo[1,2-a]pyridine-6-carboxylic acid (compound X2) (0.500 g, 1.66 mmol, 1.00 eq.) in dimethyl formamide (8.00 mL) was added 1-methylimidazole (0.340 g, 4.14 mmol, 2.50 eq.) and [chloro(dimethylamino)methylene]-dimethyl-ammonium hexafluorophosphate (0.697 g, 2.48 mmol, 1.50 eq.). The solution was stirred at room temperature for 15 minutes and then 7-fluoro-3,4-

dihydro-2H-1,4-benzoxazine (0.279 g, 1.82 mmol, 1.10 eq.) was added and the resulting mixture was stirred at room temperature for an additional 3 hours. The reaction mixture was then cooled to room temperature and then water was added. The aqueous layer was extracted with ethyl acetate and the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (ethyl acetate/petroleum ether) to afford (7-fluoro-2,3-dihydro-1,4-benzoxazin-4-yl)-(3-iodo-8-methyl-imidazo[1,2-a]pyridin-6-yl)methanone as a brown solid.

LC/MS (Method B) retention time = 1.10; [M+H]⁻ = 438

10 Step 4: Preparation of methyl N-[5-[6-(7-fluoro-2,3-dihydro-1,4-benzoxazine-4-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 5)



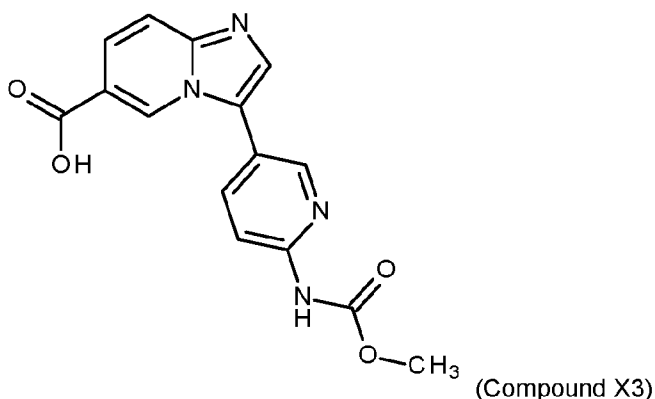
To a solution of (7-fluoro-2,3-dihydro-1,4-benzoxazin-4-yl)-(3-iodo-8-methyl-imidazo[1,2-a]pyridin-6-yl)methanone (200 mg, 0.457 mmol, 1.00 eq.), (methyl N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]carbamate (191 mg, 0.686 mmol, 1.50 eq.) in 1,4-dioxane/water (4/1, 5.00 mL) was added potassium carbonate (158 mg, 1.14 mmol, 2.50 eq.). The mixture was purged with a stream of argon for 2 minutes after which [1,1'-bis-(diphenylphosphino)-ferrocen]-dichloro-palladium(II) (33.5 mg, 0.0457 mmol, 0.10 eq.) was added and the resulting mixture was heated at 65 °C for 1 hour. After cooling to room temperature, the reaction mixture was filtered through a celite pad and washed with ethyl acetate. The filtrate was washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified by trituration with acetonitrile to afford methyl N-[5-[6-(7-fluoro-2,3-dihydro-1,4-benzoxazine-4-carbonyl)-8-methyl-imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as an off white solid.

LC/MS (Method B) retention time = 1.03; [M+H]⁺ = 462

25 ¹H NMR (400 MHz, DMSO-d₆) δ ppm 10.44 (s, 1H), 8.60 – 8.44 (m, 2H), 8.04 – 7.87 (m, 2H), 7.84 (s, 1H), 7.47 (s, 1H), 7.25 (s, 1H), 6.83 (dd, J = 10.0, 3.0 Hz, 1H), 6.68 (td, J = 8.7, 2.9 Hz, 1H), 4.39- 4.25 (m, 2H), 4.03 – 3.85 (m, 2H), 3.71 (s, 3H), 2.54 (s, 3H).

30 Example 5: This example illustrates the preparation of methyl N-[5-[6-[(2-chloro-4-fluoro-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 20)

Step 1: Preparation of 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3)

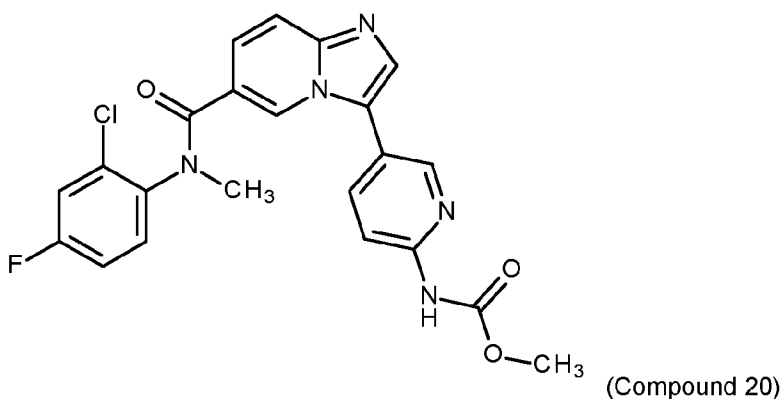


To a stirred solution of 3-bromoimidazo[1,2-a]pyridine-6-carboxylic acid (CAS 886362-00-1) (0.100 g, 5 0.415 mmol, 1.00 eq.), methyl N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]carbamate (0.173 g, 0.622 mmol, 1.50 eq.) in 1,4-dioxane/water (4/1, 2.00 mL) was added sodium carbonate (0.132 g, 1.24 mmol, 3.00 eq.). The mixture was purged with a stream of argon for 2 minutes and then tetrakis(triphenylphosphine) palladium(0) (0.024 g, 0.021 mmol, 0.050 eq.) was added and the resulting reaction mixture was heated at 90 °C for 4 hours. The reaction mixture was then cooled to room 10 temperature and concentrated under reduced pressure. The residue was diluted with water, the aqueous layer was acidified to pH 2 with a 2M aqueous solution of HCl and the resulting precipitate was collected by filtration, washed with water and dried under reduced pressure to afford 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid as an off white solid.

LC/MS (Method B) retention time = 0.82; [M+H]⁺ = 313

15 ¹H NMR (400 MHz, CD₃OD) δ ppm 9.13 - 9.17 (m, 1 H) 8.68 - 8.73 (m, 1 H) 8.50 - 8.57 (m, 1 H) 8.33 - 8.44 (m, 3 H) 8.11 - 8.17 (m, 1 H) 7.98 - 8.05 (m, 1 H) 3.85 (s, 3 H).

Step 2: Preparation of methyl N-[5-[6-[(2-chloro-4-fluoro-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 20)



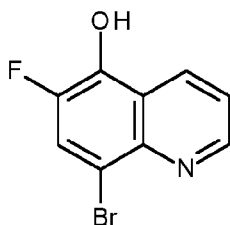
20

To a mixture of 2-chloro-4-fluoro-N-methyl-aniline (18 mg, 0.113 mmol, 2.00 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol, 1.00 eq.), in dry acetonitrile (0.5ml) were added 2-chloro-N-methyl pyridinium iodide (27

mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude 5 was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl N-[5-[6-[(2-chloro-4-fluoro-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate. LC/MS (Method B) retention time = 0.84; [M+H]⁺ = 454

Example 6: This example illustrates the preparation of methyl N-[5-[6-(6-fluoro-5-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 62)

Step 1: Preparation of 8-bromo-6-fluoro-quinolin-5-ol



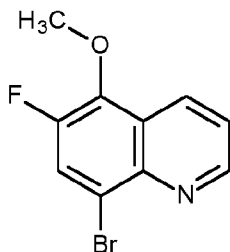
To a solution of 2-bromo-4-fluoro-5-methoxyaniline (1.00 g, 4.41 mmol, 1.00 eq.) and sodium iodide (0.007 g, 0.04 mmol, 0.01 eq.) in sulfuric acid (4.4 mL) at room temperature was added glycerol (0.390 mL, 0.492 g, 1.20 eq.). The reaction mixture was heated to 150 °C and stirred an additional 5 hours. The mixture was then cooled to 0-5°C and slowly basified with a saturated solution of sodium bicarbonate to reach pH 5. The aqueous layer was extracted with ethyl acetate and the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under 20 reduced pressure. The crude residue was purified over a silica gel cartridge (cyclohexane/ethyl acetate; ethanol (3/1)) to afford 8-bromo-6-fluoro-quinolin-5-ol as a light pink solid.

