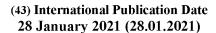
International Bureau





English



(10) International Publication Number WO 2021/013561 A1

- (51) International Patent Classification: C07D 417/12 (2006.01) A01N 43/78 (2006.01)
- (21) International Application Number:

PCT/EP2020/069378

(22) International Filing Date:

09 July 2020 (09.07.2020)

- (25) Filing Language:
- (26) Publication Language: English
- (30) Priority Data:

19187200.1 19 July 2019 (19.07.2019) EP

- (71) Applicant: BASF SE [DE/DE]; Carl-Bosch-Strasse 38, 67056 Ludwigshafen am Rhein (DE).
- (72) Inventors: MAITY, Pulakesh; Thane Belapur Road, 400705 Navi Mumbai (IN). NARINE, Arun; Carl-Bosch-Strasse 38, 67056 Ludwigshafen (DE). CHAUDHURI, Rupsha; Thane Belapur Road, 400705 Navi Mumbai (IN). GARZA SANCHEZ, Rosario Aleyda; Carl-Bosch-Strasse 38, 67056 Ludwigshafen (DE). SAMBASIVAN, Sunderraman; Thane Belapur Road, 400705 Navi Mumbai (IN). ADISECHAN, Ashokkumar; Thane Belapur Road, 400705 Navi Mumbai (IN). SHAIKH, Rizwan Shabbir; Thane Belapur Road, 400705 Navi Mumbai (IN).
- (74) **Agent: BASF IP ASSOCIATION**; BASF SE, GBI C006, 67056 Ludwigshafen (DE).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, IT, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,

TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- of inventorship (Rule 4.17(iv))

Published

— with international search report (Art. 21(3))



(54) Title: PESTICIDAL PYRAZOLE AND TRIAZOLE DERIVATIVES

$$Ar \longrightarrow Q$$

$$R^{6} \longrightarrow N$$

$$R^{4} \longrightarrow B^{1} \longrightarrow B^{2}$$

$$R^{1} \longrightarrow R^{1}$$

(57) Abstract: The present invention relates to the compounds of formula (I), and the N-oxides, stereoisomers, tautomers and agriculturally or veterinarily acceptable salts thereof wherein the variables are defined according to the description. The compounds of formula (I), as well as the N-oxides, stereoisomers, tautomers and agriculturally or veterinarily acceptable salts thereof, are useful for combating or controlling invertebrate pests, in particular arthropod pests and nematodes. The invention also relates to a method for controlling invertebrate pests by using these compounds and to plant propagation material and to an agricultural and a veterinary composition comprising said compounds.

PESTICIDAL PYRAZOLE AND TRIAZOLE DERIVATIVES

Description

5

10

15

20

Invertebrate pests and in particular insects, arachnids and nematodes destroy growing and harvested crops and attack wooden dwelling and commercial structures, thereby causing large economic loss to the food supply and to property. Accordingly, there is an ongoing need for new agents for combating invertebrate pests.

1

Carbamoylated and thiocarbamoylated oxime derivatives are known for pesticidal use, for example, in patent publications WO 2016/156076, semi-carbazones and thiosemicarbazones derivatives are known for pesticidal use in patent publication WO 2016/116445.

Due to the ability of target pests to develop resistance to pesticidally-active agents, there is an ongoing need to identify further compounds, which are suitable for combating invertebrate pests such as insects, arachnids and nematodes. Furthermore, there is a need for new compounds having a high pesticidal activity and showing a broad activity spectrum against a large number of different invertebrate pests, especially against difficult to control insects, arachnids and nematodes.

It is therefore an object of the present invention to identify and provide compounds, which exhibit a high pesticidal activity and have a broad activity spectrum against invertebrate pests.

It has been found that these objects can be achieved by substituted bicyclic compounds of formula I, as depicted and defined below, including their stereoisomers, their salts, in particular their agriculturally or veterinarily acceptable salts, their tautomers and their N-oxides.

