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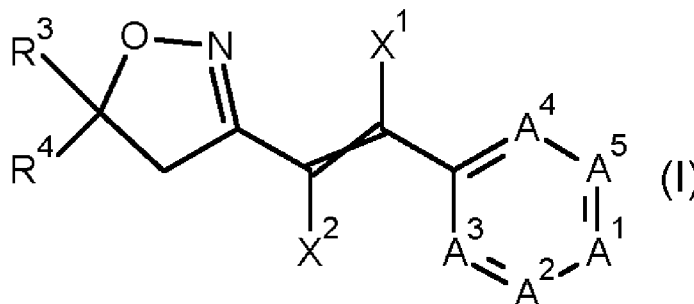
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(54) Title: ISOXAZOLINE-STYRENE DERIVATIVES AS INSECTICIDAL COMPOUNDS



(57) Abstract: Compounds of formula (I), wherein the substituents are as defined in claim 1, and the agrochemically acceptable salts, stereoisomers, enantiomers, tautomers and N-oxides of those compounds, and their uses as insecticides.

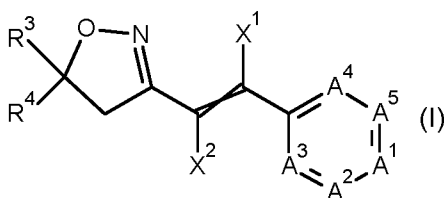
ISOXAZOLINE-STYRENE DERIVATIVES AS INSECTICIDAL COMPOUNDS

The present invention relates to certain styrene derivatives, to processes and intermediates for preparing these derivatives, to insecticidal, acaricidal, nematocidal and molluscicidal compositions comprising these derivatives and to methods of using these derivatives to control insect, acarine, nematode and mollusc pests.

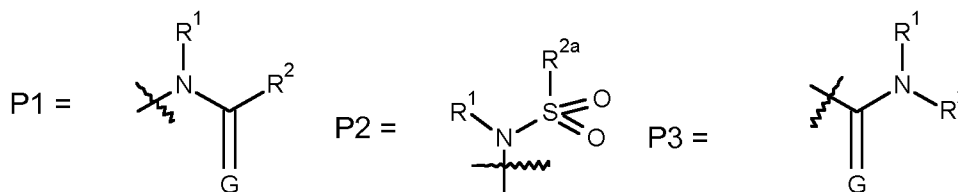
Certain isoxazoline derivatives with insecticidal properties are disclosed, for example, in EP 1,731,512.

It has now surprisingly been found that certain styrene derivatives have insecticidal properties.

The present invention therefore provides compounds of formula (I)



P is nitro, amino, R¹oxycarbonyl, P1, P2 or P3;



A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ or A⁵ is C-P;

G is oxygen or sulfur;

R¹ is hydrogen, C₁-C₈alkyl, C₁-C₈alkoxy-, C₁-C₈alkylcarbonyl-, C₃-C₈cycloalkylcarbonyl or C₁-C₈alkoxycarbonyl-;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄alkylene, C₁-C₆alkyl-O-N=CH-, C₁-C₆haloalkyl-O-N=CH-,

or R¹ and R² is (R^{2a})(R¹)N-

or R¹ and R² form a four to six membered ring together with the atoms to which they are attached substituted by one to five R⁶

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R^{2a} is C_1 - C_8 alkyl or C_1 - C_8 alkyl substituted by one to five R^6 , C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkyl substituted by one to five R^7 , six to fourteen ring-membered aryl- C_1 - C_4 alkylene- or six to fourteen ring-membered aryl- C_1 - C_4 alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^8 , five to ten ring-membered heterocyclyl- C_1 - C_4 alkylene- or five to ten ring-membered heterocyclyl- C_1 - C_4 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 , six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 ,

X^1 , and X^2 are independently of each other H, halogen, cyano, nitro, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkenyl, C_1 - C_8 haloalkenyl, C_1 - C_8 alkynyl, C_1 - C_8 haloalkynyl, C_3 - C_{10} cycloalkyl, C_1 - C_8 alkoxy-, C_1 - C_8 haloalkoxy-, C_1 - C_8 alkylthio-, C_1 - C_8 haloalkylthio-, C_1 - C_8 alkylsulfinyl-, C_1 - C_8 haloalkylsulfinyl-, C_1 - C_8 alkylsulfonyl-, or C_1 - C_8 haloalkylsulfonyl);

R^3 is C_1 - C_8 haloalkyl;

R^4 is six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^9 , or heterosix to fourteen ring-membered aryl or heterosix to fourteen ring-membered aryl substituted by one to five R^9 ;

each R^5 is independently halogen, cyano, nitro, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkenyl, C_1 - C_8 haloalkenyl, C_1 - C_8 alkynyl, C_1 - C_8 haloalkynyl, C_3 - C_{10} cycloalkyl, C_1 - C_8 alkoxy-, C_1 - C_8 haloalkoxy-, C_1 - C_8 alkylthio-, C_1 - C_8 haloalkylthio-, C_1 - C_8 alkylsulfinyl-, C_1 - C_8 haloalkylsulfinyl-, C_1 - C_8 alkylsulfonyl-, or C_1 - C_8 haloalkylsulfonyl-;

each R^6 is independently halogen, cyano, nitro, hydroxy, amino, C_1 - C_8 alkylamino, $(C_1$ - C_8 alkyl)₂amino, C_1 - C_8 alkylcarbonylamino, C_1 - C_8 haloalkylcarbonylamino, C_1 - C_8 alkoxy-, C_1 - C_8 haloalkoxy-, six to fourteen ring-membered aryloxy or six to fourteen ring-membered aryloxy substituted by one to five R^{10} , six to fourteen ring-membered aryloxy- C_1 - C_4 alkylene or six to fourteen ring-membered aryloxy- C_1 - C_4 alkylene wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^{10} , C_1 - C_8 alkylcarbonyl-, C_1 - C_8 alkoxycarbonyl-, mercapto, C_1 - C_8 alkylthio-, C_1 - C_8 haloalkylthio-, C_1 - C_8 alkylsulfinyl-, C_1 - C_8 haloalkylsulfinyl-, C_1 - C_8 alkylsulfonyl-, C_1 - C_8 haloalkylsulfonyl-, six to fourteen ring-membered aryl- C_1 - C_4 alkylthio or six to fourteen ring-membered aryl- C_1 - C_4 alkylthio wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^{10} ;

each R^7 is independently halogen, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_1 - C_8 alkyl-O-N=, C_1 - C_8 haloalkyl-O-N=; C_1 - C_8 alkoxy, C_1 - C_8 alkoxycarbonyl;

each R^8 is independently halogen, cyano, nitro, oxo, C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_1 - C_8 cyanoalkyl, C_2 - C_8 alkenyl, C_2 - C_8 haloalkenyl, C_2 - C_8 alkynyl, C_2 - C_8 haloalkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkyl- C_1 - C_4 alkylene, hydroxy, C_1 - C_8 alkoxy-, C_1 - C_8 haloalkoxy-, mercapto, C_1 - C_8 alkylthio-, C_1 - C_8 haloalkylthio-, C_1 - C_8 alkylsulfinyl-, C_1 - C_8 haloalkylsulfinyl-, C_1 - C_8 alkylsulfonyl-, C_1 - C_8 haloalkylsulfonyl-, C_1 - C_8 alkylaminosulfonyl, $(C_1$ - C_8 alkyl)₂aminosulfonyl-, C_1 - C_8 alkylcarbonyl-, C_1 - C_8 alkoxycarbonyl-, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^{10} , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^{10} , six to fourteen ring-membered aryl- C_1 - C_4 alkylene or six to fourteen ring-membered aryl- C_1 - C_4 alkylene wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^{10} ,

five to ten ring-membered heterocyclyl-C₁-C₄alkylene or five to ten ring-membered heterocyclyl-C₁-C₄alkylene wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R¹⁰, six to fourteen ring-membered aryloxy or six to fourteen ring-membered aryloxy substituted by one to five R¹⁰, six to fourteen ring-membered aryloxy-C₁-C₄alkylene or six to fourteen ring-membered aryloxy-C₁-C₄alkylene wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R¹⁰;

each R⁹ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, C₁-C₈haloalkylsulfonyl-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R¹⁰, or five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R¹⁰;

each R¹⁰ is independently halogen, cyano, nitro, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy-, or C₁-C₄haloalkoxy-;

or a salt or N-oxide thereof.

The compounds of formula (I) may exist in different geometric or optical isomers or tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

The compounds of the invention may contain one or more asymmetric carbon atoms, for example, at the -CR³R⁴- group, and may exist as enantiomers (or as pairs of diastereoisomers) or as mixtures of such.

The number of substituents does not exceed the number of available C-H and N-H bonds, for example in the group C₁-C₈alkyl substituted by one to five R⁶ has only one to three substituents if C₁alkyl thus methyl is meant.

Alkyl groups (either alone or as part of a larger group, such as alkoxy-, alkylthio-, alkylsulfinyl-, alkylsulfonyl-, alkylcarbonyl- or alkoxycarbonyl-) can be in the form of a straight or branched chain and are, for example, methyl, ethyl, propyl, prop-2-yl, butyl, but-2-yl, 2-methyl-prop-1-yl or 2-methyl-prop-2-yl. The alkyl groups are preferably C₁-C₆, more preferably C₁-C₄, most preferably C₁-C₃ alkyl groups. Where an alkyl moiety is said to be substituted, the alkyl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

Alkylene groups can be in the form of a straight or branched chain and are, for example, -CH₂-, -CH₂-CH₂-, -CH(CH₃)-, -CH₂-CH₂-CH₂-, -CH(CH₃)-CH₂-, or -CH(CH₂CH₃)-. The alkylene groups are preferably C₁-C₃, more preferably C₁-C₂, most preferably C₁ alkylene groups.

Alkenyl groups can be in the form of straight or branched chains, and can be, where appropriate, of either the (E)- or (Z)-configuration. Examples are vinyl and allyl. The alkenyl groups are preferably C₂-C₆, more preferably C₂-C₄, most preferably C₂-C₃ alkenyl groups.

Alkynyl groups can be in the form of straight or branched chains. Examples are ethynyl and propargyl. The alkynyl groups are preferably C₂-C₆, more preferably C₂-C₄, most preferably C₂-C₃ alkynyl groups.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups (either alone or as part of a larger group, such as haloalkoxy-, haloalkylthio-, haloalkylsulfanyl- or haloalkylsulfonyl-) are alkyl groups which are substituted by one or more of the same or different halogen atoms and are, for example, difluoromethyl, trifluoromethyl, chlorodifluoromethyl or 2,2,2-trifluoro-ethyl.

5 Haloalkenyl groups are alkenyl groups which are substituted by one or more of the same or different halogen atoms and are, for example, 2,2-difluoro-vinyl or 1,2-dichloro-2-fluoro-vinyl.

Haloalkynyl groups are alkynyl groups which are substituted by one or more of the same or different halogen atoms and are, for example, 1-chloro-prop-2-ynyl.

10 Cycloalkyl groups or carbocyclic rings can be in mono- or bi-cyclic form and are, for example, cyclopropyl, cyclobutyl, cyclohexyl and bicyclo[2.2.1]heptan-2-yl. The cycloalkyl groups are preferably C₃-C₈, more preferably C₃-C₆ cycloalkyl groups. Where a cycloalkyl moiety is said to be substituted, the cycloalkyl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

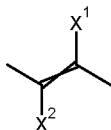
15 Aryl groups (either alone or as part of a larger group, such as aryl-alkylene-) are aromatic ring systems which can be in mono-, bi- or tricyclic form. Examples of such rings include phenyl, naphthyl, anthracenyl, indenyl or phenanthrenyl. Preferred aryl groups are phenyl and naphthyl, phenyl being most preferred. Where an aryl moiety is said to be substituted, the aryl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

20 Heteroaryl groups (either alone or as part of a larger group, such as heteroaryl-alkylene-) are aromatic ring systems containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three heteroatoms and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulfur. Examples of monocyclic groups include pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl (e.g. 1,2,4 triazolyl), furanyl, thiophenyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, 25 isothiazolyl and thiadiazolyl. Examples of bicyclic groups include purinyl, quinolinyl, cinnolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzothiophenyl and benzothiazolyl. Monocyclic heteroaryl groups are preferred, pyridyl being most preferred. Where a heteroaryl moiety is said to be substituted, the heteroaryl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

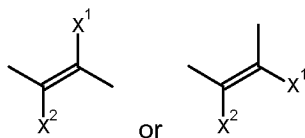
30 Heterocyclyl groups or heterocyclic rings (either alone or as part of a larger group, such as five to ten ring-membered heterocyclyl-alkylene-) are defined to include heteroaryl groups and in addition their unsaturated or partially unsaturated analogues. Examples of monocyclic groups include isoxazolyl, thietanyl, pyrrolidinyl, tetrahydrofuranyl, [1,3]dioxolanyl, piperidinyl, piperazinyl, [1,4]dioxanyl, and morpholinyl or their oxidised versions such as 1-oxo-thietanyl and 1,1-dioxo- 35 thietanyl. Examples of bicyclic groups include 2,3-dihydro-benzofuranyl, benzo[1,4]dioxolanyl, benzo[1,3]dioxolanyl, chromenyl, and 2,3-dihydro-benzo[1,4]dioxinyl. Where a five to ten ring-membered heterocyclyl moiety is said to be substituted, the five to ten ring-membered heterocyclyl moiety is preferably substituted by one to four substituents, most preferably by one to three substituents.

40 The symbol of the crossed double bond

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signifies that the geometry at the double bond may be either E or Z, thus



Preferred values of, P, A¹, A², A³, A⁴, A⁵, X¹, X², G, Z, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ are, in any combination, as set out below.

Preferably, P is P1,

Preferably no more than two of A¹, A², A³, A⁴ and A⁵ are nitrogen, more preferably no more than one of A¹, A², A³, A⁴ and A⁵ is nitrogen.

Preferably A¹ is C-H, C-R⁵ or C-P and at least one of A¹ or A⁵ is C-P, more preferably A¹ is C-H, C-R⁵.

Preferably A² is C-H or C-R⁵, more preferably A² is C-H.

Preferably A³ is C-H or C-R⁵, more preferably A³ is C-H.

Preferably A⁴ is C-H or C-R⁵, most preferably A⁴ is C-H.

Preferably A⁵ is C-H, C-R⁵ or C-P and at least one of A¹ or A⁵ is C-P, more preferably A⁵ is C-P.

In one preferred group of compounds A¹ is C-R⁵, A² is C-H, A³ is C-H or nitrogen and A⁴ is C-H or nitrogen and A⁵ is C-P;

In another preferred group of compounds A¹ is C-P, A² is C-H, A³ is C-H and A⁴ is C-H and A⁵ is C-H or C-R⁵;

In a preferred group of compounds of formula (I) P is P1;

In an other preferred group of compounds of formula (I) P is P2;

In an other preferred group of compounds of formula (I) P is P3;

In a more preferred group of compounds of formula (I) P is P1;

Preferably G is oxygen.

Preferably X¹, and X² are independently hydrogen, halogen, cyano, C₁-C₈alkyl, C₁-C₈haloalkyl or C₃-C₈cycloalkyl; more preferably hydrogen, halogen, C₁-C₈alkyl or C₁-C₈haloalkyl, more preferably hydrogen, halogen, C₁-C₄alkyl or C₁-C₄haloalkyl, even more preferably hydrogen, halogen, C₁-C₂alkyl or C₁-C₂haloalkyl, yet even more preferably hydrogen, methyl, chloro, bromo or trifluoromethyl; most preferably X¹ and X² are both hydrogen.

Preferably R¹ is hydrogen, methyl, ethyl, methylcarbonyl-, or methoxycarbonyl-, more preferably hydrogen, methyl or ethyl, most preferably hydrogen.

Preferably, R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl

moiety is substituted by one to five R^8 , six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 , C_1-C_8 alkylaminocarbonyl- C_1-C_4 alkylene, C_1-C_8 haloalkylaminocarbonyl- C_1-C_4 alkylene, C_3-C_8 cycloalkyl-aminocarbonyl- C_1-C_4 alkylene

5 More preferably R^2 is C_1-C_8 alkyl or C_1-C_8 alkyl substituted by one to five R^6 , C_3-C_{10} cycloalkyl or C_3-C_{10} cycloalkyl substituted by one to five R^7 , six to fourteen ring-membered aryl- C_1-C_4 alkylene- or six to fourteen ring-membered aryl- C_1-C_4 alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^8 , five to ten ring-membered heterocyclyl- C_1-C_4 alkylene- or five to ten ring-membered heterocyclyl- C_1-C_4 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 , six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 , C_1-C_8 alkylaminocarbonyl- C_1-C_4 alkylene, C_1-C_8 haloalkylaminocarbonyl- C_1-C_4 alkylene, C_3-C_8 cycloalkyl-aminocarbonyl- C_1-C_4 alkylene,

10 More preferably R^2 is C_1-C_8 alkyl or C_1-C_8 alkyl substituted by one to five R^6 , C_3-C_{10} cycloalkyl or C_3-C_{10} cycloalkyl substituted by one to five R^7 , six to fourteen ring-membered aryl- C_1-C_4 alkylene- or six to fourteen ring-membered aryl- C_1-C_4 alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^8 , five to ten ring-membered heterocyclyl- C_1-C_4 alkylene- or five to ten ring-membered heterocyclyl- C_1-C_4 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 , six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 , C_1-C_8 alkylaminocarbonyl- C_1-C_4 alkylene, C_1-C_8 haloalkylaminocarbonyl- C_1-C_4 alkylene, C_3-C_8 cycloalkyl-aminocarbonyl- C_1-C_4 alkylene,

15 More preferably still R^2 is C_1-C_8 alkyl or C_1-C_8 alkyl substituted by one to five R^6 , C_3-C_{10} cycloalkyl or C_3-C_{10} cycloalkyl substituted by one to five R^7 , six to fourteen ring-membered aryl- C_1-C_4 alkylene- or six to fourteen ring-membered aryl- C_1-C_4 alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^8 , five to ten ring-membered heterocyclyl- C_1-C_4 alkylene- or five to ten ring-membered heterocyclyl- C_1-C_4 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 , six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 , C_1-C_8 alkylaminocarbonyl- C_1-C_4 alkylene, C_1-C_8 haloalkylaminocarbonyl- C_1-C_4 alkylene, C_3-C_8 cycloalkyl-aminocarbonyl- C_1-C_4 alkylene,

20 More preferably still R^2 is C_1-C_8 alkyl or C_1-C_8 alkyl substituted by one to five R^6 , C_3-C_{10} cycloalkyl or C_3-C_{10} cycloalkyl substituted by one to five R^7 , phenyl- C_1-C_4 alkylene- or phenyl- C_1-C_4 alkylene- wherein the phenyl moiety is substituted by one to five R^8 , pyridyl- C_1-C_4 alkylene- or pyridyl- C_1-C_4 alkylene- wherein the pyridyl moiety is substituted by one to four R^8 , tetrahydrofuranyl- C_1-C_4 alkylene- or tetrahydrofuranyl- C_1-C_4 alkylene- wherein the tetrahydrofuranyl moiety is substituted by one to five R^8 , imidazolyl- C_1-C_4 alkylene- or imidazolyl- C_1-C_4 alkylene- wherein the imidazolyl moiety is substituted by one to three R^8 , pyrazolyl- C_1-C_4 alkylene- or pyrazolyl- C_1-C_4 alkylene- wherein the pyrazolyl moiety is substituted by one to three R^8 , pyrrolyl- C_1-C_4 alkylene- or pyrrolyl- C_1-C_4 alkylene- wherein the pyrrolyl moiety is substituted by one to four R^8 , thiazolyl- C_1-

C₄alkylene- or thiazolyl-C₁-C₄alkylene- wherein the thiazolyl moiety is substituted by one to four R⁸, oxetanyl- C₁-C₄ alkylene or oxetanyl-C₁-C₄ alkylene wherein the oxetanyl moiety is substituted by one to five R⁸, thietanyl-C₁-C₄ alkylene or thietanyl-C₁-C₄ alkylene wherein the thietanyl moiety is substituted by one to five R⁸, oxo-thietanyl-C₁-C₄ alkylene or oxo-thietanyl-C₁-C₄ alkylene wherein the oxo-thietanyl moiety is substituted by one to five R⁸, dioxo-thietanyl-C₁-C₄ alkylene or dioxo-thietanyl-C₁-C₄ alkylene wherein the dioxo-thietanyl moiety is substituted by one to five R⁸, oxetanyl or oxetanyl substituted by one to five R⁸, thietanyl or thietanyl substituted by one to five R⁸, oxo-thietanyl or oxo-thietanyl substituted by one to five R⁸, dioxo-thietanyl or dioxo-thietanyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄ alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄ alkylene,

Even more preferably R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, phenyl-C₁-C₄alkylene- or phenyl-C₁-C₄alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₄alkylene- or pyridyl-C₁-C₄alkylene- wherein the pyridyl moiety is substituted by one to four R⁸, oxetanyl or oxetanyl substituted by one to five R⁸, thietanyl-C₁-C₄ alkylene or thietanyl-C₁-C₄ alkylene wherein the thietanyl moiety is substituted by one to five R⁸, oxo-thietanyl-C₁-C₄ alkylene or oxo-thietanyl-C₁-C₄ alkylene wherein the oxo-thietanyl moiety is substituted by one to five R⁸, dioxo-thietanyl-C₁-C₄ alkylene or dioxo-thietanyl-C₁-C₄ alkylene wherein the dioxo-thietanyl moiety is substituted by one to five R⁸, thietanyl or thietanyl substituted by one to five R⁸, oxo-thietanyl or oxo-thietanyl substituted by one to five R⁸, dioxo-thietanyl or dioxo-thietanyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄ alkylene, or C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄ alkylene,

Yet even more preferably R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to three halogen atoms, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one or two groups independently selected from fluoro and methyl, phenyl-C₁-C₄alkylene- or phenyl-C₁-C₄alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₄alkylene- or pyridyl-C₁-C₄alkylene- wherein the pyridyl moiety is substituted by one to four R⁸, thietanyl, oxo-thietanyl, dioxo-thietanyl, C₁-C₈alkylaminocarbonyl-methylene, C₁-C₈haloalkylaminocarbonyl-methylene, C₃-C₈cycloalkyl-aminocarbonyl-methylene

A group of preferred compounds are those wherein R² is C₁-C₆alkyl or C₁-C₆alkyl substituted by one to five R⁶, for example ethyl-, butyl-, but-2-yl-, 3-bromo-propyl-, 2,2,2-trifluoro-ethyl-, 3,3,3-trifluoro-propyl-, 2-methoxy-ethyl-, and 1-methoxy-prop-2-yl-.

A group of preferred compounds are those wherein R² is C₃-C₈cycloalkyl or C₃-C₈cycloalkyl substituted by one to five R⁷, for example cyclobutyl-, 2-fluoro-cyclopropyl and 2-methyl-cyclohex-1-yl-.

A group of preferred compounds are those wherein R² is six to fourteen ring-membered aryl-C₁-C₂alkylene- or six to fourteen ring-membered aryl-C₁-C₂alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, for example phenyl-methyl-, 1-phenyl-eth-1-yl-, 2-phenyl-eth-1-yl-, (3-chloro-phenyl)-methyl-, (2-fluoro-phenyl)-methyl-, (4-methoxy-phenyl)-methyl-, (2-trifluoromethyl-phenyl)-methyl-, and (2-trifluoromethoxy-phenyl)-methyl-.

A group of preferred compounds are those wherein R^2 is five to ten ring-membered heterocyclyl- C_1 - C_2 alkylene- or five to ten ring-membered heterocyclyl- C_1 - C_2 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 , for example (pyrid-2-yl)-methyl-, (pyrid-3-yl)-methyl-, (2-chloro-pyrid-5-yl)-methyl-, (1-methyl-1*H*-imidazol-4-yl)-methyl-,
5 (furan-2-yl)-methyl-, 2-(thiophen-2'-yl)-eth-1-yl-, 2-(indol-3'-yl)-eth-1-yl-, (1*H*-benzimidazol-2-yl)-methyl-, (oxetan-2-yl)-methyl-, (tetrahydrofuran-2-yl)-methyl-, 2-([1',3']dioxolan-2'-yl)-eth-1-yl-, 2-(morpholin-4'-yl)-eth-1-yl-, 2-(benzo[1',3']dioxol-5'-yl)-eth-1-yl-, (2,3-dihydro-benzo[1,4]dioxin-6-yl)-methyl-, thietan-2-yl-methyl-, 1-oxo-thietan-2-yl-methyl-, 1,1-dioxo-thietan-2-yl-methyl-, thietan-3-yl-methyl-, 1-oxo-thietan-3-yl-methyl-, 1,1-dioxo-thietan-3-yl-methyl-, thietan-3-yl-ethyl-, 1-oxo-thietan-3-yl-ethyl-, and 1,1-dioxo-thietan-3-yl-ethyl-, preferably thietan-2-yl-methyl-, 1-oxo-thietan-2-yl-methyl-, 1,1-dioxo-thietan-2-yl-methyl-, thietane-3-yl-methyl-, 1-oxo-thietan-3-yl-methyl-, 1,1-dioxo-thietan-3-yl-methyl-, thietan-3-yl-ethyl-, 1-oxo-thietan-3-yl-ethyl-, and 1,1-dioxo-thietan-3-yl-ethyl-.

A group of preferred compounds are those wherein R^2 is five to ten ring-membered heterocyclyl- C_1 - C_2 alkylene- or five to ten ring-membered heterocyclyl- C_1 - C_2 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 in which the five to ten ring-membered heterocyclyl group is selected from 1,2,3 triazolyl, 1,2,4 triazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, tetrahydrothiophenyl, isoxazolynyl, pyridyl, tetrahydrofuranyl, imidazolyl, pyrazolyl, pyrrolyl, thiazolyl, oxetanyl, thietanyl, oxo-thietanyl and dioxo-thietanyl, preferably the five to ten ring-membered heterocyclyl group is selected from thietanyl, oxo-thietanyl and dioxo-thietanyl.

A group of preferred compounds are those wherein R^2 is six to ten ring-membered heteroaryl- C_1 - C_2 alkylene- or six to ten ring-membered heteroaryl- C_1 - C_2 alkylene- wherein the six to ten ring-membered heteroaryl moiety is substituted by one to five R^8 .

A group of preferred compounds are those wherein R^2 is six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , for example 2-chloro-phenyl-, 3-fluoro-phenyl-, 2-methyl-phenyl-, 2-chloro-6-methyl-phenyl-, 2-trifluoromethyl-phenyl-, and 2,4-dimethoxy-phenyl-.

A group of preferred compounds are those wherein R^2 is five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 , for example 3-methyl-pyrid-2-yl-, 1,3-dimethyl-1*H*-pyrazol-5-yl-, 4-methyl-thiazol-2-yl-, 5-methyl-thiadiazol-2-yl-,
30 quinolin-2-yl-, quinolin-5-yl-, benzothiazol-6-yl-, 4-methyl-benzothiazol-2-yl-, thietan-3-yl-, 1-oxo-thietan-3-yl-, 1,1-dioxo-thietan-3-yl-, and 3-methyl-thietan-3-yl-, more preferably R^2 is oxetanyl, thietanyl, oxo-thietanyl or dioxo-thietanyl each optionally substituted by one to five R^8 , most preferably R^2 is thietanyl, oxo-thietanyl or dioxo-thietanyl each optionally substituted by one to five R^8 . It is particularly preferred that the oxetanyl, thietanyl, oxo-thietanyl or dioxo-thietanyl ring is linked
35 via the 3-position.

A group of preferred compounds are those wherein R^2 is five to ten ring-membered heterocyclyl- or five to ten ring-membered heterocyclyl substituted by one to five R^8 in which the five to ten ring-membered heterocyclyl group is selected from 1,2,3 triazolyl, 1,2,4 triazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, tetrahydrothiophenyl, isoxazolynyl, pyridyl, tetrahydrofuranyl, imidazolyl, pyrazolyl, pyrrolyl, thiazolyl, oxetanyl, thietanyl, oxo-thietanyl and dioxo-thietanyl,

preferably the five to ten ring-membered heterocyclyl group is selected from thietanyl, oxo-thietanyl and dioxo-thietanyl.

A group of preferred compounds are those wherein R² is C₁-C₈alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄ alkylene, or C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄ alkylene, more preferably C₁-C₄alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₄haloalkylaminocarbonyl-C₁-C₄ alkylene, or C₃-C₆cycloalkyl-aminocarbonyl-C₁-C₄ alkylene, most preferably C₁-C₄alkylaminocarbonyl-C₁-C₂ alkylene or C₁-C₄haloalkylaminocarbonyl-C₁-C₂ alkylene.

Preferably R³ is chlorodifluoromethyl or trifluoromethyl, most preferably trifluoromethyl.

Preferably R⁴ is six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁹, more preferably six to fourteen ring-membered aryl substituted by one to three R⁹, more preferably phenyl substituted by one to three R⁹, even more preferably 3,5-dibromo-phenyl-, 3,5-dichloro-phenyl-, 3,5-bis-(trifluoromethyl)-phenyl-, 3,4-dichloro-phenyl-, 3,4,5-trichloro-phenyl-, 3-trifluoromethyl-phenyl-, 4-bromo-3,5-dichloro-phenyl or 3-chloro-5-trifluoromethyl-phenyl, most preferably R⁴ is 3,5-dichloro-phenyl.

Preferably each R⁵ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkenyl, C₁-C₈haloalkenyl, C₁-C₈alkoxy-, or C₁-C₈haloalkoxy-, more preferably bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, vinyl, methoxy, difluoromethoxy, or trifluoromethoxy, most preferably methyl.

Preferably each R⁶ is independently halogen, cyano, nitro, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, or C₁-C₈haloalkylsulfonyl-, more preferably each R⁶ is independently halogen, cyano, nitro, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, more preferably bromo, chloro, fluoro, methoxy, or methylthio, most preferably chloro, fluoro, or methoxy.

Preferably each R⁷ is independently halogen or C₁-C₈alkyl, more preferably each R⁷ is independently chloro, fluoro or methyl, most preferably fluoro or methyl.

Preferably each R⁸ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, C₁-C₈haloalkylsulfonyl-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R¹⁰, or five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R¹⁰, more preferably each R⁸ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, more preferably bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy, or trifluoromethoxy, most preferably bromo, chloro, fluoro, cyano or methyl.

Preferably each R⁹ is independently halogen, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylthio-, or C₁-C₈haloalkylthio-, more preferably bromo, chloro, fluoro, trifluoromethyl, methoxy, or methylthio, most preferably bromo or chloro.

Preferably each R¹⁰ is independently bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy, or trifluoromethoxy, more preferably bromo, chloro, fluoro, nitro, or methyl, most preferably chloro, fluoro, or methyl.

Preferably each Z is independently halogen, cyano, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy, or C₁-C₄haloalkoxy, more preferably each Z is independently hydrogen, halogen, methyl, halomethyl, methoxy or halomethoxy.

In one group of compounds of formula (I)

P is P1;

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ or A⁵ is C-P;

G is oxygen or sulfur;

R¹ is hydrogen, C₁-C₈alkyl, C₁-C₈alkoxy-, C₁-C₈alkylcarbonyl-, or C₁-C₈alkoxycarbonyl-;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄alkylene, X¹, and X² are independently hydrogen, halogen, cyano, C₁-C₈alkyl, C₁-C₈haloalkyl or C₃-C₈cycloalkyl;

R³ is C₁-C₈haloalkyl;

R⁴ is six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁹, or six to ten ring-membered heteroaryl or six to ten ring-membered heteroaryl substituted by one to five R⁹;

each R⁵ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkenyl, C₁-C₈haloalkenyl, C₁-C₈alkynyl, C₁-C₈haloalkynyl, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, or C₁-C₈haloalkylsulfonyl-;

each R⁶ is independently halogen, cyano, nitro, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, or C₁-C₈haloalkylsulfonyl-;

each R⁷ is independently halogen or C₁-C₈alkyl;

each R⁸ and R⁹ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, C₁-C₈haloalkylsulfonyl-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, six to fourteen ring-membered

aryl or six to fourteen ring-membered aryl substituted by one to five R¹⁰, or five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R¹⁰;
 each R¹⁰ is independently halogen, cyano, nitro, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy-, or C₁-C₄haloalkoxy-;

5 A group of preferred compounds are those wherein

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ P is P1;

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ is C-P;

10 G is oxygen;

Y¹ is CH₂;

R¹ is hydrogen;

R² is C₂-C₆alkyl or C₂-C₆alkyl substituted by one to three halogen atoms, C₃-C₈cycloalkyl or C₃-C₈cycloalkyl substituted by one or two groups independently selected from fluoro and methyl,
 15 phenyl-C₁-C₂alkylene- or phenyl-C₁-C₂alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₂alkylene- or pyridyl-C₁-C₂alkylene- wherein the pyridyl moiety is substituted by one to four R⁸, thietanyl, oxo-thietanyl, dioxo-thietanyl, C₁-C₄alkylaminocarbonyl-C₁-C₂ alkylene or C₁-C₄haloalkylaminocarbonyl-C₁-C₂ alkylene,

20 X¹ and X² are H;

R³ is trifluoromethyl;

R⁴ is 3,5-dichloro-phenyl;

R⁵ is methyl;

each R⁸ is independently bromo, chloro, fluoro, cyano or methyl;

25

G is oxygen;

Y¹ is CH₂;

R¹ is hydrogen, methyl, ethyl, methylcarbonyl-, or methoxycarbonyl-;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄ alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄ alkylene,
 35 wherein each aryl group is a phenyl group and each five to ten ring-membered heterocyclyl group is selected from pyridyl, tetrahydrofuranyl, imidazolyl, pyrazolyl, pyrrolyl, thiazolyl, oxetanyl, thietanyl, oxo-thietanyl and dioxo-thietanyl;

40

X¹ and X² are independently H, halogen, C₁-C₃ alkyl, C₁-C₃ haloalkyl;

R³ is C₁-C₈ haloalkyl;

R⁴ is phenyl substituted by one to three R⁹;

R⁵ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkenyl, C₁-C₈haloalkenyl, C₁-C₈alkoxy-, or C₁-C₈haloalkoxy-;

5 each R⁶ is independently halogen, cyano, nitro, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-;

each R⁷ is independently chloro, fluoro or methyl;

each R⁸ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-;

10 each R⁹ is independently halogen, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylthio-, or C₁-C₈haloalkylthio-;

Another group of preferred compounds are those wherein

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ G is oxygen;

15 Y¹ is CH₂;

R¹ is hydrogen, methyl or ethyl;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, phenyl-C₁-C₄alkylene- or phenyl-C₁-C₄alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₄alkylene- or pyridyl-C₁-C₄alkylene- wherein the pyridyl moiety is substituted by one to four R⁸, oxetanyl or oxetanyl substituted by one to five R⁸, thietanyl-C₁-C₄ alkylene or thietanyl-C₁-C₄ alkylene wherein the thietanyl moiety is substituted by one to five R⁸, oxo-thietanyl-C₁-C₄ alkylene or oxo-thietanyl-C₁-C₄ alkylene wherein the oxo-thietanyl moiety is substituted by one to five R⁸, dioxo-thietanyl-C₁-C₄ alkylene or dioxo-thietanyl-C₁-C₄ alkylene wherein the dioxo-thietanyl moiety is substituted by one to five R⁸, thietanyl or thietanyl substituted by one to five R⁸, oxo-thietanyl or oxo-thietanyl substituted by one to five R⁸, dioxo-thietanyl or dioxo-thietanyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₈haloalkylaminocarbonyl-C₁-C₄ alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄ alkylene,

X¹ and X² are independently H, C₁-C₃ alkyl;

R³ is chlorodifluoromethyl or trifluoromethyl;

30 R⁴ is 3,5-dibromo-phenyl-, 3,5-dichloro-phenyl-, 3,5-bis-(trifluoromethyl)-phenyl-, 3,4-dichloro-phenyl-, 3,4,5-trichloro-phenyl-, 3-trifluoromethyl-phenyl-, 4-bromo-3,5-dichloro-phenyl or 3-chloro-5-trifluoromethyl-phenyl;

R⁵ is independently bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, vinyl, methoxy, difluoromethoxy, or trifluoromethoxy;

35 each R⁶ is independently bromo, chloro, fluoro, methoxy, or methylthio;

each R⁷ is independently fluoro or methyl;

each R⁸ is independently bromo, chloro, fluoro, cyano, nitro, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy, or trifluoromethoxy;

40 A further group of preferred compounds are those wherein

P is P1;

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ is C-P;

G is oxygen;

Y¹ is CH₂;

5 R¹ is hydrogen;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to three halogen atoms, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one or two groups independently selected from fluoro and methyl, phenyl-C₁-C₄alkylene- or phenyl-C₁-C₄alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₄alkylene- or pyridyl-C₁-C₄alkylene- wherein the pyridyl moiety is substituted by one to four R⁸, thietanyl, oxo-thietanyl, dioxo-thietanyl, C₁-C₄alkylaminocarbonyl-C₁-C₄ alkylene, C₁-C₄haloalkylaminocarbonyl-C₁-C₄ alkylene, C₃-C₆cycloalkyl-aminocarbonyl-C₁-C₄ alkylene,

X¹ and X² are hydrogen

R³ is trifluoromethyl;

R⁴ is 3,5-dichloro-phenyl;

15 R⁵ is methyl;

each R⁸ is independently bromo, chloro, fluoro, cyano or methyl;

A further group of preferred compounds are those wherein

P is P1;

20 A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ is C-P;

G is oxygen;

Y¹ is CH₂;

R¹ is hydrogen;

25 R² is C₂-C₆alkyl or C₂-C₆alkyl substituted by one to three halogen atoms, C₃-C₈cycloalkyl or C₃-C₈cycloalkyl substituted by one or two groups independently selected from fluoro and methyl, phenyl-C₁-C₂alkylene- or phenyl-C₁-C₂alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₂alkylene- or pyridyl-C₁-C₂alkylene- wherein the pyridyl moiety is substituted by one to four R⁸, thietanyl, oxo-thietanyl, dioxo-thietanyl, C₁-C₄alkylaminocarbonyl-C₁-C₂ alkylene or C₁-C₄haloalkylaminocarbonyl-C₁-C₂ alkylene,

X¹ and X² are H;

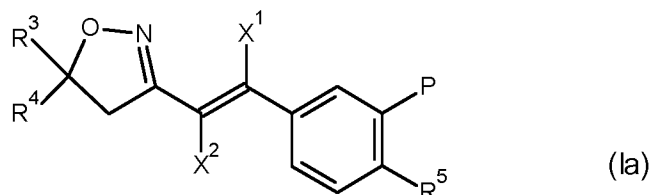
R³ is trifluoromethyl;

R⁴ is 3,5-dichloro-phenyl;

35 R⁵ is methyl;

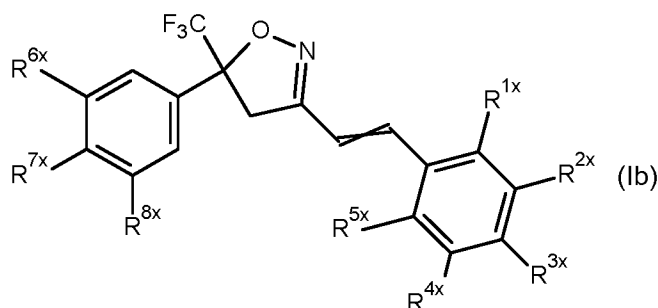
each R⁸ is independently bromo, chloro, fluoro, cyano or methyl;

In one embodiment the present invention provides compounds of formula (Ia)



wherein P signifies P1 and P1, G, X¹, X², R³, R⁴ and R⁵ are as defined for compounds of formula (I);
 or a salt or *N*-oxide thereof. The preferences for G, R¹, R², R³, R⁴ and R⁵ are the same as the
 5 preferences set out for the corresponding substituents of compounds of the formula (I).