LC/MS (Method C) retention time = 0.72 min; [M+H]⁺ = 242/244

¹H NMR (400 MHz, CD₃OD) δ ppm 8.85 - 8.92 (m, 1 H) 8.68 - 8.75 (m, 1 H) 7.91 - 8.00 (m, 1 H) 7.55 - 7.58 (m, 1 H)

25

Step 2: Preparation of 8-bromo-6-fluoro-5-methoxy-quinoline



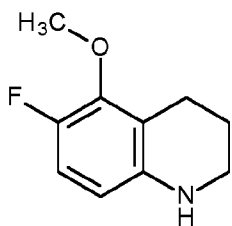
To a solution of 8-bromo-6-fluoro-quinolin-5-ol (0.420 g, 0.868 mmol, 1.00 eq.) and potassium carbonate (0.600 g, 4.34 mmol, 5.00 eq.) in acetone (8.68 mL, 10 mL/mmol) was added dropwise methyl iodide 30 (0.271 mL, 0.619 g, 4.34 mmol, 5.00 eq.). The reaction mixture was stirred at room temperature for 2

hours and then quenched with water, the aqueous layer was extracted with ethyl acetate and the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over a silica gel cartridge (cyclohexane/ethyl acetate) to afford 8-bromo-6-fluoro-5-methoxy-quinoline as a white solid.

5 LC/MS (Method C) retention time = 0.92 min; $[M+H]^+$ = 256/258

1H NMR (400 MHz, $CDCl_3$) δ ppm 8.91 - 9.03 (m, 1H) 8.43 - 8.58 (m, 1H) 7.81 - 7.95 (m, 1H) 7.41 - 7.54 (m, 1H) 4.15 (s, 3H).

Step 3: Preparation of 6-fluoro-5-methoxy-1,2,3,4-tetrahydroquinoline



10

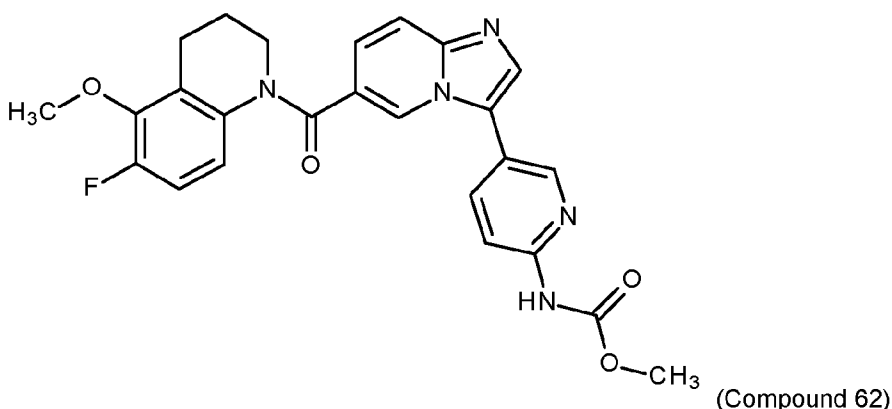
A solution of 8-bromo-6-fluoro-5-methoxy-quinoline (0.140 g, 0.547 mmol, 1.00 eq.) in ethanol (13.7 mL) went through H-Cube[®] under the following conditions: Pd/C cartridge, full H_2 , 40 bar, 50 °C, 1 mL/min flow. The reaction mixture was concentrated under reduced pressure to afford 6-fluoro-5-methoxy-1,2,3,4-tetrahydroquinoline as a white solid.

15 LC/MS (Method C) retention time = 0.55 min; $[M+H]^+$ = 182

1H NMR (400 MHz, $CDCl_3$) δ ppm 10.67 - 11.28 (br s, 1H) 7.40 (dd, J = 9.1, 4.0 Hz, 1H), 7.05 (dd, J = 11.1, 8.9 Hz, 1H), 3.99 (s, 3H), 3.45 - 3.59 (m, 2H), 2.83 (t, J = 6.5 Hz, 2H), 2.22 - 2.32 (m, 2H).

Step 4: Preparation of methyl N-[5-[6-(6-fluoro-5-methoxy-3,4-dihydro-2H-quinoline-1-

20 carbonyl)]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 62)



To a suspension of 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (0.135 g, 0.432 mmol, 1.00 eq.), 6-fluoro-5-methoxy-1,2,3,4-tetrahydroquinoline (0.0783 g, 0.432 mmol, 1.00 eq.) and triethylamine (0.241 mL, 1.73 mmol, 4.00 eq.) in acetonitrile (4.32 mL, 10 mL/mmol) was added propylphosphonic anhydride (50% in ethyl acetate; 0.515 mL, 0.865 mmol, 2.00 eq.). The reaction mixture was stirred at room temperature for 18 hours after which it was diluted with ethyl acetate and treated with a saturated solution of sodium bicarbonate. The aqueous layer was

extracted with ethyl acetate, the combined organic layers were washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over silica gel cartridge (cyclohexane/ ethyl acetate: ethanol (3/1)) to afford methyl N-[5-[6-(6-fluoro-5-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as a yellow solid.

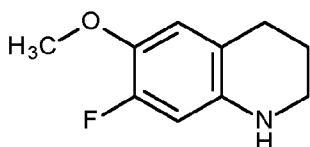
LC/MS (Method C) retention time = 0.73 min; $[M+H]^+ = 476$

^1H NMR (400 MHz, CDCl_3) δ ppm 8.40 - 8.46 (m, 1H), 8.34 - 8.40 (m, 1H), 8.21 - 8.29 (m, 1H), 8.14 (d, $J = 8.7$ Hz, 1H), 7.72 - 7.73 (m, 1H), 7.70 (dd, $J = 8.7, 2.5$ Hz, 1H), 7.51 (dd, $J = 9.5, 0.7$ Hz, 1H), 7.02 (dd, $J = 9.5, 1.5$ Hz, 1H), 6.70 (dd, $J = 11.3, 8.7$ Hz, 1H), 6.46 (br dd, $J = 8.5, 3.8$ Hz, 1H), 4.01 (d, $J = 2.5$ Hz, 3H), 3.86 - 3.89 (t, $J = 6.4$ Hz, 2H), 3.85 (s, 3H), 2.84 (t, $J = 6.7$ Hz, 2H), 1.99 - 2.08 (m, 2H).

Example 7: This example illustrates the preparation of methyl N-[5-[6-(7-fluoro-6-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound

15 **63)**

Step 1: Preparation of 7-fluoro-6-methoxy-1,2,3,4-tetrahydroquinoline



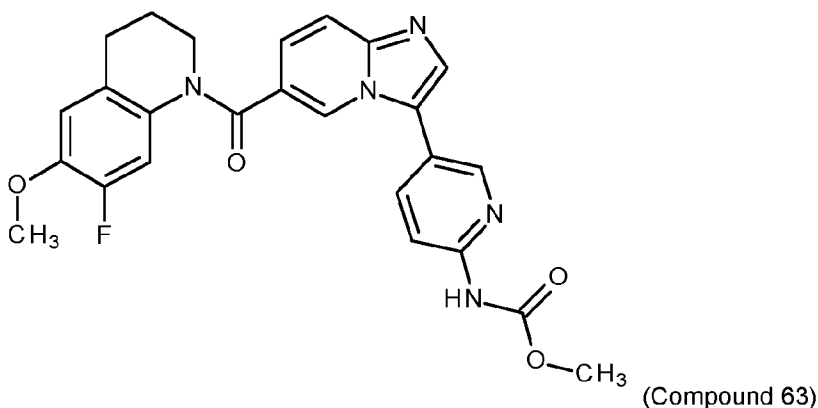
A colorless solution of 7-fluoro-6-methoxy-quinoline (50.0 mg, 0.280 mmol, 1.00 eq.) in ethanol (7.1 mL) was treated through a Pd/C cartridge at 40 bar H_2 and 50 °C with a flow rate of 1 mL/min in a H-Cube®. The reaction mixture was concentrated under reduced pressure to afford 7-fluoro-6-methoxy-1,2,3,4-tetrahydroquinoline as colorless liquid.