In a first aspect, the present invention relates to the compounds of formula I,

$$Ar \longrightarrow Q$$

$$R^{6} \longrightarrow N$$

$$N \longrightarrow B^{1} \longrightarrow B^{2}$$

$$R^{1} \longrightarrow R^{1}$$

$$R^{1} \longrightarrow R^{1}$$

25

35

40

wherein

A is N or CR^A;

B¹ is N or CR^{B1}:

 B^2 is N or CR^{B2} ;

30 B³ is N or CR^{B3}:

B⁴ is CR^{B4};

R^A is H, halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, O-C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, NH-C₁-C₆-alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e, phenyl, phenoxy, phenylcarbonyl, phenylthio, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

WO 2021/013561 2 PCT/EP2020/069378

- R^{B1} , R^{B2} , R^{B3} , and R^{B4} independently of each other are H, halogen, N₃, OH, CN, NO₂, SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,
- C(=O)-OR a , NR b R c , C₁-C₆-alkylene-NR b R c , O-C₁-C₆-alkylene-NR b R c , C₁-C₆-alkylene-CN, NH-C₁-C₆-alkylene-NR b R c , C(=O)-NR b R c , C(=O)-R d , SO₂NR b R c , or S(=O)_mR e , phenyl, phenoxy, phenylcarbonyl, phenylthio, or —CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R f :
- 10 Q is $-C(R^4R^5)-O-$, -C(=O)-O-, $-S(=O)_m-C(R^7R^8)-$, $-N(R^2)-S(=O)_{m^-}$, $-N(R^2)-C(R^9R^{10})-$, $-C(=O)-C(R^{19}R^{20})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=S)-$, $-C(R^{13}R^{14})-C(R^{15}R^{16})-$, -N=C(X)-, $-N(R^2)-C(=NR)-$, or $-C(R^{17})=C(R^{18})-$; wherein Ar is bound to either side of Q;
 - m is 0, 1, or 2;

5

30

40

- X is H, halogen, SR⁷, OR⁸, or N(R³)₂;
- is H, CN, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, or C₃-C₆-cycloalkyl, wherein the alkyl, alkenyl, and cycloalkyl moieties are unsubstituted or substituted with halogen, OR⁸, N(R³)₂;
 - R^3 is H, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl;
- is H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)-OR^a, C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, S(=O)_mR^e, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;
 - R⁴, R⁵, R⁷, R⁸, R⁹, R¹⁰, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰ are, identical or different, H, halogen, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,
 - C(=O)-OR^a, C_1 -C₆-alkylene-NR^bR^c, C_1 -C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, $SO_2NR^bR^c$, $S(=O)_mR^e$, phenyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f ;
- is H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,
 - or R⁶ is -CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;
 - Ar is phenyl or 5- or 6-membered hetaryl or 1,3-benzodioxole, which are unsubstituted or substituted with R^{Ar}, wherein
 - R^{Ar} is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl, C₃-C₆-alkyl, C₃-C

cycloalkoxy- C_1 - C_4 -alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)- OR^a , NR^bR^c , C_1 - C_6 -alkylene- NR^bR^c , O- C_1 - C_6 -alkylene- NR^bR^c , C_1 - C_6 -alkylene- NR^bR^c , C(=O)- NR^bR^c , C(=O)- R^d , $SO_2NR^bR^c$, or $S(=O)_mR^e$, phenyl, phenoxy, phenylcarbonyl, phenylthio or $-CH_2$ -phenyl, wherein phenyl rings are unsubstituted or substituted with R^f ;

R¹ is a moiety of formula Y-Z-T-R¹¹ or Y-Z-T-R¹²; wherein

Y is $-CR^{ya}=N-$, wherein the N is bound to Z;

 $-NR^{yc}-C(=O)-$, wherein C(=O) is bound to Z; or

 $-NR^{yc}-C(=S)-$, wherein C(=S) is bound to Z;

Z is a single bond;

5

10

15

20

25

30

35

 $-NR^{zc}-C(=O)-$, wherein C(=O) is bound to T;

 $-NR^{zc}-C(=S)-$, wherein C(=S) is bound to T;

-N=C(S-R^{za})-, wherein T is bound to the carbon atom;

-O-C(=O)-, wherein T is bound to the carbon atom; or

-NR^{zc}-C(S-R^{za})=, wherein T is bound to the carbon atom;

T is O, N or N- R^T ;

R¹¹ is C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

 C_1 - C_6 -alkylene-NR^bR^c, C_1 - C_6 -alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, aryl, aryl-carbonyl, aryl- C_1 - C_4 -alkyl, aryloxy- C_1 - C_4 -alkyl, hetaryl, carbonyl-hetaryl, hetaryl- C_1 - C_4 -alkyl or hetaryloxy- C_1 - C_4 -alkyl, wherein the phenyl rings are unsubstituted or substituted with R^g and wherein the hetaryl is a 5- or 6-membered monocyclic hetaryl or a 8-, 9- or 10-membered bicyclic hetaryl; provided that when T is O, R¹¹ is other than C_1 - C_6 -alkyl;