In one embodiment the present invention provides compounds of formula (Ib)



wherein

R^{1x} is hydrogen or C₁-C₄alkoxy;

- 10 R^{2x} is hydrogen, halogen, NO₂, NHCOCF₃, NHCO-cPr, NH₂, NHCOCH₂CH₃, NHCOCH₂CF₃, [(4-cyano-2-methyl-benzoyl)amino], [bis(cyclopropanecarbonyl)amino], [(2-methoxyacetyl)amino], [(4-cyanobenzoyl)amino], NHCO-cBu, [(2-ethoxyacetyl)amino], [(2-chlorobenzoyl)amino], (pyridine-4-carbonylamino), [(4-fluorobenzoyl)amino], [(H 4-fluoro-2-methyl-benzoyl)amino], [(2-fluorobenzoyl)amino], (3-methoxypropanoylamino), (pyridine-3-carbonylamino), [(2,4-
- 15 dimethylbenzoyl)amino], [(2-methylcyclopropanecarbonyl)amino], [[2-(4-fluorophenyl)acetyl]amino], [(3-fluorobenzoyl)amino], [(1-methylcyclopropanecarbonyl)amino], (3-methylbutanoylamino), (2-ethylbutanoylamino), (cyclopentene-1-carbonylamino), (2-methylprop-2-enoylamino), (but-3-enoylamino), [[2-(2-fluorophenyl)acetyl]amino], [(2-methylsulfonylacetyl)amino], [(2-cyclopropylacetyl)amino], [(3,5-difluorobenzoyl)amino], [(2-fluorocyclopropanecarbonyl)amino], [(2,6-
- 20 difluorobenzoyl)amino], [[(E)-3-methylsulfonylprop-2-enoyl]amino], (tetrahydrofuran-2-carbonylamino), [(1-cyanocyclopropanecarbonyl)amino], [(2-fluoroacetyl)amino], (2-methylpropanoylamino), (2,2-dimethylpropanoylamino), [(2-phenylacetyl)amino], (cyclobutanecarbonylamino), (3,3-dimethylbutanoylamino), (butanoylamino), (prop-2-enoylamino), [[(E)-but-2-enoyl]amino], (3-fluoropropanoylamino), (2,3-dihydrofuran-4-carbonylamino), [(2-
- 25 ethylsulfonylacetyl)amino], benzenesulfonamido, [(4-cyanobenzoyl)-methyl-amino], [(4-cyano-2-methyl-benzoyl)-methyl-amino], (furan-2-carbonylamino), [(2-methoxy-2-oxo-acetyl)amino], (3-phenylpropanoylamino), (pyridine-2-carbonylamino), (thiophene-2-carbonylamino), [[(E)-2-methylbut-2-enoyl]amino], (2-phenylpropanoylamino), (quinoxaline-2-carbonylamino), [[(2E)-2-cyano-2-methoxyimino-acetyl]amino], (3-methylbut-2-enoylamino), [(2,5-dimethylfuran-3-carbonyl)amino],
- 30 (cyclopropanecarbonylamino), [(1S,2S)-2-phenylcyclopropanecarbonyl]amino], [(4-fluoro-2-methyl-

benzoyl)amino], [[4-(trifluoromethyl)benzoyl]amino], [(3-chlorothiophene-2-carbonyl)amino], [(2,6-dichloropyridine-4-carbonyl)amino], [(2-phenylsulfanylacetyl)amino], [(5-bromopyridine-3-carbonyl)amino], [(2-(*o*-tolyl)acetyl)amino], [(3-chloropyridine-2-carbonyl)amino], [(4-nitrobenzoyl)amino], [(2-methyl-4-nitro-benzoyl)amino], [(4-methylsulfonylbenzoyl)amino], [(2-chloro-4-methylsulfanyl-benzoyl)amino], [[2-chloro-4-(difluoromethoxy)benzoyl]amino], (pyrimidine-5-carbonylamino), [(2-methylfuran-3-carbonyl)amino], [(6-fluoropyridine-3-carbonyl)amino], [(6-cyanopyridine-3-carbonyl)amino], [[2-(2,2-difluorocyclopropyl)acetyl]amino], [(1-oxidopyridin-1-ium-4-carbonyl)amino], [methyl-(1-oxidopyridin-1-ium-4-carbonyl)amino], [(4-cyanobenzoyl)-ethyl-amino], [ethyl(pyridine-4-carbonyl)amino], [ethyl-(1-oxidopyridin-1-ium-4-carbonyl)amino], [methyl(pyridine-4-carbonyl)amino], [[4-(trifluoromethyl)phenyl]carbamoylamino], (methylsulfonylcarbonylamino), [(4-nitrophenyl)carbamoylamino], Nitro, (thietane-3-carbonylamino), NHCOcPr, NHCOCH₂OMe, or NHCOcBu;

R^{3x} is hydrogen, Me, Br, CN, F, NO₂, NH₂, NHCOCF₃, NHCOcPr, COOH, CONHCH₂CF₃, CONHcBu, CONHCH₂CONHCH₂CF₃, or NHCOcBu,

15 R^{4x} is hydrogen;

R^{5x} is hydrogen or halogen;

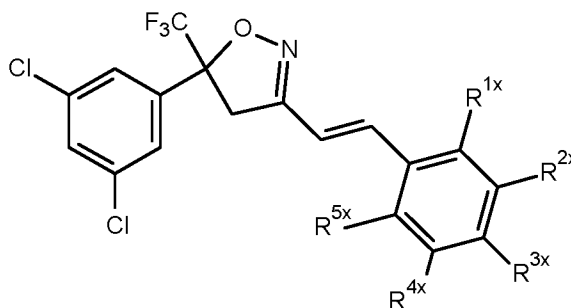
R^{6x} is hydrogen or halogen;

R^{7x} is hydrogen or halogen;

R^{8x} is hydrogen or halogen;

or a salt or *N*-oxide thereof.

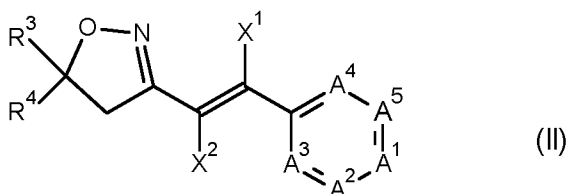
20 In one embodiment the present invention provides compounds of formula (Ib')



And the substituents have the meanings as above.

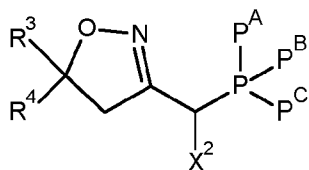
The preferred substituent definitions given above also apply to the substituents in the preferred groups of compounds of formula (I) above in those cases where a preferred substituent definition is narrower.

Certain intermediates are novel and as such form further aspects of the invention. One group of novel intermediates are compounds of formula (II)

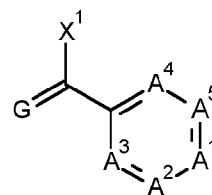


The preferences for X^1 , X^2 , R^3 , R^4 , A^1 , A^2 , A^3 , and A^4 are as defined for a compound of formula (I) and A^1 and/or A^5 are C-R, R is amino, nitro, azido, hydroxyl, halo such as fluoro, chloro, bromo or iodo. Preferably R is amino, nitro or azido

5 A further group of novel intermediates are compounds of formula IV and V



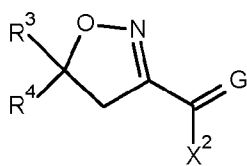
(IV)



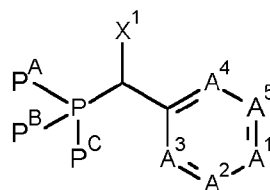
(V)

Wherein P^A , P^B and P^C independently are selected from C_1 - C_8 alkyl or C_1 - C_8 alkyl substituted by one to five R^6 , C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkyl substituted by one to five R^7 , six to fourteen ring-membered aryl- C_1 - C_4 alkylene- or six to fourteen ring-membered aryl- C_1 - C_4 alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^8 , five to ten ring-membered heterocyclyl- C_1 - C_4 alkylene- or five to ten ring-membered heterocyclyl- C_1 - C_4 alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R^8 , six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R^8 , R^3 and R^4 are as defined for the compounds of formula I, or a salt or N-oxide thereof. Preferably P^A , P^B and P^C are six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R^8 , more preferably phenyl or phenyl substituted by one to five R^8 . The preferences for R^3 and R^4 are the same as the preferences set of for the corresponding substituents of a compound of formula (I). A preferred group of compounds of formula VI are those wherein R^3 is CF_3 and R^4 is phenyl substituted by 1 to 5 R^9 . G is oxygen, and X^2 is hydrogen, alkoxy or halogen more preferably hydrogen.

Another group of intermediates are compounds of formula VI and VII.



(VI)

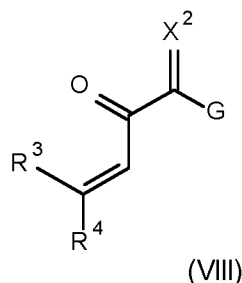


(VII)

25 Wherein P^A , P^B and P^C independently are selected from C_1 - C_8 alkyl or C_1 - C_8 alkyl substituted by one to five R^6 , C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkyl substituted by one to five R^7 , six to fourteen ring-membered aryl- C_1 - C_4 alkylene- or six to fourteen ring-membered aryl- C_1 - C_4 alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R^8 , five to ten ring-membered heterocyclyl- C_1 - C_4 alkylene- or five to ten ring-membered heterocyclyl- C_1 - C_4 alkylene- wherein the five

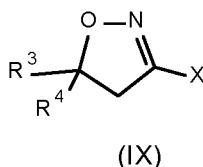
to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸; R³ and R⁴ are as defined for the compounds of formula I, or a salt or N-oxide thereof. Preferably P^A, P^B and P^C are six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, more preferably phenyl or phenyl substituted by one to five R⁸. The preferences for R³ and R⁴ are the same as the preferences set of for the corresponding substituents of a compound of formula (I). A preferred group of compounds of formula VI are those wherein R³ is CF₃ and R⁴ is phenyl substituted by 1 to 5 R⁹. G is oxygen, and X² is hydrogen, alkoxy of halogen more preferably hydrogen.

Another group of novel intermediates are compounds of formula XXIII



wherein R³ and R⁴ are as defined for the compounds of formula I, or a salt or N-oxide thereof. The preferences for R³ and R⁴ are the same as the preferences set of for the corresponding substituents of a compound of formula (I). A preferred group of compounds of formula VIII are those wherein R³ is CF₃ and R⁴ is phenyl substituted by 1 to 5 R⁹. And X² and G are as defined for compounds of formula VI.

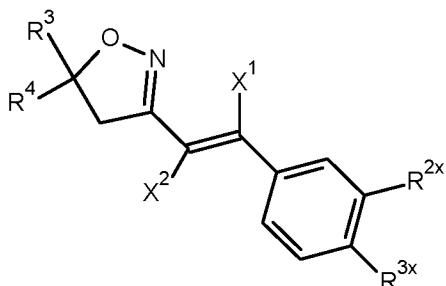
Another group of novel intermediates are compounds of formula IX



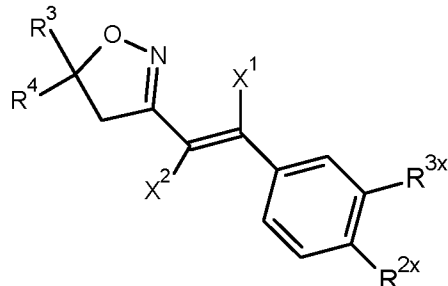
wherein R³ and R⁴ are as defined for compounds of formula I, and X is a tin derivative, e.g. Sn(R¹³)₃ wherein each R¹³ is independently C₁-C₆ alkyl, a boron derivative, e.g. BF₃, B(OH)₂ or B(OR)₂, wherein each R is independently C₁-C₆-alkyl, C₁-C₆-cycloalkyl or optionally substituted C₁-C₆-cycloalkyl, e.g. optionally substituted by halogen, C₁-C₄ alkyl or C₁-C₄haloalkyl, a C₁-C₄ alkenyl group which is substituted by halogen, C₁-C₄ alkyl or C₁-C₄haloalkyl or unsubstituted, a leaving group, such as halogen, C₁-C₈alkoxy, C₁-C₈alkylsulfonyloxy, C₁-C₈haloalkylsulfonyloxy, C₁-C₈arylsulfonyloxy, optionally substituted C₁-C₈arylsulfonyloxy (aryl is preferably phenyl), diazonium salts (e.g. X^B is -N₂⁺ Cl⁻, -N₂⁺ BF₄⁻, -N₂⁺ Br⁻, -N₂⁺ PF₆⁻), phosphonate esters (e.g. -OP(O)(OR)₂, wherein R is methyl or ethyl), preferably bromo, iodo, chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride, or

C(O)R wherein R is halogen, OH or C₁-C₁₅alkoxy or a salt or N-oxide thereof. A preferred group of compounds of formula IX are those wherein R³ is CF₃ and R⁴ is phenyl substituted by 1 to 5 R⁹.

The compounds in the Tables below illustrate the invention.



(IA)



(IB)

5

Table P1

	R2x	R3x
1	ethyl-	H
2	2,2,2-trifluoro-ethyl-	H
3	prop-2-yl-	H
4	methyl-	H
5	2-fluoro-cycloprop-1-yl-	H
6	prop-1-yl-	H
7	2-fluoro-ethyl	H
8	2-cyano-ethyl-	H
9	1-fluoroethyl-	H
10	2-methylprop-1-yl-	H
11	cyclopropylmethyl	H
12	2-methoxy-ethyl	H
13	3-methyloxetan-3-yl-	H
14	1-methylcyclopropyl-	H
15	dihydrofuran-4-yl-	H
16	cyclopropyl-	H
17	cyclobutyl-	H
18	methylsulfonylmethyl-	H
19	propen-1-yl-	H
20	methylsulfanylmethyl-	H
21	1-methoxyeth-1-yl-	H
22	5-pyrimidyl-	H
23	but-2-yl-	H
24	1-fluoroprop-2-yl-	H
25	2-methylpropen-1-yl-	H
26	1-cyanocyclopropyl-	H
27	N-formylaminomethyl-	H
28	2-methylsulfinyl-ethyl-	H
29	2-(methylsulfonyl)-ethyl-	H

30	1-oxo-tetrahydrofuran-3-yl-	H
31	1-oxo-thietan-3-yl-	H
32	1,1-dioxo-tetrahydrofuran-3-yl-	H
33	1,1-dioxo-thietan-3-yl-	H
34	3-chloroprop-1-yl-	H
35	3,3,3-trifluoro-propyl-	H
36	thietan-3-yl-	H
37	tetrahydrofuran-2-yl-	H
38	1,1,1-trifluoroprop-2-yl-	H
39	but-1-yl-	H
40	2,2-difluoro-ethyl-	H
41	ethyl-	H
42	2,2,2-trifluoro-ethyl-	H
43	prop-2-yl-	H
44	methyl-	H
45	2-fluoro-cycloprop-1-yl-	H
46	prop-1-yl	H
47	2-fluoro-ethyl-	H
48	2-cyano-ethyl-	H
49	1-fluoroethyl-	H
50	2-methylprop-1-yl-	H
51	propen-2-yl-	H
52	cyclopropylmethyl	H
53	2-methoxy-ethyl-	H
54	3-methyloxetan-3-yl-	H
55	1-methylcyclopropyl-	H
56	dihydrofuran-4-yl-	H
57	cyclopropyl-	H
58	cyclobutyl-	H
59	methylsulfonylmethyl-	H
60	propen-1-yl-	H
61	methylsulfanylmethyl-	H
62	1-methoxyeth-1-yl-	H
63	5-pyrimidyl-	H
64	but-2-yl-	H
65	1-fluoroprop-2-yl-	H
66	2-methylpropen-1-yl-	H
67	1-cyanocyclopropyl-	H
68	N-formylaminomethyl-	H
69	2-methylsulfinyl-ethyl-	H
70	2-(methylsulfonyl)-ethyl-	H
71	1-oxo-tetrahydrofuran-3-yl-	H
72	1-oxo-thietan-3-yl-	H

73	1,1-dioxo-tetrahydrofuran-3-yl-	H
74	1,1-dioxo-thietan-3-yl-	H
75	3-chloroprop-1-yl-	H
76	3,3,3-trifluoro-propyl-	H
77	thietan-3-yl	H
78	3-oxetanyl	H
79	tetrahydrofuran-2-yl	H
80	1,1,1-trifluoroprop-2-yl-	H
81	but-1-yl-	H
82	2,2-difluoro-ethyl	H
83	NH ₂	H
84	NO ₂	H
85	ethyl-	CH ₃ -
86	2,2,2-trifluoro-ethyl-	CH ₃ -
87	prop-2-yl-	CH ₃ -
88	methyl-	CH ₃ -
89	2-fluoro-cycloprop-1-yl-	CH ₃ -
90	prop-1-yl-	CH ₃ -
91	2-fluoro-ethyl	CH ₃ -
92	2-cyano-ethyl-	CH ₃ -
93	1-fluoroethyl-	CH ₃ -
94	2-methylprop-1-yl-	CH ₃ -
95	cyclopropylmethyl	CH ₃ -
96	2-methoxy-ethyl	CH ₃ -
97	3-methyloxetan-3-yl-	CH ₃ -
98	1-methylcyclopropyl-	CH ₃ -
99	dihydrofuran-4-yl-	CH ₃ -
100	cyclopropyl-	CH ₃ -
101	cyclobutyl-	CH ₃ -
102	methylsulfonylmethyl-	CH ₃ -
103	propen-1-yl-	CH ₃ -
104	methylsulfanylmethyl-	CH ₃ -
105	1-methoxyeth-1-yl-	CH ₃ -
106	5-pyrimidyl-	CH ₃ -
107	but-2-yl-	CH ₃ -
108	1-fluoroprop-2-yl-	CH ₃ -
109	2-methylpropen-1-yl-	CH ₃ -
110	1-cyanocyclopropyl-	CH ₃ -
111	N-formylaminomethyl-	CH ₃ -
112	2-methylsulfinyl-ethyl-	CH ₃ -
113	2-(methylsulfonyl)-ethyl-	CH ₃ -
114	1-oxo-tetrahydrofuran-3-yl-	CH ₃ -
115	1-oxo-thietan-3-yl-	CH ₃ -
116	1,1-dioxo-tetrahydrofuran-3-yl-	CH ₃ -

117	1,1-dioxo-thietan-3-yl-	CH3-
118	3-chloroprop-1-yl-	CH3-
119	3,3,3-trifluoro-propyl-	CH3-
120	thietan-3-yl-	CH3-
121	tetrahydrofuran-2-yl-	CH3-
122	1,1,1-trifluoroprop-2-yl-	CH3-
123	but-1-yl-	CH3-
124	2,2-difluoro-ethyl-	CH3-
125	ethyl-	CH3-
126	2,2,2-trifluoro-ethyl-	CH3-
127	prop-2-yl-	CH3-
128	methyl-	CH3-
129	2-fluoro-cycloprop-1-yl-	CH3-
130	prop-1-yl	CH3-
131	2-fluoro-ethyl-	CH3-
132	2-cyano-ethyl-	CH3-
133	1-fluoroethyl-	CH3-
134	2-methylprop-1-yl-	CH3-
135	propen-2-yl-	CH3-
136	cyclopropylmethyl	CH3-
137	2-methoxy-ethyl-	CH3-
138	3-methyloxetan-3-yl-	CH3-
139	1-methylcyclopropyl-	CH3-
140	dihydrofuran-4-yl-	CH3-
141	cyclopropyl-	CH3-
142	cyclobutyl-	CH3-
143	methylsulfonylmethyl-	CH3-
144	propen-1-yl-	CH3-
145	methylsulfanylmethyl-	CH3-
146	1-methoxyeth-1-yl-	CH3-
147	5-pyrimidyl-	CH3-
148	but-2-yl-	CH3-
149	1-fluoroprop-2-yl-	CH3-
150	2-methylpropen-1-yl-	CH3-
151	1-cyanocyclopropyl-	CH3-
152	N-formylaminomethyl-	CH3-
153	2-methylsulfinyl-ethyl-	CH3-
154	2-(methylsulfonyl)-ethyl-	CH3-
155	1-oxo-tetrahydrofuran-3-yl-	CH3-
156	1-oxo-thietan-3-yl-	CH3-
157	1,1-dioxo-tetrahydrofuran-3-yl-	CH3-
158	1,1-dioxo-thietan-3-yl-	CH3-
159	3-chloroprop-1-yl-	CH3-
160	3,3,3-trifluoro-propyl-	CH3-
161	thietan-3-yl	CH3-

162	3-oxetanyl	CH3-
163	tetrahydrofuran-2-yl	CH3-
164	1,1,1-trifluoroprop-2-yl-	CH3-
165	but-1-yl-	CH3-
166	2,2-difluoro-ethyl	CH3-
167	NH ₂	CH ₃ -
168	NO ₂	CH ₃ -
169	ethyl-	CH ₂ CH ₃ -
170	2,2,2-trifluoro-ethyl-	CH ₂ CH ₃ -
171	prop-2-yl-	CH ₂ CH ₃ -
172	methyl-	CH ₂ CH ₃ -
173	2-fluoro-cycloprop-1-yl-	CH ₂ CH ₃ -
174	prop-1-yl-	CH ₂ CH ₃ -
175	2-fluoro-ethyl	CH ₂ CH ₃ -
176	2-cyano-ethyl-	CH ₂ CH ₃ -
177	1-fluoroethyl-	CH ₂ CH ₃ -
178	2-methylprop-1-yl-	CH ₂ CH ₃ -
179	cyclopropylmethyl	CH ₂ CH ₃ -
180	2-methoxy-ethyl	CH ₂ CH ₃ -
181	3-methyloxetan-3-yl-	CH ₂ CH ₃ -
182	1-methylcyclopropyl-	CH ₂ CH ₃ -
183	dihydrofuran-4-yl-	CH ₂ CH ₃ -
184	cyclopropyl-	CH ₂ CH ₃ -
185	cyclobutyl-	CH ₂ CH ₃ -
186	methylsulfonylmethyl-	CH ₂ CH ₃ -
187	propen-1-yl-	CH ₂ CH ₃ -
188	methylsulfanylmethyl-	CH ₂ CH ₃ -
189	1-methoxyeth-1-yl-	CH ₂ CH ₃ -
190	5-pyrimidyl-	CH ₂ CH ₃ -
191	but-2-yl-	CH ₂ CH ₃ -
192	1-fluoroprop-2-yl-	CH ₂ CH ₃ -
193	2-methylpropen-1-yl-	CH ₂ CH ₃ -
194	1-cyanocyclopropyl-	CH ₂ CH ₃ -
195	N-formylaminomethyl-	CH ₂ CH ₃ -
196	2-methylsulfinyl-ethyl-	CH ₂ CH ₃ -
197	2-(methylsulfonyl)-ethyl-	CH ₂ CH ₃ -
198	1-oxo-tetrahydrofuran-3-yl-	CH ₂ CH ₃ -
199	1-oxo-thietan-3-yl-	CH ₂ CH ₃ -
200	1,1-dioxo-tetrahydrofuran-3-yl-	CH ₂ CH ₃ -
201	1,1-dioxo-thietan-3-yl-	CH ₂ CH ₃ -
202	3-chloroprop-1-yl-	CH ₂ CH ₃ -
203	3,3,3-trifluoro-propyl-	CH ₂ CH ₃ -
204	thietan-3-yl-	CH ₂ CH ₃ -
205	tetrahydrofuran-2-yl-	CH ₂ CH ₃ -
206	1,1,1-trifluoroprop-2-yl-	CH ₂ CH ₃ -

207	but-1-yl-	CH ₂ CH ₃ -
208	2,2-difluoro-ethyl-	CH ₂ CH ₃ -
209	ethyl-	CH ₂ CH ₃ -
210	2,2,2-trifluoro-ethyl-	CH ₂ CH ₃ -
211	prop-2-yl-	CH ₂ CH ₃ -
212	methyl-	CH ₂ CH ₃ -
213	2-fluoro-cycloprop-1-yl-	CH ₂ CH ₃ -
214	prop-1-yl	CH ₂ CH ₃ -
215	2-fluoro-ethyl-	CH ₂ CH ₃ -
216	2-cyano-ethyl-	CH ₂ CH ₃ -
217	1-fluoroethyl-	CH ₂ CH ₃ -
218	2-methylprop-1-yl-	CH ₂ CH ₃ -
219	propen-2-yl-	CH ₂ CH ₃ -
220	cyclopropylmethyl	CH ₂ CH ₃ -
221	2-methoxy-ethyl-	CH ₂ CH ₃ -
222	3-methyloxetan-3-yl-	CH ₂ CH ₃ -
223	1-methylcyclopropyl-	CH ₂ CH ₃ -
224	dihydrofuran-4-yl-	CH ₂ CH ₃ -
225	cyclopropyl-	CH ₂ CH ₃ -
226	cyclobutyl-	CH ₂ CH ₃ -
227	methylsulfonylmethyl-	CH ₂ CH ₃ -
228	propen-1-yl-	CH ₂ CH ₃ -
229	methylsulfanylmethyl-	CH ₂ CH ₃ -
230	1-methoxyeth-1-yl-	CH ₂ CH ₃ -
231	5-pyrimidyl-	CH ₂ CH ₃ -
232	but-2-yl-	CH ₂ CH ₃ -
233	1-fluoroprop-2-yl-	CH ₂ CH ₃ -
234	2-methylpropen-1-yl-	CH ₂ CH ₃ -
235	1-cyanocyclopropyl-	CH ₂ CH ₃ -
236	N-formylaminomethyl-	CH ₂ CH ₃ -
237	2-methylsulfinyl-ethyl-	CH ₂ CH ₃ -
238	2-(methylsulfonyl)-ethyl-	CH ₂ CH ₃ -
239	1-oxo-tetrahydrofuran-3-yl-	CH ₂ CH ₃ -
240	1-oxo-thietan-3-yl-	CH ₂ CH ₃ -
241	1,1-dioxo-tetrahydrofuran-3-yl-	CH ₂ CH ₃ -
242	1,1-dioxo-thietan-3-yl-	CH ₂ CH ₃ -
243	3-chloroprop-1-yl-	CH ₂ CH ₃ -
244	3,3,3-trifluoro-propyl-	CH ₂ CH ₃ -
245	thietan-3-yl	CH ₂ CH ₃ -
246	3-oxetanyl	CH ₂ CH ₃ -
247	tetrahydrofuran-2-yl	CH ₂ CH ₃ -
248	1,1,1-trifluoroprop-2-yl-	CH ₂ CH ₃ -
249	but-1-yl-	CH ₂ CH ₃ -
250	2,2-difluoro-ethyl	CH ₂ CH ₃ -
251	NH ₂	CH ₂ CH ₃ -

252	NO ₂	CH ₂ CH ₃ -
253	ethyl-	Cl
254	2,2,2-trifluoro-ethyl-	Cl
255	prop-2-yl-	Cl
256	methyl-	Cl
257	2-fluoro-cycloprop-1-yl-	Cl
258	prop-1-yl-	Cl
259	2-fluoro-ethyl	Cl
260	2-cyano-ethyl-	Cl
261	1-fluoroethyl-	Cl
262	2-methylprop-1-yl-	Cl
263	cyclopropylmethyl	Cl
264	2-methoxy-ethyl	Cl
265	3-methyloxetan-3-yl-	Cl
266	1-methylcyclopropyl-	Cl
267	dihydrofuran-4-yl-	Cl
268	cyclopropyl-	Cl
269	cyclobutyl-	Cl
270	methylsulfonylmethyl-	Cl
271	propen-1-yl-	Cl
272	methylsulfanylmethyl-	Cl
273	1-methoxyeth-1-yl-	Cl
274	5-pyrimidyl-	Cl
275	but-2-yl-	Cl
276	1-fluoroprop-2-yl-	Cl
277	2-methylpropen-1-yl-	Cl
278	1-cyanocyclopropyl-	Cl
279	N-formylaminomethyl-	Cl
280	2-methylsulfinyl-ethyl-	Cl
281	2-(methylsulfonyl)-ethyl-	Cl
282	1-oxo-tetrahydrofuran-3-yl-	Cl
283	1-oxo-thietan-3-yl-	Cl
284	1,1-dioxo-tetrahydrofuran-3-yl-	Cl
285	1,1-dioxo-thietan-3-yl-	Cl
286	3-chloroprop-1-yl-	Cl
287	3,3,3-trifluoro-propyl-	Cl
288	thietan-3-yl-	Cl
289	tetrahydrofuran-2-yl-	Cl
290	1,1,1-trifluoroprop-2-yl-	Cl
291	but-1-yl-	Cl
292	2,2-difluoro-ethyl-	Cl
293	ethyl-	Cl
294	2,2,2-trifluoro-ethyl-	Cl
295	prop-2-yl-	Cl
296	methyl-	Cl

297	2-fluoro-cycloprop-1-yl-	Cl
298	prop-1-yl	Cl
299	2-fluoro-ethyl-	Cl
300	2-cyano-ethyl-	Cl
301	1-fluoroethyl-	Cl
302	2-methylprop-1-yl-	Cl
303	propen-2-yl-	Cl
304	cyclopropylmethyl	Cl
305	2-methoxy-ethyl-	Cl
306	3-methyloxetan-3-yl-	Cl
307	1-methylcyclopropyl-	Cl
308	dihydrofuran-4-yl-	Cl
309	cyclopropyl-	Cl
310	cyclobutyl-	Cl
311	methylsulfonylmethyl-	Cl
312	propen-1-yl-	Cl
313	methylsulfanylmethyl-	Cl
314	1-methoxyeth-1-yl-	Cl
315	5-pyrimidyl-	Cl
316	but-2-yl-	Cl
317	1-fluoroprop-2-yl-	Cl
318	2-methylpropen-1-yl-	Cl
319	1-cyanocyclopropyl-	Cl
320	N-formylaminomethyl-	Cl
321	2-methylsulfinyl-ethyl-	Cl
322	2-(methylsulfonyl)-ethyl-	Cl
323	1-oxo-tetrahydrofuran-3-yl-	Cl
324	1-oxo-thietan-3-yl-	Cl
325	1,1-dioxo-tetrahydrofuran-3-yl-	Cl
326	1,1-dioxo-thietan-3-yl-	Cl
327	3-chloroprop-1-yl-	Cl
328	3,3,3-trifluoro-propyl-	Cl
329	thietan-3-yl	Cl
330	3-oxetanyl	Cl
331	tetrahydrofuran-2-yl	Cl
332	1,1,1-trifluoroprop-2-yl-	Cl
333	but-1-yl-	Cl
334	2,2-difluoro-ethyl	Cl
335	NH ₂	Cl
336	NO ₂	Cl
337	ethyl-	F
338	2,2,2-trifluoro-ethyl-	F
339	prop-2-yl-	F
340	methyl-	F
341	2-fluoro-cycloprop-1-yl-	F

342	prop-1-yl-	F
343	2-fluoro-ethyl	F
344	2-cyano-ethyl-	F
345	1-fluoroethyl-	F
346	2-methylprop-1-yl-	F
347	cyclopropylmethyl	F
348	2-methoxy-ethyl	F
349	3-methyloxetan-3-yl-	F
350	1-methylcyclopropyl-	F
351	dihydrofuran-4-yl-	F
352	cyclopropyl-	F
353	cyclobutyl-	F
354	methylsulfonylmethyl-	F
355	propen-1-yl-	F
356	methylsulfanylmethyl-	F
357	1-methoxyeth-1-yl-	F
358	5-pyrimidyl-	F
359	but-2-yl-	F
360	1-fluoroprop-2-yl-	F
361	2-methylpropen-1-yl-	F
362	1-cyanocyclopropyl-	F
363	N-formylaminomethyl-	F
364	2-methylsulfinyl-ethyl-	F
365	2-(methylsulfonyl)-ethyl-	F
366	1-oxo-tetrahydrofuran-3-yl-	F
367	1-oxo-thietan-3-yl-	F
368	1,1-dioxo-tetrahydrofuran-3-yl-	F
369	1,1-dioxo-thietan-3-yl-	F
370	3-chloroprop-1-yl-	F
371	3,3,3-trifluoro-propyl-	F
372	thietan-3-yl-	F
373	tetrahydrofuran-2-yl-	F
374	1,1,1-trifluoroprop-2-yl-	F
375	but-1-yl-	F
376	2,2-difluoro-ethyl-	F
377	ethyl-	F
378	2,2,2-trifluoro-ethyl-	F
379	prop-2-yl-	F
380	methyl-	F
381	2-fluoro-cycloprop-1-yl-	F
382	prop-1-yl	F
383	2-fluoro-ethyl-	F
384	2-cyano-ethyl-	F
385	1-fluoroethyl-	F
386	2-methylprop-1-yl-	F

387	propen-2-yl-	F
388	cyclopropylmethyl	F
389	2-methoxy-ethyl-	F
390	3-methyloxetan-3-yl-	F
391	1-methylcyclopropyl-	F
392	dihydrofuran-4-yl-	F
393	cyclopropyl-	F
394	cyclobutyl-	F
395	methylsulfonylmethyl-	F
396	propen-1-yl-	F
397	methylsulfanylmethyl-	F
398	1-methoxyeth-1-yl-	F
399	5-pyrimidyl-	F
400	but-2-yl-	F
401	1-fluoroprop-2-yl-	F
402	2-methylpropen-1-yl-	F
403	1-cyanocyclopropyl-	F
404	N-formylaminomethyl-	F
405	2-methylsulfinyl-ethyl-	F
406	2-(methylsulfonyl)-ethyl-	F
407	1-oxo-tetrahydrofuran-3-yl-	F
408	1-oxo-thietan-3-yl-	F
409	1,1-dioxo-tetrahydrofuran-3-yl-	F
410	1,1-dioxo-thietan-3-yl-	F
411	3-chloroprop-1-yl-	F
412	3,3,3-trifluoro-propyl-	F
413	thietan-3-yl	F
414	3-oxetanyl	F
415	tetrahydrofuran-2-yl	F
416	1,1,1-trifluoroprop-2-yl-	F
417	but-1-yl-	F
418	2,2-difluoro-ethyl	F
419	NH ₂	F
420	NO ₂	F
421	ethyl-	Br
422	2,2,2-trifluoro-ethyl-	Br
423	prop-2-yl-	Br
424	methyl-	Br
425	2-fluoro-cycloprop-1-yl-	Br
426	prop-1-yl-	Br
427	2-fluoro-ethyl	Br
428	2-cyano-ethyl-	Br
429	1-fluoroethyl-	Br
430	2-methylprop-1-yl-	Br
431	cyclopropylmethyl	Br

432	2-methoxy-ethyl	Br
433	3-methyloxetan-3-yl-	Br
434	1-methylcyclopropyl-	Br
435	dihydrofuran-4-yl-	Br
436	cyclopropyl-	Br
437	cyclobutyl-	Br
438	methylsulfonylmethyl-	Br
439	propen-1-yl-	Br
440	methylsulfanylmethyl-	Br
441	1-methoxyeth-1-yl-	Br
442	5-pyrimidyl-	Br
443	but-2-yl-	Br
444	1-fluoroprop-2-yl-	Br
445	2-methylpropen-1-yl-	Br
446	1-cyanocyclopropyl-	Br
447	N-formylaminomethyl-	Br
448	2-methylsulfinyl-ethyl-	Br
449	2-(methylsulfonyl)-ethyl-	Br
450	1-oxo-tetrahydrofuran-3-yl-	Br
451	1-oxo-thietan-3-yl-	Br
452	1,1-dioxo-tetrahydrofuran-3-yl-	Br
453	1,1-dioxo-thietan-3-yl-	Br
454	3-chloroprop-1-yl-	Br
455	3,3,3-trifluoro-propyl-	Br
456	thietan-3-yl-	Br
457	tetrahydrofuran-2-yl-	Br
458	1,1,1-trifluoroprop-2-yl-	Br
459	but-1-yl-	Br
460	2,2-difluoro-ethyl-	Br
461	ethyl-	Br
462	2,2,2-trifluoro-ethyl-	Br
463	prop-2-yl-	Br
464	methyl-	Br
465	2-fluoro-cycloprop-1-yl-	Br
466	prop-1-yl	Br
467	2-fluoro-ethyl-	Br
468	2-cyano-ethyl-	Br
469	1-fluoroethyl-	Br
470	2-methylprop-1-yl-	Br
471	propen-2-yl-	Br
472	cyclopropylmethyl	Br
473	2-methoxy-ethyl-	Br
474	3-methyloxetan-3-yl-	Br
475	1-methylcyclopropyl-	Br
476	dihydrofuran-4-yl-	Br

477	cyclopropyl-	Br
478	cyclobutyl-	Br
479	methylsulfonylmethyl-	Br
480	propen-1-yl-	Br
481	methylsulfanylmethyl-	Br
482	1-methoxyeth-1-yl-	Br
483	5-pyrimidyl-	Br
484	but-2-yl-	Br
485	1-fluoroprop-2-yl-	Br
486	2-methylpropen-1-yl-	Br
487	1-cyanocyclopropyl-	Br
488	N-formylaminomethyl-	Br
489	2-methylsulfinyl-ethyl-	Br
490	2-(methylsulfonyl)-ethyl-	Br
491	1-oxo-tetrahydrofuran-3-yl-	Br
492	1-oxo-thietan-3-yl-	Br
493	1,1-dioxo-tetrahydrofuran-3-yl-	Br
494	1,1-dioxo-thietan-3-yl-	Br
495	3-chloroprop-1-yl-	Br
496	3,3,3-trifluoro-propyl-	Br
497	thietan-3-yl	Br
498	3-oxetanyl	Br
499	tetrahydrofuran-2-yl	Br
500	1,1,1-trifluoroprop-2-yl-	Br
501	but-1-yl-	Br
502	2,2-difluoro-ethyl	Br
503	NH ₂	Br
504	NO ₂	Br
505	ethyl-	CH ₃ O-
506	2,2,2-trifluoro-ethyl-	CH ₃ O-
507	prop-2-yl-	CH ₃ O-
508	methyl-	CH ₃ O-
509	2-fluoro-cycloprop-1-yl-	CH ₃ O-
510	prop-1-yl-	CH ₃ O-
511	2-fluoro-ethyl	CH ₃ O-
512	2-cyano-ethyl-	CH ₃ O-
513	1-fluoroethyl-	CH ₃ O-
514	2-methylprop-1-yl-	CH ₃ O-
515	cyclopropylmethyl	CH ₃ O-
516	2-methoxy-ethyl	CH ₃ O-
517	3-methyloxetan-3-yl-	CH ₃ O-
518	1-methylcyclopropyl-	CH ₃ O-
519	dihydrofuran-4-yl-	CH ₃ O-
520	cyclopropyl-	CH ₃ O-
521	cyclobutyl-	CH ₃ O-

522	methylsulfonylmethyl-	CH3O-
523	propen-1-yl-	CH3O-
524	methylsulfanylmethyl-	CH3O-
525	1-methoxyeth-1-yl-	CH3O-
526	5-pyrimidyl-	CH3O-
527	but-2-yl-	CH3O-
528	1-fluoroprop-2-yl-	CH3O-
529	2-methylpropen-1-yl-	CH3O-
530	1-cyanocyclopropyl-	CH3O-
531	N-formylaminomethyl-	CH3O-
532	2-methylsulfinyl-ethyl-	CH3O-
533	2-(methylsulfonyl)-ethyl-	CH3O-
534	1-oxo-tetrahydrofuran-3-yl-	CH3O-
535	1-oxo-thietan-3-yl-	CH3O-
536	1,1-dioxo-tetrahydrofuran-3-yl-	CH3O-
537	1,1-dioxo-thietan-3-yl-	CH3O-
538	3-chloroprop-1-yl-	CH3O-
539	3,3,3-trifluoro-propyl-	CH3O-
540	thietan-3-yl-	CH3O-
541	tetrahydrofuran-2-yl-	CH3O-
542	1,1,1-trifluoroprop-2-yl-	CH3O-
543	but-1-yl-	CH3O-
544	2,2-difluoro-ethyl-	CH3O-
545	ethyl-	CH3O-
546	2,2,2-trifluoro-ethyl-	CH3O-
547	prop-2-yl-	CH3O-
548	methyl-	CH3O-
549	2-fluoro-cycloprop-1-yl-	CH3O-
550	prop-1-yl	CH3O-
551	2-fluoro-ethyl-	CH3O-
552	2-cyano-ethyl-	CH3O-
553	1-fluoroethyl-	CH3O-
554	2-methylprop-1-yl-	CH3O-
555	propen-2-yl-	CH3O-
556	cyclopropylmethyl	CH3O-
557	2-methoxy-ethyl-	CH3O-
558	3-methyloxetan-3-yl-	CH3O-
559	1-methylcyclopropyl-	CH3O-
560	dihydrofuran-4-yl-	CH3O-
561	cyclopropyl-	CH3O-
562	cyclobutyl-	CH3O-
563	methylsulfonylmethyl-	CH3O-
564	propen-1-yl-	CH3O-
565	methylsulfanylmethyl-	CH3O-
566	1-methoxyeth-1-yl-	CH3O-