LC/MS (Method C) retention time = 0.38 min; $[M+H]^+ = 182$

^1H NMR (400 MHz, CDCl_3) δ ppm 6.59 (d, $J = 9.1$ Hz, 1H), 6.26 (d, $J = 12.7$ Hz, 1H), 3.79 (s, 3H), 3.16 - 3.32 (m, 2H), 2.70 (t, 2H), 1.84 - 1.99 (m, 2H).

25

Step 2: Preparation of methyl N-[5-[6-(7-fluoro-6-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 63)



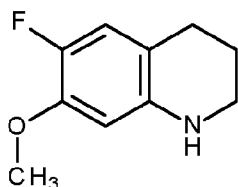
To a suspension of 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (75.0 mg, 0.240 mmol, 1.00 eq.) and 7-fluoro-6-methoxy-1,2,3,4-tetrahydroquinoline (44.0 mg, 0.240 mmol, 1.00 eq.) in acetonitrile (3.60 mL) and triethylamine (0.130 mL, 0.960 mmol, 4.00 eq.) was added propylphosphonic anhydride (50% in ethyl acetate, 0.290 mL, 0.480 mmol, 2.00 eq.). The reaction mixture was stirred at room temperature for 16 hours, then it was quenched with saturated aqueous Sodium bicarbonate and diluted with ethyl acetate. The mixture was extracted with ethyl acetate, the combined organic layer was washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude product was purified over silica gel cartridge (cyclohexane/(ethyl acetate/ethanol 3:1)) to afford methyl N-[5-[6-(7-fluoro-6-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as white solid.

LC/MS (Method C) retention time = 0.70 min; $[M+H]^+$ = 476

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 8.50 (br s, 1H), 8.36 - 8.43 (m, 2H), 8.11 (d, J = 8.72 Hz, 1H), 7.73 (s, 1H), 7.64 (dd, J = 8.54, 2.36 Hz, 1H), 7.54 (d, J = 9.45 Hz, 1H), 7.09 (dd, J = 9.45, 1.45 Hz, 1H), 6.82 (d, J = 9.08 Hz, 1H), 6.59 - 6.70 (m, 1H), 3.90 (s, 3H), 3.84 - 3.89 (m, 5H), 2.79 (t, 2H), 2.02 - 2.06 (m, 2H).

Example 8: This example illustrates the preparation of methyl N-[5-[6-(6-fluoro-7-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 64)

Step 1: Preparation of 6-fluoro-7-methoxy-1,2,3,4-tetrahydroquinoline



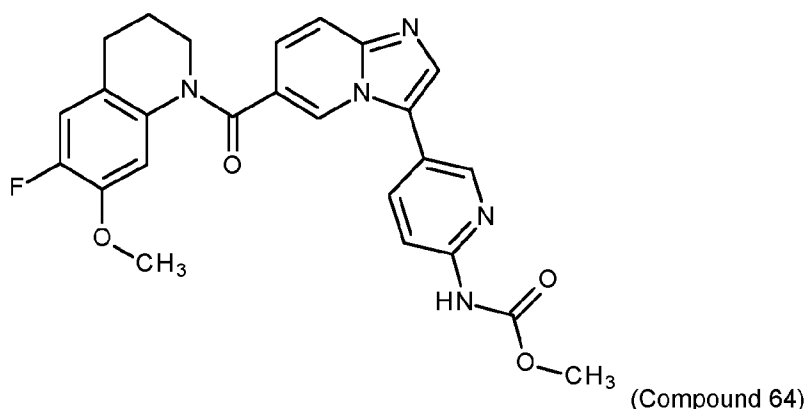
A colorless solution of 6-fluoro-7-methoxy-quinoline (CAS 851985-93-8), prepared as described for example in WO2005047280A1, (50.0 mg, 0.280 mmol, 1.00 eq.) in ethanol (5.60 mL) went through a Pd/C cartridge at 30 bar and 40°C with 1 mL/min in H-Cube®. A second run was needed at 40 bar, 50 °C and 1 mL/min. The reaction mixture was concentrated to afford 6-fluoro-7-methoxy-1,2,3,4-tetrahydroquinoline as colorless gum.

LC/MS (Method C) retention time = 0.50 min; $[M+H]^+$ = 182

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 6.68 (d, J = 11.99 Hz, 1H), 6.11 (d, J = 7.63 Hz, 1H), 3.80 (s, 3H), 3.23 - 3.27 (m, 2H), 2.66 (t, 2H), 1.84 - 1.97 (m, 2H).

30

Step 2: Preparation of methyl N-[5-[6-(6-fluoro-7-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 64)



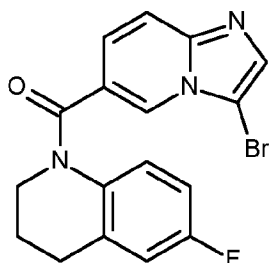
To a suspension of 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (62.0 mg, 0.200 mmol, 1.00 eq.) and 6-fluoro-7-methoxy-1,2,3,4-tetrahydroquinoline (36.0 mg, 0.200 mmol, 1.00 eq.) in acetonitrile (2.00 mL) and triethylamine (0.110 mL, 0.790 mmol, 4.00 eq.) was added
 5 propylphosphonic anhydride (50% in ethyl acetate, 0.240 mL, 0.400 mmol, 2.00 eq.). The reaction mixture was stirred at room temperature for 3 hours, then it was quenched with a saturated aqueous sodium bicarbonate solution and further diluted with ethyl acetate. The mixture was extracted with ethyl acetate, the combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over silica gel cartridge
 10 (cyclohexane/(ethyl acetate/ethanol 3:1)) to afford methyl N-[5-[6-(6-fluoro-7-methoxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate as white solid.

LC/MS (Method C) retention time = 0.72 min; $[M+H]^+$ = 476

¹H NMR (400 MHz, CDCl₃) δ ppm 8.35 - 8.43 (m, 3H), 8.14 (d, *J* = 8.4 Hz, 1H), 7.74 (s, 1H), 7.61 - 7.69 (m, 1H), 7.59 (d, *J* = 9.5 Hz, 1H), 7.16 (dd, *J* = 9.1, 1.5 Hz, 1H), 6.94 (d, *J* = 11.3 Hz, 1H), 6.50 -
 15 6.62 (m, 1H), 3.89 (t, *J* = 6.5 Hz, 2H), 3.86 (s, 3H), 3.50 (s, 3H), 2.77 (t, *J* = 6.7 Hz, 2H), 1.99 - 2.04 (m, 2H).

Example 9: This example illustrates the preparation of methyl N-[5-[6-(6-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (compound 66)

20 **Step 1: Preparation of (3-bromoimidazo[1,2-a]pyridin-6-yl)-(6-fluoro-3,4-dihydro-2H-quinolin-1-yl)methanone**



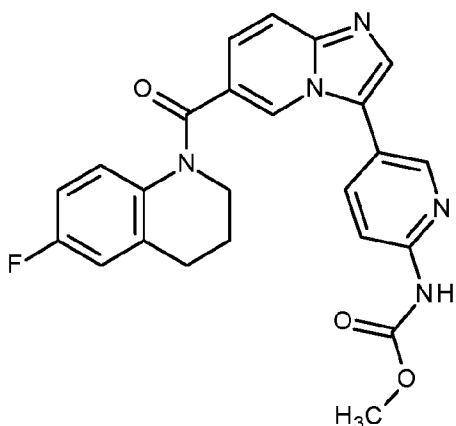
To a mixture of 3-bromoimidazo[1,2-a]pyridine-6-carboxylic acid (200 mg, 0.830 mmol, 1.00 eq.) and 6-fluoro-1,2,3,4-tetrahydroquinoline (CAS 59611-52-8; 192 mg, 1.25 mmol, 1.50 eq.) in N,N-dimethylacetamide (5.00 mL) was added N-ethyl-N-isopropyl-propan-2-amine (0.710 mL, 4.15 mmol,
 25 5.00 eq.) and propylphosphonic anhydride (50% in ethyl acetate, 1.24 mL, 2.07 mmol, 2.50 eq.). The

reaction mixture was stirred at 55 °C for 35 min, then it was diluted with ethyl acetate and quenched with saturated aqueous sodium bicarbonate. The mixture was extracted with ethyl acetate and the combined organic layers were washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude product was purified over silica gel cartridge (cyclohexane/ethyl acetate) to afford (3-bromoimidazo[1,2-a]pyridin-6-yl)-(6-fluoro-3,4-dihydro-2H-quinolin-1-yl)methanone as a white solid.

LC/MS (Method C) retention time = 0.88 min; $[M+H]^+$ = 374

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 8.48 (dd, J = 1.6, 0.9 Hz, 1H), 7.68 (s, 1H), 7.42 (dd, J = 9.5, 0.7 Hz, 1H), 6.96 (br dd, J = 8.4, 2.9 Hz, 1H), 6.93 (br dd, J = 9.5, 1.5 Hz, 1H), 6.75 (br d, J = 4.7 Hz, 1H), 6.70 - 6.63 (m, 1H), 3.96 (t, J = 6.7 Hz, 2H), 2.89 (t, J = 6.5 Hz, 2H), 2.15 - 2.06 (m, 2H).

Step 2: Preparation of methyl N-[5-[6-(6-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 66)



(Compound 66)

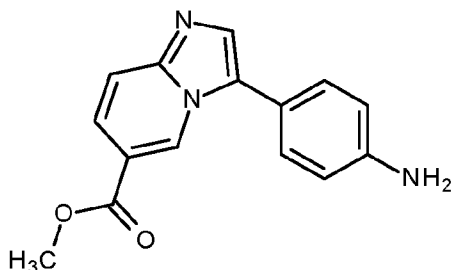
To a solution of (3-bromoimidazo[1,2-a]pyridin-6-yl)-(6-fluoro-3,4-dihydro-2H-quinolin-1-yl)methanone (280 mg, 0.748 mmol, 1.00 eq.) and methyl N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]carbamate (307 mg, 1.12 mmol, 1.50 eq.) in a mixture of 2-methyltetrahydrofuran (4.5 mL) and water (1.50 mL) was added cesium carbonate (366 mg, 1.12 mmol, 1.50 eq.). The mixture was purged with argon for 5 minutes and then tetrakis(triphenylphosphine)palladium(0) (456 mg, 0.0370 mmol, 0.050 eq.) was added and the mixture was heated under microwave irradiation at 100 °C for 1 hour. The mixture was cooled down to room temperature, water was added, and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over silica gel cartridge (cyclohexane/(ethyl acetate/ethanol 3:1)) to afford methyl N-[5-[6-(6-fluoro-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate.

LC/MS (Method C) retention time = 0.75 min; $[M+H]^+$ = 446

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ ppm 8.44 - 8.36 (m, 3H), 8.15 (d, J = 8.7 Hz, 1H), 7.75 (s, 1H), 7.65 (dd, J = 8.7, 2.2 Hz, 1H), 7.51 (d, J = 9.5 Hz, 1H), 7.03 (dd, J = 9.5, 1.5 Hz, 1H), 6.98 (dd, J = 8.5, 2.7 Hz, 1H), 6.77 - 6.65 (m, 2H), 3.92 (t, J = 6.5 Hz, 2H), 3.87 (s, 3H), 2.83 (t, J = 6.5 Hz, 2H), 2.12 - 2.02 (m, 2H).

Example 10: This example illustrates the preparation of methyl N-[4-[6-[(2,4-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate (compound 78)

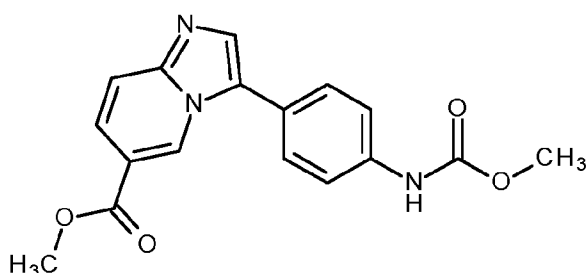
Step 1: Preparation of methyl 3-(4-aminophenyl)imidazo[1,2-a]pyridine-6-carboxylate



- 5 To a stirred mixture of methyl 3-bromoimidazo[1,2-a]pyridine-6-carboxylate (1.00 g, 3.80 mmol, 1.00 eq.) and (4-aminophenyl)boronic acid hydrochloride (0.893 g, 4.94 mmol, 1.30 eq.) in a mixture of 1,4-dioxane (57 mL) and water (5.7 mL) was added cesium carbonate (3.13 g, 9.51 mmol, 2.50 eq.). The mixture was purged with a stream of argon for 2 minutes, cataCXium A Pd G3 (CAS 1651823-59-4, 0.146 g, 0.190 mmol, 0.050 eq.) was added and the mixture was stirred at 80 °C for 16 hours. The
- 10 reaction mixture was cooled down, diluted with ethyl acetate, quenched with a saturated solution of sodium bicarbonate and extracted with ethyl acetate. The combined organic layers were washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The crude residue was purified over silica gel cartridge (cyclohexane/ ethyl acetate) to afford methyl 3-(4-aminophenyl)imidazo[1,2-a]pyridine-6-carboxylate as a yellow solid.
- 15 LC/MS (Method C) retention time = 0.41 min; [M+H]⁺ = 268
¹H NMR (400 MHz, DMSO-d₆) δ ppm 8.99 (dd, J = 1.8, 1.1 Hz, 1H), 7.69 - 7.74 (m, 1H), 7.66 (s, 1H), 7.63 - 7.66 (m, 1H), 7.31 - 7.38 (m, 2H), 6.83 - 6.88 (m, 2H), 3.93 (s, 3H), 3.91 (br s, 2H).

Step 2: Preparation of methyl 3-[4-(methoxycarbonylamino)phenyl]imidazo[1,2-a]pyridine-6-

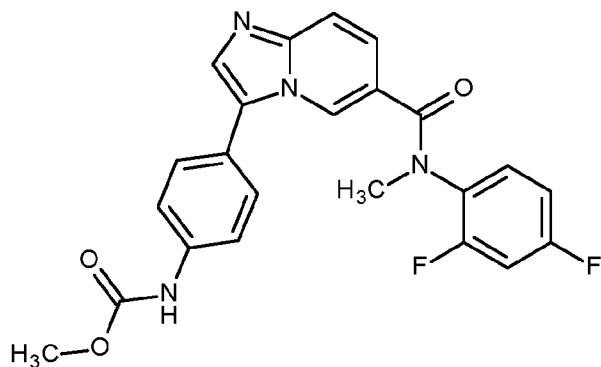
carboxylate



- To a mixture of methyl 3-(4-aminophenyl)imidazo[1,2-a]pyridine-6-carboxylate (905 mg, 3.22 mmol, 1.00 eq.) and pyridine (1280 mg, 16.1 mmol, 1.31 mL, 5.00 eq.) in ethyl acetate (36 mL) was added dropwise methyl chloroformate (614 mg, 6.43 mmol, 0.502 mL, 2.00 eq.). The reaction mixture was
- 25 stirred at room temperature for 4 hours and then quenched with an aqueous saturated solution of sodium bicarbonate. The resulting solid that formed was filtered, washed with water and ethyl acetate. The solid was further dried under reduced pressure to afford methyl 3-[4-(methoxycarbonylamino)phenyl]imidazo[1,2-a]pyridine-6-carboxylate as a beige solid.
- LC/MS (Method C) retention time = 0.66 min; [M+H]⁺ = 326

^1H NMR (400 MHz, DMSO- d_6) δ ppm 9.91 (s, 1H), 8.91 - 8.94 (m, 1H), 7.81 (s, 1H), 7.57 - 7.72 (m, 6H) 3.87 (m, 3H), 3.71 (s, 3H).