567	5-pyrimidyl-	CH3O-
568	but-2-yl-	CH3O-
569	1-fluoroprop-2-yl-	CH3O-
570	2-methylpropen-1-yl-	CH3O-
571	1-cyanocyclopropyl-	CH3O-
572	N-formylaminomethyl-	CH3O-
573	2-methylsulfinyl-ethyl-	CH3O-
574	2-(methylsulfonyl)-ethyl-	CH3O-
575	1-oxo-tetrahydrofuran-3-yl-	CH3O-
576	1-oxo-thietan-3-yl-	CH3O-
577	1,1-dioxo-tetrahydrofuran-3-yl-	CH3O-
578	1,1-dioxo-thietan-3-yl-	CH3O-
579	3-chloroprop-1-yl-	CH3O-
580	3,3,3-trifluoro-propyl-	CH3O-
581	thietan-3-yl	CH3O-
582	3-oxetanyl	CH3O-
583	tetrahydrofuran-2-yl	CH3O-
584	1,1,1-trifluoroprop-2-yl-	CH3O-
585	but-1-yl-	CH3O-
586	2,2-difluoro-ethyl	CH3O-
587	NH ₂	CH3O-
588	NO ₂	CH3O-
589	ethyl-	CN
590	2,2,2-trifluoro-ethyl-	CN
591	prop-2-yl-	CN
592	methyl-	CN
593	2-fluoro-cycloprop-1-yl-	CN
594	prop-1-yl-	CN
595	2-fluoro-ethyl	CN
596	2-cyano-ethyl-	CN
597	1-fluoroethyl-	CN
598	2-methylprop-1-yl-	CN
599	cyclopropylmethyl	CN
600	2-methoxy-ethyl	CN
601	3-methyloxetan-3-yl-	CN
602	1-methylcyclopropyl-	CN
603	dihydrofuran-4-yl-	CN
604	cyclopropyl-	CN
605	cyclobutyl-	CN
606	methylsulfonylmethyl-	CN
607	propen-1-yl-	CN
608	methylsulfanylmethyl-	CN
609	1-methoxyeth-1-yl-	CN
610	5-pyrimidyl-	CN
611	but-2-yl-	CN

612	1-fluoroprop-2-yl-	CN
613	2-methylpropen-1-yl-	CN
614	1-cyanocyclopropyl-	CN
615	N-formylaminomethyl-	CN
616	2-methylsulfinyl-ethyl-	CN
617	2-(methylsulfonyl)-ethyl-	CN
618	1-oxo-tetrahydrofuran-3-yl-	CN
619	1-oxo-thietan-3-yl-	CN
620	1,1-dioxo-tetrahydrofuran-3-yl-	CN
621	1,1-dioxo-thietan-3-yl-	CN
622	3-chloroprop-1-yl-	CN
623	3,3,3-trifluoro-propyl-	CN
624	thietan-3-yl-	CN
625	tetrahydrofuran-2-yl-	CN
626	1,1,1-trifluoroprop-2-yl-	CN
627	but-1-yl-	CN
628	2,2-difluoro-ethyl-	CN
629	ethyl-	CN
630	2,2,2-trifluoro-ethyl-	CN
631	prop-2-yl-	CN
632	methyl-	CN
633	2-fluoro-cycloprop-1-yl-	CN
634	prop-1-yl	CN
635	2-fluoro-ethyl-	CN
636	2-cyano-ethyl-	CN
637	1-fluoroethyl-	CN
638	2-methylprop-1-yl-	CN
639	propen-2-yl-	CN
640	cyclopropylmethyl	CN
641	2-methoxy-ethyl-	CN
642	3-methyloxetan-3-yl-	CN
643	1-methylcyclopropyl-	CN
644	dihydrofuran-4-yl-	CN
645	cyclopropyl-	CN
646	cyclobutyl-	CN
647	methylsulfonylmethyl-	CN
648	propen-1-yl-	CN
649	methylsulfanylmethyl-	CN
650	1-methoxyeth-1-yl-	CN
651	5-pyrimidyl-	CN
652	but-2-yl-	CN
653	1-fluoroprop-2-yl-	CN
654	2-methylpropen-1-yl-	CN
655	1-cyanocyclopropyl-	CN
656	N-formylaminomethyl-	CN

657	2-methylsulfinyl-ethyl-	CN
658	2-(methylsulfonyl)-ethyl-	CN
659	1-oxo-tetrahydrofuran-3-yl-	CN
660	1-oxo-thietan-3-yl-	CN
661	1,1-dioxo-tetrahydrofuran-3-yl-	CN
662	1,1-dioxo-thietan-3-yl-	CN
663	3-chloroprop-1-yl-	CN
664	3,3,3-trifluoro-propyl-	CN
665	thietan-3-yl	CN
666	3-oxetanyl	CN
667	tetrahydrofuran-2-yl	CN
668	1,1,1-trifluoroprop-2-yl-	CN
669	but-1-yl-	CN
670	2,2-difluoro-ethyl	CN
671	NH ₂	CN
672	NO ₂	CN

Table 1

Table 1 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is H, X₂ is H, R₃ is CF₂H, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 2

Table 2 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is CH₃, X₂ is H, R₃ is CF₂H, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 3

Table 3 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is H, X₂ is CH₃, R₃ is CF₂H, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 4

Table 4 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is CH₃, X₂ is CH₃, R₃ is CF₂H, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 5

Table 5 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is H, X₂ is H, R₃ is CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 6

Table 6 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is CH₃, X₂ is H, R₃ is CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 7

Table 7 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is H, X₂ is CH₃, R₃ is CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 8

Table 8 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is CH₃, X₂ is CH₃, R₃ is CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 9

Table 9 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is H, X₂ is H, R₃ is CF₂CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 10

Table 10 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is CH₃, X₂ is H, R₃ is CF₂CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 11

Table 11 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X₁ is H, X₂ is CH₃, R₃ is CF₂CF₃, R₄ is 3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 12

Table 12 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 13

Table 13 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH₂CF₃, R4 is 3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 14

Table 14 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CH₂CF₃, R4 is 3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 15

Table 15 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 16

Table 16 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 17

Table 17 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂H, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 18

Table 18 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂H, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 19

Table 19 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₂H, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 20

Table 20 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂H, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 21

Table 21 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 22

Table 22 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 23

Table 23 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 24

Table 24 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 25

Table 25 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 26

Table 26 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 27

Table 27 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 28

Table 28 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 29

Table 29 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 30

Table 30 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃,

X2 is H, R3 is CH₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 31

Table 31 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 32

Table 32 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,5-dichlorophenyl and R2x and R3x are as defined in Table P1.

Table 33

Table 33 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂H, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 34

Table 34 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂H, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 35

Table 35 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₂H, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 36

Table 36 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂H, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 37

Table 37 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 38

Table 38 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 39

Table 39 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 40

Table 40 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 41

Table 41 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 42

Table 42 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 43

Table 43 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 44

Table 44 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 45

Table 45 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 46

Table 46 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CH₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 47

Table 47 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 48

Table 48 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,4,5-trifluorophenyl and R2x and R3x are as defined in Table P1.

Table 49

Table 49 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂H, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 50

Table 50 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂H, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 51

Table 51 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₂H, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 52

Table 52 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂H, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 53

Table 53 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 54

Table 54 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 55

Table 55 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 56

Table 56 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 57

Table 57 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 58

Table 58 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 59

Table 59 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 60

Table 60 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CF₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 61

Table 61 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 62

Table 62 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CH₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 63

Table 63 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 64

Table 64 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is CH₃, R3 is CH₂CF₃, R4 is 3,4,5-trichlorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 65

Table 65 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF₂H, R4 is 4-chloro-3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 66

Table 66 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH₃, X2 is H, R3 is CF₂H, R4 is 4-chloro-3,5-difluorophenyl and R_{2x} and R_{3x} are as defined in Table P1.

Table 67

Table 67 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF2H, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 68

Table 68 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF2H, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 69

Table 69 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 70

Table 70 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 71

Table 71 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 72

Table 72 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 73

Table 73 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 74

Table 74 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 75

Table 75 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 76

Table 76 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 77

Table 77 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 78

Table 78 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CH2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 79

Table 79 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CH2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 80

Table 80 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CH2CF3, R4 is 4-chloro-3,5-difluorophenyl and R2x and R3x are as defined in Table P1.

Table 81

Table 81 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF2H, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 82

Table 82 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF2H, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 83

Table 83 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF2H, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 84

Table 84 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF2H, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 85

Table 85 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 86

Table 86 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 87

Table 87 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 88

Table 88 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 89

Table 89 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 90

Table 90 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 91

Table 91 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 92

Table 92 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 93

Table 93 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 94

Table 94 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CH2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 95

Table 95 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CH2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 96

Table 96 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CH2CF3, R4 is 3,5-dichloro-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 97

Table 97 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF2H, R4 is 3,5-difluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 98

Table 98 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF2H, R4 is 3,5-difluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 99

Table 99 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF2H, R4 is 3,5-difluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 100

Table 100 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF2H, R4 is 3,5-difluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 101

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Table 101 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 102

Table 102 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 103

Table 103 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 104

Table 104 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 105

Table 105 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CF2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 106

Table 106 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CF2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 107

Table 107 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CF2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 108

Table 108 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CF2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 109

Table 109 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is H, R3 is CH2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 110

Table 110 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is H, R3 is CH2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 111

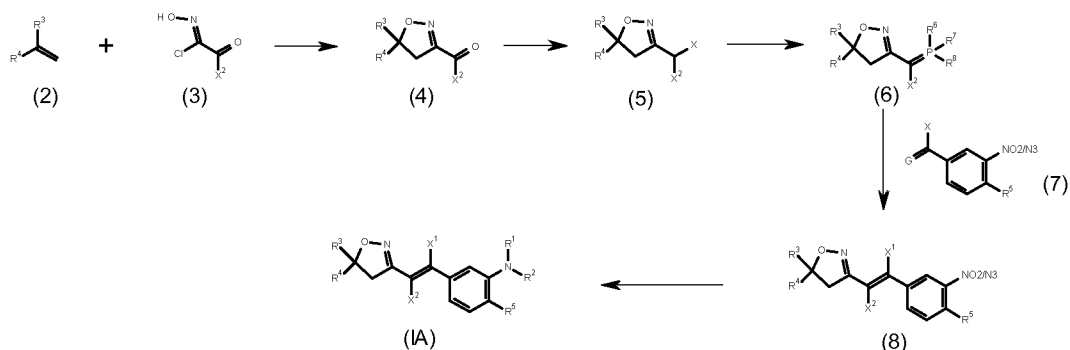
Table 111 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is H, X2 is CH3, R3 is CH2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

Table 112

Table 112 provides 672 compounds of formula IA and 672 compounds of formula IB wherein X1 is CH3, X2 is CH3, R3 is CH2CF3, R4 is 3,5-ditrifluoromethyl-4-fluorophenyl and R2x and R3x are as defined in Table P1.

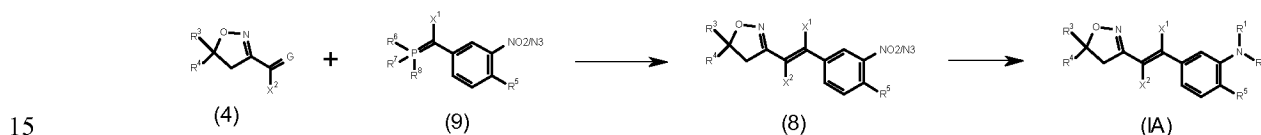
The compounds of the invention may be made by a variety of methods as shown in the following Schemes.

- 40 -



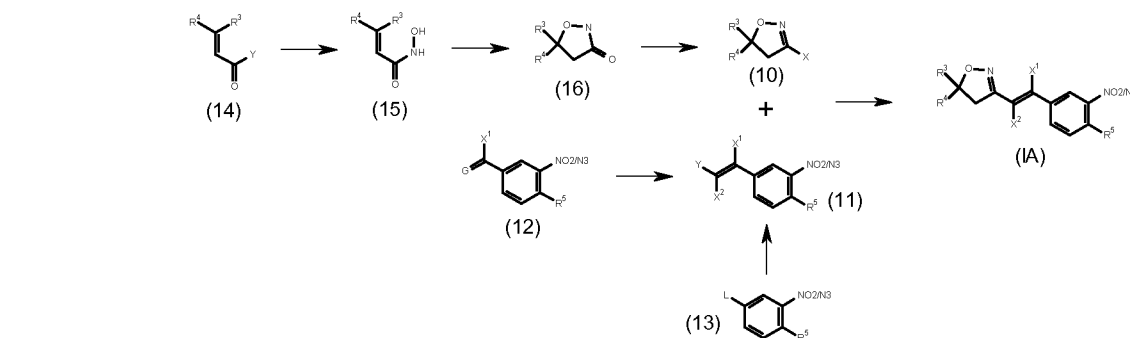
Compound of formula (IA) wherein R^1 , R^2 , R^3 , R^4 , R^5 , X^1 and X^2 are as defined earlier can be synthesized using the protocol shown in Scheme 1. Olefin such as (2) can react with the chloroxime derivative (3) to undergo a 3+2 cycloaddition reaction in presence of an organic base (triethylamine, pyridine, DBU etc.) or inorganic base ($M_x(\text{CO}_3)_y$, $M_x(\text{OH})_y$ etc.) to yield the isoxazoline compound (4). The compound 4 can then be converted to compound 5 by treating it with a suitable nucleophile (LAH, NaBH_4 , organometallic species such as alkylmagnesium halides) followed by treatment with halogenating agent such as (SOX_2 , PX_5). The alkyl halide species 5 can then be transformed into its Wittig salt (6) by treatment with the suitable phosphorus reagent ($\text{PR}^6\text{R}^7\text{R}^8$). Compound of type 6 can then be condensed with the carbonyl compounds of type 7 to obtain the olefin 8. Reduction of the compound 8 can then give rise to the amine derivative which in turn be functionalized further (alkylation, acylation) to produce compound of type IA.

Scheme 2



Compound of formula (8) can also be prepared alternatively by treating the carbonyl derivative (4) with the Wittig salt of type 9. Compound 8 can then be converted to compound of type IA following steps as described in scheme 1.

Scheme 3



Compound of formula IA can also be prepared via Heck coupling reaction between compound of type 10 and styrene derivative of type 11. The compound 11 can be easily prepared either from compound 12 by Wittig reaction or from compound 13 via Palladium catalyzed coupling reaction between suitable aryl and olefinic partner. Preparation of compound 10 can be done starting

with the a,b unsaturated carbonyl derivative of type 14 which on treatment with hydroxylamine and a suitable base can give rise to acylhydroxylamine derivative of type 15, which will then undergo intramolecular cyclization via Michael Addition to give compound 16. Compound 16 can then be easily converted to compound 10 by treating it with various halogenating agent such as (SOX₂, PX₅).

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The compounds of the invention may be used for example on turf, ornamentals, such as flowers, shrubs, broad-leaved trees or evergreens, for example conifers, as well as for tree injection, pest management and the like.

Examples of pest species which may be controlled by the compounds of formula (I) include:

- 10 *Myzus persicae* (aphid), *Aphis gossypii* (aphid), *Aphis fabae* (aphid), *Lygus* spp. (capsids), *Dysdercus* spp. (capsids), *Nilaparvata lugens* (planthopper), *Nephotettix incticeps* (leafhopper), *Nezara* spp. (stinkbugs), *Euschistus* spp. (stinkbugs), *Leptocorisa* spp. (stinkbugs), *Frankliniella occidentalis* (thrip), *Thrips* spp. (thrips), *Leptinotarsa decemlineata* (Colorado potato beetle), *Anthonomus grandis* (boll weevil), *Aonidiella* spp. (scale insects), *Trialeurodes* spp. (white flies),
15 *Bemisia tabaci* (white fly), *Ostrinia nubilalis* (European corn borer), *Spodoptera littoralis* (cotton leafworm), *Heliothis virescens* (tobacco budworm), *Helicoverpa armigera* (cotton bollworm), *Helicoverpa zea* (cotton bollworm), *Sylepta derogata* (cotton leaf roller), *Pieris brassicae* (white butterfly), *Plutella xylostella* (diamond back moth), *Agrotis* spp. (cutworms), *Chilo suppressalis* (rice stem borer), *Locusta migratoria* (locust), *Chortiocetes terminifera* (locust), *Diabrotica* spp.
20 (rootworms), *Panonychus ulmi* (European red mite), *Panonychus citri* (citrus red mite), *Tetranychus urticae* (two-spotted spider mite), *Tetranychus cinnabarinus* (carmine spider mite), *Phyllocoptruta oleivora* (citrus rust mite), *Polyphagotarsonemus latus* (broad mite), *Brevipalpus* spp. (flat mites), *Boophilus microplus* (cattle tick), *Dermacentor variabilis* (American dog tick), *Ctenocephalides felis* (cat flea), *Liriomyza* spp. (leafminer), *Musca domestica* (housefly), *Aedes aegypti* (mosquito),
25 *Anopheles* spp. (mosquitoes), *Culex* spp. (mosquitoes), *Lucillia* spp. (blowflies), *Blattella germanica* (cockroach), *Periplaneta americana* (cockroach), *Blatta orientalis* (cockroach), termites of the Mastotermitidae (for example *Mastotermes* spp.), the Kalotermitidae (for example *Neotermes* spp.), the Rhinotermitidae (for example *Coptotermes formosanus*, *Reticulitermes flavipes*, *R. speratu*, *R. virginicus*, *R. hesperus*, and *R. santonensis*) and the Termitidae (for example *Globitermes sulfureus*),
30 *Solenopsis geminata* (fire ant), *Monomorium pharaonis* (pharaoh's ant), *Damalinea* spp. and *Linognathus* spp. (biting and sucking lice), *Meloidogyne* spp. (root knot nematodes), *Globodera* spp. and *Heterodera* spp. (cyst nematodes), *Pratylenchus* spp. (lesion nematodes), *Rhodopholus* spp. (banana burrowing nematodes), *Tylenchulus* spp. (citrus nematodes), *Haemonchus contortus* (barber pole worm), *Caenorhabditis elegans* (vinegar eelworm), *Trichostrongylus* spp. (gastro intestinal
35 nematodes) and *Deroceras reticulatum* (slug).

The invention therefore provides a method of controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I), to a pest, a locus of pest, preferably a plant, or to a plant susceptible to attack by a pest. The
40 compounds of formula (I) are preferably used against insects or acarines.

The term "plant" as used herein includes seedlings, bushes and trees.

Crops are to be understood as also including those crops which have been rendered tolerant to herbicides or classes of herbicides (e.g. ALS-, GS-, EPSPS-, PPO- and HPPD-inhibitors) by conventional methods of breeding or by genetic engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding is Clearfield® summer rape (canola). Examples of crops that have been rendered tolerant to herbicides by genetic engineering methods include e.g. glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady® and LibertyLink®.

Crops are also to be understood as being those which have been rendered resistant to harmful insects by genetic engineering methods, for example Bt maize (resistant to European corn borer), Bt cotton (resistant to cotton boll weevil) and also Bt potatoes (resistant to Colorado beetle). Examples of Bt maize are the Bt 176 maize hybrids of NK® (Syngenta Seeds). Examples of transgenic plants comprising one or more genes that code for an insecticidal resistance and express one or more toxins are KnockOut® (maize), Yield Gard® (maize), NuCOTIN33B® (cotton), Bollgard® (cotton), NewLeaf® (potatoes), NatureGard® and Protexcta®.

Plant crops or seed material thereof can be both resistant to herbicides and, at the same time, resistant to insect feeding ("stacked" transgenic events). For example, seed can have the ability to express an insecticidal Cry3 protein while at the same time being tolerant to glyphosate.

Crops are also to be understood as being those which are obtained by conventional methods of breeding or genetic engineering and contain so-called output traits (e.g. improved storage stability, higher nutritional value and improved flavor).

In order to apply a compound of formula (I) as an insecticide, acaricide, nematocide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to 10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

In another aspect the present invention provides an insecticidal, acaricidal, nematocidal or molluscicidal composition comprising an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition is preferably an insecticidal or acaricidal composition.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible

liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulfur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulfate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulfates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrans, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallization in a spray tank).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade

Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol),

N-alkylpyrrolidones (such as *N*-methylpyrrolidone or *N*-octylpyrrolidone), dimethyl amides of fatty acids (such as C₈-C₁₀ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (I) either as a liquid (if it is not a liquid at ambient temperature, it may be melted at a reasonable temperature, typically below 70°C) or in solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurized, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerization stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and contains a compound of formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulfuric acid (for example sodium lauryl sulfate), salts of sulfonated aromatic compounds (for example sodium dodecylbenzenesulfonate, calcium dodecylbenzenesulfonate, butylnaphthalene sulfonate and mixtures of sodium di-*isopropyl*- and tri-*isopropyl*-naphthalene sulfonates), ether sulfates, alcohol ether sulfates (for example sodium laureth-3-sulfate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulfosuccinamates, paraffin or olefine sulfonates, taurates and lignosulfonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycines.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgite).

A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any

part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapor or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may include DCs, SCs, ECs, EWs, MEs, SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

A compound of formula (I) may be used in mixtures with fertilizers (for example nitrogen-, potassium- or phosphorus-containing fertilizers). Suitable formulation types include granules of fertilizer. The mixtures preferably contain up to 25% by weight of the compound of formula (I).

The invention therefore also provides a fertilizer composition comprising a fertilizer and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which possess plant growth regulating, herbicidal, insecticidal, nematicidal or acaricidal activity.

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may: provide a composition having a broader spectrum of activity or increased persistence at a locus; synergize the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (I); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition. Examples of suitable pesticides include the following:

a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, S-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl- 3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;

- b) Organophosphates, such as profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos, phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate
5 or diazinon;
- c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;
- d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;
- 10 e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;
- f) Pyrazoles, such as tebufenpyrad and fenpyroximate;
- g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad, azadirachtin or spinetoram;
- h) Hormones or pheromones;
- 15 i) Organochlorine compounds, such as endosulfan (in particular alpha-endosulfan), benzene hexachloride, DDT, chlordane or dieldrin;
- j) Amidines, such as chlordimeform or amitraz;
- k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;
- l) Neonicotinoid compounds, such as imidacloprid, thiacloprid, acetamiprid, nitenpyram, dinotefuran,
20 thiamethoxam, clothianidin, nithiazine or flonicamid;
- m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;
- n) Diphenyl ethers, such as diofenolan or pyriproxifen;
- o) Indoxacarb;
- p) Chlorfenapyr;
- 25 q) Pymetrozine;
- r) Spirotetramat, spiroticlofen or spiromesifen;
- s) Diamides, such as flubendiamide, chlorantraniliprole or cyantraniliprole;
- t) Sulfoxaflor;
- u) Metaflumizone;
- 30 v) Fipronil and Ethiprole; or
- w) Pyrifluquinazon;
- x) buprofezin; or
- y) 4-[(6-Chloro-pyridin-3-ylmethyl)-(2,2-difluoro-ethyl)-amino]-5H-furan-2-one (DE 102006015467).

In addition to the major chemical classes of pesticide listed above, other pesticides having
35 particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be included in the compositions (for example acaricidal ovo-larvicides, such as clofentezine,
40 flubenzimine, hexythiazox or tetradifon; acaricidal motilicidic, such as dicofol or propargite;

acaricides, such as bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

Examples of fungicidal compounds which may be included in the composition of the invention are (E)-N-methyl-2-[2-(2,5-dimethylphenoxy)methyl]phenyl]-2-methoxy-iminoacetamide (SSF-129),

5 4-bromo-2-cyano-N,N-dimethyl-6-trifluoromethylbenzimidazole-1-sulfonamide, α -[N-(3-chloro-2,6-xylyl)-2-methoxyacetamido]- γ -butyrolactone, 4-chloro-2-cyano-N,N-dimethyl-5-p-tolylimidazole-1-sulfonamide (IKF-916, cyamidazosulfamid),

3-5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), N-allyl-4,5,-dimethyl-2-trimethylsilylthiophene-3-carboxamide (MON65500), N-(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042),

10 N-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, biloxazol, bitertanol, blasticidin S, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate, chlorothalonil, chlorozolate,

15 clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulfate, copper tallate and Bordeaux mixture, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulfide 1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, O,O-di-iso-propyl-S-benzyl thiophosphate, dimefluazole, dimetconazole, dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride,

20 dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl-(Z)-N-benzyl-N--([methyl(methyl-thioethylideneaminooxycarbonyl)amino]thio)- β -alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fencpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fuberidazole, furalaxyl,

25 furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl, LY186054, LY211795, LY248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate,

30 nitrothal-isopropyl, nuarimol, ofurace, organomercury compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, pyrazophos, pyrifenoxy, pyrimethanil, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds,

35 quinomethionate, quinoxifen, quintozone, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulfur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin

40 A, vapam, vinclozolin, zineb, ziram; 1,3-Dimethyl-1H-pyrazole-4-carboxylic acid (4'-methylsulfanyl-

biphenyl-2-yl)-amide, 1,3-Dimethyl-1H-pyrazole-4-carboxylic acid (2-dichloromethylene-3-ethyl-1-methyl-indan-4-yl)-amide, and 1,3-Dimethyl-4H-pyrazole-4-carboxylic acid [2-(2,4-dichloro-phenyl)-2-methoxy-1-methyl-ethyl]-amide.

5 The compounds of formula (I) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Examples of suitable synergists for use in the compositions include piperonyl butoxide, sesamex, safroxan and dodecyl imidazole.

Suitable herbicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

10 An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIX™.

Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For
15 example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

20 The compounds of the invention are also useful in the field of animal health, e.g. they may be used against parasitic invertebrate pests, more preferably against parasitic invertebrate pests in or on an animal. Examples of pests include nematodes, trematodes, cestodes, flies, mites, ticks, lice, fleas, true bugs and maggots. The animal may be a non-human animal, e.g. an animal associated with agriculture, e.g. a cow, a pig, a sheep, a goat, a horse, or a donkey, or a companion animal, e.g.
25 a dog or a cat.

In a further aspect the invention provides a compound of the invention for use in a method of therapeutic treatment.

In a further aspect the invention relates to a method of controlling parasitic invertebrate pests in or on an animal comprising administering a pesticidally effective amount of a compound of the
30 invention. The administration may be for example oral administration, parenteral administration or external administration, e.g. to the surface of the animal body. In a further aspect the invention relates to a compound of the invention for controlling parasitic invertebrate pests in or on an animal. In a further aspect the invention relates to use of a compound of the invention in the manufacture of a medicament for controlling parasitic invertebrate pests in or on an animal

35 In a further aspect, the invention relates to a method of controlling parasitic invertebrate pests comprising administering a pesticidally effective amount of a compound of the invention to the environment in which an animal resides.

In a further aspect the invention relates to a method of protecting an animal from a parasitic invertebrate pest comprising administering to the animal a pesticidally effective amount of a
40 compound of the invention. In a further aspect the invention relates to a compound of the invention

for use in protecting an animal from a parasitic invertebrate pest. In a further aspect the invention relates to use of a compound of the invention in the manufacture of a medicament for protecting an animal from a parasitic invertebrate pest.

5 In a further aspect the invention provides a method of treating an animal suffering from a parasitic invertebrate pest comprising administering to the animal a pesticidally effective amount of a compound of the invention. In a further aspect the invention relates to a compound of the invention for use in treating an animal suffering from a parasitic invertebrate pest. In a further aspect the invention relates to use of a compound of the invention in the manufacture of a medicament for treating an animal suffering from a parasitic invertebrate pest.

10 In a further aspect, the invention provides a pharmaceutical composition comprising a compound of the invention and a pharmaceutically suitable excipient.

The compounds of the invention may be used alone or in combination with one or more other biologically active ingredients.

15 In one aspect the invention provides a combination product comprising a pesticidally effective amount of a component A and a pesticidally effective amount of component B wherein component A is a compound of the invention and component B is a compound as described below.

The compounds of the invention may be used in combination with anthelmintic agents. Such anthelmintic agents include, compounds selected from the macrocyclic lactone class of compounds such as ivermectin, avermectin, abamectin, emamectin, eprinomectin, doramectin, selamectin, 20 moxidectin, nemadectin and milbemycin derivatives as described in EP- 357460, EP-444964 and EP-594291. Additional anthelmintic agents include semisynthetic and biosynthetic avermectin/milbemycin derivatives such as those described in US-5015630, WO-9415944 and WO-9522552. Additional anthelmintic agents include the benzimidazoles such as albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, 25 parbendazole, and other members of the class. Additional anthelmintic agents include imidazothiazoles and tetrahydropyrimidines such as tetramisole, levamisole, pyrantel pamoate, oxantel or morantel. Additional anthelmintic agents include flukicides, such as triclabendazole and clorsulon and the cestocides, such as praziquantel and epsiprantel.

30 The compounds of the invention may be used in combination with derivatives and analogues of the paraherquamide/marcfortine class of anthelmintic agents, as well as the antiparasitic oxazolines such as those disclosed in US-5478855, US- 4639771 and DE-19520936.

The compounds of the invention may be used in combination with derivatives and analogues of the general class of dioxomorpholine antiparasitic agents as described in WO-9615121 and also with anthelmintic active cyclic depsipeptides such as those described in WO-9611945, WO-9319053, 35 WO- 9325543, EP-626375, EP-382173, WO-9419334, EP-382173, and EP-503538.

The compounds of the invention may be used in combination with other ectoparasiticides; for example, fipronil; pyrethroids; organophosphates; insect growth regulators such as lufenuron; ecdysone agonists such as tebufenozide and the like; neonicotinoids such as imidacloprid and the like.

The compounds of the invention may be used in combination with terpene alkaloids, for example those described in International Patent Application Publication Numbers WO95/19363 or WO04/72086, particularly the compounds disclosed therein.

Other examples of such biologically active compounds that the compounds of the invention may be used in combination with include but are not restricted to the following:

Organophosphates: acephate, azamethiphos, azinphos-ethyl, azinphos- methyl, bromophos, bromophos-ethyl, cadusafos, chlorethoxyphos, chlorpyrifos, chlorfenvinphos, chlormephos, demeton, demeton-S-methyl, demeton-S-methyl sulphone, dialifos, diazinon, dichlorvos, dicrotophos, dimethoate, disulfoton, ethion, ethoprophos, etrimfos, famphur, fenamiphos, fenitrothion, fensulfothion, fenthion, flupyrazofos, fonofos, formothion, fosthiazate, heptenophos, isazophos, isothioate, isoxathion, malathion, methacriphos, methamidophos, methidathion, methyl- parathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, paraoxon, parathion, parathion-methyl, phenthoate, phosalone, phosfolan, phosphocarb, phosmet, phosphamidon, phorate, phoxim, pirimiphos, pirimiphos- methyl, profenofos, propaphos, proetamphos, prothiofos, pyraclofos, pyridapenthion, quinalphos, sulprophos, temephos, terbufos, tebupirimfos, tetrachlorvinphos, thimeton, triazophos, trichlorfon, vamidothion.

Carbamates: alanycarb, aldicarb, 2-sec-butylphenyl methylcarbamate, benfuracarb, carbaryl, carbofuran, carbosulfan, cloethocarb, ethiofencarb, fenoxycarb, fenthio carb, furathiocarb, HCN-801, isoprocarb, indoxacarb, methiocarb, methomyl, 5-methyl-m-cumenylbutyryl(methyl)carbamate, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, triazamate, UC-51717.

Pyrethroids: acrinathin, allethrin, alphametrin, 5-benzyl-3-furylmethyl (E) - (1 R)-cis-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropanecarboxylate, bifenthrin, beta - cyfluthrin, cyfluthrin, a-cypermethrin, beta -cypermethrin, bioallethrin, bioallethrin((S)-cyclopentylisomer), bioresmethrin, bifenthrin, NCI-85193, cycloprothrin, cyhalothrin, cythithrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, ethofenprox, fenfluthrin, fenpropathrin, fenvalerate, flucythrinate, flumethrin, fluvalinate (D isomer), imiprothrin, cyhalothrin, lambda-cyhalothrin, permethrin, phenothrin, prallethrin, pyrethrins (natural products), resmethrin, tetramethrin, transfluthrin, theta-cypermethrin, silafluofen, t-fluvalinate, tefluthrin, tralomethrin, Zeta-cypermethrin.

Arthropod growth regulators: a) chitin synthesis inhibitors: benzoylureas: chlorfluazuron, diflubenzuron, fluazuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, teflubenzuron, triflumuron, buprofezin, diofenolan, hexythiazox, etoxazole, chlorfentazine; b) ecdysone antagonists: halofenozide, methoxyfenozide, tebufenozide; c) juvenoids: pyriproxyfen, methoprene (including S-methoprene), fenoxycarb; d) lipid biosynthesis inhibitors: spiroadiclofen.

Other antiparasitics: acequinocyl, amitraz, AKD-1022, ANS-118, azadirachtin, Bacillus thuringiensis, bensultap, bifenazate, binapacryl, bromopropylate, BTG-504, BTG-505, camphechlor, cartap, chlorobenzilate, chlordimeform, chlorfenapyr, chromafenozide, clothianidine, cyromazine, diaclofen, diafenthiuron, DBI-3204, dinactin, dihydroxymethyl-dihydroxypyrrolidine, dinobuton, dinocap, endosulfan, ethiprole, ethofenprox, fenazaquin, flumite, MTI- 800, fenpyroximate, fluacrypyrim, flubenzimine, flubrocycythrinate, flufenzine, flufenprox, fluproxyfen, halofenprox, hydramethylnon, IKI-220, kanemite, NC-196, neem guard, nidinorterfuran, nitenpyram, SD-35651,

WL-108477, pirydaryl, propargite, protrifenbute, pymethrozin, pyridaben, pyrimidifen, NC-1111, R-195, RH-0345, RH-2485, RYI-210, S-1283, S-1833, SI-8601, silafluofen, silomadine, spinosad, tebufenpyrad, tetradifon, tetranactin, thiacloprid, thiocyclam, thiamethoxam, tolfenpyrad, triazamate, triethoxyspinosyn, trinactin, verbutin, vertalec, YI-5301.

5 Fungicides: acibenzolar, aldimorph, ampropylfos, andoprim, azaconazole, azoxystrobin, benalaxyl, benomyl, bialaphos, blasticidin-S, Bordeaux mixture, bromuconazole, bupirimate, carpropamid, captafol, captan, carbendazim, chlorfenazole, chloroneb, chloropicrin, chlorothalonil, chlozolinate, copper oxychloride, copper salts, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, cyprofuram, RH-7281, diclocymet, diclobutrazole, diclomezine, dicloran, difenoconazole, RP-407213, 10 dimethomorph, domoxystrobin, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fluazinam, fludioxonil, flumetover, flumorf/flumorlin, fentin hydroxide, fluoxastrobin, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl- aluminium, furalaxyl, furametapyr, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, 15 krsoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin, metrafenone, myclobutanil, neo-asozin, nicobifen, orysastrobin, oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propioconazole, proquinazid, prothioconazole, pyrifenoxy, pyraclostrobin, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetrconazole, thiabendazole, thifluzamide, thiophanate-methyl, 20 thiram, tiadinil, triadimefon, triadimenol, tricyclazole, trifloxystrobin, triticonazole, validamycin, vinclozin.

Biological agents: *Bacillus thuringiensis* ssp *aizawai*, *kurstaki*, *Bacillus thuringiensis* delta endotoxin, baculovirus, entomopathogenic bacteria, virus and fungi.

Bactericides: chlortetracycline, oxytetracycline, streptomycin.

25 Other biological agents: enrofloxacin, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, omeprazole, tiamulin, benazepril, pyriprole, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, carprofen, metaflumizone, praziquarantel, triclobandazole.

When used in combination with other active ingredients, the compounds of the invention are 30 preferably used in combination with imidacloprid, enrofloxacin, praziquantel, pyrantel embonate, febantel, penethamate, moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, fipronil, ivermectin, omeprazole, tiamulin, benazepril, milbemycin, cyromazine, thiamethoxam, pyriprole, deltamethrin, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen, metaflumizone, moxidectin, methoprene (including S-methoprene), clorsulon, pyrantel, 35 amitraz, triclobandazole, avermectin, abamectin, emamectin, eprinomectin, doramectin, selamectin, nemadectin, albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, parbendazole, tetramisole, levamisole, pyrantel pamoate, oxantel, morantel, triclobandazole, epsiprantel, fipronil, lufenuron, ecdysone or tebufenozide; more preferably, enrofloxacin, praziquantel, pyrantel embonate, febantel, penethamate, moloxicam, cefalexin, 40 kanamycin, pimobendan, clenbuterol, omeprazole, tiamulin, benazepril, pyriprole, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen, moxidectin, clorsulon,

pyrantel, eprinomectin, doramectin, selamectin, nemadectin, albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxbendazole, parbendazole, tetramisole, levamisole, pyrantel pamoate, oxantel, morantel, triclabendazole, epsiprantel, lufenuron or ecdysone; even more preferably, enrofloxacin, praziquantel, pyrantel embonate, febantel, penethamate, 5 moloxicam, cefalexin, kanamycin, pimobendan, clenbuterol, omeprazole, tiamulin, benazepril, pyriprole, cefquinome, florfenicol, buserelin, cefovecin, tulathromycin, ceftiour, selamectin, carprofen, moxidectin, clorsulon or pyrantel.

Of particular note is a combination where the additional active ingredient has a different site of action from the compound of formula I. In certain instances, a combination with at least one other 10 parasitic invertebrate pest control active ingredient having a similar spectrum of control but a different site of action will be particularly advantageous for resistance management. Thus, a combination product of the invention may comprise a pesticidally effective amount of a compound of formula I and pesticidally effective amount of at least one additional parasitic invertebrate pest control active ingredient having a similar spectrum of control but a different site of action.

15 One skilled in the art recognizes that because in the environment and under physiological conditions salts of chemical compounds are in equilibrium with their corresponding non salt forms, salts share the biological utility of the non salt forms.

Thus a wide variety of salts of compounds of the invention (and active ingredients used in combination with the active ingredients of the invention) may be useful for control of invertebrate 20 pests and animal parasites. Salts include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The compounds of the invention also include N-oxides. Accordingly, the invention comprises combinations of compounds of the invention including N-oxides and salts thereof and an additional active ingredient including N-oxides 25 and salts thereof.

The compositions for use in animal health may also contain formulation auxiliaries and additives, known to those skilled in the art as formulation aids (some of which may be considered to also function as solid diluents, liquid diluents or surfactants). Such formulation auxiliaries and additives may control: pH (buffers), foaming during processing (antifoams such 30 polyorganosiloxanes), sedimentation of active ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions), wash-off (film formers or stickers), evaporation (evaporation retardants), and other formulation attributes. Film formers include, for example, polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl 35 alcohol copolymers and waxes. Examples of formulation auxiliaries and additives include those listed in McCutcheon's Volume 2: Functional Materials, annual International and North American editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; and PCT Publication WO 03/024222.

The compounds of the invention can be applied without other adjuvants, but most often 40 application will be of a formulation comprising one or more active ingredients with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end

use. One method of application involves spraying a water dispersion or refined oil solution of the combination products. Compositions with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy. Such sprays can be applied from spray containers such as a can, a bottle or other
5 container, either by means of a pump or by releasing it from a pressurized container, e.g., a pressurized aerosol spray can. Such spray compositions can take various forms, for example, sprays, mists, foams, fumes or fog. Such spray compositions thus can further comprise propellants, foaming agents, etc. as the case may be. Of note is a spray composition comprising a pesticidally effective amount of a compound of the invention and a carrier. One embodiment of such a spray
10 composition comprises a pesticidally effective amount of a compound of the invention and a propellant. Representative propellants include, but are not limited to, methane, ethane, propane, butane, isobutane, butene, pentane, isopentane, neopentane, pentene, hydrofluorocarbons, chlorofluorocarbons, dimethyl ether, and mixtures of the foregoing. Of note is a spray composition (and a method utilizing such a spray composition dispensed from a spray container) used to control
15 at least one parasitic invertebrate pest selected from the group consisting of mosquitoes, black flies, stable flies, deer flies, horse flies, wasps, yellow jackets, hornets, ticks, spiders, ants, gnats, and the like, including individually or in combinations.