Step 3: Preparation of methyl N-[4-[6-[(2,4-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate (Compound 78)



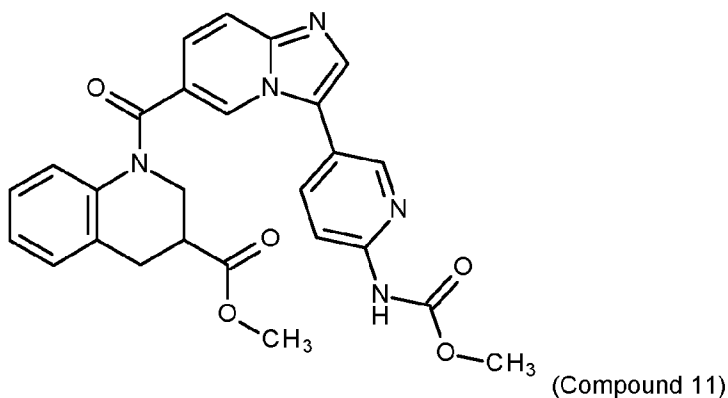
(Compound 78)

To a solution of methyl 3-[4-(methoxycarbonylamino)phenyl]imidazo[1,2-a]pyridine-6-carboxylate (0.035 mmol, 1.00 eq.), 2,4-difluoro-N-methyl-aniline (0.070 mmol, 2.00 eq.) and diisopropylamine (0.21 mmol, 6.00 eq.) in dimethylacetamide (0.20 mL) was added bis(2-oxo-3-oxazolidinyl)phosphinic chloride (0.088 mmol, 2.50 eq.). The reaction mixture was stirred at 70 °C for 16 hours, cooled to room temperature and the solvent was evaporated under reduced pressure. The resulting residue was purified by HPLC to afford methyl N-[4-[6-[(2,4-difluorophenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]phenyl]carbamate.

LC/MS (Method D) retention time = 1.00min; $[\text{M}+\text{H}]^+ = 437$

15

Example 11: This example illustrates the preparation of methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-3-carboxylate (compound 11)



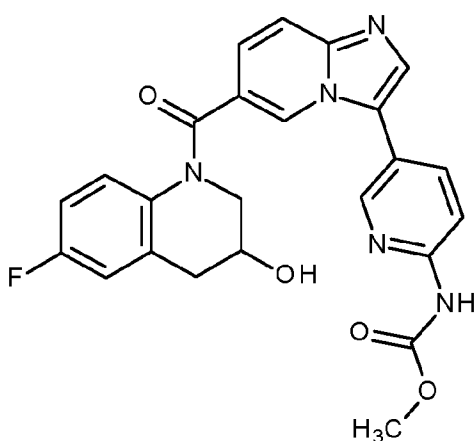
(Compound 11)

20 To a mixture of methyl 1,2,3,4-tetrahydroquinoline-3-carboxylate (CAS 177202-62-9, 18 mg, 0.094 mmol, 1.2 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methylpyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and

stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl 1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-3-carboxylate.

LC/MS (Method B1) retention time = 1.03; [M+H]⁺ = 486

Example 12: This example illustrates the preparation of methyl N-[5-[6-(6-fluoro-3-hydroxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 24)

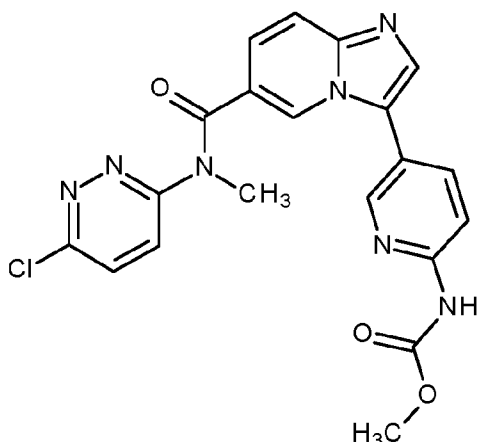


(Compound 24)

To a mixture of 6-fluoro-1,2,3,4-tetrahydroquinolin-3-ol (CAS 1513216-64-2, 18 mg, 0.11 mmol, 1.4 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methyl pyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl N-[5-[6-(6-fluoro-3-hydroxy-3,4-dihydro-2H-quinoline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate.

LC/MS (Method B1) retention time = 0.98; [M+H]⁺ = 462

Example 13: This example illustrates the preparation of methyl N-[5-[6-(6-chloropyridazin-3-yl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 25)

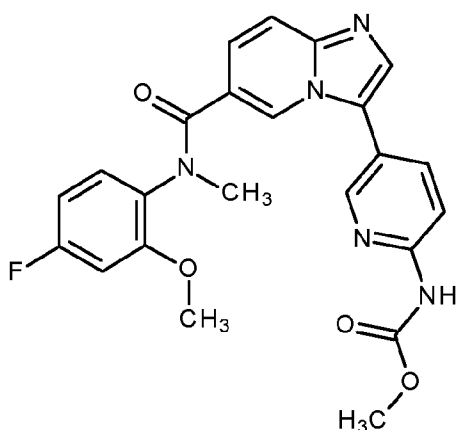


(Compound 25)

To a mixture of 6-chloro-N-methyl-pyridazin-3-amine (18 mg, 0.13 mmol, 1.6 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methyl pyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl N-[5-[6-[(6-chloropyridazin-3-yl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate.

LC/MS (Method B1) retention time = 0.91; [M+H]⁺ = 438

Example 14: This example illustrates the preparation of methyl N-[5-[6-[(4-fluoro-2-methoxyphenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 30)



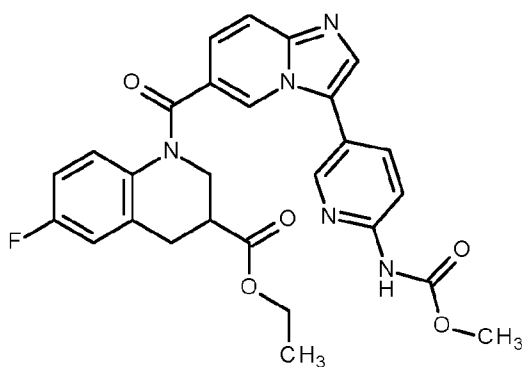
(Compound 30)

To a mixture of 4-fluoro-2-methoxy-N-methylbenzenamine (18 mg, 0.12 mmol, 1.5 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methyl pyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced

pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl N-[5-[6-[(4-fluoro-2-methoxy-phenyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate. LC/MS (Method B1) retention time = 1.16; [M+H]⁺ = 518

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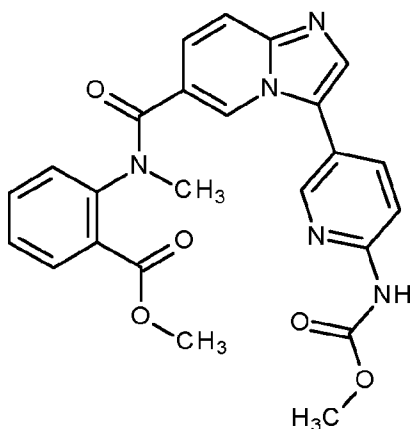
Example 15: This example illustrates the preparation of ethyl 6-fluoro-1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-3-carboxylate (Compound 35)



(Compound 35)

- 10 To a mixture of ethyl 6-fluoro-1,2,3,4-tetrahydroquinoline-3-carboxylate (18 mg, 0.081 mmol, 1.05 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methyl pyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional
- 15 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford ethyl 6-fluoro-1-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-3,4-dihydro-2H-quinoline-3-carboxylate.
- 20 LC/MS (Method B1) retention time = 1.16; [M+H]⁺ = 518

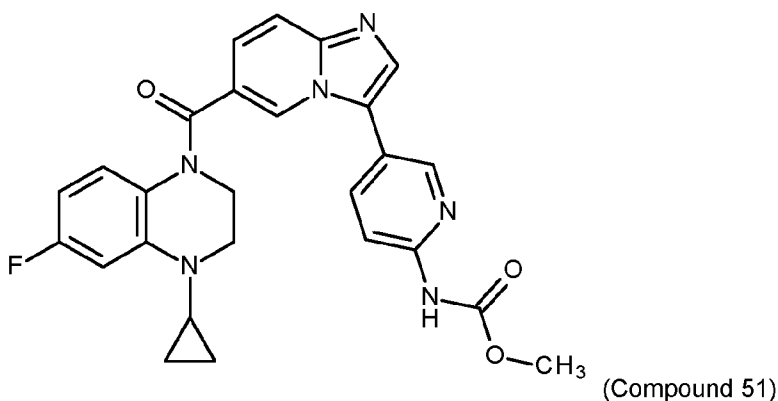
Example 16: This example illustrates the preparation of methyl 2-[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-methyl-amino]benzoate (Compound 46)



(Compound 46)

To a mixture of ethyl 2-(methylamino)benzoate (18 mg, 0.11 mmol, 1.4 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methyl pyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was evaporated under reduced pressure. The resulting residue was dissolved dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl 2-[[3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carbonyl]-methyl-amino]benzoate. LC/MS (Method B1) retention time = 0.79; [M+H]⁺ = 460

Example 17: This example illustrates the preparation of methyl N-[5-[6-(4-cyclopropyl-6-fluoro-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 51)



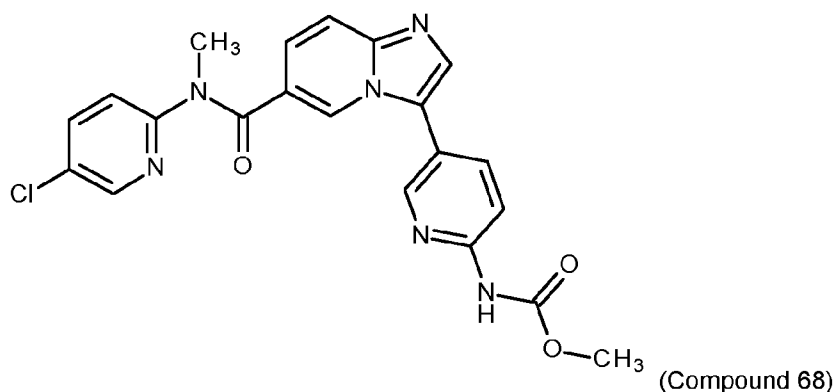
(Compound 51)

To a mixture of 1-cyclopropyl-7-fluoro-1,2,3,4-tetrahydroquinoxaline (CAS 1503466-47-4, 18 mg, 0.093 mmol, 1.2 eq.) and 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (24 mg, 0.077 mmol) in dry acetonitrile (0.50 mL) were added 2-chloro-N-methyl pyridinium iodide (27 mg, 0.11 mmol, 1.40 eq.), and diisopropylethylamine (31 mg, 0.24 mmol, 3.1 eq.). The reaction mixture was stirred at room temperature for 30 minutes and then heated to 80 °C and stirred for an additional 12 hours. The mixture was then cooled to room temperature, the solvent was

evaporated under reduced pressure. The resulting residue was dissolved in dimethylsulfoxide, the solution was filtered, and the crude was purified by HPLC (water (0.1% formic acid) /acetonitrile (0.1% formic acid)) to afford methyl N-[5-[6-(4-cyclopropyl-6-fluoro-2,3-dihydroquinoxaline-1-carbonyl)imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate.

5 LC/MS (Method B1) retention time = 0.87; [M+H]⁺ = 487

Example 18: This example illustrates the preparation of methyl N-[5-[6-[(5-chloro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate (Compound 68)



10 To a solution of 5-chloro-N-methyl-pyridin-2-amine (15.2 mg, 0.11 mmol, 1.5 eq.) in dimethylacetamide (0.300 mL) was added a solution of 3-[6-(methoxycarbonylamino)-3-pyridyl]imidazo[1,2-a]pyridine-6-carboxylic acid (compound X3) (22 mg, 0.071 mmol) in dimethylacetamide (0.800 mL). Diisopropylethylamine (0.055 mL, 0.32 mmol, 2.8 eq.) was added, followed by addition of a solution of bis(2-oxo-3-oxazolidinyl)phosphonic chloride (36 mg, 0.14 mmol, 1.3 eq.) in dimethylacetamide (0.400 mL). The mixture was stirred at 75 °C for 16 hours. Dimethylacetamide was evaporated. The crude residue was purified by reverse phase HPLC (water/acetonitrile) to afford methyl N-[5-[6-[(5-chloro-2-pyridyl)-methyl-carbamoyl]imidazo[1,2-a]pyridin-3-yl]-2-pyridyl]carbamate.

15 LC/MS (Method D) retention time = 0.90; [M+H]⁺ = 437

20

Biological examples:

The fungicidal activity of the compounds of the invention have been tested as follows:

Phytophthora infestans / tomato / leaf disc preventative (late blight)

25 Tomato leaf disks are placed on water agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water. The leaf disks are inoculated with a spore suspension of the fungus 1 day after application. The inoculated leaf disks are incubated at 16 °C and 75% rh under a light regime of 24 h darkness followed by 12 h light / 12 h darkness in a climate cabinet and the activity of a compound is assessed as percent disease control compared to untreated when an appropriate level of

30 disease damage appears in untreated check leaf disks (5 - 7 days after application).

The following compounds gave at least 80% control of *Phytophthora infestans* at 200 ppm when compared to untreated control under the same conditions, which showed extensive disease development:

2, 3, 5, 11, 12, 17, 21, 24, 27, 30, 32, 35, 43, 46, 51, 54, 59, 62, 64, 66.

5

Plasmopara viticola / grape / leaf disc preventative (late blight)

Grape vine leaf disks are placed on water agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water. The leaf disks are inoculated with a spore suspension of the fungus 1 day after application. The inoculated leaf disks are incubated at 19 °C and 80% rh under a light regime of 12 h light / 12 h darkness in a climate cabinet and the activity of a compound is assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf disks (6 - 8 days after application).

The following compounds gave at least 80% control of *Plasmopara viticola* at 200 ppm when compared to untreated control under the same conditions, which showed extensive disease development:

15 1, 2, 3, 4, 7, 11, 21, 30, 35, 39, 51, 64, 66, 78.

Pythium ultimum / liquid culture (seedling damping off)

Mycelia fragments and oospores of a newly grown liquid culture of the fungus are directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of test compound into a microtiter plate (96-well format), the nutrient broth containing the fungal mycelia/spore mixture is added. The test plates are incubated at 24 °C and the inhibition of growth is determined photometrically 2-3 days after application.