The activity of compositions comprising compounds according to the invention can be broadened considerably, and adapted to prevailing circumstances, by including other active substances. The
20 active substances can be of chemical or biological in type, and in the case of biological could be further modified from the biological species derived in nature. Active substances include substances that control, repel or attract pests that damage or harm useful plants in general, but also substances that improve the growth of a useful plant, such as plant growth regulators, and substances that improve the performance of the active substance, such as synergists. Examples are insecticides,
25 acaricides, nematocides, molluscicides, algicides, virucides, rodenticide, bactericides, fungicides, chemosterilants, anthelmintics. Examples of a biological active substance include baculovirus, plant extract, and bacteria.

The mixtures of the compounds of formula I with other active substances may also have further surprising advantages which can also be described, in a wider sense, as synergistic activity.
30 For example, better tolerance by plants, reduced phytotoxicity, insects can be controlled in their different development stages, or better behaviour relating to production, for example grinding or mixing, storage or use.

Individual active substances can occur in more than one group or class, and at more than one place within a group or class: information about the active substances, their spectrum, sources
35 and classifications can be found from Compendium of Pesticide Common Names (see <http://www.alanwood.net/pesticides/index.html>) or from the Pesticide Manual created by the British Crop Production Council (see <http://bcpcdata.com/pesticide-manual.html>).

Preferred mixtures are indicated below where a compound of formula I according to the invention is indicated as "I".

40 Compositions comprising an adjuvant include I + compounds selected from the group of substances consisting of petroleum oils;

Compositions comprising an acaricide include I + 1,1-bis(4-chlorophenyl)-2-ethoxyethanol, I + 2,4-dichlorophenyl benzenesulfonate, I + 2-fluoro-*N*-methyl-*N*-1-naphthylacetamide, I + 4-chlorophenyl phenyl sulfone, I + abamectin, I + acequinocyl, I + acetoprole, I + acrinathrin, I + aldicarb, I + aldoxycarb, I + alpha-cypermethrin, I + amidithion, I + amidoflumet, I + amidothioate, I + amiton, I + amiton hydrogen oxalate, I + amitraz, I + aramite, I + arsenous oxide, I + AVI 382, I + AZ 60541, I + azinphos-ethyl, I + azinphos-methyl, I + azobenzene, I + azocyclotin, I + azothoate, I + benomyl, I + benoxafos, I + benzoximate, I + benzyl benzoate, I + bifenazate, I + bifenthrin, I + binapacryl, I + brofenvalerate, I + bromocyclen, I + bromophos, I + bromophos-ethyl, I + bromopropylate, I + buprofezin, I + butocarboxim, I + butoxycarboxim, I + butylpyridaben, I + calcium polysulfide, I + camphechlor, I + carbanolate, I + carbaryl, I + carbofuran, I + carbophenothion, I + CGA 50'439, I + chinomethionat, I + chlorbenside, I + chlordimeform, I + chlordimeform hydrochloride, I + chlorfenapyr, I + chlorfenethol, I + chlorfenson, I + chlorfensulfide, I + chlorfenvinphos, I + chlorbenzilate, I + chloromebuform, I + chloromethiuron, I + chloropropylate, I + chlorpyrifos, I + chlorpyrifos-methyl, I + chlorthiophos, I + cinerin I, I + cinerin II, I + cinerins, I + clofentezine, I + closantel, I + coumaphos, I + crotamiton, I + crotoxyphos, I + cufraneb, I + cyanthoate, I + cyflumetofen, I + cyhalothrin, I + cyhexatin, I + cypermethrin, I + DCPM, I + DDT, I + demephion, I + demephion-O, I + demephion-S, I + demeton, I + demeton-methyl, I + demeton-O, I + demeton-O-methyl, I + demeton-S, I + demeton-S-methyl, I + demeton-S-methylsulfon, I + diafenthiuron, I + dialifos, I + diazinon, I + dichlofluanid, I + dichlorvos, I + dicliphos, I + dicofol, I + dicrotophos, I + dienochlor, I + dimefox, I + dimethoate, I + dinactin, I + dinex, I + dinex-diclexine, I + dinobuton, I + dinocap, I + dinocap-4, I + dinocap-6, I + dinocton, I + dinopenton, I + dinosulfon, I + dinoterbon, I + dioxathion, I + diphenyl sulfone, I + disulfiram, I + disulfoton, I + DNOC, I + dofenapyn, I + doramectin, I + endosulfan, I + endothion, I + EPN, I + eprinomectin, I + ethion, I + ethoate-methyl, I + etoxazole, I + etrimfos, I + fenazaflor, I + fenazaquin, I + fenbutatin oxide, I + fenothiocarb, I + fenpropathrin, I + fenpyrad, I + fenpyroximate, I + fenson, I + fentrifanil, I + fenvalerate, I + fipronil, I + fluacrypyrim, I + fluazuron, I + flubenzimine, I + flucyclohexuron, I + flucythrinate, I + fluenetil, I + flufenoxuron, I + flumethrin, I + fluorbenside, I + fluvalinate, I + FMC 1137, I + formetanate, I + formetanate hydrochloride, I + formothion, I + formparanate, I + gamma-HCH, I + glyodin, I + halfenprox, I + heptenophos, I + hexadecyl cyclopropanecarboxylate, I + hexythiazox, I + iodomethane, I + isocarbophos, I + isopropyl O-(methoxyaminothiophosphoryl)salicylate, I + ivermectin, I + jasmolin I, I + jasmolin II, I + jodfenphos, I + lindane, I + lufenuron, I + malathion, I + malonoben, I + mecarbarn, I + mephosfolan, I + mesulfen, I + methacrifos, I + methamidophos, I + methidathion, I + methiocarb, I + methomyl, I + methyl bromide, I + metolcarb, I + mevinphos, I + mexacarbate, I + milbemectin, I + milbemycin oxime, I + mipafox, I + monocrotophos, I + morphothion, I + moxidectin, I + naled, I + NC-184, I + NC-512, I + nifluridide, I + nikkomycins, I + nitrilacarb, I + nitrilacarb 1:1 zinc chloride complex, I + NNI-0101, I + NNI-0250, I + omethoate, I + oxamyl, I + oxydeprofos, I + oxydisulfoton, I + pp'-DDT, I + parathion, I + permethrin, I + petroleum oils, I + phenkapton, I + phenthoate, I + phorate, I + phosalone, I + phosfolan, I + phosmet, I + phosphamidon, I + phoxim, I + pirimiphos-methyl, I + polychloroterpenes, I + polynactins, I + proclonol, I + profenofos, I + promacyl, I + propargite, I + propetamphos, I + propoxur, I + prothidathion, I + prothoate, I + pyrethrin I, I + pyrethrin II, I + pyrethrins, I + pyridaben, I

+ pyridaphenthion, I + pyrimidifen, I + pyrimitate, I + quinalphos, I + quintiofos, I + R-1492, I + RA-17, I + rotenone, I + schradan, I + sebufos, I + selamectin, I + SI-0009, I + sophamide, I + spirodiclofen, I + spiromesifen, I + SSI-121, I + sulfiram, I + sulfluramid, I + sulfotep, I + sulfur, I + SZI-121, I + tau-fluvalinate, I + tebufenpyrad, I + TEPP, I + terbam, I + tetrachlorvinphos, I + tetradifon, I + tetranactin, I + tetrasul, I + thiafenox, I + thiocarboxime, I + thiofanox, I + thiometon, I + thioquinox, I + thuringiensin, I + triamiphos, I + triarathene, I + triazophos, I + triazuron, I + trichlorfon, I + trifenofos, I + trinactin, I + vamidothion, I + vaniliprole and I + YI-5302;

Compositions comprising an anthelmintic include I + abamectin, I + crufomate, I + doramectin, I + emamectin, I + emamectin benzoate, I + eprinomectin, I + ivermectin, I + milbemycin oxime, I + moxidectin, I + piperazine, I + selamectin, I + spinosad and I + thiophanate;

Compositions comprising an avicide include I + chloralose, I + endrin, I + fenthion, I + pyridin-4-amine and I + strychnine;

Compositions comprising a biological control agent include I + *Adoxophyes orana* GV, I + *Agrobacterium radiobacter*, I + *Amblyseius* spp., I + *Anagrapha falcifera* NPV, I + *Anagrus atomus*, I + *Aphelinus abdominalis*, I + *Aphidius colemani*, I + *Aphidoletes aphidimyza*, I + *Autographa californica* NPV, I + *Bacillus firmus*, I + *Bacillus sphaericus* Neide, I + *Bacillus thuringiensis* Berliner, I + *Bacillus thuringiensis* subsp. *aizawai*, I + *Bacillus thuringiensis* subsp. *israelensis*, I + *Bacillus thuringiensis* subsp. *japonensis*, I + *Bacillus thuringiensis* subsp. *kurstaki*, I + *Bacillus thuringiensis* subsp. *tenebrionis*, I + *Beauveria bassiana*, I + *Beauveria brongniartii*, I + *Chrysoperla carnea*, I + *Cryptolaemus montrouzieri*, I + *Cydia pomonella* GV, I + *Dacnusa sibirica*, I + *Diglyphus isaea*, I + *Encarsia formosa*, I + *Eretmocerus eremicus*, I + *Helicoverpa zea* NPV, I + *Heterorhabditis bacteriophora* and *H. megidis*, I + *Hippodamia convergens*, I + *Leptomastix dactylopii*, I + *Macrolophus caliginosus*, I + *Mamestra brassicae* NPV, I + *Metaphycus helvolus*, I + *Metarhizium anisopliae* var. *acridum*, I + *Metarhizium anisopliae* var. *anisopliae*, I + *Neodiprion sertifer* NPV and *N. lecontei* NPV, I + *Orius* spp., I + *Paecilomyces fumosoroseus*, I + *Phytoseiulus persimilis*, I + *Spodoptera exigua* multicapsid nuclear polyhedrosis virus, I + *Steinernema bibionis*, I + *Steinernema carpocapsae*, I + *Steinernema feltiae*, I + *Steinernema glaseri*, I + *Steinernema riobrave*, I + *Steinernema riobravis*, I + *Steinernema scapterisci*, I + *Steinernema* spp., I + *Trichogramma* spp., I + *Typhlodromus occidentalis* and I + *Verticillium lecanii*;

Compositions comprising a soil sterilant include I + iodomethane and methyl bromide;

Compositions comprising a chemosterilant include I + apholate, I + bisazir, I + busulfan, I + diflubenzuron, I + dimatif, I + hemel, I + hempa, I + metepa, I + methiotepa, I + methyl apholate, I + morzid, I + penfluron, I + tepa, I + thiohempa, I + thiotepa, I + tretamine and I + uredepa;

Compositions comprising an insect pheromone include I + (*E*)-dec-5-en-1-yl acetate with (*E*)-dec-5-en-1-ol, I + (*E*)-tridec-4-en-1-yl acetate, I + (*E*)-6-methylhept-2-en-4-ol, I + (*E,Z*)-tetradeca-4,10-dien-1-yl acetate, I + (*Z*)-dodec-7-en-1-yl acetate, I + (*Z*)-hexadec-11-enal, I + (*Z*)-hexadec-11-en-1-yl acetate, I + (*Z*)-hexadec-13-en-11-yn-1-yl acetate, I + (*Z*)-icos-13-en-10-one, I + (*Z*)-tetradec-7-en-1-yl acetate, I + (*Z*)-tetradec-9-en-1-yl acetate, I + (*Z*)-tetradec-9-en-1-yl acetate, I + (*7E,9Z*)-dodeca-7,9-dien-1-yl acetate, I + (*9Z,11E*)-tetradeca-9,11-dien-1-yl acetate, I + (*9Z,12E*)-tetradeca-9,12-dien-1-yl acetate, I + 14-methyloctadec-1-ene, I + 4-methylnonan-5-ol with 4-methylnonan-5-one, I + alpha-multistriatin, I + brevicomin, I + codlure, I + codlemone, I + cuelure, I + disparlure, I + dodec-8-en-1-

yl acetate, I + dodec-9-en-1-yl acetate, I + dodeca-8, I + 10-dien-1-yl acetate, I + dominicalure, I + ethyl 4-methyloctanoate, I + eugenol, I + frontaline, I + gossypure, I + grandlure, I + grandlure I, I + grandlure II, I + grandlure III, I + grandlure IV, I + hexalure, I + ipsdienol, I + ipsenol, I + japonilure, I + lineatin, I + litlure, I + looplure, I + medlure, I + megatomoic acid, I + methyl eugenol, I + muscalure, I + octadeca-2,13-dien-1-yl acetate, I + octadeca-3,13-dien-1-yl acetate, I + orfralure, I + oryctalure, I + ostramone, I + siglure, I + sordidin, I + sulcatol, I + tetradec-11-en-1-yl acetate, I + trimedlure, I + trimedlure A, I + trimedlure B₁, I + trimedlure B₂, I + trimedlure C and I + trunc-call;

Compositions comprising an insect repellent include I + 2-(octylthio)ethanol, I + butopyronoxyl, I + butoxy(polypropylene glycol), I + dibutyl adipate, I + dibutyl phthalate, I + dibutyl succinate, I + diethyltoluamide, I + dimethyl carbate, I + dimethyl phthalate, I + ethyl hexanediol, I + hexamide, I + methoquin-butyl, I + methyleneodecanamide, I + oxamate and I + picaridin;

Compositions comprising an insecticide include I + 1-dichloro-1-nitroethane, I + 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane, I +, I + 1,2-dichloropropane, I + 1,2-dichloropropane with 1,3-dichloropropene, I + 1-bromo-2-chloroethane, I + 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate, I + 2,2-dichlorovinyl 2-ethylsulfinyethyl methyl phosphate, I + 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate, I + 2-(2-butoxyethoxy)ethyl thiocyanate, I + 2-(4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate, I + 2-(4-chloro-3,5-xilyloxy)ethanol, I + 2-chlorovinyl diethyl phosphate, I + 2-imidazolidone, I + 2-isovalerylindan-1,3-dione, I + 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate, I + 2-thiocyanatoethyl laurate, I + 3-bromo-1-chloroprop-1-ene, I + 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate, I + 4-methyl(prop-2-ynyl)amino-3,5-xilyl methylcarbamate, I + 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate, I + abamectin, I + acephate, I + acetamidiprid, I + acethion, I + acetoprole, I + acrinathrin, I + acrylonitrile, I + alanycarb, I + aldicarb, I + aldoxycarb, I + aldrin, I + allethrin, I + allosamidin, I + allyxycarb, I + alpha-cypermethrin, I + alpha-ecdysone, I + aluminium phosphide, I + amidithion, I + amidothioate, I + aminocarb, I + amiton, I + amiton hydrogen oxalate, I + amitraz, I + anabasine, I + athidathion, I + AVI 382, I + AZ 60541, I + azadirachtin, I + azamethiphos, I + azinphos-ethyl, I + azinphos-methyl, I + azothoate, I + *Bacillus thuringiensis* delta endotoxins, I + barium hexafluorosilicate, I + barium polysulfide, I + barthrin, I + Bayer 22/190, I + Bayer 22408, I + bendiocarb, I + benfuracarb, I + bensultap, I + beta-cyfluthrin, I + beta-cypermethrin, I + bifenthrin, I + bioallethrin, I + bioallethrin S-cyclopentenyl isomer, I + bioethanomethrin, I + biopermethrin, I + bioresmethrin, I + bis(2-chloroethyl) ether, I + bistrifluron, I + borax, I + brofenvalerate, I + bromfenvinfos, I + bromocyclen, I + bromo-DDT, I + bromophos, I + bromophos-ethyl, I + bufencarb, I + buprofezin, I + butacarb, I + butathiofos, I + butocarboxim, I + butonate, I + butoxycarboxim, I + butylpyridaben, I + cadusafos, I + calcium arsenate, I + calcium cyanide, I + calcium polysulfide, I + camphechlor, I + carbanolate, I + carbaryl, I + carbofuran, I + carbon disulfide, I + carbon tetrachloride, I + carbophenothion, I + carbosulfan, I + cartap, I + cartap hydrochloride, I + cevadine, I + chlorbicyclen, I + chlordane, I + chlordecone, I + chlordimeform, I + chlordimeform hydrochloride, I + chlorethoxyfos, I + chlorfenapyr, I + chlorfenvinphos, I + chlorfluazuron, I + chlormephos, I + chloroform, I + chloropicrin, I + chlorphoxim, I + chlorprazophos, I + chlorpyrifos, I + chlorpyrifos-methyl, I + chlorthiophos, I + chromafenozide, I + cinerin I, I + cinerin II, I + cinerins, I + cis-resmethrin, I + cismethrin, I + clocythrin, I + cloethocarb, I + closantel, I + clothianidin, I + copper acetoarsenite, I + copper arsenate, I + copper oleate, I + coumaphos, I +

coumithoate, I + crotamiton, I + crotoxyphos, I + crufomate, I + cryolite, I + CS 708, I +
 cyanofenphos, I + cyanophos, I + cyanthoate, I + cyclethrin, I + cycloprothrin, I + cyfluthrin, I +
 cyhalothrin, I + cypermethrin, I + cyphenothrin, I + cyromazine, I + cythioate, I + *d*-limonene, I + *d*-
 tetramethrin, I + DAEP, I + dazomet, I + DDT, I + decarbofuran, I + deltamethrin, I + demephion, I +
 5 demephion-O, I + demephion-S, I + demeton, I + demeton-methyl, I + demeton-O, I + demeton-O-
 methyl, I + demeton-S, I + demeton-S-methyl, I + demeton-S-methylsulphon, I + diafenthuron, I +
 dialifos, I + diamidafos, I + diazinon, I + dicapthon, I + dichlofenthion, I + dichlorvos, I + dicliphos, I +
 dicresyl, I + dicrotophos, I + dicyclanil, I + dieldrin, I + diethyl 5-methylpyrazol-3-yl phosphate, I +
 diflubenzuron, I + dilor, I + dimefluthrin, I + dimefox, I + dimetan, I + dimethoate, I + dimethrin, I +
 10 dimethylvinphos, I + dimetilan, I + dinex, I + dinex-diclexine, I + dinoprop, I + dinosam, I + dinoseb, I
 + dinotefuran, I + diofenolan, I + dioxabenzofos, I + dioxacarb, I + dioxathion, I + disulfoton, I +
 dithicrofos, I + DNOC, I + doramectin, I + DSP, I + ecdysterone, I + EI 1642, I + emamectin, I +
 emamectin benzoate, I + EMPC, I + empenthrin, I + endosulfan, I + endothion, I + endrin, I + EPBP, I
 + EPN, I + epofenonane, I + eprinomectin, I + esfenvaterate, I + etaphos, I + ethiofencarb, I + ethion,
 15 I + ethiprole, I + ethoate-methyl, I + ethoprophos, I + ethyl formate, I + ethyl-DDD, I + ethylene
 dibromide, I + ethylene dichloride, I + ethylene oxide, I + etofenprox, I + etrimfos, I + EXD, I +
 famphur, I + fenamiphos, I + fenazaflor, I + fenchlorphos, I + fenethacarb, I + fenfluthrin, I +
 fenitrothion, I + fenobucarb, I + fenoxacrim, I + fenoxycarb, I + fenpirithrin, I + fenpropathrin, I +
 fenpyrad, I + fensulfothion, I + fenthion, I + fenthion-ethyl, I + fenvalerate, I + fipronil, I + flonicamid, I
 20 + flubendiamide, I + flucofuron, I + flucycloxiuron, I + flucythrinate, I + fluenetil, I + flufenerim, I +
 flufenoxuron, I + flufenprox, I + flumethrin, I + fluvalinate, I + FMC 1137, I + fonofos, I + formetanate, I
 + formetanate hydrochloride, I + formothion, I + formparanate, I + fosmethilan, I + fospirate, I +
 fosthiazate, I + fosthietan, I + furathiocarb, I + furethrin, I + gamma-cyhalothrin, I + gamma-HCH, I +
 guazatine, I + guazatine acetates, I + GY-81, I + halfenprox, I + halofenozide, I + HCH, I + HEOD, I +
 25 heptachlor, I + heptenophos, I + heterophos, I + hexaflumuron, I + HDDN, I + hydramethylnon, I +
 hydrogen cyanide, I + hydroprene, I + hyquincarb, I + imidacloprid, I + imiprothrin, I + indoxacarb, I +
 iodomethane, I + IPSP, I + isazofos, I + isobenzan, I + isocarbophos, I + isodrin, I + isofenphos, I +
 isolane, I + isoprocab, I + isopropyl O-(methoxyaminothiophosphoryl)salicylate, I + isoprothiolane, I
 + isothioate, I + isoxathion, I + ivermectin, I + jasmolin I, I + jasmolin II, I + jodfenphos, I + juvenile
 30 hormone I, I + juvenile hormone II, I + juvenile hormone III, I + kelevan, I + kinoprene, I + lambda-
 cyhalothrin, I + lead arsenate, I + lepimectin, I + leptophos, I + lindane, I + lirimfos, I + lufenuron, I +
 lythidathion, I + *m*-cumenyl methylcarbamate, I + magnesium phosphide, I + malathion, I +
 malonoben, I + mazidox, I + mecarbam, I + mecarphon, I + menazon, I + mephosfolan, I +
 mercurous chloride, I + mesulfenfos, I + metaflumizone, I + metam, I + metam-potassium, I + metam-
 35 sodium, I + methacrifos, I + methamidophos, I + methanesulfonyl fluoride, I + methidathion, I +
 methiocarb, I + methocrotophos, I + methomyl, I + methoprene, I + methoquin-butyl, I + methothrin, I
 + methoxychlor, I + methoxyfenozone, I + methyl bromide, I + methyl isothiocyanate, I +
 methylchloroform, I + methylene chloride, I + metofluthrin, I + metolcarb, I + metoxadiazone, I +
 mevinphos, I + mexacarbate, I + milbemectin, I + milbemycin oxime, I + mipafox, I + mirex, I +
 40 monocrotophos, I + morphothion, I + moxidectin, I + naftalofos, I + naled, I + naphthalene, I + NC-
 170, I + NC-184, I + nicotine, I + nicotine sulfate, I + nifluridide, I + nitenpyram, I + nithiazine, I +

nitrilacarb, I + nitrilacarb 1:1 zinc chloride complex, I + NNI-0101, I + NNI-0250, I + nornicotine, I +
 novaluron, I + noviflumuron, I + O-5-dichloro-4-iodophenyl O-ethyl ethylphosphonothioate, I + O,O-
 diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate, I + O,O-diethyl O-6-methyl-2-
 propylpyrimidin-4-yl phosphorothioate, I + O,O,O',O'-tetrapropyl dithiopyrophosphate, I + oleic acid, I
 5 + omethoate, I + oxamyl, I + oxydemeton-methyl, I + oxydeprofos, I + oxydisulfoton, I + pp'-DDT, I +
 para-dichlorobenzene, I + parathion, I + parathion-methyl, I + penfluron, I + pentachlorophenol, I +
 pentachlorophenyl laurate, I + permethrin, I + petroleum oils, I + PH 60-38, I + phenkapton, I +
 phenothrin, I + phenthoate, I + phorate+ TX, I + phosalone, I + phosfolan, I + phosmet, I +
 phosnichlor, I + phosphamidon, I + phosphine, I + phoxim, I + phoxim-methyl, I + pirimetaphos, I +
 10 pirimicarb, I + pirimiphos-ethyl, I + pirimiphos-methyl, I + polychlorodicyclopentadiene isomers, I +
 polychloroterpenes, I + potassium arsenite, I + potassium thiocyanate, I + prallethrin, I + precocene I,
 I + precocene II, I + precocene III, I + primidophos, I + profenofos, I + profluthrin, I + promacyl, I +
 promecarb, I + propaphos, I + propetamphos, I + propoxur, I + prothidathion, I + prothiofos, I +
 prothoate, I + protrifenbute, I + pymetrozine, I + pyraclofos, I + pyrazophos, I + pyresmethrin, I +
 15 pyrethrin I, I + pyrethrin II, I + pyrethrins, I + pyridaben, I + pyridalyl, I + pyridaphenthion, I +
 pyrimidifen, I + pyrimitate, I + pyriproxyfen, I + quassia, I + quinalphos, I + quinalphos-methyl, I +
 quinothion, I + quintiofos, I + R-1492, I + rafoxanide, I + resmethrin, I + rotenone, I + RU 15525, I +
 RU 25475, I + ryania, I + ryanodine, I + sabadilla, I + schradan, I + sebufos, I + selamectin, I + SI-
 0009, I + SI-0205, I + SI-0404, I + SI-0405, I + silafluofen, I + SN 72129, I + sodium arsenite, I +
 20 sodium cyanide, I + sodium fluoride, I + sodium hexafluorosilicate, I + sodium pentachlorophenoxide,
 I + sodium selenate, I + sodium thiocyanate, I + sophamide, I + spinosad, I + spiromesifen, I +
 spirotetmat, I + sulcofuron, I + sulcofuron-sodium, I + sulfuramid, I + sulfotep, I + sulfuryl fluoride, I +
 sulprofos, I + tar oils, I + tau-fluvalinate, I + tazimcarb, I + TDE, I + tebufenozide, I + tebufenpyrad, I +
 tebupirimfos, I + teflubenzuron, I + tefluthrin, I + temephos, I + TEPP, I + terallethrin, I + terbam, I +
 25 terbufos, I + tetrachloroethane, I + tetrachlorvinphos, I + tetramethrin, I + theta-cypermethrin, I +
 thiacloprid, I + thiafenox, I + thiamethoxam, I + thicrofos, I + thiocarboxime, I + thiocyclam, I +
 thiocyclam hydrogen oxalate, I + thiodicarb, I + thiofanox, I + thiometon, I + thionazin, I + thiosultap, I
 + thiosultap-sodium, I + thuringiensin, I + tolfenpyrad, I + tralomethrin, I + transfluthrin, I +
 transpermethrin, I + triamiphos, I + triazamate, I + triazophos, I + triazuron, I + trichlorfon, I +
 30 trichlormetaphos-3, I + trichloronat, I + trifenofos, I + triflumuron, I + trimethacarb, I + triprene, I +
 vamidothion, I + vaniliprole, I + veratridine, I + veratrine, I + XMC, I + xylylcarb, I + YI-5302, I + zeta-
 cypermethrin, I + zetamethrin, I + zinc phosphide, I + zolaprofos and ZXI 8901, I + cyantraniliprole, I
 + chlorantraniliprole, I + cyenopyrafen, I + cyflumetofen, I + pyrifluquinazon, I + spinetoram, I +
 spirotetmat, I + sulfoxaflor, I + flufiprole, I + meperfluthrin, I + tetramethylfluthrin, I +
 35 triflumezopyrim;

Compositions comprising a molluscicide include I + bis(tributyltin) oxide, I + bromoacetamide,
 I + calcium arsenate, I + cloethocarb, I + copper acetoarsenite, I + copper sulfate, I + fentin, I + ferric
 phosphate, I + metaldehyde, I + methiocarb, I + niclosamide, I + niclosamide-olamine, I +
 pentachlorophenol, I + sodium pentachlorophenoxide, I + tazimcarb, I + thiodicarb, I + tributyltin
 40 oxide, I + trifenmorph, I + trimethacarb, I + triphenyltin acetate and triphenyltin hydroxide, I +
 pyriprole;

Compositions comprising a nematicide include I+ AKD-3088, I + 1,2-dibromo-3-chloropropane, I + 1,2-dichloropropane, I + 1,2-dichloropropane with 1,3-dichloropropene, I + 1,3-dichloropropene, I + 3,4-dichlorotetrahydrothiophene 1,1-dioxide, I + 3-(4-chlorophenyl)-5-methylrhodanine, I + 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid, I + 6-

5 isopentenylaminopurine, I + abamectin, I + acetoprole, I + alanycarb, I + aldicarb, I + aldoxycarb, I + AZ 60541, I + benclothiaz, I + benomyl, I + butylpyridaben, I + cadusafos, I + carbofuran, I + carbon disulfide, I + carbosulfan, I + chloropicrin, I + chlorpyrifos, I + cloethocarb, I + cytokinins, I + dazomet, I + DBCP, I + DCIP, I + diamidafos, I + dichlofenthion, I + dicliphos, I + dimethoate, I + doramectin, I + emamectin, I + emamectin benzoate, I + eprinomectin, I + ethoprophos, I + ethylene dibromide, I +

10 fenamiphos, I + fenpyrad, I + fensulfothion, I + fosthiazate, I + fosthietan, I + furfural, I + GY-81, I + heterophos, I + iodomethane, I + isamidofos, I + isazofos, I + ivermectin, I + kinetin, I + mecarphon, I + metam, I + metam-potassium, I + metam-sodium, I + methyl bromide, I + methyl isothiocyanate, I + milbemycin oxime, I + moxidectin, I + *Myrothecium verrucaria* composition, I + NC-184, I + oxamyl, I + phorate, I + phosphamidon, I + phosphocarb, I + sebufos, I + selamectin, I + spinosad, I + terbam, I +

15 + terbufos, I + tetrachlorothiophene, I + thiafenox, I + thionazin, I + triazophos, I + triazuron, I + xylenols, I + YI-5302 and zeatin, I + fluensulfone;

Compositions comprising a synergist include I + 2-(2-butoxyethoxy)ethyl piperonylate, I + 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone, I + farnesol with nerolidol, I + MB-599, I + MGK 264, I + piperonyl butoxide, I + piprotal, I + propyl isomer, I + S421, I + sesamex, I + sesasmolin and I +

20 sulfoxide;

Compositions comprising an animal repellent include I+ anthraquinone, I + chloralose, I + copper naphthenate, I + copper oxychloride, I + diazinon, I + dicyclopentadiene, I + guazatine, I + guazatine acetates, I + methiocarb, I + pyridin-4-amine, I + thiram, I + trimethacarb, I + zinc naphthenate and I + ziram;

25 Further compositions include I + Brofluthrinat, I + Cyclozaprid, I + Diflovidazine, I + Flometoquin, I + Fluhexafon, I + Guadipyr, I + Plutella xylostella Granulosis virus, I + Cydia pomonella Granulosis virus, I + Harpin, I + Imicyafos, I + Heliothis virescens Nucleopolyhedrovirus, I + Heliothis punctigera Nucleopolyhedrovirus, I + Helicoverpa armigera Nucleopolyhedrovirus, I + Helicoverpa zea Nucleopolyhedrovirus, I + Spodoptera frugiperda Nucleopolyhedrovirus, I + Plutella xylostella Nucleopolyhedrovirus, I + Pasteuria nishizawae, I + p-cymene, I + Pyflubumide, I + Pyrafluprole, I + pyrethrum, I + QRD 420, I + QRD 452, I + QRD 460, I + Terpenoid blends, I + Terpenoids, I + Tetraniliprole, and I + α -terpinene;

30

Composition also include mixtures of compound of formula I and an active substance referenced by a code, such as I + code AE 1887196 (BSC-BX60309), I + code NNI-0745 GR, I +

35 code IKI-3106, I + code JT-L001, I + code ZNQ-08056, I + code IPPA152201, I + code HNPC-A9908 (CAS: [660411-21-2]), I + code HNPC-A2005 (CAS: [860028-12-2]), I + code JS118, I + code ZJ0967, I + code ZJ2242, I + code JS7119 (CAS: [929545-74-4]), I + code SN-1172, I + code HNPC-A9835, I + code HNPC-A9955, I + code HNPC-A3061, I + code Chuanhua 89-1, I + code IPP-10, I + code ZJ3265, I + code JS9117, I + code SYP-9080, I + code ZJ3757, I + code ZJ4042, I + code

40 ZJ4014, I + code ITM-121, I + code DPX-RAB55 (DKI-2301), I + code Me5382, I + code NC-515, I +

code NA-89, I + code MIE-1209, I + code MCI-8007, I + code BCS-CL73507, I + code S-1871, I + code DPX-RDS63, and I + code AKD-1193.

The compounds of formula I according to the invention are preventively and/or curatively valuable active ingredients in the field of pest control, even at low rates of application, which have a favorable biocidal spectrum and are well tolerated by warm-blooded species, fish and plants. Compounds of formula I may act against all or only individual developmental stages of normally sensitive, but also resistant, animal pests, such as insects or representatives of the order Acarina. The insecticidal or acaricidal activity of the compounds can manifest itself directly, i. e. in destruction of the pests, which takes place either immediately or only after some time has elapsed, for example during ecdysis, or indirectly, for example in a reduced oviposition and/or hatching rate, a good activity corresponding to a destruction rate (mortality) of at least 50 to 60%.

Examples of the abovementioned animal pests are:

from the order *Acarina*, for example, *Acalitus spp.*, *Aculus spp.*, *Acaricalus spp.*, *Aceria spp.*, *Acarus siro*, *Amblyomma spp.*, *Argas spp.*, *Boophilus spp.*, *Brevipalpus spp.*, *Bryobia spp.*, *Calipitimerus spp.*, *Chorioptes spp.*, *Dermanyssus gallinae*, *Dermatophagoides spp.*, *Eotetranychus spp.*, *Eriophyes spp.*, *Hemitarsonemus spp.*, *Hyalomma spp.*, *Ixodes spp.*, *Olygonychus spp.*, *Ornithodoros spp.*, *Polyphagotarsonema latus*, *Panonychus spp.*, *Phyllocoptura oleivora*, *Phytonemus spp.*, *Polyphagotarsonemus spp.*, *Psoroptes spp.*, *Rhipicephalus spp.*, *Rhizoglyphus spp.*, *Sarcoptes spp.*, *Steneotarsonemus spp.*, *Tarsonemus spp.* and *Tetranychus spp.*;

from the order *Anoplura*, for example, *Haematopinus spp.*, *Linognathus spp.*, *Pediculus spp.*, *Pemphigus spp.* and *Phylloxera spp.*;

from the order *Coleoptera*, for example, *Agriotes spp.*, *Amphimallon majale*, *Anomala orientalis*, *Anthonomus spp.*, *Aphodius spp.*, *Astylus atromaculatus*, *Ataenius spp.*, *Atomaria linearis*, *Chaetocnema tibialis*, *Cerotoma spp.*, *Conoderus spp.*, *Cosmopolites spp.*, *Cotinis nitida*, *Curculio spp.*, *Cyclocephala spp.*, *Dermestes spp.*, *Diabrotica spp.*, *Diloboderus abderus*, *Epilachna spp.*, *Eremnus spp.*, *Heteronychus arator*, *Hypothenemus hampei*, *Lagria vilosa*, *Leptinotarsa decemlineata*, *Lissorhoptrus spp.*, *Liogenys spp.*, *Maecolaspis spp.*, *Maladera castanea*, *Megascelis spp.*, *Melighetes aeneus*, *Melolontha spp.*, *Myochrous armatus*, *Orycaephilus spp.*, *Otiorhynchus spp.*, *Phyllophaga spp.*, *Phlyctinus spp.*, *Popillia spp.*, *Psylliodes spp.*, *Rhyssomatus aubtilis*, *Rhizopertha spp.*, *Scarabeidae*, *Sitophilus spp.*, *Sitotroga spp.*, *Somaticus spp.*, *Sphenophorus spp.*, *Sternechus subsignatus*, *Tenebrio spp.*, *Tribolium spp.* and *Trogoderma spp.*;

from the order *Diptera*, for example, *Aedes spp.*, *Anopheles spp.*, *Antherigona soccata*, *Bactrocea oleae*, *Bibio hortulanus*, *Bradysia spp.*, *Calliphora erythrocephala*, *Ceratitis spp.*, *Chrysomyia spp.*, *Culex spp.*, *Cuterebra spp.*, *Dacus spp.*, *Delia spp.*, *Drosophila melanogaster*, *Fannia spp.*, *Gastrophilus spp.*, *Geomyza tripunctata*, *Glossina spp.*, *Hypoderma spp.*, *Hyppobosca spp.*, *Liriomyza spp.*, *Lucilia spp.*, *Melanagromyza spp.*, *Musca spp.*, *Oestrus spp.*, *Orseolia spp.*, *Oscinella frit*, *Pegomyia hyoscyami*, *Phorbia spp.*, *Rhagoletis spp.*, *Rivelia quadrifasciata*, *Scatella spp.*, *Sciara spp.*, *Stomoxys spp.*, *Tabanus spp.*, *Tannia spp.* and *Tipula spp.*;

from the order Hemiptera, for example, *Acanthocoris scabrator*, *Acrosternum* spp., *Adelphocoris lineolatus*, *Amblypelta nitida*, *Bathycœlia thalassina*, *Blissus* spp., *Cimex* spp., *Clavigralla tomentosicollis*, *Creontiades* spp., *Distantiella theobroma*, *Dichelops furcatus*, *Dysdercus* spp., *Edessa* spp., *Euchistus* spp., *Eurydema pulchrum*, *Eurygaster* spp., *Halyomorpha halys*,
 5 *Horcias nobilellus*, *Leptocorisa* spp., *Lygus* spp., *Margarodes* spp., *Murgantia histrionic*, *Neomegalotomus* spp., *Nesidiocoris tenuis*, *Nezara* spp., *Nysius simulans*, *Oebalus insularis*, *Piesma* spp., *Piezodorus* spp., *Rhodnius* spp., *Sahlbergella singularis*, *Scaptocoris castanea*, *Scotinophara* spp., *Thyanta* spp., *Triatoma* spp., and *Vatiga illudens*;

from the order homoptera, for example, *Acyrtosium pisum*, *Adalges* spp., *Agalliana*
 10 *ensigera*, *Agonoscena targionii*, *Aleurodicus* spp., *Aleurocanthus* spp., *Aleurolobus barodensis*, *Aleurothrix floccosus*, *Aleyrodes brassicae*, *Amarasca biguttula*, *Amritodus atkinsoni*, *Aonidiella* spp., *Aonidiella auranti*, *Aphididae*, *Aphis* spp., *Aspidiotus* spp., *Aulacorthum solani*, *Bactericera cockerelli*, *Bemisia* spp., *Brachycaudus* spp., *Brevicoryne brassicae*, *Cacopsylla* spp., *Cavariella aegopodii* Scop., *Ceroplaster* spp., *Chrysomphalus aonidium*, *Chrysomphalus dictyospermi*,
 15 *Cicadella* spp., *Cofana spectra*, *Cryptomyzus* spp., *Cicadulina* spp., *Coccus hesperidum*, *Dalbulus maidis*, *Dialeurodes* spp., *Diaphorina citri*, *Diuraphis noxia*, *Dysaphis* spp., *Empoasca* spp., *Eriosoma larigerum*, *Erythroneura* spp., *Gascardia* spp., *Glycaspis brimblecombei*, *Hyadaphis pseudobrassicae*, *Hyalopterus* spp., *Hyperomyzus pallidus*, *Idioscopus clypealis*, *Jacobiasca lybica*, *Laodelphax* spp., *Lecanium corni*, *Lepidosaphes* spp., *Lopaphis erysimi*, *Lyogenys maidis*,
 20 *Macrosiphum* spp., *Mahanarva* spp., *Metcalfa pruinosa*, *Metopolophium dirhodum*, *Myndus crudus*, *Myzus* spp., *Neotoxoptera* sp., *Nephotettix* spp., *Nilaparvata* spp., *Nippolachnus piri* Mats., *Odonaspis ruthae*, *Oregma lanigera* Zehnter, *Parabemisia myricae*, *Paratrioza cockerelli*, *Parlatoria* spp., *Pemphigus* spp., *Peregrinus maidis*, *Perkinsiella* spp., *Phorodon humuli*, *Phylloxera* spp., *Planococcus* spp., *Pseudaulacaspis* spp., *Pseudococcus* spp., *Pseudatomoscelis seriatus*, *Psylla* spp., *Pulvinaria aethiopica*, *Quadrastidiotus* spp., *Quesada gigas*, *Recilia dorsalis*, *Rhopalosiphum* spp., *Saissetia* spp., *Scaphoideus* spp., *Schizaphis* spp., *Sitobion* spp., *Sogatella furcifera*, *Spissistilus festinus*,
 25 *Tarophagus Proserpina*, *Toxoptera* spp., *Trialeurodes* spp., *Tridiscus sporoboli*, *Trionymus* spp., *Trioza erythrae*, *Unaspis citri*, *Zygina flammigera*, and *Zyginidia scutellaris*;

from the order Hymenoptera, for example, *Acromyrmex*, *Arge* spp., *Atta* spp., *Cephus* spp.,
 30 *Diprion* spp., *Diprionidae*, *Gilpinia polytoma*, *Hoplocampa* spp., *Lasius* spp., *Monomorium pharaonis*, *Neodiprion* spp., *Pogonomyrmex* spp., *Slenopsis invicta*, *Solenopsis* spp. and *Vespa* spp.;

from the order Isoptera, for example, *Coptotermes* spp., *Cornitermes cumulans*, *Incisitermes* spp., *Macrotermes* spp., *Mastotermes* spp., *Microtermes* spp., *Reticulitermes* spp.; *Solenopsis geminate*;

from the order Lepidoptera, for example, *Acleris* spp., *Adoxophyes* spp., *Aegeria* spp.,
 35 *Agrotis* spp., *Alabama argillaceae*, *Amylois* spp., *Anticarsia gemmatalis*, *Archips* spp., *Argyresthia* spp., *Argyrotaenia* spp., *Autographa* spp., *Bucculatrix thurberiella*, *Busseola fusca*, *Cadra cautella*, *Carposina nipponensis*, *Chilo* spp., *Choristoneura* spp., *Chrysoteuchia topiaria*, *Clysia ambiguella*, *Cnaphalocrocis* spp., *Cnephasia* spp., *Cochylys* spp., *Coleophora* spp., *Colias lesbia*, *Cosmophila flava*, *Crambus* spp., *Crocidolomia binotalis*, *Cryptophlebia leucotreta*, *Cydalima perspectalis*, *Cydia* spp., *Diaphania perspectalis*, *Diatraea* spp., *Diparopsis castanea*, *Earias* spp., *Eldana saccharina*,
 40 *Ephestia* spp., *Epinotia* spp., *Estigmene acrea*, *Etiella zinckinella*, *Eucosma* spp., *Eupoecilia*

- ambiguella*, *Euproctis* spp., *Euxoa* spp., *Feltia jaculiferia*, *Grapholita* spp., *Hedya nubiferana*, *Heliothis* spp., *Hellula undalis*, *Herpetogramma* spp., *Hyphantria cunea*, *Keiferia lycopersicella*, *Lasmopalpus lignosellus*, *Leucoptera scitella*, *Lithocollethis* spp., *Lobesia botrana*, *Loxostege bifidalis*, *Lymantria* spp., *Lyonetia* spp., *Malacosoma* spp., *Mamestra brassicae*, *Manduca sexta*,
- 5 *Mythimna* spp., *Noctua* spp., *Operophtera* spp., *Orniodes indica*, *Ostrinia nubilalis*, *Pammene* spp., *Pandemis* spp., *Panolis flammea*, *Papaipema nebris*, *Pectinophora gossypiella*, *Perileucoptera coffeella*, *Pseudaletia unipuncta*, *Phthorimaea operculella*, *Pieris rapae*, *Pieris* spp., *Plutella xylostella*, *Prays* spp., *Pseudoplusia* spp., *Rachiplusia nu*, *Richia albicosta*, *Scirpophaga* spp., *Sesamia* spp., *Sparganothis* spp., *Spodoptera* spp., *Sylepta derogate*, *Synanthedon* spp.,
- 10 *Thaumetopoea* spp., *Tortrix* spp., *Trichoplusia ni*, *Tuta absoluta*, and *Yponomeuta* spp.;
- from the order *Mallophaga*, for example, *Damalinea* spp. and *Trichodectes* spp.;
- from the order *Orthoptera*, for example, *Blatta* spp., *Blattella* spp., *Gryllotalpa* spp., *Leucophaea maderae*, *Locusta* spp., *Neocurtilla hexadactyla*, *Periplaneta* spp., *Scapteriscus* spp., and *Schistocerca* spp.;
- 15 from the order *Psocoptera*, for example, *Liposcelis* spp.;
- from the order *Siphonaptera*, for example, *Ceratophyllus* spp., *Ctenocephalides* spp. and *Xenopsylla cheopis*;
- from the order *Thysanoptera*, for example, *Calliothrips phaseoli*, *Frankliniella* spp., *Heliothrips* spp., *Hercinothrips* spp., *Parthenothrips* spp., *Scirtothrips aurantii*, *Sericothrips variabilis*,
- 20 *Taeniothrips* spp., *Thrips* spp.; and/or
- from the order *Thysanura*, for example, *Lepisma saccharina*.
- Examples of soil-inhabiting pests, which can damage a crop in the early stages of plant development, are:
- from the order *Lepidoptera*, for example, *Acleris* spp., *Aegeria* spp., *Agrotis* spp., *Alabama*
- 25 *argillaceae*, *Amylois* spp., *Autographa* spp., *Busseola fusca*, *Cadra cautella*, *Chilo* spp., *Crocidolomia binotalis*, *Diatraea* spp., *Diparopsis castanea*, *Elasmopalpus* spp., *Heliothis* spp., *Mamestra brassicae*, *Phthorimaea operculella*, *Plutella xylostella*, *Scirpophaga* spp., *Sesamia* spp., *Spodoptera* spp. and *Tortrix* spp.;
- from the order *Coleoptera*, for example, *Agriotes* spp., *Anthonomus* spp., *Atomaria linearis*,
- 30 *Chaetocnema tibialis*, *Conotrachelus* spp., *Cosmopolites* spp., *Curculio* spp., *Dermestes* spp., *Diabrotica* spp., *Dilopoderus* spp., *Epilachna* spp., *Eremnus* spp., *Heteronychus* spp., *Lissorhoptrus* spp., *Melolontha* spp., *Oryzaephilus* spp., *Otiorhynchus* spp., *Phlyctinus* spp., *Popillia* spp., *Psylliodes* spp., *Rhizopertha* spp., *Scarabeidae*, *Sitotroga* spp., *Somaticus* spp., *Tanymecus* spp., *Tenebrio* spp., *Tribolium* spp., *Trogoderma* spp. and *Zabrus* spp.;
- 35 from the order *Orthoptera*, for example, *Gryllotalpa* spp.;
- from the order *Isoptera*, for example, *Reticulitermes* spp.;
- from the order *Psocoptera*, for example, *Liposcelis* spp.;
- from the order *Anoplura*, for example, *Haematopinus* spp., *Linognathus* spp., *Pediculus* spp., *Pemphigus* spp. and *Phylloxera* spp.;
- 40 from the order *Homoptera*, for example, *Eriosoma larigerum*;

from the order Hymenoptera, for example, *Acromyrmex*, *Atta* spp., *Cephus* spp., *Lasius* spp., *Monomorium pharaonis*, *Neodiprion* spp., *Solenopsis* spp. and *Vespa* spp.;

from the order Diptera, for example, *Tipula* spp.;

crucifer flea beetles (*Phyllotreta* spp.), root maggots (*Delia* spp.), cabbage seedpod weevil

5 (*Ceutorhynchus* spp.) and aphids.

The compounds of formula (I) may be useful for the control of nematodes. Thus, in a further aspect, the invention also relates to a method of controlling damage to plant and parts thereof by plant parasitic nematodes (Endoparasitic-, Semiendoparasitic- and Ectoparasitic nematodes), especially plant parasitic nematodes such as root knot nematodes, *Meloidogyne hapla*, *Meloidogyne incognita*, *Meloidogyne javanica*, *Meloidogyne arenaria* and other *Meloidogyne* species; cyst-forming nematodes, *Globodera rostochiensis* and other *Globodera* species; *Heterodera avenae*, *Heterodera glycines*, *Heterodera schachtii*, *Heterodera trifolii*, and other *Heterodera* species; Seed gall nematodes, *Anguina* species; Stem and foliar nematodes, *Aphelenchoides* species; Sting nematodes, *Eelonolaimus longicaudatus* and other *Belonolaimus* species; Pine nematodes, *Bursaphelenchus xylophilus* and other *Bursaphelenchus* species; Ring nematodes, *Criconema* species, *Criconemella* species, *Criconemoides* species, *Mesocriconema* species; Stem and bulb nematodes, *Ditylenchus destructor*, *Ditylenchus dipsaci* and other *Ditylenchus* species; Awl nematodes, *Dolichodorus* species; Spiral nematodes, *Helicotylenchus multicinctus* and other *Helicotylenchus* species; Sheath and sheathoid nematodes, *Hemicycliophora* species and *Hemicriconemoides* species; *Hirshmanniella* species; Lance nematodes, *Hoploaimus* species; false rootknot nematodes, *Nacobbus* species; Needle nematodes, *Longidorus elongatus* and other *Longidorus* species; Pin nematodes, *Pratylenchus* species; Lesion nematodes, *Pratylenchus neglectus*, *Pratylenchus penetrans*, *Pratylenchus curvatus*, *Pratylenchus goodeyi* and other *Pratylenchus* species; Burrowing nematodes, *Radopholus similis* and other *Radopholus* species; *Reniform* nematodes, *Rotylenchus robustus*, *Rotylenchus reniformis* and other *Rotylenchus* species; *Scutellonema* species; Stubby root nematodes, *Trichodorus primitivus* and other *Trichodorus* species, *Paratrichodorus* species; Stunt nematodes, *Tylenchorhynchus claytoni*, *Tylenchorhynchus dubius* and other *Tylenchorhynchus* species; Citrus nematodes, *Tylenchulus* species; Dagger nematodes, *Xiphinema* species; and other plant parasitic nematode species, such as *Subanguina*., *spp Hypsoperine spp.*, *Macroposthonia spp.*, *Melinius spp.*, *Punctodera spp.*, and *Quinisulcius spp.*

In particular, the nematode species *Meloidogyne spp.*, *Heterodera spp.*, *Rotylenchus spp.* and *Pratylenchus spp.* can be controlled by the present inventive compounds.

The controlling of animal parasites includes controlling external parasites that are parasitic to the surface of the body of the host animal (e.g., shoulders, armpits, abdomen, inner part of the thighs) and internal parasites that are parasitic to the inside of the body of the host animal (e.g., stomach, intestine, lung, veins, under the skin, lymphatic tissue). External parasitic or disease transmitting pests include, for example, chiggers, ticks, lice, mosquitoes, flies, mites and fleas. Internal parasites include heartworms, hookworms and helminths. The compounds of the invention may be particularly suitable for combating external parasitic pests. The compounds of the invention may be suitable for systemic and/or non-systemic control of infestation or infection by parasites on animals.

The compounds of the invention may be suitable for combating parasitic invertebrate pests that infest animal subjects including those in the wild, livestock and agricultural working animals. Livestock is the term used to refer (singularly or plurally) to a domesticated animal intentionally reared in an agricultural setting to make produce such as food or fiber, or for its labor; examples of livestock include cattle, sheep, goats, horses, pigs, donkeys, camels, buffalo, rabbits, hens, turkeys, ducks and geese (e.g., raised for meat, milk, butter, eggs, fur, leather, feathers and/or wool). By combating parasites, fatalities and performance reduction (in terms of meat, milk, wool, skins, eggs, etc.) are reduced, so that applying the compounds of the invention allows more economic and simple husbandry of animals.

The compounds of the invention may be suitable for combating parasitic invertebrate pests that infest companion animals and pets (e.g., dogs, cats, pet birds and aquarium fish), research and experimental animals (e.g., hamsters, guinea pigs, rats and mice), as well as animals raised for/in zoos, wild habitats and/or circuses.

In an embodiment of this invention, the animal is preferably a vertebrate, and more preferably a mammal, avian or fish. In a particular embodiment, the animal subject is a mammal (including great apes, such as humans). Other mammalian subjects include primates (e.g., monkeys), bovine (e.g., cattle or dairy cows), porcine (e.g., hogs or pigs), ovine (e.g., goats or sheep), equine (e.g., horses), canine (e.g., dogs), feline (e.g., house cats), camels, deer, donkeys, buffalos, antelopes, rabbits, and rodents (e.g., guinea pigs, squirrels, rats, mice, gerbils, and hamsters). Avians include Anatidae (swans, ducks and geese), Columbidae (e.g., doves and pigeons), Phasianidae (e.g., partridges, grouse and turkeys), Thesienidae (e.g., domestic chickens), Psittacines (e.g., parakeets, macaws, and parrots), game birds, and ratites (e.g., ostriches).

Birds treated or protected by the compounds of the invention can be associated with either commercial or noncommercial aviculture. These include Anatidae, such as swans, geese, and ducks, Columbidae, such as doves and domestic pigeons, Phasianidae, such as partridge, grouse and turkeys, Thesienidae, such as domestic chickens, and Psittacines, such as parakeets, macaws and parrots raised for the pet or collector market, among others.

For purposes of the present invention, the term "fish" is understood to include without limitation, the Teleosti grouping of fish, i.e., teleosts. Both the Salmoniformes order (which includes the Salmonidae family) and the Perciformes order (which includes the Centrarchidae family) are contained within the Teleosti grouping. Examples of potential fish recipients include the Salmonidae, Serranidae, Sparidae, Cichlidae, and Centrarchidae, among others.

Other animals are also contemplated to benefit from the inventive methods, including marsupials (such as kangaroos), reptiles (such as farmed turtles), and other economically important domestic animals for which the inventive methods are safe and effective in treating or preventing parasite infection or infestation.

Examples of parasitic invertebrate pests controlled by administering a pesticidally effective amount of the compounds of the invention to an animal to be protected include ectoparasites (arthropods, acarines, etc.) and endoparasites (helminths, e.g., nematodes, trematodes, cestodes, acanthocephalans, etc.).

The disease or group of diseases described generally as helminthiasis is due to infection of an animal host with parasitic worms known as helminths. The term 'helminths' is meant to include nematodes, trematodes, cestodes and acanthocephalans. Helminthiasis is a prevalent and serious economic problem with domesticated animals such as swine, sheep, horses, cattle, goats, dogs, cats and poultry.

Among the helminths, the group of worms described as nematodes causes widespread and at times serious infection in various species of animals.

Nematodes that are contemplated to be treated by the compounds of the invention include, without limitation, the following genera: *Acanthocheilonema*, *Aelurostrongylus*, *Ancylostoma*,

10 *Angiostrongylus*, *Ascaridia*, *Ascaris*, *Brugia*, *Bunostomum*, *Capillaria*, *Chabertia*, *Cooperia*, *Crenosoma*, *Dictyocaulus*, *Diectophyme*, *Dipetalonema*, *Diphyllbothrium*, *Dirofilaria*, *Dracunculus*, *Enterobius*, *Filaroides*, *Haemonchus*, *Heterakis*, *Lagochilascaris*, *Loa*, *Mansonella*, *Muellerius*, *Necator*, *Nematodirus*, *Oesophagostomum*, *Ostertagia*, *Oxyuris*, *Parafilaria*, *Parascaris*, *Physaloptera*, *Protostrongylus*, *Setaria*, *Spirocerca*, *Stephanofilaria*, *Strongyloides*, *Strongylus*,
15 *Thelazia*, *Toxascaris*, *Toxocara*, *Trichinella*, *Trichonema*, *Trichostrongylus*, *Trichuris*, *Uncinaria* and *Wuchereria*.

Of the above, the most common genera of nematodes infecting the animals referred to above are *Haemonchus*, *Trichostrongylus*, *Ostertagia*, *Nematodirus*, *Cooperia*, *Ascaris*, *Bunostomum*, *Oesophagostomum*, *Chabertia*, *Trichuris*, *Strongylus*, *Trichonema*, *Dictyocaulus*,
20 *Capillaria*, *Heterakis*, *Toxocara*, *Ascaridia*, *Oxyuris*, *Ancylostoma*, *Uncinaria*, *Toxascaris* and *Parascaris*. Certain of these, such as *Nematodirus*, *Cooperia* and *Oesophagostomum* attack primarily the intestinal tract while others, such as *Haemonchus* and *Ostertagia*, are more prevalent in the stomach while others such as *Dictyocaulus* are found in the lungs. Still other parasites may be located in other tissues such as the heart and blood vessels, subcutaneous and lymphatic tissue and
25 the like.

Trematodes that are contemplated to be treated by the invention and by the inventive methods include, without limitation, the following genera: *Alaria*, *Fasciola*, *Nanophyetus*, *Opisthorchis*, *Paragonimus* and *Schistosoma*.

Cestodes that are contemplated to be treated by the invention and by the inventive methods
30 include, without limitation, the following genera: *Diphyllbothrium*, *Diplydium*, *Spirometra* and *Taenia*.

The most common genera of parasites of the gastrointestinal tract of humans are *Ancylostoma*, *Necator*, *Ascaris*, *Strongyloides*, *Trichinella*, *Capillaria*, *Trichuris* and *Enterobius*. Other medically important genera of parasites which are found in the blood or other tissues and organs outside the gastrointestinal tract are the filarial worms such as *Wuchereria*, *Brugia*, *Onchocerca* and
35 *Loa*, as well as *Dracunculus* and extra intestinal stages of the intestinal worms *Strongyloides* and *Trichinella*.

Numerous other helminth genera and species are known to the art, and are also contemplated to be treated by the compounds of the invention. These are enumerated in great detail in Textbook of Veterinary Clinical Parasitology, Volume 1, Helminths, E. J. L. Soulsby, F. A. Davis
40 Co., Philadelphia, Pa.; Helminths, Arthropods and Protozoa, (6th Edition of Monnig's Veterinary Helminthology and Entomology), E. J. L. Soulsby, Williams and Wilkins Co., Baltimore, Md.

The compounds of the invention may be effective against a number of animal ectoparasites (e.g., arthropod ectoparasites of mammals and birds).

Insect and acarine pests include, e.g., biting insects such as flies and mosquitoes, mites, ticks, lice, fleas, true bugs, parasitic maggots, and the like.

5 Adult flies include, e.g., the horn fly or *Haematobia irritans*, the horse fly or *Tabanus* spp., the stable fly or *Stomoxys calcitrans*, the black fly or *Simulium* spp., the deer fly or *Chrysops* spp., the louse fly or *Melophagus ovinus*, and the tsetse fly or *Glossina* spp. Parasitic fly maggots include, e.g., the bot fly (*Oestrus ovis* and *Cuterebra* spp.), the blow fly or *Phaenicia* spp., the screwworm or *Cochliomyia hominivorax*, the cattle grub or *Hypoderma* spp., the fleeceworm and the *Gastrophilus* of
10 horses. Mosquitoes include, for example, *Culex* spp., *Anopheles* spp. and *Aedes* spp.

Mites include *Mesostigmaphatalpha* spp. e.g., *mesostigmatids* such as the chicken mite, *Dermaphanyssus galphallinalphae*; itch or scab mites such as *Sarcoptidae* spp. for example, *Salpharcoptes scalphabiei*; mange mites such as *Psoroptidae* spp. including *Chorioptes bovis* and *Psoroptes ovis*; chiggers e.g., *Trombiculidae* spp. for example the North American chigger,
15 *Trombiculalpha alphalfreddugesi*.

Ticks include, e.g., soft-bodied ticks including *Argasidae* spp. for example *Argalphas* spp. and *Ornithodoros* spp.; hard-bodied ticks including *Ixodidae* spp., for example *Rhipicephalpalus sanguineus*, *Dermacentor variabilis*, *Dermacentor andersoni*, *Amblyomma americanum*, *Ixodes scapularis* and other *Rhipicephalus* spp. (including the former *Boophilus* genera).

20 Lice include, e.g., sucking lice, e.g., *Menopon* spp. and *Bovicola* spp.; biting lice, e.g., *Haematopinus* spp., *Linognathus* spp. and *Solenopotes* spp.

Fleas include, e.g., *Ctenocephalides* spp., such as dog flea (*Ctenocephalides canis*) and cat flea (*Ctenocephalides felis*); *Xenopsylla* spp. such as oriental rat flea (*Xenopsylla cheopis*); and *Pulex* spp. such as human flea (*Pulex irritans*).

25 True bugs include, e.g., *Cimicidae* or e.g., the common bed bug (*Cimex lectularius*); *Triatominae* spp. including triatomid bugs also known as kissing bugs; for example *Rhodnius prolixus* and *Triatoma* spp.

Generally, flies, fleas, lice, mosquitoes, gnats, mites, ticks and helminths cause tremendous losses to the livestock and companion animal sectors. Arthropod parasites also are a nuisance to
30 humans and can vector disease-causing organisms in humans and animals.

Numerous other parasitic invertebrate pests are known to the art, and are also contemplated to be treated by the compounds of the invention. These are enumerated in great detail in *Medical and Veterinary Entomology*, D. S. Kettle, John Wiley AND Sons, New York and Toronto; *Control of Arthropod Pests of Livestock: A Review of Technology*, R. O. Drummand, J. E. George, and S. E. Kunz, CRC Press, Boca Raton, Fla.
35

The compounds of the invention may also be effective against ectoparasites including: flies such as *Haematobia (Lyperosia) irritans* (horn fly), *Simulium* spp. (blackfly), *Glossina* spp. (tsetse flies), *Hydrotaea irritans* (head fly), *Musca autumnalis* (face fly), *Musca domestica* (house fly), *Morellia simplex* (sweat fly), *Tabanus* spp. (horse fly), *Hypoderma bovis*, *Hypoderma lineatum*,
40 *Lucilia sericata*, *Lucilia cuprina* (green blowfly), *Calliphora* spp. (blowfly), *Protophormia* spp., *Oestrus ovis* (nasal botfly), *Culicoides* spp. (midges), *Hippobosca equine*, *Gastrophilus intestinalis*,

Gastrophilus haemorrhoidalis and *Gastrophilus nasalis*; lice such as *Bovicola* (*Damalinia*) *bovis*, *Bovicola equi*, *Haematopinus asini*, *Felicola subrostratus*, *Heterodoxus spiniger*, *Lignonathus setosus* and *Trichodectes canis*; keds such as *Melophagus ovinus*; and mites such as *Psoroptes* spp., *Sarcoptes scabiei*, *Chorioptes bovis*, *Demodex equi*, *Cheyletiella* spp., *Notoedres cati*,
5 *Trombicula* spp. and *Otodectes cyanotis* (ear mites).

Treatments of the invention are by conventional means such as by enteral administration in the form of, for example, tablets, capsules, drinks, drenching preparations, granulates, pastes, boli, feed-through procedures, or suppositories; or by parenteral administration, such as, for example, by injection (including intramuscular, subcutaneous, intravenous, intraperitoneal) or implants; or by
10 nasal administration.

When compounds of the invention are applied in combination with an additional biologically active ingredient, they may be administered separately e.g. as separate compositions. In this case, the biologically active ingredients may be administered simultaneously or sequentially. Alternatively, the biologically active ingredients may be components of one composition.

15 The compounds of the invention may be administered in a controlled release form, for example in subcutaneous or orally administered slow release formulations.

Typically a parasitocidal composition according to the present invention comprises a compound of the invention, optionally in combination with an additional biologically active ingredient, or N-oxides or salts thereof, with one or more pharmaceutically or veterinarily acceptable carriers
20 comprising excipients and auxiliaries selected with regard to the intended route of administration (e.g., oral or parenteral administration such as injection) and in accordance with standard practice. In addition, a suitable carrier is selected on the basis of compatibility with the one or more active ingredients in the composition, including such considerations as stability relative to pH and moisture content. Therefore of note are compounds of the invention for protecting an animal from an
25 invertebrate parasitic pest comprising a parasitically effective amount of a compound of the invention, optionally in combination with an additional biologically active ingredient and at least one carrier.

For parenteral administration including intravenous, intramuscular and subcutaneous injection, the compounds of the invention can be formulated in suspension, solution or emulsion in oily or aqueous vehicles, and may contain adjuncts such as suspending, stabilizing and/or dispersing
30 agents.

The compounds of the invention may also be formulated for bolus injection or continuous infusion. Pharmaceutical compositions for injection include aqueous solutions of water-soluble forms of active ingredients (e.g., a salt of an active compound), preferably in physiologically compatible buffers containing other excipients or auxiliaries as are known in the art of pharmaceutical
35 formulation. Additionally, suspensions of the active compounds may be prepared in a lipophilic vehicle. Suitable lipophilic vehicles include fatty oils such as sesame oil, synthetic fatty acid esters such as ethyl oleate and triglycerides, or materials such as liposomes.

Aqueous injection suspensions may contain substances that increase the viscosity of the suspension, such as sodium carboxymethyl cellulose, sorbitol, or dextran. Formulations for injection
40 may be presented in unit dosage form, e.g., in ampoules or in multi-dose containers. Alternatively,

the active ingredient may be in powder form for constitution with a suitable vehicle, e.g., sterile, pyrogen-free water, before use.

In addition to the formulations described supra, the compounds of the invention may also be formulated as a depot preparation. Such long acting formulations may be administered by
5 implantation (for example, subcutaneously or intramuscularly) or by intramuscular or subcutaneous injection.

The compounds of the invention may be formulated for this route of administration with suitable polymeric or hydrophobic materials (for instance, in an emulsion with a pharmacologically acceptable oil), with ion exchange resins, or as a sparingly soluble derivative such as, without
10 limitation, a sparingly soluble salt.

For administration by inhalation, the compounds of the invention can be delivered in the form of an aerosol spray using a pressurized pack or a nebulizer and a suitable propellant, e.g., without limitation, dichlorodifluoromethane, trichlorofluoromethane, dichlorotetrafluoroethane or carbon dioxide. In the case of a pressurized aerosol, the dosage unit may be controlled by providing a valve
15 to deliver a metered amount.

Capsules and cartridges of, for example, gelatin for use in an inhaler or insufflator may be formulated containing a powder mix of the compound and a suitable powder base such as lactose or starch.

The compounds of the invention may have favourable pharmacokinetic and pharmacodynamic properties providing systemic availability from oral administration and ingestion.
20 Therefore after ingestion by the animal to be protected, parasitically effective concentrations of a compound of the invention in the bloodstream may protect the treated animal from blood-sucking pests such as fleas, ticks and lice. Therefore of note is a composition for protecting an animal from an invertebrate parasite pest in a form for oral administration (i.e. comprising, in addition to a parasitically effective amount of a compound of the invention, one or more carriers selected from
25 binders and fillers suitable for oral administration and feed concentrate carriers).

For oral administration in the form of solutions (the most readily available form for absorption), emulsions, suspensions, pastes, gels, capsules, tablets, boluses, powders, granules, rumen-retention and feed/water/lick blocks, the compounds of the invention can be formulated with binders/fillers known in the art to be suitable for oral administration compositions, such as sugars and
30 sugar derivatives (e.g., lactose, sucrose, mannitol, sorbitol), starch (e.g., maize starch, wheat starch, rice starch, potato starch), cellulose and derivatives (e.g., methylcellulose, carboxymethylcellulose, ethylhydroxycellulose), protein derivatives (e.g., zein, gelatin), and synthetic polymers (e.g., polyvinyl alcohol, polyvinylpyrrolidone). If desired, lubricants (e.g., magnesium stearate), disintegrating agents (e.g., cross-linked polyvinylpyrrolidone, agar, alginic acid) and dyes or pigments can be added.
35 Pastes and gels often also contain adhesives (e.g., acacia, alginic acid, bentonite, cellulose, xanthan gum, colloidal magnesium aluminum silicate) to aid in keeping the composition in contact with the oral cavity and not being easily ejected.

In one embodiment a composition of the present invention is formulated into a chewable and/or edible product (e.g., a chewable treat or edible tablet). Such a product would ideally have a
40 taste, texture and/or aroma favored by the animal to be protected so as to facilitate oral administration of the compounds of the invention.

If the parasitocidal compositions are in the form of feed concentrates, the carrier is typically selected from high-performance feed, feed cereals or protein concentrates.

Such feed concentrate-containing compositions can, in addition to the parasitocidal active ingredients, comprise additives promoting animal health or growth, improving quality of meat from animals for slaughter or otherwise useful to animal husbandry.

These additives can include, for example, vitamins, antibiotics, chemotherapeutics, bacteriostats, fungistats, coccidiostats and hormones.

The compound of the invention may also be formulated in rectal compositions such as suppositories or retention enemas, using, e.g., conventional suppository bases such as cocoa butter or other glycerides.

The formulations for the method of this invention may include an antioxidant, such as BHT (butylated hydroxytoluene). The antioxidant is generally present in amounts of at 0.1- 5 percent (wt/vol). Some of the formulations require a solubilizer, such as oleic acid, to dissolve the active agent, particularly if spinosad is included. Common spreading agents used in these pour-on formulations include isopropyl myristate, isopropyl palmitate, caprylic/capric acid esters of saturated C₁₂-C₁₈ fatty alcohols, oleic acid, oleyl ester, ethyl oleate, triglycerides, silicone oils and dipropylene glycol methyl ether. The pour-on formulations for the method of this invention are prepared according to known techniques. Where the pour-on is a solution, the parasiticide/insecticide is mixed with the carrier or vehicle, using heat and stirring if required. Auxiliary or additional ingredients can be added to the mixture of active agent and carrier, or they can be mixed with the active agent prior to the addition of the carrier. Pour-on formulations in the form of emulsions or suspensions are similarly prepared using known techniques.

Other delivery systems for relatively hydrophobic pharmaceutical compounds may be employed. Liposomes and emulsions are well-known examples of delivery vehicles or carriers for hydrophobic drugs. In addition, organic solvents such as dimethylsulfoxide may be used, if needed.

The rate of application required for effective parasitic invertebrate pest control (e.g. "pesticidally effective amount") will depend on such factors as the species of parasitic invertebrate pest to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. One skilled in the art can easily determine the pesticidally effective amount necessary for the desired level of parasitic invertebrate pest control.

In general for veterinary use, the compounds of the invention are administered in a pesticidally effective amount to an animal, particularly a homeothermic animal, to be protected from parasitic invertebrate pests.

A pesticidally effective amount is the amount of active ingredient needed to achieve an observable effect diminishing the occurrence or activity of the target parasitic invertebrate pest. One skilled in the art will appreciate that the pesticidally effective dose can vary for the various compounds and compositions useful for the method of the present invention, the desired pesticidal effect and duration, the target parasitic invertebrate pest species, the animal to be protected, the mode of application and the like, and the amount needed to achieve a particular result can be determined through simple experimentation.

For oral or parenteral administration to animals, a dose of the compositions of the present invention administered at suitable intervals typically ranges from about 0.01 mg/kg to about 100 mg/kg, and preferably from about 0.01 mg/kg to about 30 mg/kg of animal body weight.

Suitable intervals for the administration of the compositions of the present invention to animals range from about daily to about yearly. Of note are administration intervals ranging from about weekly to about once every 6 months. Of particular note are monthly administration intervals (i.e. administering the compounds to the animal once every month).

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

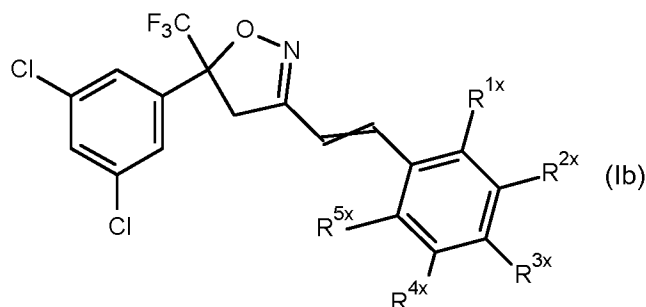
The compounds of the invention can be distinguished from known compounds by virtue of greater efficacy at low application rates, which can be verified by the person skilled in the art using the experimental procedures outlined in the Examples, using lower application rates if necessary, for example 50 ppm, 12.5 ppm, 6 ppm, 3 ppm, 1.5 ppm or 0.8 ppm.

The following abbreviations were used throughout this section: s = singlet; bs = broad singlet; d = doublet; dd = double doublet; dt = double triplet; t = triplet, tt = triple triplet, q = quartet, sept = septet; m = multiplet; Me = methyl; Et = ethyl; Pr = propyl; cPr = cyclopropyl; Bu = butyl; cBu = cyclobutyl; RT = retention time; MH^+ = molecular cation.

Preparation Examples

The following preparation examples describe synthesis of compounds of formula I and intermediates thereof.

Table A: Compounds of formula (Ib):



Comp. No.	Double bond geometry	R ^{1x}	R ^{2x}	R ^{3x}	R ^{4x}	R ^{5x}
1	trans	H	NO ₂	H	H	H
2	Trans	H	NHCOCF ₃	H	H	H
3	Trans	H	NHCO-cPr	H	H	H
4	Trans	H	NH ₂	H	H	H
5	Trans	H	NHCO-cPr	Me	H	H
6	Trans	H	NHCOCH ₂ CH ₃	Me	H	H

7	Trans	H	NHCOCF ₃	Me	H	H
8	Trans	H	NHCO-cPr	Br	H	H
9	Trans	H	NHCOCH ₂ CF ₃	Br	H	H
10	Trans	H	[(4-cyano-2-methyl-benzoyl)amino]	Br	H	H
11	Trans	H	[bis(cyclopropanecarbonyl)amino]	CN	H	H
12	Trans	H	[(2-methoxyacetyl)amino]	CN	H	H
13	Trans	H	[(2-methoxyacetyl)amino]	F	H	H
14	Trans	H	NHCOCH ₂ CF ₃	F	H	H
15	Trans	H	[(4-cyano-2-methyl-benzoyl)amino]	CN	H	H
16	Trans	H	[(4-cyanobenzoyl)amino]	CN	H	H
17	Trans	H	NHCO-cBu	F	H	H
18	Trans	H	[(2-ethoxyacetyl)amino]	Br	H	H
19	Trans	H	[(2-chlorobenzoyl)amino]	Br	H	H
20	Trans	H	(pyridine-4-carbonylamino)	Br	H	H
21	Trans	H	[(4-fluorobenzoyl)amino]	Br	H	H
22	Trans	H	[(H 4-fluoro-2-methyl-benzoyl)amino]	Br	H	H
23	Trans	H	[(2-fluorobenzoyl)amino]	Br	H	H
24	Trans	H	[(4-cyanobenzoyl)amino]	Br	H	H
25	Trans	H	[(2-fluorobenzoyl)amino]	Br	H	H
26	Trans	H	(3-methoxypropanoylamino)	Br	H	H
27	Trans	H	(pyridine-3-carbonylamino)	Br	H	H
28	Trans	H	[(2,4-dimethylbenzoyl)amino]	Br	H	H
29	Trans	H	[(2-methylcyclopropanecarbonyl)amino]	Br	H	H
30	Trans	H	[[2-(4-fluorophenyl)acetyl]amino]	Br	H	H
31	Trans	H	[(3-fluorobenzoyl)amino]	Br	H	H
32	Trans	H	[(1-methylcyclopropanecarbonyl)amino]	Br	H	H
33	Trans	H	(3-methylbutanoylamino)	Br	H	H
34	Trans	H	(2-ethylbutanoylamino)	Br	H	H
35	Trans	H	(cyclopentene-1-carbonylamino)	Br	H	H
36	Trans	H	(2-methylprop-2-enoylamino)	Br	H	H
37	Trans	H	(but-3-enoylamino)	Br	H	H
38	Trans	H	[[2-(2-fluorophenyl)acetyl]amino]	Br	H	H
39	Trans	H	[(2-methylsulfanylacetyl)amino]	Br	H	H
40	Trans	H	[(2-cyclopropylacetyl)amino]	Br	H	H
41	Trans	H	[(3,5-difluorobenzoyl)amino]	Br	H	H
42	Trans	H	[(2-	Br	H	H

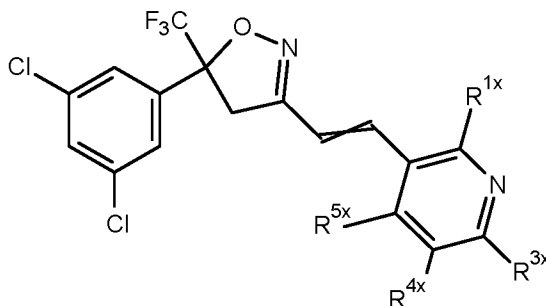
			fluorocyclopropanecarbonyl)amino]			
43	Trans	H	[(2,6-difluorobenzoyl)amino]	Br	H	H
44	Trans	H	[[E)-3-methylsulfanylprop-2-enoyl]amino]	Br	H	H
45	Trans	H	(tetrahydrofuran-2-carbonylamino)	Br	H	H
46	Trans	H	[(1-cyanocyclopropanecarbonyl)amino]	Br	H	H
47	Trans	H	[(2-fluoroacetyl)amino]	Br	H	H
48	Trans	H	(2-methylpropanoylamino)	Br	H	H
49	Trans	H	(2,2-dimethylpropanoylamino)	Br	H	H
50	Trans	H	[(2-phenylacetyl)amino]	Br	H	H
51	Trans	H	(cyclobutanecarbonylamino)	Br	H	H
52	Trans	H	(3,3-dimethylbutanoylamino)	Br	H	H
53	Trans	H	(butanoylamino)	Br	H	H
54	Trans	H	(prop-2-enoylamino)	Br	H	H
55	Trans	H	[[E)-but-2-enoyl]amino]	Br	H	H
56	Trans	H	(3-fluoropropanoylamino)	Br	H	H
57	Trans	H	(2,3-dihydrofuran-4-carbonylamino)	Br	H	H
58	Trans	H	[(2-ethylsulfanylacetyl)amino]	Br	H	H
59	Trans	H	benzenesulfonamido	CN	H	H
60	Trans	H	[(4-cyanobenzoyl)-methyl-amino]	Br	H	H
61	Trans	H	[(4-cyano-2-methyl-benzoyl)-methyl-amino]	CN	H	H
62	Trans	H	(pyridine-4-carbonylamino)	F	H	H
63	Trans	H	[(4-cyanobenzoyl)amino]	F	H	H
64	Trans	H	[(4-cyano-2-methyl-benzoyl)amino]	F	H	H
65	Trans	H	(furan-2-carbonylamino)	CN	H	H
66	Trans	H	[(2-methoxy-2-oxo-acetyl)amino]	CN	H	H
67	Trans	H	(3-phenylpropanoylamino)	CN	H	H
68	Trans	H	(pyridine-2-carbonylamino)	CN	H	H
69	Trans	H	(3-phenylpropanoylamino)	CN	H	H
70	Trans	H	(thiophene-2-carbonylamino)	CN	H	H
71	Trans	H	[[E)-2-methylbut-2-enoyl]amino]	CN	H	H
72	Trans	H	(2-phenylpropanoylamino)	CN	H	H
73	Trans	H	(quinoxaline-2-carbonylamino)	CN	H	H
74	Trans	H	[[E)-but-2-enoyl]amino]	CN	H	H
75	Trans	H	[[2E)-2-cyano-2-methoxyimino-acetyl]amino]	CN	H	H
76	Trans	H	(3-methylbut-2-enoylamino)	CN	H	H