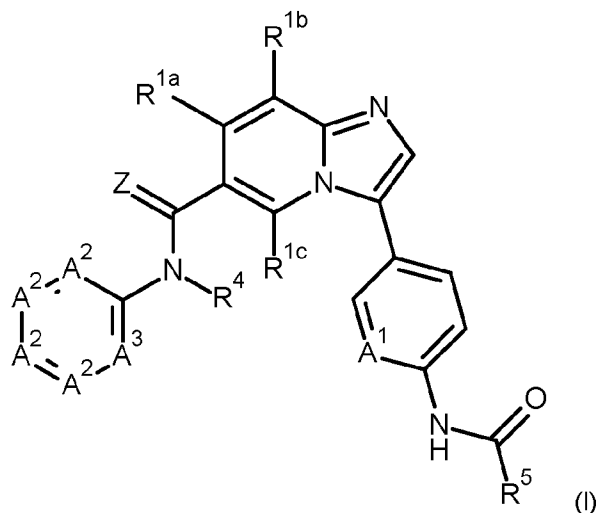
The following compounds gave at least 80% control of *Pythium ultimum* at 20 ppm when compared to untreated control under the same conditions, which showed extensive disease development:

25 2, 3, 4, 5, 7, 8, 11, 12, 13, 14, 17, 21, 24, 26, 27, 28, 30, 32, 35, 43, 46, 47, 48, 51, 53, 54, 56, 58, 60, 61, 62, 63, 64, 66, 68, 78.

30

Claims

1. A compound of formula (I)



5

wherein Z is O or S, and preferably Z is O;

A¹ is CH or N, and preferably N;

10 R^{1a}, R^{1b} and R^{1c} are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxy, amino, and -NHC(O)C₁₋₆alkyl;

15 A² are independently CR² or N, with the proviso that no more than three A² are N, preferably no more than two A² are N, preferably no more than one A² is N, and more preferably the four A² are CR²;

20 R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN;

25

A³ is independently CR³ or N;

R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋

6alkylsulfanyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino groups
 5 is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN;

wherein R³ taken together with the adjacent R² optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 5-membered heterocycle or a 6-membered heterocycle;

10 R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, and CN, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl and diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl groups is optionally substituted with one to three substituents independently selected from halogen and
 15 CN;

wherein A³ and R⁴ taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle; and

20

R⁵ is selected from C₁₋₆alkyl, C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₁₋₆alkylamino, diC₁₋₆alkylamino, C₁₋₆alkoxyamino, and C₁₋₆alkylC₁₋₆alkoxyamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, C₁₋₆alkylamino, diC₁₋₆alkylamino, C₁₋₆alkoxyamino, and C₁₋₆alkylC₁₋₆alkoxyamino groups is optionally substituted with one to
 25 three substituents independently selected from halogen and CN;

or a salt or N-oxide thereof.

2. The compound according to claim 1, wherein R^{1a}, R^{1b} and R^{1c} are independently selected from
 30 hydrogen, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy, and preferably from hydrogen and C₁₋₆alkyl.

3. The compound according to claim 1, wherein R^{1a} and R^{1c} are hydrogen; and R^{1b} is selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl,
 35 C₁₋₆alkoxy, amino, and NHC(O)C₁₋₆alkyl.

4. The compound according to any one of the preceding claims, wherein R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxy-C₁₋₆alkoxy, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl,
 40 wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₁₋₆alkoxycarbonyl,

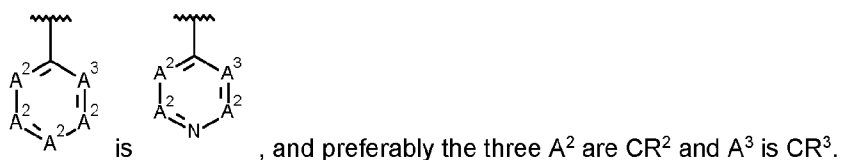
C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN.

5. The compound according to any one of the preceding claims, wherein R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino and C₃₋₆cycloalkylamino groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN.

6. The compound according to any one of the preceding claims, wherein four A² are CR² and A³ is N.

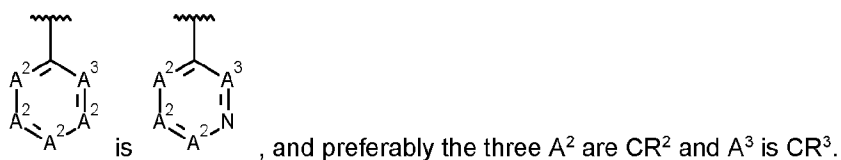
7. The compound according to any one of the claims 1 to 5, wherein

15



8. The compound according to any one of the claims 1 to 5, wherein

20

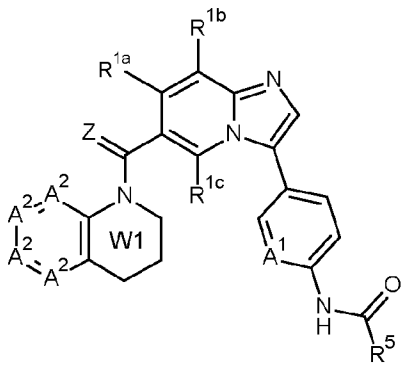


9. The compound according to any one of the claims 1 to 5, wherein four A² are CR² and A³ is CR³.

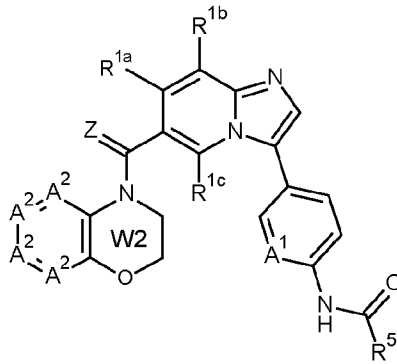
10. The compound according to any one of the preceding claims, wherein R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, and C₁₋₆alkoxy, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, and C₁₋₆alkoxy groups is optionally substituted with one to three substituents independently selected from halogen and CN.

11. The compound according to any one of the claims 1 to 5, wherein the compound of formula (I) is the compound I-W1, I-W2 or I-W3:

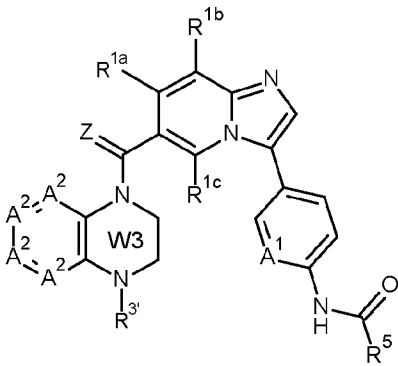
30



(I-W1)



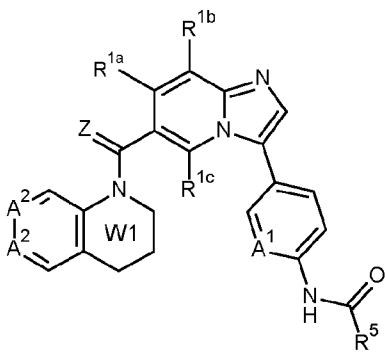
(I-W2)



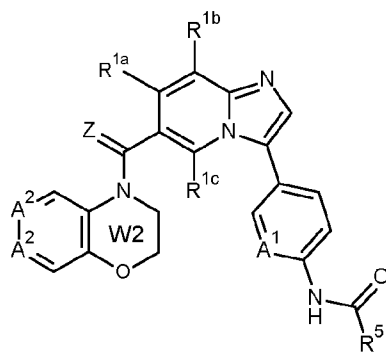
(I-W3),

and more preferably

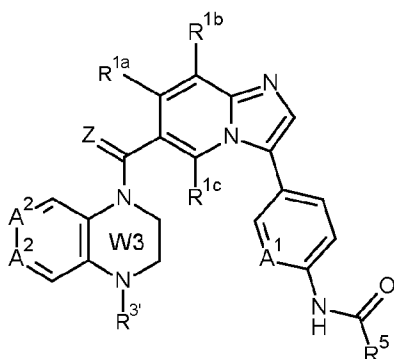
5



(I-W1)



(I-W2)



(I-W3),

wherein R^3 is selected from hydrogen, C_{1-6} alkyl and C_{3-6} cycloalkyl, wherein each of the C_{1-6} alkyl and C_{3-6} cycloalkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN.