77	Trans	H	[(2,5-dimethylfuran-3-carbonyl)amino]	CN	H	H
78	Trans	H	(cyclopropanecarbonylamino)	CN	H	H
79	Trans	H	(2-methylpropanoylamino)	CN	H	H
80	Trans	H	[[[(1S,2S)-2-phenylcyclopropanecarbonyl]amino]	CN	H	H
81	Trans	H	[(4-fluoro-2-methyl-benzoyl)amino]	CN	H	H
82	Trans	H	[[4-(trifluoromethyl)benzoyl]amino]	CN	H	H
83	Trans	H	[(3-chlorothiophene-2-carbonyl)amino]	CN	H	H
84	Trans	H	[(2,6-dichloropyridine-4-carbonyl)amino]	CN	H	H
85	Trans	H	[(2-phenylsulfanylacetyl)amino]	CN	H	H
86	Trans	H	[(5-bromopyridine-3-carbonyl)amino]	CN	H	H
87	Trans	H	(butanoylamino)	CN	H	H
88	Trans	H	[[2-(o-tolyl)acetyl]amino]	CN	H	H
89	Trans	H	[(3-chloropyridine-2-carbonyl)amino]	CN	H	H
90	Trans	H	[(2,6-difluorobenzoyl)amino]	CN	H	H
91	Trans	H	[(4-nitrobenzoyl)amino]	CN	H	H
92	Trans	H	[(2-methyl-4-nitro-benzoyl)amino]	CN	H	
93	Trans	H	[(4-methylsulfonylbenzoyl)amino]	CN	H	H
94	Trans	H	[(2-chloro-4-methylsulfanyl-benzoyl)amino]	CN	H	H
95	Trans	H	[[2-chloro-4-(difluoromethoxy)benzoyl]amino]	CN	H	H
96	Trans	H	(pyridine-3-carbonylamino)	CN	H	H
97	Trans	H	(pyrimidine-5-carbonylamino)	CN	H	H
98	Trans	H	[(2-methylfuran-3-carbonyl)amino]	CN	H	H
99	Trans	H	[(6-fluoropyridine-3-carbonyl)amino]	CN	H	H
100	Trans	H	[(6-cyanopyridine-3-carbonyl)amino]	CN	H	H
101	Trans	H	[(2-methylcyclopropanecarbonyl)amino]	CN	H	H
102	Trans	H	[(2-cyclopropylacetyl)amino]	CN	H	H
103	Trans	H	[(2-fluorocyclopropanecarbonyl)amino]	CN	H	H
104	Trans	H	[[2-(2,2-difluorocyclopropyl)acetyl]amino]	CN	H	H
105	Trans	H	[(1-oxidopyridin-1-ium-4-	Br	H	H

			carbonyl)amino]			
106	Trans	H	[methyl-(1-oxidopyridin-1-ium-4-carbonyl)amino]	Br	H	H
107	Trans	H	[[4-cyanobenzoyl)-ethyl-amino]	F	H	H
108	Trans	H	[ethyl(pyridine-4-carbonyl)amino]	Br	H	H
109	Trans	H	[ethyl-(1-oxidopyridin-1-ium-4-carbonyl)amino]	Br	H	H
110	Trans	H	[methyl(pyridine-4-carbonyl)amino]	Br	H	H
111	Trans	H	[[4-(trifluoromethyl)phenyl]carbamoylamino]	CN	H	H
112	Trans	H	(methylsulfonylcarbonylamino)	CN	H	H
113	Trans	H	[[4-nitrophenyl]carbamoylamino]	CN	H	H
114	Trans	H	Nitro	CN	H	H
115	Trans	H	Nitro	Br	H	H
116	Trans	H	(thietane-3-carbonylamino)	CN	H	H
117	Trans	H	NH ₂	F	H	H
118	Trans	H	H	NO ₂	H	H
119	Trans	H	H	NH ₂	H	H
120	Trans	H	H	NHCOCF ₃	H	H
121	Trans	H	H	NHCOcPr	H	H
122	Trans	H	Br	COOH	H	H
123	Trans	H	Br	CONHCH ₂ CF ₃	H	H
124	Trans	H	Br	CONHcBu	H	H
125	Trans	H	Br	CONHCH ₂ CONHCH ₂ CF ₃	H	H
126	Trans	OMe	NHCOcPr	H	H	H
127	Trans	H	NHCOCH ₂ OMe	H	H	F
128	Trans	H	NHCOCH ₂ CF ₃	H	H	F
129	Trans	H	NHCOcBu	H	H	F
130	Cis	H	H	NH ₂	H	H
131	Cis	H	H	NHCOCF ₃	H	H
132	Cis	H	NHCOCF ₃	H	H	H
133	Cis	H	H	NHCOcPr	H	H
134	Cis	H	H	NHCOcBu	H	H
135	Cis	H	NHCOcPr	H	H	H

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136	Cis	H	NHCOcBu	H	H	H
137	Cis	H	NH ₂	H	H	H



138	Trans	H	-	NHCOCH ₃	H	H
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5

LC-MS (Liquid Chromatography-Mass Spectrometer) Acquisition (Method A)

Instrumentation

Mass Spectrometer	6410 Triple Quadruple Mass Spectrometer from Agilent Technologies
HPLC	Agilent 1200 Series HPLC

10 Optimized Mass Parameter

Ionisation method	Electrospray (ESI)
Polarity	positive and Negative Polarity Switch
Scan Type	MS2 Scan
Capillary (kV)	4.00
Fragmentor (V)	100.00
Gas Temperature (°C)	350
Gas Flow (L/min)	11
Nebulizer Gas (psi)	35
Mass range	110 to 1000 Da
DAD Wavelength range (nm):	190 to 400

Optimized Chromatographic parameter

Gradient conditions: (Solvent A: Water, 0.1% formic acid and Solvent B: Acetonitrile, 0.1% formic acid)

15

Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	90	10	1.8
2.0	0	100	1.8

3.0	0	100	1.8
3.2	90	10	1.8
4.0	90	10	1.8

Column	Waters Xterra MS C18
Column length	30 mm
Internal diameter of column	4.6 mm
Particle Size	3.5 μ
Temperature	Ambient temperature

Thermo LC-MS (Liquid Chromatography-Mass Spectrometer) Acquisition (Method B)

Instrumentation:-

Mass Spectrometer	FINNIGAN SURVEYOR MSQ PLUS from Thermo
HPLC	FINNIGAN SURVEYOR HPLC from Thermo

5

Optimized Mass Parameter:

Ionisation method	Atmospheric Pressure Chemical Ionization (APCI)
Polarity	positive and Negative Polarity Switch
Scan Type	MS Full Scan
Probe Temperature ($^{\circ}$ C)	400
Corona (μ A)	7.00
Detector (V)	1400
Cone (V)	50
Nebulizer Gas (psi)	45
Mass range(Da)	80 to 950
DAD Wavelength range (nm)	210 to 350

Optimized Chromatographic parameter:

Gradient conditions

10 (Solvent A: Water, 0.05% formic acid and Solvent B: Methanol)

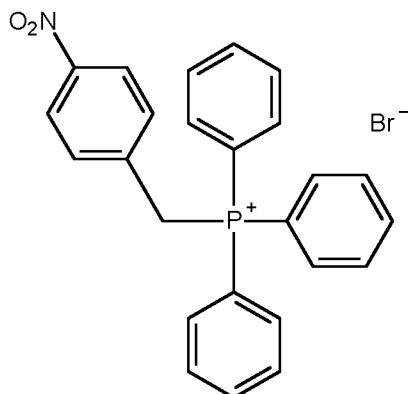
Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	90	10	1.7
1.5	0	100	1.7
3.8	0	100	1.7
4.5	90	10	1.7
5.0	90	10	1.7

Column	Waters Xterra MS C18
Column length	30 mm

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Internal diameter of column	4.6 mm
Particle Size	3.5 μ
Temperature	Ambient temperature

(4-nitrophenyl)methyl-triphenyl-phosphonium bromide

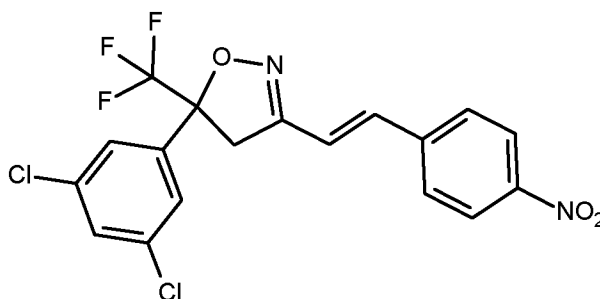


5

To a solution of triphenylphosphine (18.1 g) in toluene (200 mL) 1-(bromomethyl)-4-nitro-benzene (15.0 g) was added. The reaction was stirred at ambient temperature under nitrogen atmosphere for 2 days. After 2 days there was solid formation. The solid was filtered under nitrogen atmosphere and dried under high vacuum. Salt is taken as such for the next step (21.0 g).

10

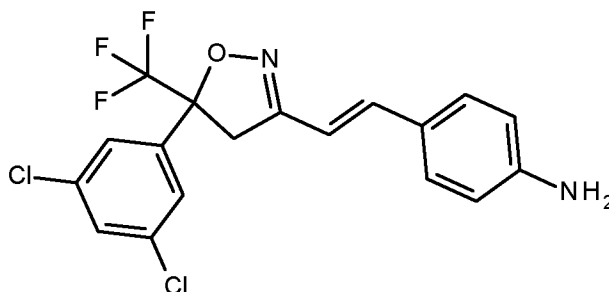
5-(3,5-dichlorophenyl)-3-[(E)-2-(4-nitrophenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole



15

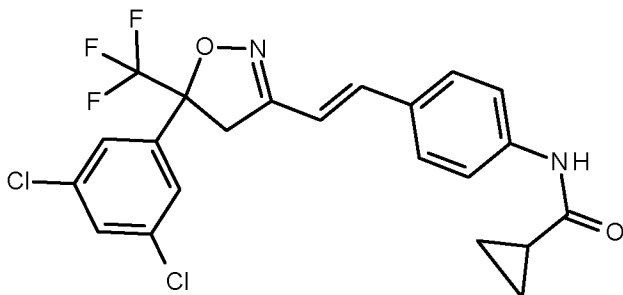
To suspension (4-nitrophenyl) methyl-triphenyl-phosphonium bromide (5.07 g) in tetrahydrofuran (15 mL) was added tert-butoxypotassium (1.23 g) at ambient temperature. The reaction mass was stirred at ambient temperature for 1 hour under nitrogen atmosphere. To this was added a solution of 5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole-3-carbaldehyde (1.0 g) in tetrahydrofuran (2 mL) at 0 °C. The reaction was stirred for 12 hours at ambient temperature. The reaction mixture was diluted with water (30 mL), extracted with ethyl acetate (3 x 25 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compounds (mixture of Cis & Trans isomers) as a solid (1 gm). LCMS (Method B) RT 2.47 min [M-H]⁺ 428.9.

20

4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline

To a solution of 5-(3,5-dichlorophenyl)-3-[(E)-2-(4-nitrophenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole (1 g) in acetic acid (20 mL) was added iron powder (1.20 g). The reaction mass was stirred at 65 °C for 0.5 hour. The reaction mass was filtered over celite bed, diluted with water and extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (0.25 g).

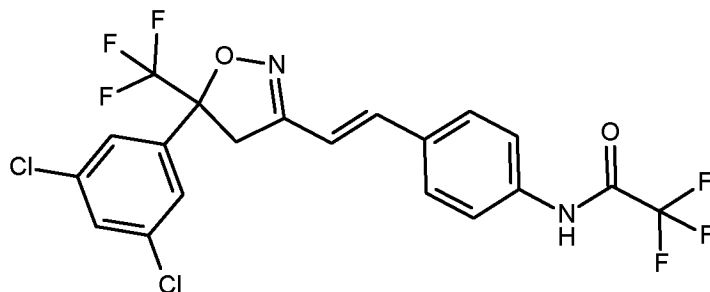
LCMS (Method B) RT 2.33 min $[M-H]^+$ 400.9. 1H NMR ($CDCl_3$, 400 MHz): 3.08 (d, 1H) 3.50 (d, 1H) 6.28 (d, 2H) 6.81-6.83 (m, 2H) 6.94- (d, 1H) 7.09 (d, 1H) 7.34-7.40 (m, 5H).

N-[4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclopropanecarboxamide

To a solution of 4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline (80 mg) in dichloromethane (2 mL), triethylamine (0.043 mL) & cyclopropylcarbonyl chloride (0.020 mL) was added at 0 °C. The reaction mass was stirred at ambient temperature for 3 hours. The reaction mixture was diluted with water (10 mL), extracted with dichloromethane (2 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (55 mg).

LCMS (Method B) RT 2.43 min $[M-H]^+$ 466.9. 1H NMR ($CDCl_3$, 400 MHz): 0.89-0.90 (m, 2H), 1.09-1.12 (m, 2H) 1.50-1.52(m, 2H) 3.53 (d, 1H) 3.54 (d, 1H) 6.74 (d, 1H) 6.94 (d, 1H) 7.41-7.55 (m, 8H).

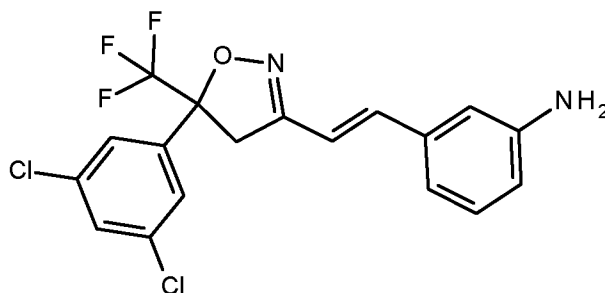
N-[4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-2,2,2-trifluoroacetamide



LCMS (Method B) RT 2.47 min $[M-H]^+$ 494.9. 1H NMR ($CDCl_3$, 400 MHz): 3.53 (d, 1H) 3.94 (d, 1H) 6.76 (d, 1H) 6.99 (d, 1H) 7.42-7.51 (m, 5H) 7.60 (d, 2H) 7.88 (s, 1H).

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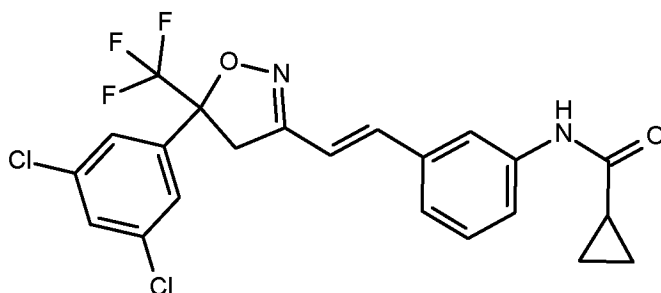
3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline



10 LCMS (Method B) RT 2.33 min $[M-H]^+$ 400.9. 1H NMR ($CDCl_3$, 400 MHz): 3.02 (d, 1H) 3.42 (d, 1H) 6.41 (d, 1H) 6.54-6.58 (m, 2H) 6.75-6.76 (m, 2H) 7.18 (d, 1H) 7.10-7.16 (m, 1H) 7.27 (d, 2H) 7.34 (d, 1H).

N-[3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclopropanecarboxamide

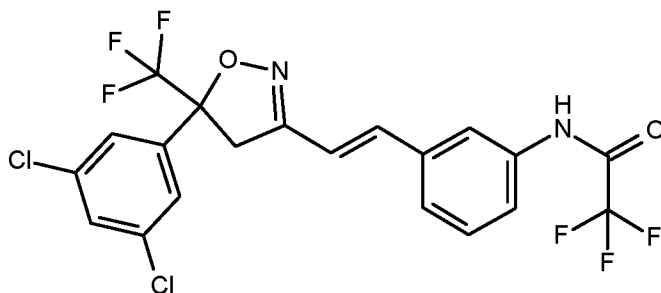
15



LCMS (Method B) RT 2.43 min $[M-H]^+$ 466.9. 1H NMR ($CDCl_3$, 400 MHz): 0.86-0.87 (m, 2H), 1.09-1.12 (m, 2H) 1.67-1.69 (m, 2H) 3.52 (d, 1H) 3.94 (d, 1H) 6.74 (d, 1H) 6.99 (d, 1H) 7.25-7.50 (m, 7H) 7.79 (s, 1H).

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N-[3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-2,2,2-trifluoro-acetamide

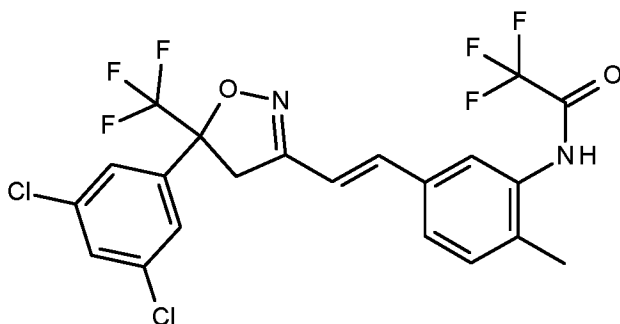


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LCMS (Method B) RT 2.47 min $[M-H]^+$ 494.9. 1H NMR ($CDCl_3$, 400 MHz): 3.55 (d, 1H) 3.94 (d, 1H) 6.75 (d, 1H) 6.98 (d, 1H) 7.42-7.51 (m, 5H) 7.61 (d, 2H) 7.88 (s, 1H).

N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-methylphenyl]-2,2,2-trifluoro-acetamide

10

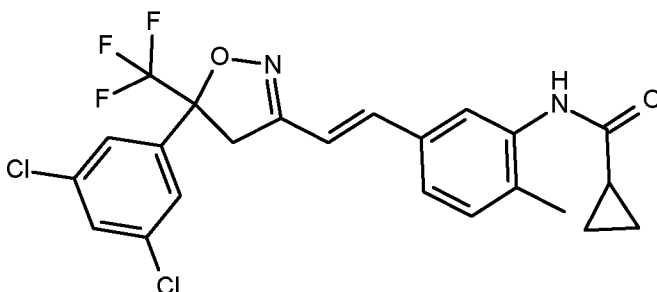


15

LCMS (Method B) RT 2.46 min $[M-H]^+$ 510.9. 1H NMR ($CDCl_3$, 400 MHz): 2.32 (s, 3H) 3.53 (d, 1H) 3.91 (d, 1H) 6.77 (d, 1H) 6.99 (d, 1H) 7.20-7.33 (m, 2H) 7.41-7.42 (m, 1H) 7.49 (d, 2H) 7.72 (s, 1H) 7.97 (d, 1H).

N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-methylphenyl]cyclopropanecarboxamide

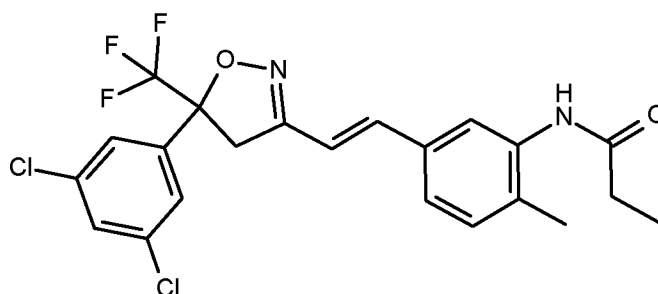
20



Mp-175-177 °C

LCMS (Method B) RT 2.43min [M-H]⁺ 483.0. ¹H NMR (CDCl₃, 400 MHz): 0.86-0.88 (m, 2H), 1.10-1.12 (m, 2H) 1.61-1.64(m, 2H) 2.30 (s, 3H) 3.48 (d, 1H) 3.90 (d, 1H) 6.74 (d, 1H) 6.96-7.00 (m, 2H)
 5 7.16-7.18 (m, 3H) 7.40-7.42 (m, 1H)7.45 (d, 2H) 8.07 (s, 1H).

N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-methyl-phenyl]propanamide

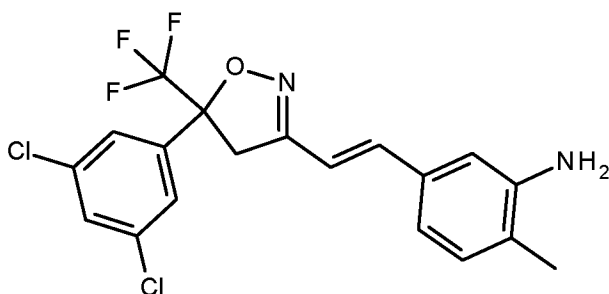


10

Mp-174-178 °C

LCMS (Method B) RT 2.35 min [M-H]⁺ 471.0. ¹H NMR (CDCl₃, 400 MHz): 1.34-1.38 (m, 3H) 2.27 (s, 3H) 2.44 (m, 2H) 3.49 (d, 1H) 3.92 (d, 1H) 6.76 (d, 1H) 6.96-7.00 (m, 2H) 7.18-7.20 (m, 2H) 7.41 (d, 1H) 7.48 (d, 2H) 8.07 (s, 1H).
 15

5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-methyl-aniline

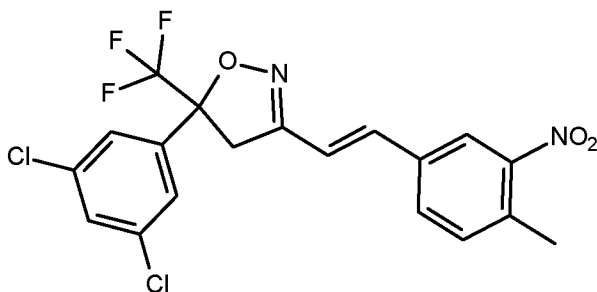


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¹H NMR (CDCl₃, 400 MHz): 2.23 (s, 3H) 3.51 (d, 1H) 3.93 (d, 1H) 6.67 (d, 1H) 6.85-6.95 (m, 4H) 7.40 (m, 2H) 7.48 (d, 2H).

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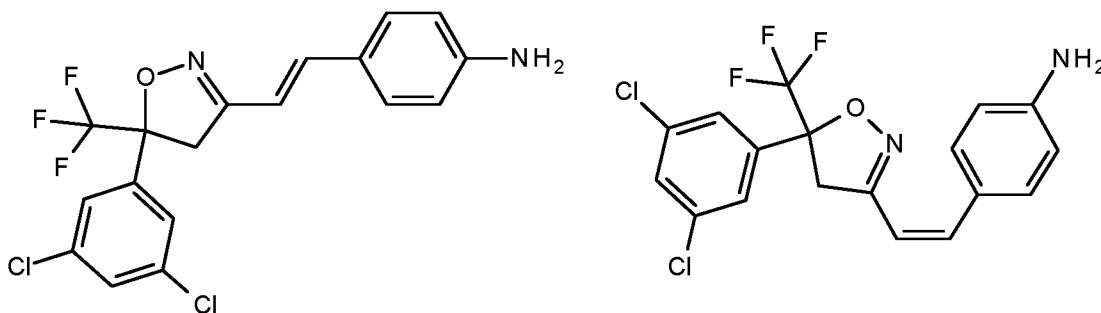
5-(3,5-dichlorophenyl)-3-[(E)-2-(4-methyl-3-nitro-phenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole



LCMS (Method A) RT 2.35 min $[M-H]^+$ 316.86

5

4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline AND
4-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline



10

To a solution of 5-(3,5-dichlorophenyl)-3-[(E)-2-(4-nitrophenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole (1 g) in acetic acid (20 mL) was added iron powder (1.20 g). The reaction mass was stirred at 65 °C for 0.5 hour. The reaction mass was filtered over celite bed, diluted with water and extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compounds 4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline as a solid (0.25 g) & 4-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline) & as a solid (0.65 g).

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4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline

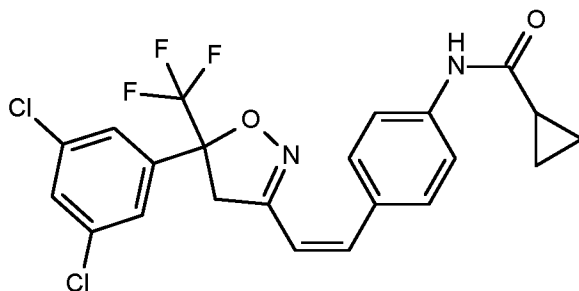
LCMS (Method B) RT 2.33 min $[M-H]^+$ 400.9. 1H NMR ($CDCl_3$, 400 MHz): 3.54 (d, 1H) 3.94 (d, 1H) 6.73 (d, 1H) 6.92-7.11 (m, 4H) 7.40-7.51 (m, 5H).

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4-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline

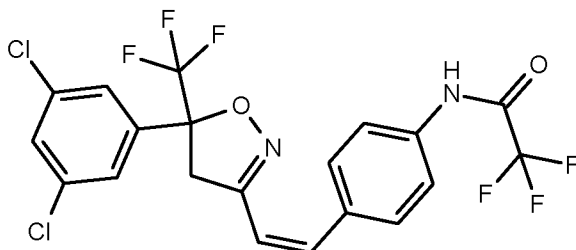
LCMS (Method B) RT 2.33 min $[M-H]^+$ 400.9. 1H NMR ($CDCl_3$, 400 MHz): 3.05 (d, 1H) 3.40 (d, 1H) 6.32 (d, 2H) 6.93-7.16 (m, 5H) 7.34-7.40 (m, 4H)

5 **N-[4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclopropanecarboxamide**



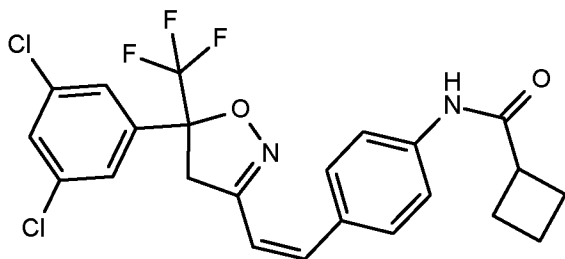
10 LCMS (Method B) RT 2.34 min $[M-H]^+$ 467.0. 1H NMR ($CDCl_3$, 400 MHz): 0.89-0.90 (m, 2H), 1.11-1.13 (m, 2H) 1.50-1.53(m, 1H) 2.96(d, 1H) 3.45 (d, 1H) 6.36 (d, 1H) 6.99 (d, 1H) 7.16(d, 2H) 7.31-7.56 (m, 6H).

15 **N-[4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-2,2,2-trifluoroacetamide**



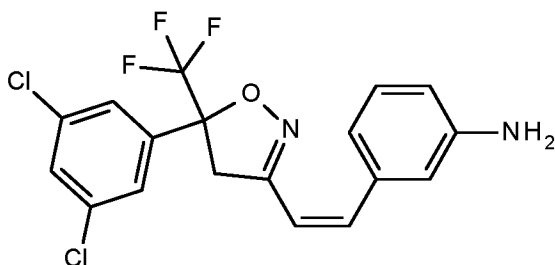
20 LCMS (Method B) RT 2.45 min $[M-H]^+$ 495.0. 1H NMR ($CDCl_3$, 400 MHz): 2.95 (d, 1H) 3.45 (d, 1H) 6.41 (d, 1H) 6.99 (d, 1H) 7.28-7.30 (m, 3H) 7.58-7.60 (m, 2H) 7.95 (s, 1H).

25 **N-[4-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclobutanecarboxamide**



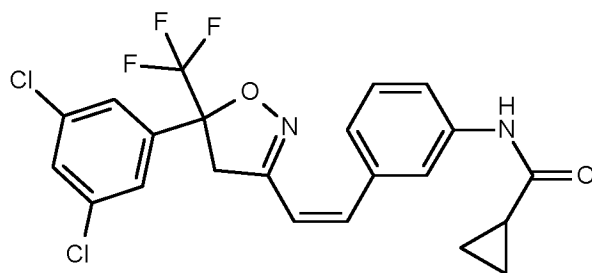
LCMS (Method B) RT 2.43 min $[M-H]^+$ 481.0. 1H NMR ($CDCl_3$, 400 MHz): 1.95-1.96 (m, 2H) 2.21-2.24(m, 4H) 2.98(m, 2H) 3.43 (m, 1H) 3.47 (d, 1H) 6.38 (d, 1H) 7.00 (d, 1H) 7.15-7.25 (m, 3H) 7.30 (d, 2H) 7.38 (d, 1H) 7.53-7.55 (m, 2H).

3-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]aniline



LCMS (Method B) RT 2.33 min $[M-H]^+$ 400.9. 1H NMR ($CDCl_3$, 400 MHz): 3.02 (d, 1H) 3.42 (d, 1H) 6.41 (d, 1H) 6.54-6.58 (m, 2H) 6.75-6.76 (m, 2H) 7.18 (d, 1H) 7.10-7.16 (m, 1H) 7.27 (d, 2H) 7.34 (d, 1H).

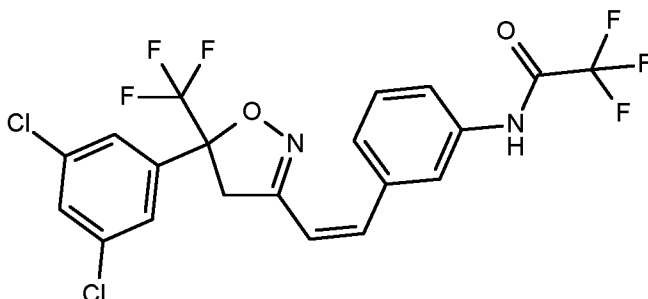
N-[3-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclopropanecarboxamide



LCMS (Method B) RT 2.43 min $[M-H]^+$ 466.9. 1H NMR ($CDCl_3$, 400 MHz): 0.83-0.94 (m, 2H), 1.05-1.08 (m, 2H) 1.47-1.49(m, 2H) 3.16 (d, 2H) 3.36 (d, 2H) 6.45 (d, 1H) 6.99 (d, 1H) 7.02 (d, 1H) 7.25-7.54 (m, 7H).

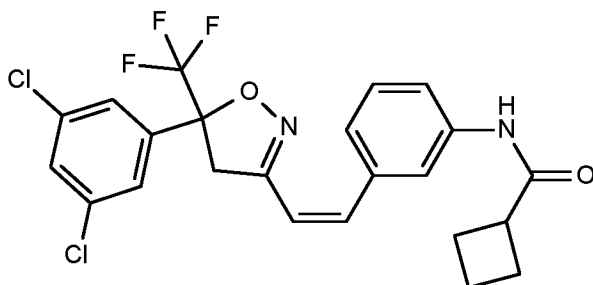
N-[3-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-2,2,2-trifluoroacetamide

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LCMS (Method B) RT 2.47 min $[M-H]^+$ 494.9. 1H NMR ($CDCl_3$, 400 MHz): 3.09 (d, 1H) 3.36 (d, 2H) 6.48 (d, 1H) 7.08(d, 1H) 7.28-7.56 (m, 6H) 7.92 (s, 1H).

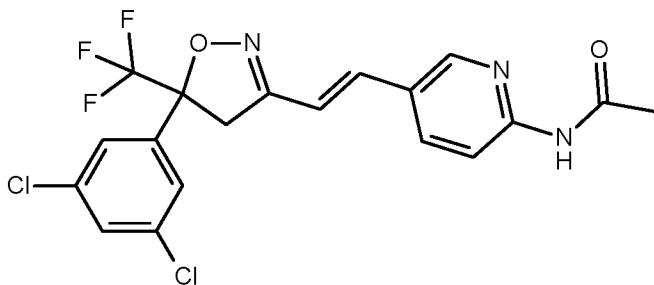
5 **N-[3-[(Z)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclobutanecarboxamide**



LCMS (Method B) RT 2.43 min $[M-H]^+$ 481.0. 1H NMR ($CDCl_3$, 400 MHz): 1.95-1.96 (m, 2H) 2.17-2.38(m, 4H) 3.15-3.28(m, 2H) 3.36 (d, 1H) 6.45 (d, 1H) 6.91(d, 1H) 7.02(d, 1H) 7.28-7.38 (m, 5H) 7.56 (m, 1H).

10

N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-pyridyl]acetamide



15

To a solution of 3-[(E)-2-bromovinyl]-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole & 3-[(Z)-2-bromovinyl]-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole (0.4 g) in tetrahydrofuran (10 mL) was added N-[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridyl]acetamide (0.3 g), Pd(dppf) $2Cl_2$ (0.04 g) and cesium carbonate(0.2 g). The reaction mass was stirred at 75 °C for 15hour.The reaction mass was filtered over celite bed, diluted with water (30 mL) and extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude products were purified by combiflash Rf, eluted

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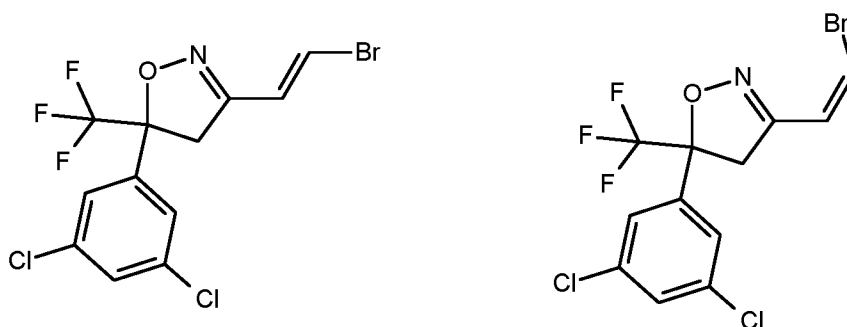
with cyclohexane/ethyl acetate to obtain mixture of title compounds as a solid (0.070 g). Mp-225-228 °C

LCMS (Method B) RT 2.25 min $[M+H]^+$ 443.9. 1H NMR ($CDCl_3$, 400 MHz): 2.14 (s, 3H) 3.49 (d, 1H) 3.71 (d, 1H) 6.76 (d, 1H) 7.00 (d, 1H) 7.42-7.48 (m, 2H) 7.87 -7.90(d, 1H) 8.29(d, 2H) 8.31 (s, 1H).

5

3-[(E)-2-bromovinyl]-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole AND

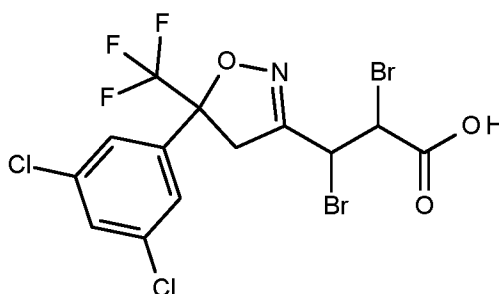
3-[(Z)-2-bromovinyl]-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole



(E)-3-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]prop-2-enoic acid (0.3 g) and acetic acid (15 mL) in 10 mL microwave vial was added silver acetate (1.23 g). The reaction mass was stirred at 110 °C under microwave irradiation for 3 min. The reaction mass was acidified with HCl (10% solution 30 mL) and diluted ethyl acetate (40 mL) and filtered through celite bed. The combined organic layer was washed with water (30 mL) dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compounds as a mixture (0.25 g). 1H NMR ($CDCl_3$, 400 MHz): 3.41 (d, 1H) 3.77 (d, 2H) 4.19 (d, 1H) 6.68 (d, 1H) 6.72 (d, 1H) 7.06 (d, 2H) 7.39-7.46 (m, 4H).

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2,3-dibromo-3-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]propanoic acid

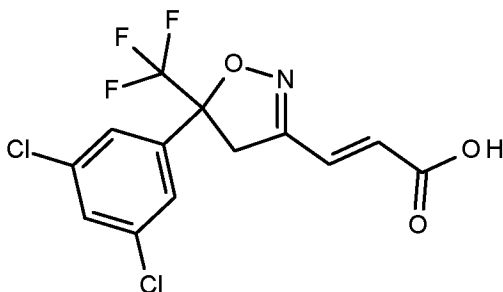


To suspension ethyl (E)-3-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]prop-2-enoic acid (0.2 gm) in chloroform (20 mL) was added bromine (0.1 mL). The reaction mass was stirred at 60 °C for 2 hour. The reaction mass was diluted with water (30 mL) extracted with chloroform (2 x 25 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. Thus obtained compound was taken to next step (0.25 g).

25

(E)-3-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]prop-2-enoic acid

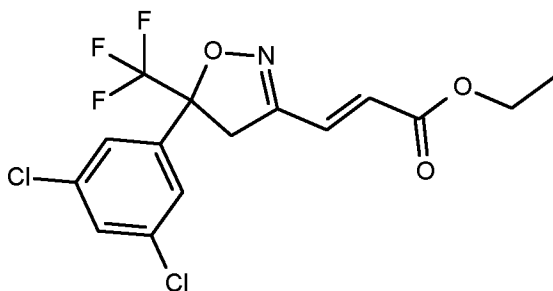
- 88 -



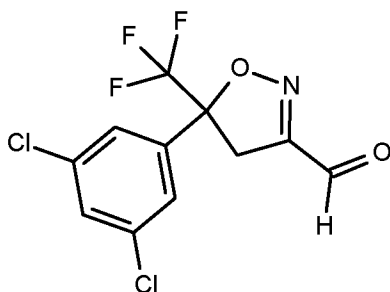
To suspension ethyl (E)-3-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]prop-2-enoate (1.9 g) in tetrahydrofuran (20 mL) was added sodium hydroxide (0.21 g, dissolved in water 1 ml) at ambient temperature. The reaction mass was stirred at ambient temperature for 4 hour. The reaction mass was concentrated off under reduced pressure. The reaction mass was diluted with water (30 mL) and acidified with HCl (10% solution 30 mL) extracted with ethyl acetate (3 x 25 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure (1 gm). ¹H NMR (CDCl₃, 400 MHz): 3.59 (d, 1H) 3.89 (d, 1H) 6.18 (d, 1H) 7.36-7.52 (m, 4H).

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ethyl (E)-3-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]prop-2-enoate

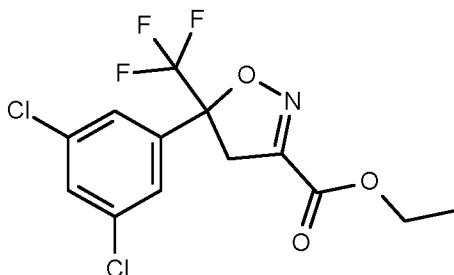


To suspension ethyl (diethoxyphosphoryl)acetate (1 gm) in tetrahydrofuran (20 mL) was added sodium hydride (0.18 g, 60%) at 0 °C. The reaction mass was stirred at 0 °C for 30 min 5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole-3-carbaldehyde (1.37 g dissolved in tetrahydrofuran 5 mL) was added at 0 °C . The reaction mass was stirred at ambient temperature for 4 hour. The reaction mass was quenched with NH₄Cl solution and reaction mass was concentrated off under reduced pressure. The reaction mass was diluted with water (30 mL) and extracted with ethyl acetate (3 x 25 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure (1 g). ¹H NMR (CDCl₃, 400 MHz): 1.39-1.42 (m, 3H) 3.43 (d, 1H) 3.83 (d, 1H) 4.23-4.28 (t, 2H) 6.16 (d, 1H) 7.42-7.52 (m, 4H).

5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole-3-carbaldehyde

To suspension [5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]methanol (9 g) in dichloromethane (130 mL) was added DessMartin periodinane (17 g) at ambient temperature. The reaction mass was stirred at ambient temperature for 15 hours. The reaction mass was quenched with sodium thiosulphate saturated solution. The reaction mass was diluted with water (130 mL) and extracted with dichloromethane (3 x 125 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure (7.3 g). ¹H NMR (CDCl₃, 400 MHz): 3.45 (d, 1H) 3.85 (d, 1H) 7.42-7.46 (m, 3H) 9.92(s, 1H).

10

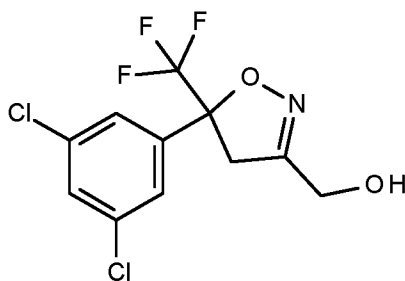
Ethyl 5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole-3-carboxylate

To a solution of 1,3-dichloro-5-[1-(trifluoromethyl)vinyl]benzene (76 g) and sodium carbonic acid (20 g) in ethyl acetate (180 ml) was added drop wise ethyl (2Z)-2-chloro-2-hydroxyimino-acetate (24 g) dissolved in ethyl acetate (60 ml) via a syringe pump for 60 hours at the rate of 1ml/min at ambient temperature. Reaction mass was filtered through sintered funnel. Ethyl acetate layer was washed with water (3 x 50ml). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (43 g). LCMS (Method A) RT 4.99 min [M+H]⁺ 355.73. ¹H NMR (CDCl₃, 400 MHz,): 1.25 (t, 3H) 3.54 (d, 1H) 3.94 (d, 1H) 4.36 (q, 2H) 7.42-7.43 (m, 3H).

20

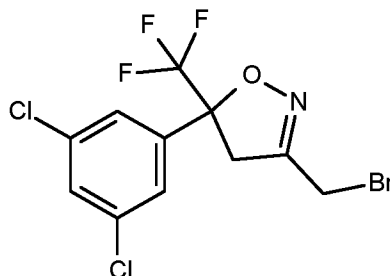
[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]methanol

- 90 -



To a solution of ethyl 5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole-3-carboxylate (30 g) in tetrahydrofuran (150 mL) and methanol (150 mL) was added portion wise sodium borohydride (3.19 g) . Reaction was allowed to stir at ambient temperature for 2 hours under nitrogen atmosphere. The reaction mass was concentrated under vacuum, diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (26 gm). LCMS (Method A) RT 4.55 min [M+H]⁺ 314.28. ¹H NMR (CDCl₃, 400 MHz,): 3.40 (d, 1H) 3.81 (d, 1H) 4.45 (s, 2H) 7.40-7.42 (m, 3H).

3-(bromomethyl)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole



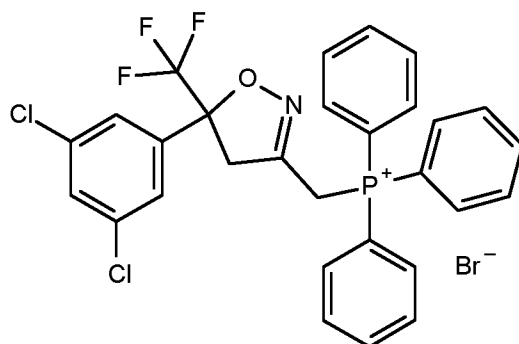
15

To a 0 °C cooled solution of [5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]methanol (3 g) in dichloromethane (30 mL) was slowly added tribromophosphane (1.22 mL). Reaction mass was stirred under nitrogen atmosphere for overnight at ambient temperature. The reaction mass was poured slowly into a conical of crushed ice (20 g), extracted with dichloromethane (3 x 100ml), washed with sodium bicarbonate solution. The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound (2 g). LCMS (Method A) RT 4.87 min [M-H]⁺ 374.71. ¹H NMR (CDCl₃, 400 MHz,): 3.47 (d, 1H) 3.85 (d, 1H) 4.12-4.19 (m, 2H) 7.43-7.43 (m, 3H).

25

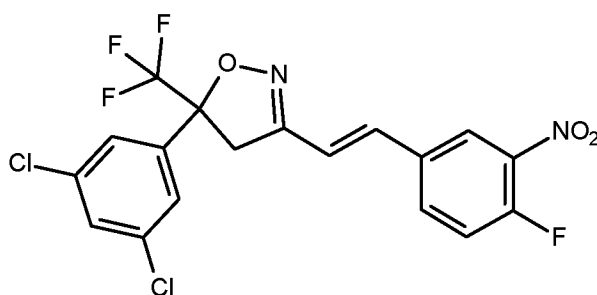
[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]methyl-triphenyl-phosphonium bromide

- 91 -



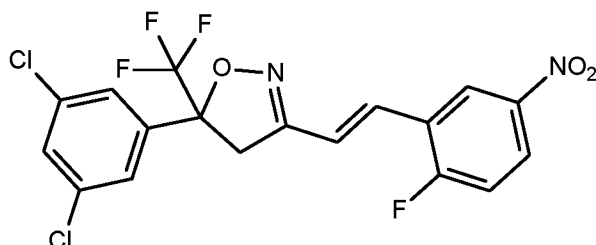
To a solution of 3-(bromomethyl)-5-(3,4,5-trichlorophenyl)-5-(trifluoromethyl)-4H-isoxazole (5.0 gm) in toluene (55 mL) was added triphenylphosphane (3.57 gm) . The reaction was stirred at ambient temperature under nitrogen atmosphere for 2 days. After 2 days there was solid formation. The solid was filtered under nitrogen atmosphere and dried under high vacuum to give 4.0 gm. Salt is taken as such for the next step.

5-(3,5-dichlorophenyl)-3-[(E)-2-(4-fluoro-3-nitro-phenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole



To a 0 °C cooled suspension of [5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]methyl-triphenyl-phosphonium bromide (6.80 gm) in tetrahydrofuran (45 mL) was added potassium 2-methylpropan-2-olate (1.1 gm) . The reaction mass was stirred at low temperature for 1hr under nitrogen atmosphere. To this was added a solution of 4-fluoro-3-nitro-benzaldehyde (1.5 g) in tetrahydrofuran (2ml). The reaction was stirred for 1hour at ambient temperature. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (3 gm). LCMS (Method B) RT 2.51 min [M-H]⁺ 447.0.

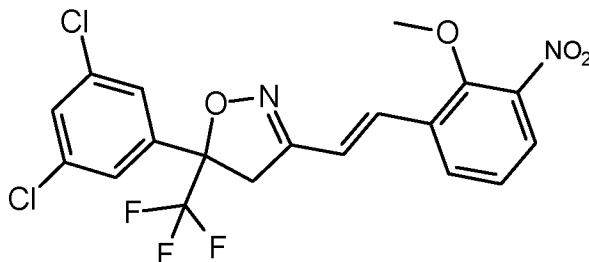
5-(3,5-dichlorophenyl)-3-[(E)-2-(2-fluoro-5-nitro-phenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole



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^1H NMR (CDCl_3 , 400 MHz,): 3.58 (d, 1H) 3.96 (d, 1H) 6.91 (d, 1H) 7.21-7.30 (m, 2H) 7.41-7.43 (m, 1H) 7.49-7.51 (m, 2H) 8.21-8.24 (m, 1H) 8.45-8.47 (m, 1H).

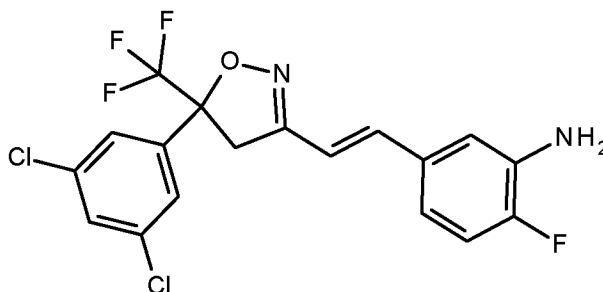
5 **5-(3,5-dichlorophenyl)-3-[(E)-2-(2-methoxy-3-nitro-phenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole**



LCMS (Method A) RT 5.33 min $[\text{M}+\text{H}]^+$ 460.8.

10 ^1H NMR (CDCl_3 , 400 MHz: 3.50 (d, 1H) 3.87 (s, 3H) 3.90 (d, 1H) 7.02 (dd, 2H) 7.19-7.21 (m, 1H) 7.36-7.40 (m, 1H) 7.41-7.44 (m, 2H) 7.69-7.47 (m, 2H)

15 **5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-aniline**



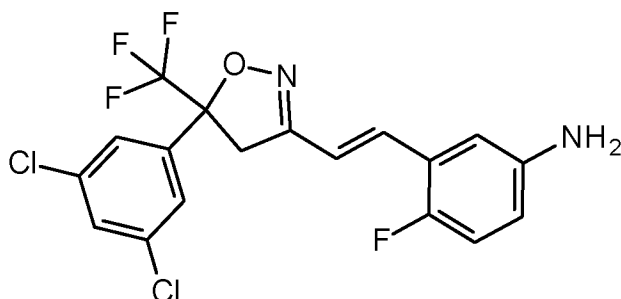
15

To a solution of 5-(3,5-dichlorophenyl)-3-[(E)-2-(4-fluoro-3-nitro-phenyl)vinyl]-5-(trifluoromethyl)-4H-isoxazole (3 g) in ethyl acetate (40 mL) was added acetic acid (20 mL), water (20 mL) followed by iron powder (1.50 gm). The reaction mass was stirred at 90°C for 1 hour. The reaction mass was filtered over celite bed, extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (2.5 gm). ^1H NMR (CDCl_3 , 400 MHz,): 3.54 (d, 1H) 3.92 (d, 1H) 6.70 (d, 1H) 6.90 (d, 1H) 6.96-7.10 (m, 1H) 7.12-7.20 (m, 1H) 7.21-7.23 (m, 1H) 7.41-7.43 (m, 1H) 7.45-7.48 (m, 2H).

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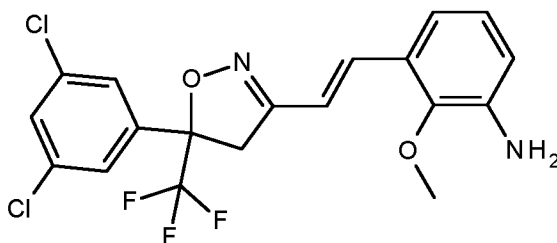
3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-4-fluoro-aniline

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LCMS (Method A) RT 5.70 min $[M+H]^+$ 419.2. 1H NMR ($CDCl_3$, 400 MHz: 3.54 (d, 1H) 3.92 (d, 1H)
 6.61-6.64 (m, 1H) 6.79-6.82 (m, 1H) 6.87-6.89 (m, 1H) 6.91 (s, 1H) 6.98-7.02 (m, 1H) 7.41-7.43 (m,
 5 1H) 7.48-7.50 (m, 2H)

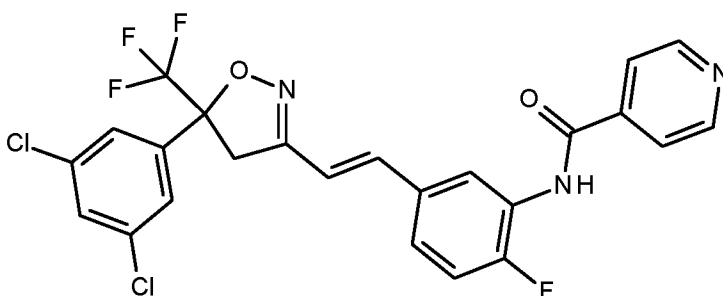
3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-methoxy-aniline



LCMS (Method B) RT 2.25 min $[M+H]^+$ 431.0. 1H NMR ($CDCl_3$, 400 MHz: 3.56 (d, 1H) 3.76 (s, 3H)
 10 3.95 (d, 1H) 3.76-3.78 (m, 1H) 3.93-3.96 (m, 2H) 7.03-7.06 (m, 2H) 7.41-7.43 (m, 1H) 7.50-7.52 (m,
 2H)

N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]pyridine-4-carboxamide

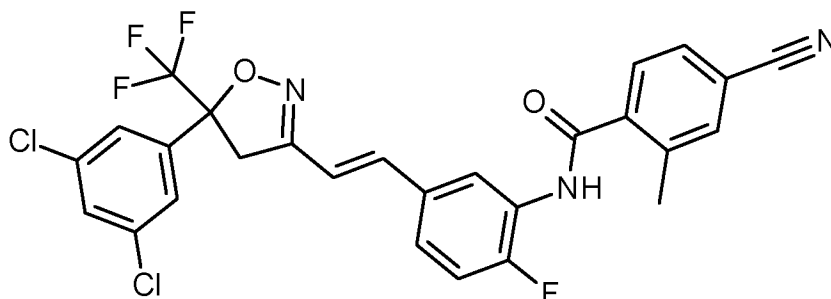
15



A solution of 5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-aniline
 (200 mg) and pyridine-4-carbonyl chloride hydrochloride (100 mg) in tetrahydrofuran (2 mL) was
 refluxed for 2-3 hours. The reaction mixture was diluted with water (10 mL), extracted with ethyl
 20 acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and
 concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with
 cyclohexane/ethyl acetate to obtain the title compound as a solid (180 mg). LCMS (Method A) RT
 6.18 min $[M+H]^+$ 524.1. 1H NMR ($CDCl_3$, 400 MHz,): 3.55 (d, 2H) 3.94 (d, 2H) 6.78 (d, 1H) 6.98 (d,

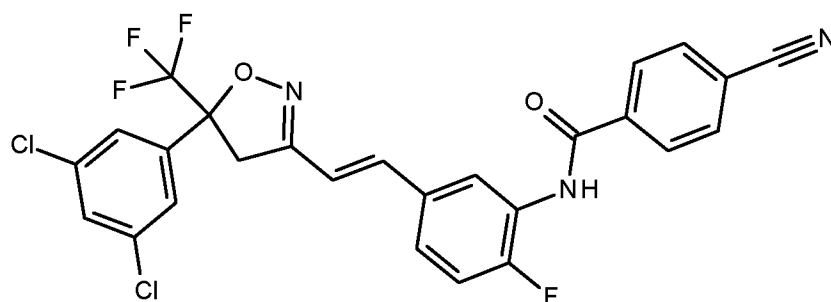
1H) 7.14 (m, 1H) 7.24 (m, 1H) 7.40 (m, 1H) 7.47 (m, 2H) 7.80 (m, 2H) 8.35 (s, 1H) 8.54 (m, 1H) 8.84 (s, 2H).

5 **4-cyano-N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]-2-methyl-benzamide**



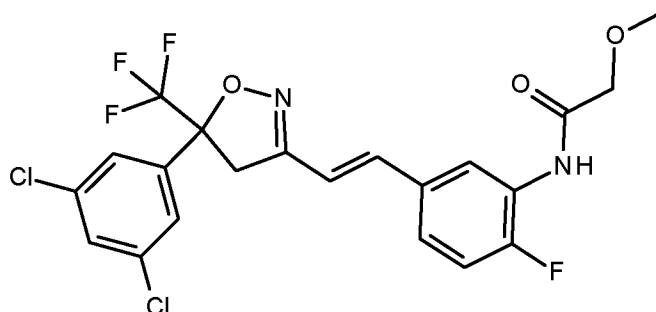
LCMS (Method A) RT 6.27 min $[M-H]^+$ 562.1. 1H NMR ($CDCl_3$, 400 MHz,): 2.53 (s, 3H) 3.57 (d, 1H) 3.94 (d, 1H) 6.79 (d, 1H) 6.96 (d, 1H) 7.12-1.18 (m, 1H) 7.21-7.24 (m, 1H) 7.39-7.41 (m, 1H) 7.44-7.47 (m, 2H) 7.55-7.63 (m, 3H) 7.81-7.83 (m, 1H) 8.59 (d, 1H).

10 **4-cyano-N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]benzamide**



15 LCMS (Method A) RT 6.21 min $[M-H]^+$ 548.09. 1H NMR ($CDCl_3$, 400 MHz,): 3.54 (d, 1H) 3.92 (d, 1H) 6.79 (d, 1H) 6.96 (d, 1H) 7.10-7.18 (m, 1H) 7.21-7.24 (m, 1H) 7.39-7.41 (m, 1H) 7.44-7.47 (m, 2H) 7.80 (d, 2H) 8.00 (d, 2H) 8.48-8.49 (m, 2H).

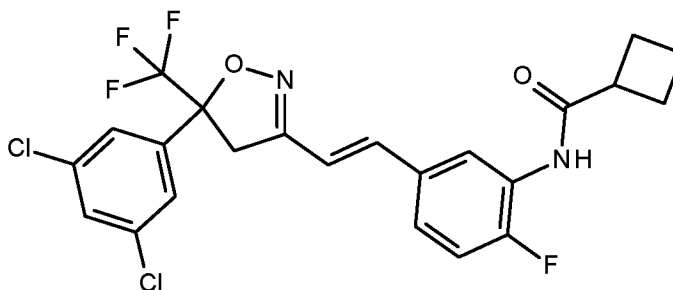
20 **N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]-2-methoxy-acetamide**



To a 0 °C cooled solution of 5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-aniline (150 mg) in dichloromethane (4 mL) was added N,N-diethylethanamine (0.06 mL) , 2-methoxyacetyl chloride (46 mg) . The reaction mass was stirred at ambient temperature for 2 hours under nitrogen atmosphere. The reaction mass was diluted with sodium bicarbonate solution (10ml), extracted with dichloromethane (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (110 mg). LCMS (Method A) RT 5.83 min [M+H]⁺ 491.06. ¹H NMR (CDCl₃, 400 MHz,): 3.52 (s, 3H) 3.56 (d, 1H) 3.93 (d, 1H) 4.05 (s, 2H) 6.78 (d, 1H) 6.98 (d, 1H) 7.09-7.14 (m, 1H) 7.18-7.23 (m, 1H) 7.41-7.43 (m, 1H) 7.48-7.51 (m, 2H) 8.53-8.58 (m, 2H).

N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]cyclobutanecarboxamide

15

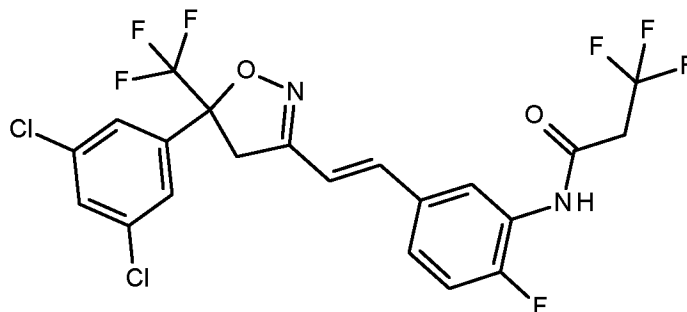


LCMS (Method A) RT 5.89 min [M+H]⁺ 501.09. ¹H NMR (CDCl₃, 400 MHz,): 1.96-2.04 (m, 2H) 2.20-2.40 (m, 4H) 3.18-3.21 (m, 1H) 3.54 (d, 1H) 3.92 (m, 1H) 6.77 (d, 1H) 6.97 (d, 1H) 7.06-7.10 (m, 1H) 7.13-7.16 (m, 1H) 7.22-7.25 (m, 1H) 7.41-7.43 (m, 1H) 7.48-7.51 (m, 2H) 8.58 (d, 1H).

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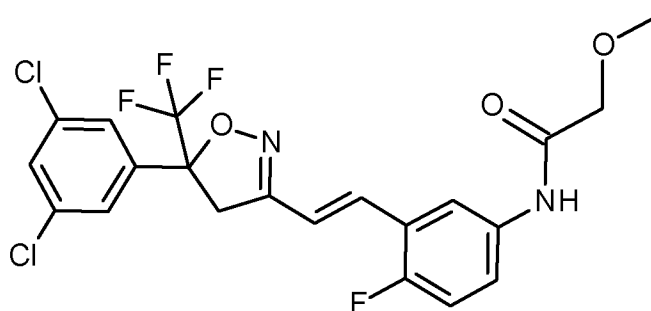
N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]-3,3,3-trifluoro-propanamide

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LCMS (Method A) RT 5.77 min $[M+H]^+$ 528.93. 1H NMR ($CDCl_3$, 400 MHz.): 3.34-3.37 (m, 2H) 3.54 (d, 1H) 3.89 (d, 1H) 6.78 (d, 1H) 6.86 (d, 1H) 7.12-7.20 (m, 1H) 7.16-7.21 (m, 1H) 7.39-7.41 (m, 1H) 7.42-7.44 (m, 2H) 8.37-8.39 (m, 1H) 9.10 (s, 1H).

N-[3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-4-fluoro-phenyl]-2-methoxyacetamide

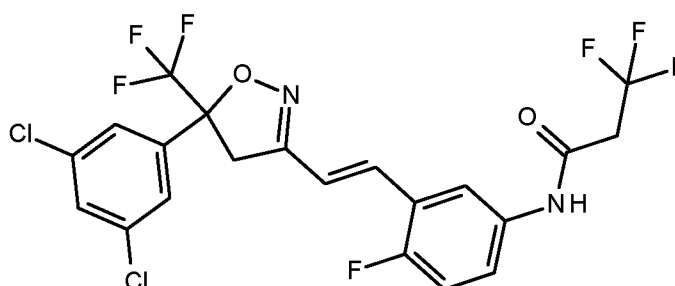


10

LCMS (Method A) RT 5.83 min $[M+H]^+$ 491.30. 1H NMR ($CDCl_3$, 400 MHz.): 3.50 (s, 3H) 3.56 (d, 1H) 3.94 (d, 1H) 4.02 (s, 2H) 6.90 (d, 1H) 7.03 (d, 1H) 7.10-7.14 (m, 1H) 7.41-7.43 (m, 1H) 7.45-7.50 (m, 3H) 7.81-7.84 (m, 1H) 8.26 (s, 1H).

15

N-[3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-4-fluoro-phenyl]-3,3,3-trifluoro-propanamide

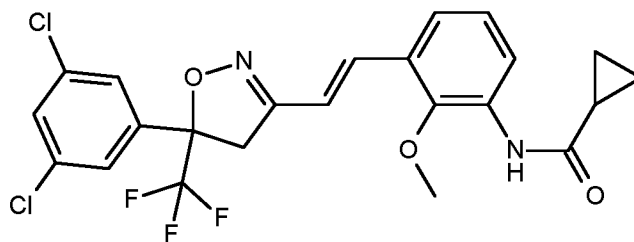


20

LCMS (Method A) RT 5.76 min $[M+H]^+$ 528.94. 1H NMR ($CDCl_3$, 400 MHz.): 3.22-3.29 (m, 2H) 3.54

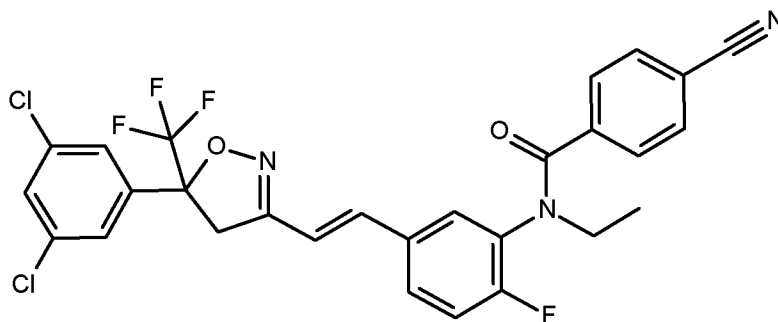
(d, 1H) 3.94 (d, 1H) 6.90 (d, 1H) 7.03-7.10 (m, 2H) 7.41-7.44 (m, 2H) 7.48-7.50 (m, 2H) 7.73-7.77 (m, 2H).

N-[3-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-methoxy-phenyl]cyclopropanecarboxamide



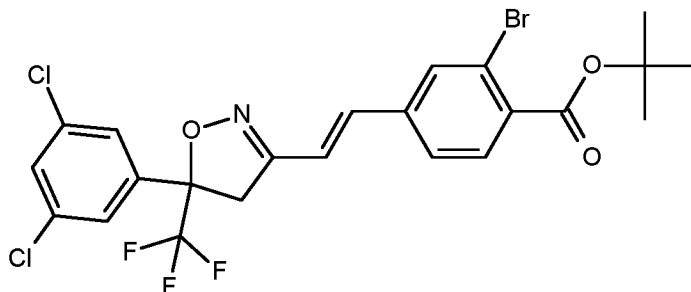
LCMS (Method B) RT 2.48 min $[M-H]^+$ 497.0. 1H NMR ($CDCl_3$, 400 MHz): 0.87-0.91 (m, 2H) 1.09-1.12 (m, 2H) 1.57-1.59 (m, 1H) 3.55 (d, 1H) 3.80 (s, 3H) 3.95 (d, 1H) 7.0 (d, 1H) 7.10 (d, 1H) 7.23 (d, 1H) 7.21-7.23 (m, 1H) 7.41-7.43 (m, 1H) 7.50-7.53 (m, 2H) 7.80 (s, 1H) 8.32 (d, 1H).

4-cyano-N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]-N-ethyl-benzamide



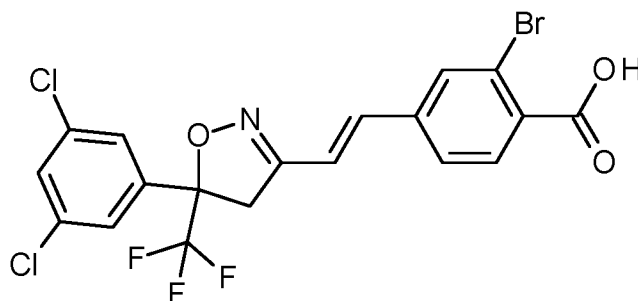
To a solution of 4-cyano-N-[5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-fluoro-phenyl]benzamide (300 mg) in tetrahydrofuran (3 mL) was added iodoethane (426 mg) under nitrogen atmosphere. Reaction mass was cooled to $0^{\circ}C$, to this was then added in one lot sodium hydride (43 mg). The resultant mixture was stirred at ambient temperature under nitrogen atmosphere for overnight. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (200 mg). LCMS (Method A) RT 5.38 min $[M+H]^+$ 576.04.

tert-butyl 2-bromo-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzoate



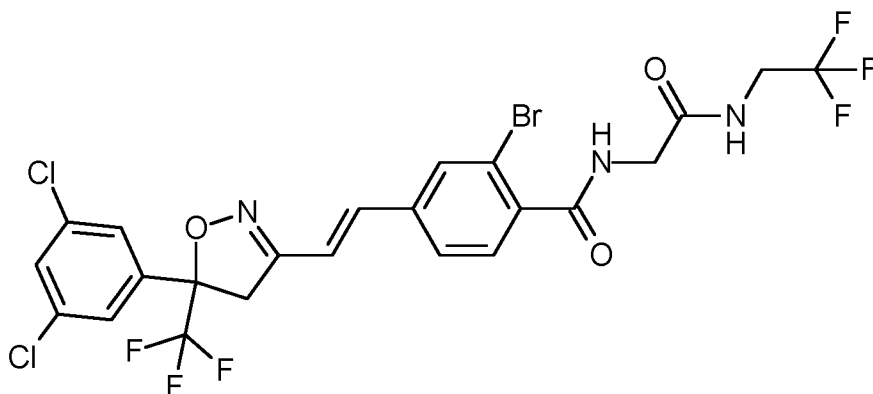
To the solution of [5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]methyl-triphenylphosphonium bromide (540 mg) in tetrahydrofuran (2 mL) ice cooled to 0 °C, Potassium t-butoxide (90 mg) was added. The reaction mixture was allowed to stir for 1 hour, a yellow colored solid (ylide) formed. This mixture was ice cooled and a solution of tert-butyl 2-bromo-4-formylbenzoate (200 mg) in tetrahydrofuran (2 mL) was added dropwise. Reaction mixture was allowed to stir for another one hour. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (200 mg). LCMS (Method A) RT 5.51 min [M+H]⁺ 564.03. ¹H NMR (CDCl₃, 400 MHz,): 1.54 (s, 9H) 3.47 (d, 1H) 3.85 (d, 1H) 6.64 (d, 1H) 6.98 (d, 1H) 7.34-7.36 (m, 2H) 7.40-7.42 (m, 2H) 7.62-7.64 (m, 2H).

2-bromo-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzoic acid



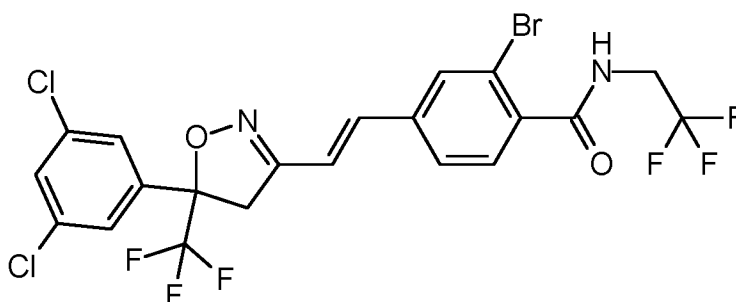
To the solution of tert-butyl 2-bromo-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzoate (1000 mg) in dichloromethane (5 mL) was added 2,2,2-trifluoroacetic acid (810 mg) under nitrogen atmosphere. The reaction mixture was allowed to stir at ambient temperature for overnight. LCMS (Method B) RT 2.39 min [M-H]⁺ 507.8. ¹H NMR (CDCl₃, 400 MHz,): 3.61 (d, 1H) 3.92 (d, 1H) 6.76 (d, 1H) 6.88 (d, 1H) 7.22-7.26 (m, 1H) 7.33-7.37 (m, 2H) 7.41-7.43 (m, 2H) 7.52-7.54 (m, 1H).

2-bromo-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-N-[2-oxo-2-(2,2,2-trifluoroethylamino)ethyl]benzamide



To the solution of 2-bromo-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzoic acid (150 mg) in dichloromethane (2.5 mL) was added *N*-(3-Dimethylaminopropyl)-*N'*-ethylcarbodiimide hydrochloride (87 mg), 1-hydroxybenzotriazole monohydrate (45 mg), triethylamine (120 mg) and 2-amino-*N*-(2,2,2-trifluoroethyl)acetamide (52 mg). The reaction mixture was allowed to stir at ambient temperature under nitrogen atmosphere for overnight. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 10 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (180 mg). LCMS (Method B) RT 2.33 min $[M+H]^+$ 645.8. 1H NMR ($CDCl_3$, 400 MHz): 3.54 (d, 1H) 3.91-3.95 (m, 3H) 4.23-4.24 (m, 2H) 6.72 (d, 1H) 7.52 (d, 1H) 7.16-7.22 (m, 1H) 7.33-7.36 (m, 1H) 7.41-7.43 (m, 2H) 7.47-7.49 (m, 2H) 7.51-7.53 (m, 1H) 7.63-7.66 (m, 1H).

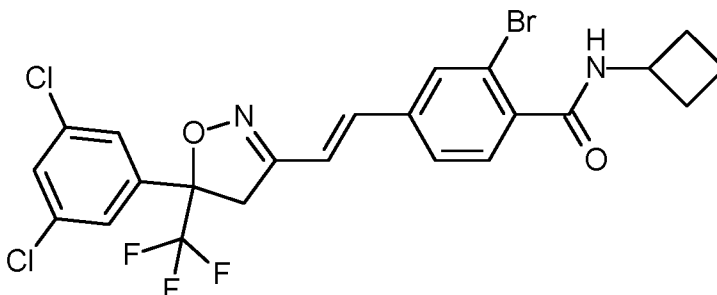
2-bromo-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-N-(2,2,2-trifluoroethyl)benzamide



LCMS (Method B) RT 2.44 min $[M-H]^+$ 588.8. 1H NMR ($CDCl_3$, 400 MHz): 3.54 (d, 1H) 3.94 (d, 1H) 4.08-4.12 (m, 2H) 6.60 (s, 1H), 6.70 (d, 1H) 7.00 (d, 1H) 7.39-7.44 (m, 2H) 7.47-7.50 (m, 2H) 7.50-7.53 (m, 1H) 7.63-7.67 (m, 1H).

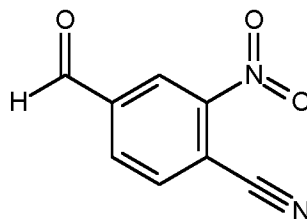
2-bromo-N-cyclobutyl-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzamide

- 100 -



LCMS (Method B) RT 2.45 min $[M+H]^+$ 561.9. 1H NMR ($CDCl_3$, 400 MHz): 1.71-1.73 (m, 2H) 1.92-1.97 (m, 2H) 2.37-2.38 (m, 2H) 3.48 (d, 1H) 3.88 (d, 1H) 4.50-4.52 (m, 1H) 6.11 (brs, 1H) 6.65 (d, 1H) 6.95 (d, 1H) 7.36-7.38 (m, 2H) 7.40-7.42 (m, 2H) 7.48 (d, 1H) 7.57-7.59 (m, 1H).

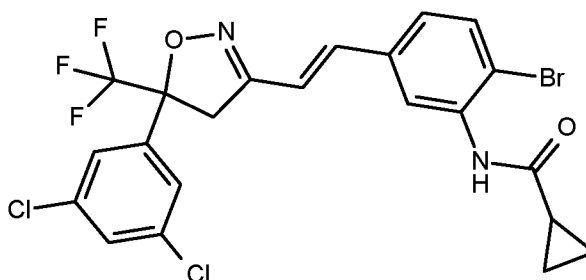
4-formyl-2-nitro-benzonitrile



To a solution of 4-bromo-3-nitro-benzaldehyde (25 g) in Dimethyl formamide (15 ml) was added copper cyanide (14.6 g). The resultant solution was stirred and heated at 160 °C for 5 hours. The reaction mixture was diluted with water (200 mL), extracted with ethyl acetate (3 x 200 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (12 g). LCMS (Method B) RT 1.39 min $[M-H]^+$ 176.9. 1H NMR ($CDCl_3$, 400 MHz): 8.10 (dd, 1H) 8.13 (dd, 1H) 8.63 (s, 1H)

N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]cyclopropanecarboxamide

20

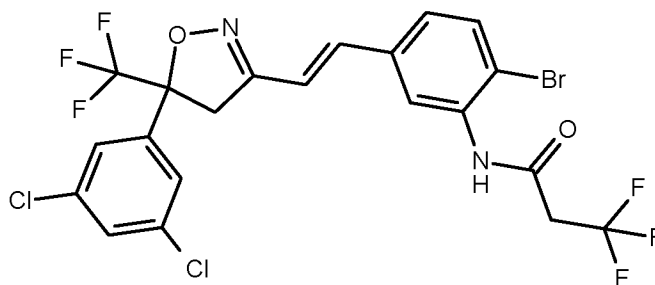


To a solution of 2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]

(100 mg) in tetrahydrofuran (2 mL) was added triethylamine(0.04 ml) followed by addition of cyclopropane carbonyl chloride(0.02 ml) maintaining the temperature at 0 °C. the resulting solution was stirred for 18 hours The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (75 mg). LCMS (Method B) RT 2.54 min [M-H]⁺ 547.0. ¹H NMR (CDCl₃, 400 MHz,): 0.92-0.94 (m, 2H) 1.1-1.14(m, 2H) 1.23-1.25 (m, 1H) 3.56 (d, 1H) 3.93 (d, 1H) 6.74 (d, 1H) 7.0(d, 1H) 7.21-7.23(m, 1H) 8.5(s, 1H) 7.8(s, 1H) 7.52-7.54(m, 1H) 7.47-7.48(m, 2H) 7.41-7.42(m, 1H)

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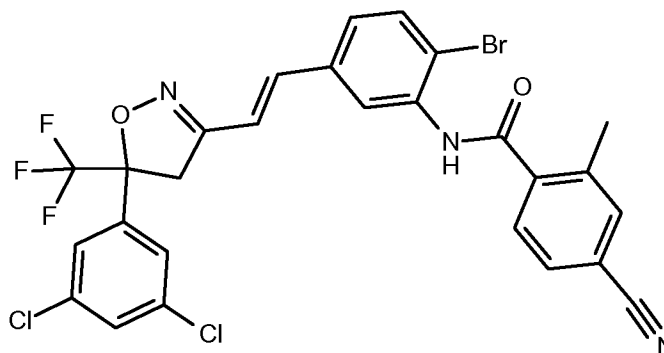
N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-3,3,3-trifluoro-propanamide



15 LCMS (Method B) RT 2.51 min [M-H]⁺ 589.0. ¹H NMR (CDCl₃, 400 MHz,): 3.34-3.37 (m, 2H) 3.54 (d, 1H) 3.89 (d, 1H) 6.74 (d, 1H) 7.0 (d, 1H)) 7.21-7.23 (m, 1H) 7.41-7.42 (m, 1H) 7.47-7.48(m, 2H) 7.56-7.58 (m, 1H) 8.82-8.92 (m, 1H) 8.45 (brs, 1H).