5

12. The compound according to any one of the preceding claims, wherein R^5 is selected from C_{1-6} alkyl, C_{1-6} alkoxy, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, and C_{1-6} alkoxy- C_{1-6} alkyl, wherein each of said groups is optionally substituted with one to three substituents independently selected from halogen and CN.

10 13. A composition comprising a fungicidally effective amount of a compound as defined in any one of claims 1 to 12.

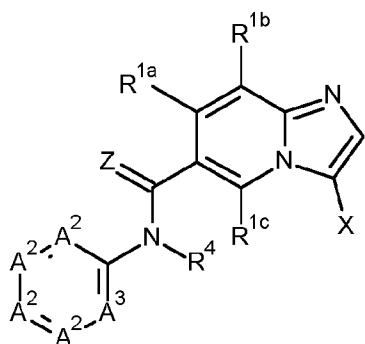
14. A composition according to claim 13, wherein the composition further comprises at least one compound selected among an additional active ingredient, an appropriate formulation inert, a carrier,

15 an adjuvant, and any mixtures thereof.

15. A method of combating, preventing or controlling phytopathogenic diseases which comprises applying to a phytopathogen, to the locus of a phytopathogen, to a plant susceptible to attack by a phytopathogen, or to a plant propagation material thereof, a fungicidally effective amount of a compound

20 according to any one of claims 1 to 12, or a composition comprising a compound according to any one of claims 1 to 12, or a composition according to claim 13 or 14.

16. A compound of formula (II)



(II)

wherein Z is O or S, and preferably Z is O;

R^{1a}, R^{1b} and R^{1c} are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxy, amino, and -NHC(O)C₁₋₆alkyl; preferably R^{1a} and R^{1c} are hydrogen and R^{1b} is selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkylsulfonyl, and -NHC(O)C₁₋₆alkyl;

A² are independently CR² or N, with the proviso that no more than three A² are N, preferably no more than two A² are N, preferably no more than one A² is N, and more preferably the four A² are CR²;

R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; preferably R² are independently selected from hydrogen, halogen, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and CN;

A³ is CR³ or N;

R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; and preferably R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxycarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen, hydroxy, and CN; and wherein R³ taken together with the adjacent R² optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 5-membered heterocycle or a 6-membered heterocycle; R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfinyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋

alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, and CN, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfinyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl and diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN; and preferably R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy groups is optionally substituted with one to three substituents, preferably one substituent, independently selected from halogen and CN; and wherein A³ and R⁴ taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle;

and

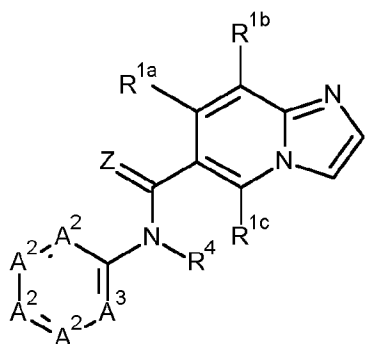
X is Cl, Br or I;

or a salt or N-oxide thereof;

15

or

a compound of formula (XVII)



(XVII)

20 wherein Z is O or S, and preferably Z is O;

R^{1a}, R^{1b} and R^{1c} are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxy, amino, and -NHC(O)C₁₋₆alkyl; preferably R^{1a} and R^{1c} are hydrogen and R^{1b} is selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₃₋₆cycloalkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkylsulfonyl, and -NHC(O)C₁₋₆alkyl;

25 A² are independently CR² or N, with the proviso that no more than three A² are N, preferably no more than two A² are N, preferably no more than one A² is N, and more preferably the four A² are CR²;

R² are independently selected from hydrogen, hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋₆alkylaminocarbonyl, and C₁₋₆alkylcarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylaminocarbonyl, diC₁₋

30

alkylaminocarbonyl, and C₁₋₆alkylcarbonyl groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; preferably R² are independently selected from hydrogen, halogen, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and CN;

A³ is CR³ or N;

- 5 R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkoxy, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkylsulfanyl, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, amino, C₁₋₆alkylamino, diC₁₋₆-alkylamino, and C₃₋₆cycloalkylamino groups is optionally substituted with one to three substituents independently selected from halogen, hydroxy, and CN; and preferably R³ is selected from hydroxy, halogen, CN, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxycarbonyl, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxy-C₁₋₆alkyl, and C₁₋₆alkoxycarbonyl groups is optionally substituted with one substituent selected from halogen, hydroxy, and CN; and wherein R³ taken together with the adjacent R² optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 5-membered heterocycle or a 6-membered heterocycle; and

- R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfinyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl, and CN, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₁₋₆alkoxy, C₁₋₆alkylsulfanyl-C₁₋₆alkyl, C₁₋₆alkylsulfinyl-C₁₋₆alkyl, C₁₋₆alkylsulfonyl-C₁₋₆alkyl, C₁₋₆alkoxycarbonyl-C₁₋₆alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆alkyl and diC₁₋₆alkylaminocarbonyl-C₁₋₆alkyl groups is optionally substituted with one to three substituents independently selected from halogen and CN; and preferably R⁴ is selected from C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy, wherein each of the C₁₋₆alkyl, C₁₋₆alkoxy-C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl, and C₁₋₆alkoxy groups is optionally substituted with one to three substituents, preferably one substituent, independently selected from halogen and CN; and wherein A³ and R⁴ taken together optionally form a ring, preferably a 5-8-membered heterocycle, and more preferably a 6-membered heterocycle; or a salt or N-oxide thereof.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2024/059863

A. CLASSIFICATION OF SUBJECT MATTER
 INV. C07D471/04 A01N43/90 A01P3/00
 ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED
 Minimum documentation searched (classification system followed by classification symbols)
 C07D A01N A01P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
 EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 1 229 028 A1 (DOW AGROSCIENCES LLC [US]) 7 August 2002 (2002-08-07) claims 1, 2; examples 131, 135, 139 -----	1 - 16
A	WO 2014/078813 A1 (IRM LLC [US]; NOVARTIS AG [CH] ET AL.) 22 May 2014 (2014-05-22) claims 1, 11; examples 373, 415 -----	1 - 16
X	US 2016/256458 A1 (BAIR KENNETH W [US] ET AL) 8 September 2016 (2016-09-08) page 287; examples I-776 ----- - / - -	16

Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents :

<p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier application or patent but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p>	<p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"&" document member of the same patent family</p>
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Date of the actual completion of the international search 14 June 2024	Date of mailing of the international search report 24/06/2024
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Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer Koch, Kristian
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INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2024/059863

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE REGISTRY [Online] Chemical Abstract Service, Columbus, Ohio, US; 10 November 2010 (2010-11-10), XP093174064, Database accession no. 1252532-06-1 abstract -----	16
X	DATABASE REGISTRY [Online] Chemical Abstract Service, Columbus, Ohio, US; 20 May 2011 (2011-05-20), XP093174068, Database accession no. 1297764-77-2 abstract -----	16
X	DATABASE REGISTRY [Online] Chemical Abstract Service, Columbus, Ohio, US; 29 June 2015 (2015-06-29), XP093174086, Database accession no. 1791184-06-9 abstract -----	16
X	DATABASE REGISTRY [Online] Chemical Abstract Service, Columbus, Ohio, US; 29 June 2015 (2015-06-29), XP093174092, Database accession no. 1791309-94-8 abstract -----	16
X	DATABASE REGISTRY [Online] Chemical Abstract Service, Columbus, Ohio, US; 27 December 2015 (2015-12-27), XP093174140, Database accession no. 1836657-77-2 abstract -----	16
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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2024/059863

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