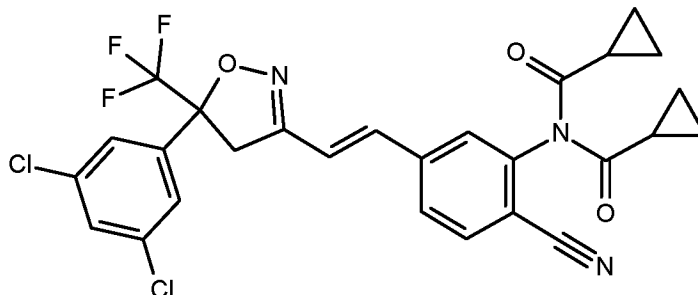
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N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-4-cyano-2-methyl-benzamide



25 LCMS (Method B) RT 2.57 min [M-H]⁺ 621.9 : ¹H NMR (CDCl₃, 400 MHz,): 2.58(s, 3H) 4.10 (d, 1H) 4.20 (d, 1H) 7.1 (d, 1H) 7.2 (d, 1H)) 7.51-7.53 (m, 1H) 7.58 (d, 2H) 7.70 (d, 1H) 7.75 (d, 1H) 7.81-7.82 (m, 2H) 7.82-7.85(m, 2H) 10.3(s,1H)

N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N-(cyclopropanecarbonyl)cyclopropanecarboxamide

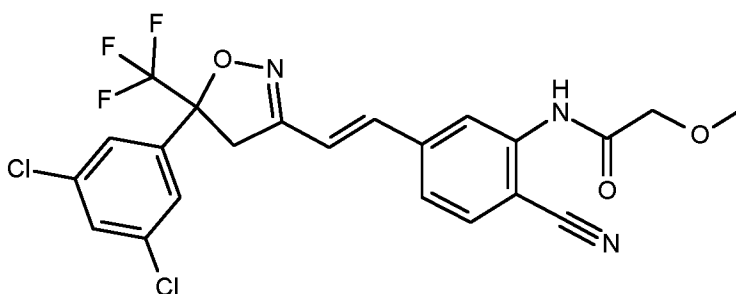


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To a solution of 2-amino-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzonitrile] (60 mg) in tetrahydrofuran (2 mL) was added triethylamine(0.03 ml) followed by addition of cyclopropane carbonyl chloride(0.026 ml) maintaining the temperature at 0 °C. the resulting solution was stirred for 18 hours The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 10 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (33 mg). LCMS (Method B) RT 2.52 min [M+HCl] 598.0. ¹H NMR (CDCl₃, 400 MHz,): 0.92-0.94 (m, 4H) 1.1-1.14(m, 4H) 2.01-2.21 (m, 2H) 3.56 (d, 1H) 3.93 (d, 1H) 6.77 (d, 1H) 7.1(d, 1H) 7.49-7.5(m, 4H) 7.57-7.58(m, 1H) 7.78(d, 1H)

15

N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-2-methoxy-acetamide

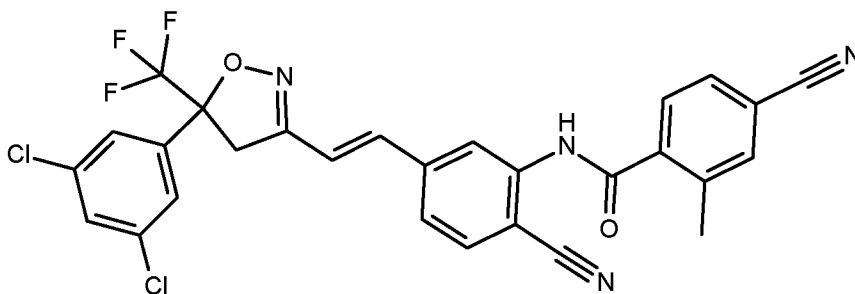


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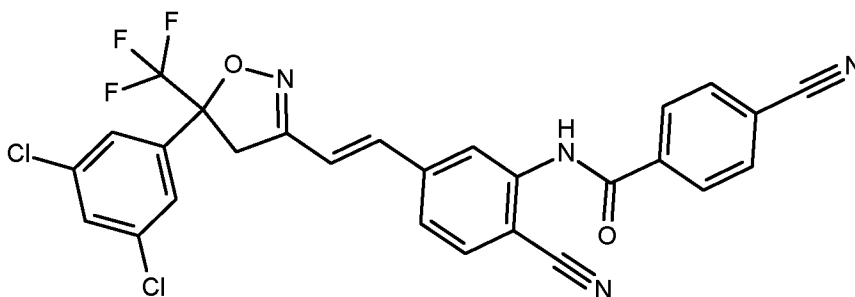
LCMS (Method B) RT 2.40 min [M+H]⁺ 498.0. ¹H NMR (CDCl₃, 400 MHz,): 3.5 (s, 3H) 3.9(d, 1H) 4.12 (d, 1H) 4.41 (s, 2H) 6.65 (d, 1H) 6.79(d, 1H) 6.82-6.84(m, 1H) 7.02(d, 1H) 7.38-7.42(m, 1H) 7.42-7.43(m, 1H) 7.48-7.50(m, 3H)

25

4-cyano-N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-

yl]vinyl]phenyl]-2-methyl-benzamide

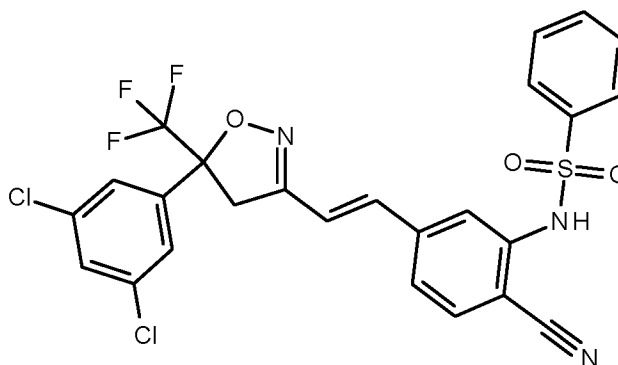
LCMS (Method B) RT 2.44 min $[M-H]^+$ 567.0 1H NMR ($CDCl_3$, 400 MHz,): 2.59 (s, 3H) 3.58(d, 1H)
 5 3.96 (d, 1H) 6.84 (d, 1H) 7.32 (d, 1H) 7.36-7.39(m, 1H) 7.43-7.45(m, 1H) 7.49-7.50(m,2H) 7.62-
 7.69(m,4H) 7.9 (s, 1H) 8.69 (brs, 1H)

4-cyano-N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]benzamide

10

LCMS (Method B) RT 2.41 min $[M-H]^+$ 553.0. 1H NMR ($CDCl_3$, 400 MHz,): 3.67(d, 1H) 3.96 (d, 1H)
 6.91 (d, 1H) 7.12 (d, 1H) 7.38-7.41(m, 4H) 7.62-7.66(m, 1H) 7.76-7.78(m, 2H) 7.82-7.83(m, 1H) 8.54-
 8.64(m, 2H) 10.51(s, 1H)

15

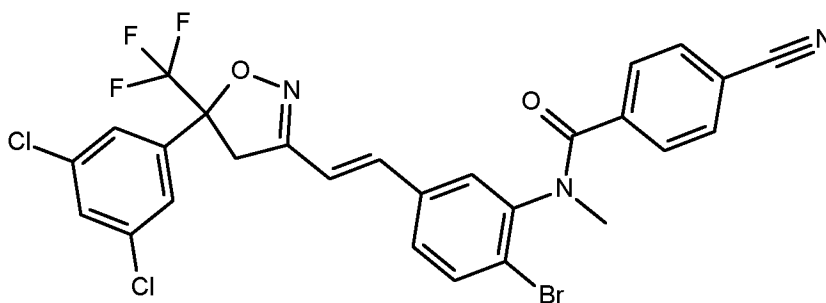
N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]benzenesulfonamide

20 To a solution of 2-amino-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-

yl]vinyl]benzotrile (150 mg) in pyridine (2 mL) was added benzene sulphonyl chloride(0.06 ml) and the resulting solution was stirred at ambient temperature for 18 hours The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (97 mg). LCMS (Method B) RT 2.59 min $[M-H]^+$ 564.0. 1H NMR ($CDCl_3$, 400 MHz,): 3.55 (d, 1H) 3.89 (d, 1H) 6.74 (d, 1H) 6.96(d, 1H) 7.22-7.26(m, 1H) 7.43-7.44(m, 1H) 7.44-7.50(m, 2H) 7.59-7.62(m, 2H) 6.99-7.01(m, 1H) 7.74-7.9(m, 2H) 7.9(d, 2H)

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N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-4-cyano-N-methyl-benzamide

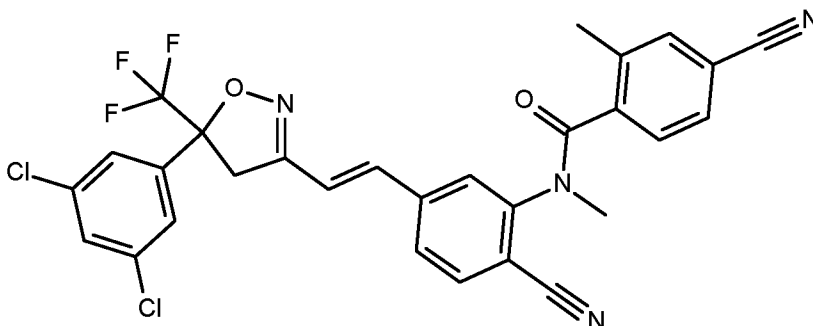


To a solution N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-4-cyano-benzamide(180 mg) in tetrahydrofuran (4 mL) was added iodomethane (0.09 ml) under nitrogen atmosphere . Reaction mass was cooled to 0 °C, to this was then added in one lot sodium hydride (25 mg). The resultant mixture was stirred at ambient temperature under nitrogen atmosphere for overnight. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 10 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (125 mg). LCMS (Method B) RT 2.51 min $[M+H]^+$ 623.8. 1H NMR ($CDCl_3$, 400 MHz,): 3.40(s, 3H) 3.48 (d, 1H) 3.87 (d, 1H) 6.60 (d, 1H) 6.99(d, 1H) 7.16-7.26(m, 2H) 7.42-7.43(m, 7H) 7.5(d, 1H)

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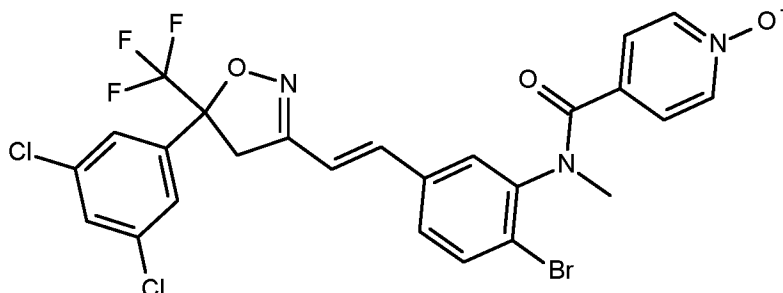
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4-cyano-N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N,2-dimethyl-benzamide



LCMS (Method A) RT 6.03 min $[M+H]^+$ 583.1. 1H NMR ($CDCl_3$, 400 MHz.): 1.42(s, 3H) 3.40(s, 3H) 3.48 (d, 1H) 3.87 (d, 1H) 6.60 (d, 1H) 6.99(d, 1H) 7.16-7.26(m, 2H) 7.42-7.43(m, 6H) 7.5(d, 1H)

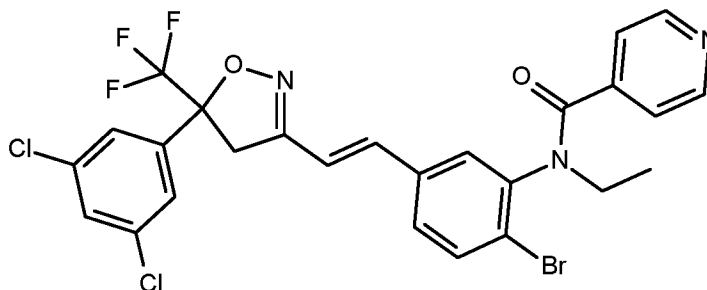
5 **N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N-methyl-1-oxido-pyridin-1-ium-4-carboxamide**



To a solution of N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N-methyl-pyridine-4-carboxamide(100 mg) in ethyl acetate (4 mL) was added 3-chloroperoxybenzoic acid(50 mg) and the resulting solution was stirred at ambient temperature for 5 hours The reaction mixture was quenched with sodium bicarbonate, diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (50 mg).

15 LCMS (Method B) RT 2.19 min $[M+H]^+$ 615.9. 1H NMR ($CDCl_3$, 400 MHz.): 3.32(s, 3H) 3.61 (d, 1H) 3.89 (d, 1H) 6.64 (d, 1H) 6.91(d, 1H) 7.35-7.39(m, 5H) 7.54-7.56(m, 3H) 8.18-8.21(m, 2H)

N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N-ethyl-pyridine-4-carboxamide

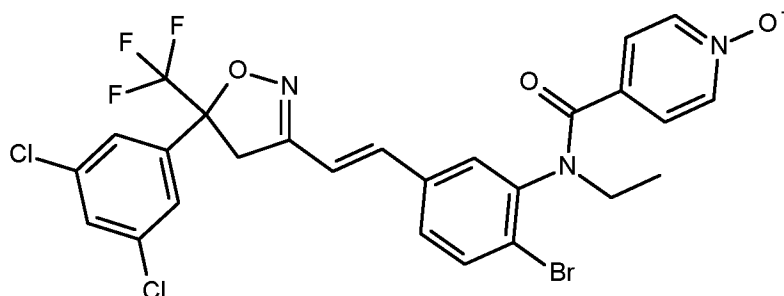


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LCMS (Method B) RT 2.43 min $[M+H]^+$ 614.9. 1H NMR ($CDCl_3$, 400 MHz.): 1.25(t, 3H) 3.61(q, 2H) 4.12 (d, 1H) 4.26 (d, 1H) 6.60 (d, 1H) 6.91(d, 1H) 7.17-7.20(m, 2H) 7.42-7.45(m, 5H) 7.56-7.58(m, 1H) 8.51-8.56(m, 2H)

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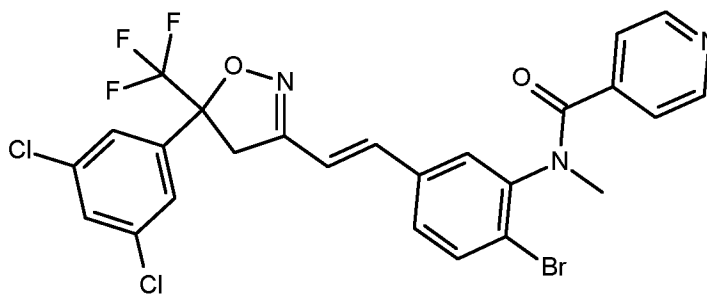
N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N-ethyl-1-oxido-pyridin-1-ium-4-carboxamide



LCMS (Method B) RT 2.28 min $[M+H]^+$ 629.8. 1H NMR ($CDCl_3$, 400 MHz.): 1.21(t, 3H) 3.51(q, 2H) 3.99 (d, 1H) 4.11 (d, 1H) 6.60 (d, 1H) 6.91(d, 1H) 7.22-7.24(m, 2H) 7.30-7.41(m, 5H) 7.54-7.56(d, 2H) 8.19-8.20(m, 2H)

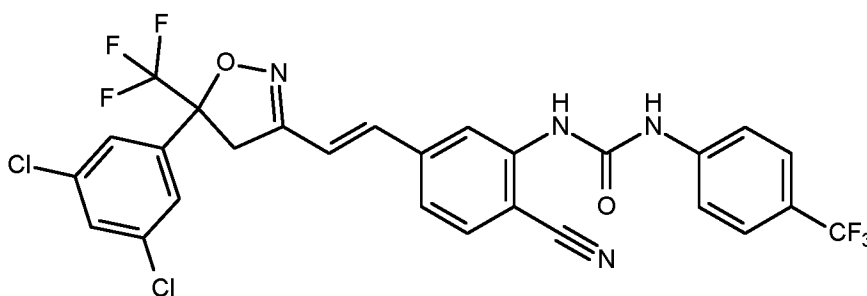
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N-[2-bromo-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-N-methyl-pyridine-4-carboxamide



10 LCMS (Method B) RT 2.35 min $[M+H]^+$ 615.9. 1H NMR ($CDCl_3$, 400 MHz.): 3.43(s, 3H) 3.61 (d, 1H) 3.97 (d, 1H) 6.64 (d, 1H) 6.91(d, 1H) 7.42-7.46(m, 5H) 7.57-7.59(m, 3H) 8.55-8.57(m, 2H)

1-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-3-[4-(trifluoromethyl)phenyl]urea



15

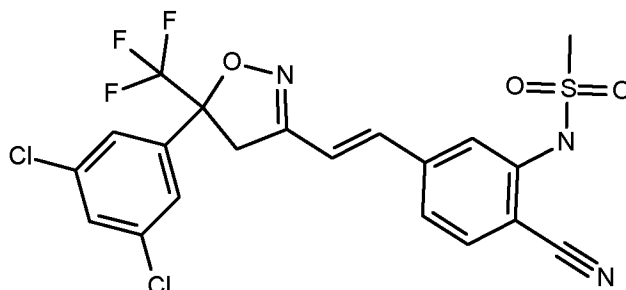
A solution of 2-amino-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzonitrile (150 mg) and 1-isocyanato-4-(trifluoromethyl)benzene (65 mg) in dichloromethane (4 mL) was refluxed for 5-6 hours. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. The crude product was purified by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the title compound as a solid (100 mg). LCMS (Method A) RT 5.45 min $[M+H]^+$ 612.9. 1H NMR (DMSO, 400 MHz.): 4.17 (d, 1H) 4.27(d,

20

1H) 7.15 (d, 1H) 7.19 (d, 1H) 7.56-7.58 (m, 3H) 7.58-7.59 (m, 4H) 7.69-7.80 (m, 2H) 8.29 (s, 1H)
8.91 (brs, 1H) 9.83 (brs, 1H)

N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]methanesulfonamide

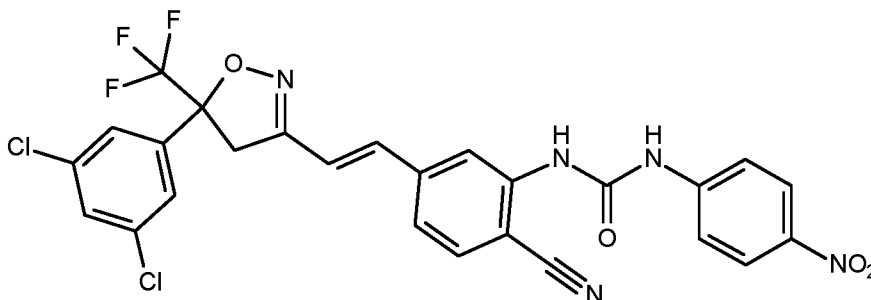
5



LCMS (Method B) RT 2.29 min $[M-H]^+$ 502.1. 1H NMR ($CDCl_3$, 400 MHz,): 3.14(s, 3H) 3.55 (d, 1H)
4.12 (d, 1H) 6.79 (d, 1H) 7.15(d, 1H) 7.35-7.41(m, 1H) 7.42-7.51(m, 2H) 7.65-7.71(m, 3H) 7.78-
7.81(m, 1H)

10

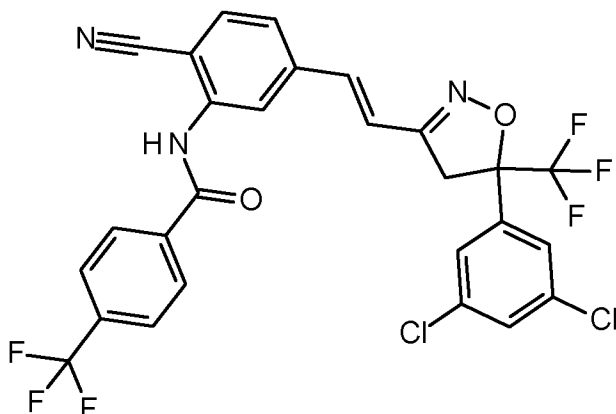
1-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-3-(4-nitrophenyl)urea



15

LCMS (Method A) RT 5.41 min $[M-H]^+$ 588.1. 1H NMR (DMSO, 400 MHz,): 4.17 (d, 1H) 4.23(d, 1H)
7.20 (d, 1H) 7.27 (d, 1H) 7.54-7.61 (m, 2H) 7.71-7.89 (m, 2H) 7.89-8.01 (m, 3H) 8.21-8.30 (m, 3H)
9.02 (brs, 1H) 10.01 (brs, 1H)

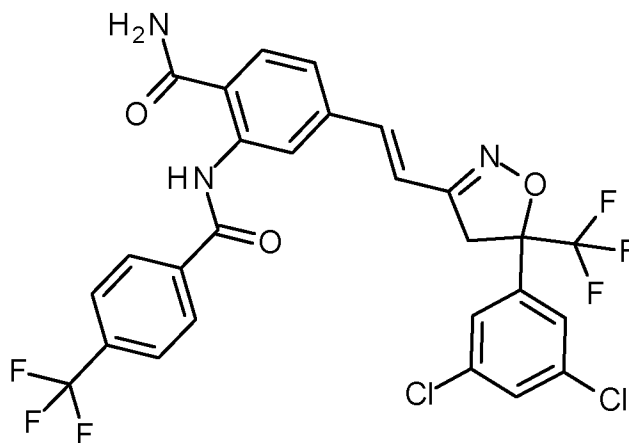
N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-4-(trifluoromethyl)benzamide (A)



A solution of 2-amino-4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]benzonitrile (200 mg) and 4-(trifluoromethyl)benzoic acid (100 mg) in tetrahydrofuran (4 mL) was refluxed for 5-6 hours. The reaction mixture was diluted with water (10 mL), extracted with ethyl acetate (3 x 15 mL). The combined organic layer was dried over sodium sulphate, filtered and concentrated under reduced pressure. Two compounds were isolated from the reaction after purification by combiflash Rf, eluted with cyclohexane/ethyl acetate to obtain the desired compound (A) as a solid (100 mg) and byproduct (B) (50 mg). LCMS (Method A) RT 5.34 min $[M-H]^+$ 595.9. 1H NMR (CDCL₃, 400 MHz,): 3.50 (d, 1H) 3.89(d, 1H) 6.80 (d, 1H) 7.18 (d, 1H) 7.25-7.30 (m, 1H) 7.35-7.41 (m, 3H) 7.51-7.52 (m, 1H) 7.23-7.25(m, 2H) 7.99-8.01(m, 2H) 8.34-8.5 (m, 1H) 8.7 (s, 1H)

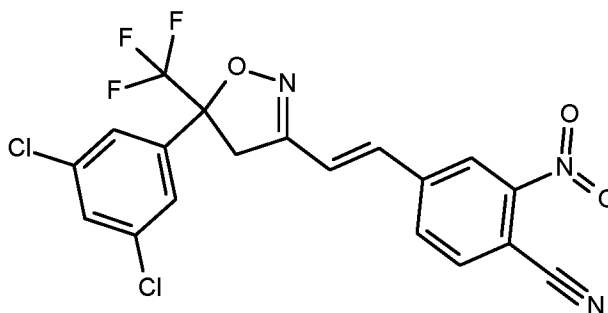
4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-[4-(trifluoromethyl)benzoyl]amino]benzamide (B)

15



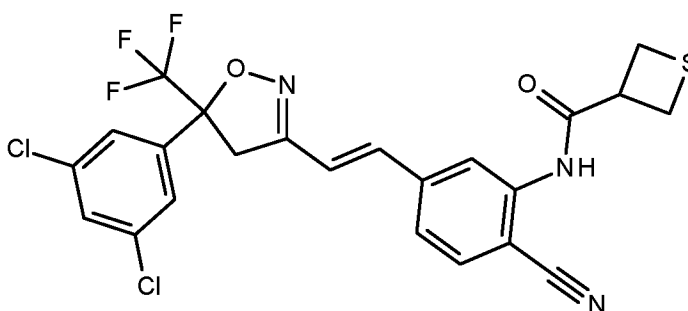
LCMS (Method B) RT 2.59 min $[M-H]^+$ 614.1 1H NMR (CDCL₃, 400 MHz,): 3.57 (d, 1H) 3.93(d, 1H) 4.96(brs, 2H) 6.21(brs, 1H) 6.84 (d, 1H) 7.12 (d, 1H) 7.21-7.24 (m, 1H) 7.35-7.43 (m, 3H) 7.65-7.71 (m, 3H) 8.11-8.2(m, 2H) 8.95(s, 1H)

20

4-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]-2-nitro-benzonitrile

LCMS (Method B) RT 2.29 min $[M-H]^+$ 454.1. 1H NMR ($CDCl_3$, 400 MHz,): 3.49(d, 1H) 3.97 (d, 1H)
 5 6.88 (d, 1H) 7.35(d, 1H) 7.43-7.44(m, 1H) 7.47-7.48(m, 2H) 7.87-7.92(m, 1H) 7.92-7.94(d, 1H) 8.4(s,
 1H)

10 **N-[2-cyano-5-[(E)-2-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]vinyl]phenyl]-1,1-dioxo-thietane-3-carboxamide**



LCMS (Method B) RT 2.37 min $[M+H_2O]^+$ 557.9. 1H NMR ($CDCl_3$, 400 MHz,): 3.22(t, 2H) 3.45(d, 1H)
 3.67(t, 2H) 3.87 (d, 1H) 4.12(m, 1H) 6.78 (d, 1H) 7.11(d, 1H) 7.18-7.19(m, 1H) 7.35-7.40(m, 1H)
 7.45-7.50(m, 2H) 7.56 (d, 1H) 8.78(s, 1H) 11.3(brs, 1H)

15

Biology Data:***Spodoptera littoralis*** (Egyptian cotton leaf worm)

Cotton leaf discs were placed on agar in 24-well microtiter plates and sprayed with aqueous test
 20 solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested
 with five L1 larvae. The samples were assessed for mortality 3 days after infestation.

The following compounds resulted in at least 80% mortality at an application rate of 200 ppm:

128, 127, 126, 125, 123, 110, 109, 108, 107, 106, 105, 104, 103, 102, 101, 100, 99, 98, 97, 96, 95,
 25 94, 93, 91, 90, 88, 87, 86, 85, 84, 82, 81, 80, 79, 78, 77, 76, 75, 74, 71, 70, 65, 64, 63, 62, 61, 60, 58,
 55, 54, 53, 51, 50, 49, 48, 46, 43, 42, 41, 40, 39, 37, 36, 35, 33, 32, 31, 29, 28, 27, 24, 22, 21, 20, 19,
 17, 16, 15, 14, 13, 12, 11, 9, 8, 7, 6, 5, 3, 2

Plutella xylostella (Diamond back moth):

24-well microtiter plates with artificial diet were treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by pipetting. After drying, the plates were infested with L2 larvae (10 to 15 per well). The samples were assessed for mortality 5 days after infestation.

The following compounds resulted in at least 80% mortality at an application rate of 200 ppm:

128, 127, 126, 125, 124, 110, 109, 108, 107, 106, 105, 104, 103, 102, 101, 100, 99, 98, 97, 96, 95, 9493, 91, 90, 88, 86, 85, 84, 82, 81, 80, 79, 78, 77, 76, 75, 74, 71, 70, 69, 67, 65, 64, 63, 62, 61, 60, 58, 57, 55, 54, 53, 51, 49, 48, 47, 46, 45, 43, 42, 40, 39, 37, 36, 34, 33, 29, 27, 24, 22, 21, 20, 19, 17, 16, 15, 14, 13, 12, 11, 9, 7, 6, 5, 3, 2

Diabrotica balteata, (Corn root worm) :

Maize sprouts, placed on an agar layer in 24-well microtiter plates were treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by spraying. After drying, the plates were infested with L2 larvae (6 to 10 per well). The samples were assessed for mortality 4 days after infestation.

The following compounds resulted in at least 80% mortality at an application rate of 200 ppm:

112, 110, 109, 108, 107, 106, 105, 104, 103, 102, 101, 100, 99, 98, 97, 96, 95, 94, 93, 91, 90, 87, 86, 84, 82, 81, 80, 79, 78, 77, 76, 74, 71, 70, 68, 66, 65, 64, 63, 62

Thrips tabaci (Onion thrips):

Sunflower leaf discs were placed on agar in 24-well microtiter plates and sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested with a thrips population of mixed ages. The samples were assessed for mortality 6 days after infestation.

The following compounds resulted in at least 80% mortality at an application rate of 200 ppm:

128, 110, 109, 108, 107, 106, 105, 104, 103, 102, 101, 100, 98, 97, 96, 95, 94, 93, 91, 90, 86, 84, 82, 81, 79, 78, 77, 76, 74, 71, 70, 69, 65, 64, 63, 62, 61, 60, 55, 53, 52, 51, 48, 46, 45, 43, 42, 41, 40, 37, 36, 35, 33, 32, 31, 29, 27, 24, 22, 21, 20, 17, 16, 15, 14, 13, 12, 9, 7, 6, 5, 3, 2

Tetranychus urticae (Two-spotted spider mite):

Bean leaf discs on agar in 24-well microtiter plates were sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested with a mite population of mixed ages. The samples were assessed for mortality on mixed population (mobile stages) 8 days after infestation.

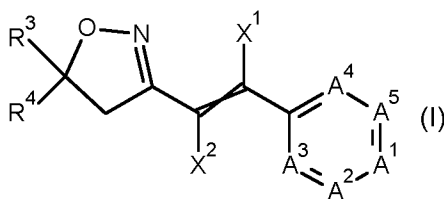
The following compounds resulted in at least 80% mortality at an application rate of 200 ppm:

- 111 -

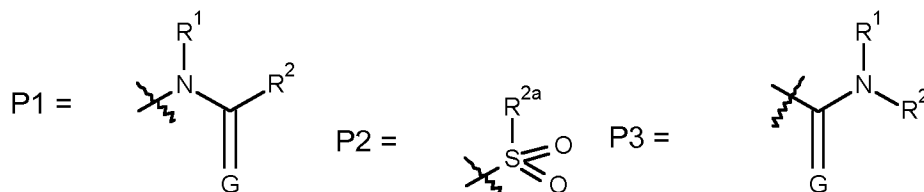
126, 121, 112, 110, 109, 108, 106, 105, 104, 103, 102, 101, 100, 98, 97, 96, 95, 94, 93, 91, 90, 86,
85, 82, 81, 80, 79, 78, 76, 74, 71, 70, 65, 64, 62, 43, 31, 27, 24, 22, 20, 19, 16, 15, 14, 12.

CLAIMS

1. A compound of formula (I)



5 P is nitro, amino, R¹oxycarbonyl, P1, P2 or P3;



A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ or A⁵ is C-P;

G is oxygen or sulfur;

10 R¹ is hydrogen, C₁-C₈alkyl, C₁-C₈alkoxy-, C₁-C₈alkylcarbonyl-, C₃-C₈cycloalkylcarbonyl or C₁-C₈alkoxycarbonyl-;

R² is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is

15 substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸, C₁-C₈alkylaminocarbonyl-C₁-C₄alkylene, 20 C₁-C₈haloalkylaminocarbonyl-C₁-C₄alkylene, C₃-C₈cycloalkyl-aminocarbonyl-C₁-C₄alkylene, C₁-C₆alkyl-O-N=CH-, C₁-C₆haloalkyl-O-N=CH-,

or R¹ and R² is (R^{2a})(R¹)N-

or R¹ and R² form a four to six membered ring together with the atoms to which they are attached substituted by one to five R⁶

25 R^{2a} is C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl

30 moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸,

- X^1 , and X^2 are independently of each other H, halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkenyl, C₁-C₈haloalkenyl, C₁-C₈alkynyl, C₁-C₈haloalkynyl, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, or C₁-C₈haloalkylsulfonyl);
- 5 R³ is C₁-C₈haloalkyl;
 R⁴ is six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁹, or six to ten ring-membered heteroaryl or six to ten ring-membered heteroaryl substituted by one to five R⁹;
 each R⁵ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkenyl, C₁-C₈haloalkenyl, C₁-C₈alkynyl, C₁-C₈haloalkynyl, C₃-C₁₀cycloalkyl, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, or C₁-C₈haloalkylsulfonyl-;
- 10 each R⁶ is independently halogen, cyano, nitro, hydroxy, amino, C₁-C₈alkylamino, (C₁-C₈alkyl)₂amino, C₁-C₈alkylcarbonylamino, C₁-C₈haloalkylcarbonylamino, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, six to fourteen ring-membered aryloxy or six to fourteen ring-membered aryloxy substituted by one to five R¹⁰, six to fourteen ring-membered aryloxy-C₁-C₄alkylene or six to fourteen ring-membered aryloxy-C₁-C₄alkylene wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R¹⁰, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, C₁-C₈haloalkylsulfonyl-, six to fourteen ring-membered aryl-C₁-C₄alkylthio or six to fourteen ring-membered aryl-C₁-C₄alkylthio wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R¹⁰;
- 15 each R⁷ is independently halogen, C₁-C₈alkyl, C₁-C₈alkenyl, C₁-C₈alkynyl, C₁-C₈alkyl-O-N=, C₁-C₈haloalkyl-O-N=; C₁-C₈alkoxy, C₁-C₈alkoxycarbonyl;
- 20 each R⁸ is independently halogen, cyano, nitro, oxo, C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈cyanoalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, C₃-C₁₀cycloalkyl, C₃-C₁₀cycloalkyl-C₁-C₄alkylene, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto, C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, C₁-C₈haloalkylsulfonyl-, C₁-C₈alkylaminosulfonyl-, (C₁-C₈alkyl)₂aminosulfonyl-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R¹⁰, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R¹⁰, six to fourteen ring-membered aryl-C₁-C₄alkylene or six to fourteen ring-membered aryl-C₁-C₄alkylene wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R¹⁰, five to ten ring-membered heterocyclyl-C₁-C₄alkylene or five to ten ring-membered heterocyclyl-C₁-C₄alkylene wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R¹⁰, six to fourteen ring-membered aryloxy or six to fourteen ring-membered aryloxy substituted by one to five R¹⁰, six to fourteen ring-membered aryloxy-C₁-C₄alkylene or six to fourteen ring-membered aryloxy-C₁-C₄alkylene wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R¹⁰;
- 35 each R⁹ is independently halogen, cyano, nitro, C₁-C₈alkyl, C₁-C₈haloalkyl, C₂-C₈alkenyl, C₂-C₈haloalkenyl, C₂-C₈alkynyl, C₂-C₈haloalkynyl, hydroxy, C₁-C₈alkoxy-, C₁-C₈haloalkoxy-, mercapto,
- 40

C₁-C₈alkylthio-, C₁-C₈haloalkylthio-, C₁-C₈alkylsulfinyl-, C₁-C₈haloalkylsulfinyl-, C₁-C₈alkylsulfonyl-, C₁-C₈haloalkylsulfonyl-, C₁-C₈alkylcarbonyl-, C₁-C₈alkoxycarbonyl-, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R¹⁰, or five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R¹⁰;

5 each R¹⁰ is independently halogen, cyano, nitro, C₁-C₄alkyl, C₁-C₄haloalkyl, C₁-C₄alkoxy-, or C₁-C₄haloalkoxy-;

or a salt or N-oxide thereof.

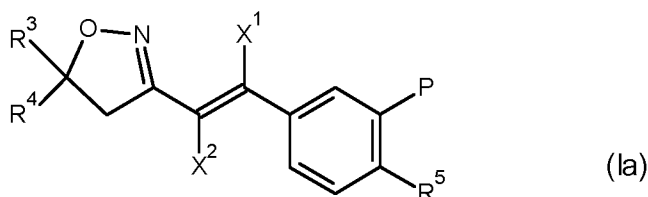
2. A compound according to claim 1, wherein

10 P is P1;

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ or A⁵ is C-P;

G is oxygen or sulfur;

15 3. A compound of formula I according to claim 1, wherein the compound of formula (I) has the formula I(a)



20 4. A compound of formula I according to claim 1, wherein A¹ is C-R⁵, A² is C-H, A³ is C-H or nitrogen and A⁴ is C-H or nitrogen and A⁵ is C-P;

5. A compound of formula I according to claim 1, wherein A¹ is C-P, A² is C-H, A³ is C-H and A⁴ is C-H and A⁵ is C-H or C-R⁵;

25 6. A compound of formula I according to claim 1, wherein

P is P1;

A¹, A², A³, A⁴ and A⁵ are independently of each other C-H, C-R⁵, or nitrogen and at least one of A¹ is C-P;

G is oxygen;

30 Y¹ is CH₂;

R¹ is hydrogen;

35 R² is C₂-C₆alkyl or C₂-C₆alkyl substituted by one to three halogen atoms, C₃-C₈cycloalkyl or C₃-C₈cycloalkyl substituted by one or two groups independently selected from fluoro and methyl, phenyl-C₁-C₂alkylene- or phenyl-C₁-C₂alkylene- wherein the phenyl moiety is substituted by one to five R⁸, pyridyl-C₁-C₂alkylene- or pyridyl-C₁-C₂alkylene- wherein the pyridyl moiety is substituted by

- 115 -

one to four R⁸, thietanyl, oxo-thietanyl, dioxo-thietanyl, C₁-C₄alkylaminocarbonyl-C₁-C₂ alkylene or C₁-C₄haloalkylaminocarbonyl-C₁-C₂ alkylene,

X¹ and X² are H;

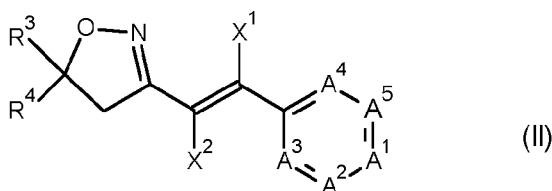
5 R³ is trifluoromethyl;

R⁴ is 3,5-dichloro-phenyl;

R⁵ is methyl;

each R⁸ is independently bromo, chloro, fluoro, cyano or methyl;

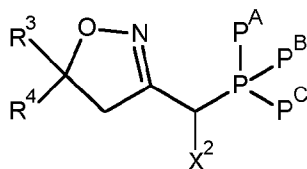
10 7. A compound of formula formula (II)



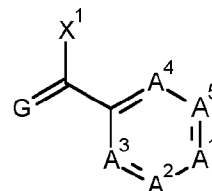
wherein X¹, X², R³, R⁴, A¹, A², A³, and A⁴ are as defined for a compound of formula (I), A¹ and/or A⁵ are C-R, R is amino, nitro, azido, hydroxyl, halo such as fluoro, chloro, bromo or iodo

15

8. A compound of formula formula (IV) and (V)



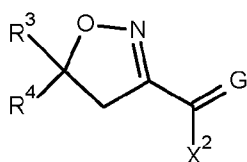
(IV)



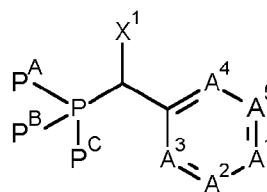
(V)

Wherein P^A, P^B and P^C independently are selected from C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸; R³ and R⁴ are as defined for the compounds of formula I, or a salt or N-oxide thereof

9. A compound of formula (VI) and (VII).



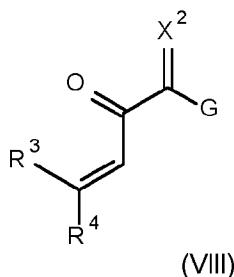
(VI)



(VII)

- Wherein P^A, P^B and P^C independently are selected from C₁-C₈alkyl or C₁-C₈alkyl substituted by one to five R⁶, C₃-C₁₀cycloalkyl or C₃-C₁₀cycloalkyl substituted by one to five R⁷, six to fourteen ring-membered aryl-C₁-C₄alkylene- or six to fourteen ring-membered aryl-C₁-C₄alkylene- wherein the six to fourteen ring-membered aryl moiety is substituted by one to five R⁸, five to ten ring-membered heterocyclyl-C₁-C₄alkylene- or five to ten ring-membered heterocyclyl-C₁-C₄alkylene- wherein the five to ten ring-membered heterocyclyl moiety is substituted by one to five R⁸, six to fourteen ring-membered aryl or six to fourteen ring-membered aryl substituted by one to five R⁸, five to ten ring-membered heterocyclyl or five to ten ring-membered heterocyclyl substituted by one to five R⁸; R³ and R⁴ are as defined for the compounds of formula I, or a salt or N-oxide thereof

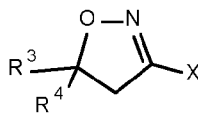
10. A compound of formula XXIII



(VIII)

- 15 wherein R³ and R⁴ are as defined for the compounds of formula I, or a salt or N-oxide thereof.

11. A compound of formula IX



(IX)

- wherein R³ and R⁴ are as defined for compounds of formula I, and X is a. Sn(R¹³)₃ wherein each R¹³ is independently C₁-C₆ alkyl, a boron derivative, e.g. BF₃, B(OH)₂ or B(OR)₂, wherein each R is independently C₁-C₆-alkyl, C₁-C₆-cycloalkyl or unsubstituted or substituted C₁-C₆-cycloalkyl, substituted by halogen, C₁-C₄ alkyl or C₁-C₄haloalkyl, a C₁-C₄ alkenyl group which is substituted by halogen, C₁-C₄ alkyl or C₁-C₄haloalkyl or unsubstituted, a leaving group, selected from halogen, C₁-C₈alkoxy, C₁-C₈alkylsulfonyloxy, C₁-C₈haloalkylsulfonyloxy, C₁-C₈arylsulfonyloxy, unsubstituted or substituted C₁-C₈arylsulfonyloxy, diazonium salts selected from X^B is -N₂⁺ Cl⁻, -N₂⁺ BF₄⁻, -N₂⁺ Br⁻, -N₂⁺ PF₆⁻, phosphonate esters (e.g. -OP(O)(OR)₂, wherein R is methyl or ethyl), preferably bromo, iodo,

- 117 -

chloro, trifluoromethylsulfoxy, p-toluenesulfoxy, diazonium chloride, or C(O)R wherein R is halogen, OH or C₁-C₁₅alkoxy or a salt or N-oxide thereof. A preferred group of compounds of formula IX are those wherein R³ is CF₃ and R⁴ is phenyl substituted by 1 to 5 R⁹.

- 5 12. A pesticidal composition, which comprises at least one compound of formula I according to claim 1 or, where appropriate, a tautomer thereof, in each case in free form or in agrochemically utilizable salt form, as active ingredient and at least one auxiliary.
- 10 13. A method for controlling pests, which comprises applying a composition according to claim 12 to the pests or their environment with the exception of a method for treatment of the human or animal body by surgery or therapy and diagnostic methods practised on the human or animal body.
- 15 14. A method for the protection of plant propagation material from the attack by pests, which comprises treating the propagation material or the site, where the propagation material is planted, with a composition according to claim 12.
15. Plant propagation material treated in accordance with the pesticidal composition described in claim 12.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2015/057289

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.

3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-6, 12-15

Remark on Protest

- The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2015/057289

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D413/12 A01N43/80 C07D263/16
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
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, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CN 102 911 131 A (SINOCHEM LANTIAN CO LTD; ZHEJIANG RES INST OF CHEMICAL INDUSTRY CO LTD) 6 February 2013 (2013-02-06) claims 1-3, 10, 11 -----	1-6, 12-15
A	EP 2 172 448 A1 (NISSAN CHEMICAL IND LTD [JP]) 7 April 2010 (2010-04-07) Pesticides with the following core: Isoxazoline-phenyl or 6 memebred heteroaromatic ring-amide or amine; claims 1, 11-14 -----	1-6, 12-15
A	JP 2007 106756 A (NISSAN CHEMICAL IND LTD) 26 April 2007 (2007-04-26) Pesticides with the following core: isoxazoline-phenyl or 6 membered heteroaromatic ring - sulfur substituents; claims 1, 8,9 -----	1-6, 12-15
	-/--	

Further documents are listed in the continuation of Box C.

See patent family annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier application or patent but published on or after the international filing date
- "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)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"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

"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

"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

"&" document member of the same patent family

Date of the actual completion of the international search 11 June 2015	Date of mailing of the international search report 23/07/2015
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 Sotoca Usina, E

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2015/057289

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2010/112545 A1 (BASF SE [DE]; KOERBER KARSTEN [DE]; KAISER FLORIAN [DE]; DEYN WOLFGANG) 7 October 2010 (2010-10-07) Pesticides with the following core: isoxazoline- bicyclic aryl or bicyclic heteroaryl - carboxylate, azide, sulfone, sulfoxide; claims 1, 24-32 -----	1-6, 12-15

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No PCT/EP2015/057289

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
CN 102911131	A	06-02-2013	NONE

EP 2172448	A1	07-04-2010	EP 2172448 A1 07-04-2010
			JP 5316808 B2 16-10-2013
			US 2010144808 A1 10-06-2010
			WO 2009005015 A1 08-01-2009

JP 2007106756	A	26-04-2007	NONE

WO 2010112545	A1	07-10-2010	AR 076200 A1 26-05-2011
			CN 102369199 A 07-03-2012
			EP 2414353 A1 08-02-2012
			JP 2012522750 A 27-09-2012
			TW 201039751 A 16-11-2010
			US 2012030841 A1 02-02-2012
			UY 32536 A 30-09-2010
			WO 2010112545 A1 07-10-2010

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-6, 12-15

Compounds of formula (I) and their use as pesticides

2. claim: 7

Compounds of formula (II) - intermediates in the preparation of compounds of formula (I)

3. claim: 8

Compounds of formula (IV) and (V), compounds of formula (VI) and (VII) - intermediates in the preparation of compounds of formula (I)

4. claim: 9

Compounds of formula (VI) and (VII) - intermediates in the preparation of compounds of formula (I)

5. claim: 10

Compounds of formula (XXIII) - intermediates in the preparation of compounds of formula (I)

6. claim: 11

Compounds of formula (IX) - intermediates in the preparation of compounds of formula (I)