R¹² is a radical of the formula A¹;

$$R^{121}$$
 R^{122}
 R^{123}
 R^{124}
 R^{124}
 R^{124}

wherein # indicates the point of attachment to T;

R¹²¹, R¹²², R¹²³ are, identical or different, H, halogen, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyloxy, C₂-C₆-alkynyloxy, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₁-C₆-alkylcarbonlyoxy, C₁-C₆-alkenylcarbonlyoxy, C₃-C₆-cycloalkylcarbonlyoxy, wherein the alkyl, alkoxy, alkenyl, alkenyloxy, alkynyl, alkynyloxy and cycloalkyl moieties are unsubstituted or substituted with halogen, or NR^bR^c, or one of R¹²¹, R¹²², R¹²³ may also be oxo;

R¹²⁴ is H, C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy, or C₂-C₆-alkenyloxy, wherein the alkyl, alkoxy, alkenyl and alkenyloxy moieties are unsubstituted or substituted with halogen;

and where

5

10

15

20

25

30

35

40

R^{ya} is H, halogen, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, which are unsubstituted or substituted with halogen, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f:

 $R^{yc}, \ R^{zc} \qquad \text{are, identical or different, H, C$_1$-C$_6$-alkyl, C$_2$-C$_6$-alkenyl, C$_2$-C$_6$-alkynyl, C$_1$-C$_4$-alkyl-C$_1$-C$_6$-alkoxy, C$_3$-C$_6$-cycloalkyl, C$_1$-C$_4$-alkyl-C$_3$-C$_6$-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen; <math display="block">R^T \qquad \text{is H, C$_1$-C$_6$-alkyl, C$_2$-C$_6$-alkenyl, C$_2$-C$_6$-alkynyl, C$_1$-C$_4$-alkyl-C$_1$-C$_6$-alkoxy, C$_3$-C$_6$-alkynyl, C$_1$-C$_2$-alkyl-C$_1$-C$_6$-alkoxy, C$_3$-C$_6$-alkynyl, C$_1$-C$_2$-alkynyl, C$_1$-C$_3$-alkyl-C$_1$-C$_6$-alkoxy, C$_3$-C$_6$-alkynyl, C$_1$-C$_2$-alkynyl, C$_1$-C$_3$-alkynyl, C$_3$-C$_6$-alkynyl, C$_3$-C$_6$-alky$

cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)-OR^a, C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, S(=O)_mR^e, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

 R^{zc} together with R^T if present, may form C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety may be replaced by a carbonyl or a C=N-R' and/or wherein 1 or 2 CH_2 moieties may be replaced by O or S and/or wherein the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h ;

is H, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_2 - C_6 -alkenyl, tri- C_1 - C_6 -alkylsilyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkyl- C_1 - C_6 -alkoxy, C_3 - C_6 -cycloalkyl, C_1 - C_4 -alkyl- C_3 - C_6 -cycloalkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C_1 - C_6 -alkylene-NR^bR^c, C_1 - C_6 -alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, phenyl, phenylcarbonyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

 R^{za} together with R^{T} if present, may form C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety may be replaced by a carbonyl or a C=N-R' and/or wherein 1 or 2 CH_2 moieties may be replaced by O or S and/or wherein the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h :

R^a, R^b and R^c are, identical or different, H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C₁-C₆-alkylene-CN, phenyl, or -CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

WO 2021/013561	5	PCT/EP2020/069378
WO 2021/013301		1 C 1/E1 2020/00/3/0

 R^{d} is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, 5 phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with Rf; R^{e} is C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, wherein the alkyl, cycloalkyl moieties are unsubstituted or substituted with halogen, phenyl and -CH2-phenyl, wherein the phenyl rings are unsubstituted or substituted with Rf; 10 R^f is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxyx-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, 15 alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, $C(=O)-OR^a$, NR^bR^c , C_1-C_6 -alkylene- NR^bR^c , $O-C_1-C_6$ -alkylene- NR^bR^c , C₁-C₆-alkylene-CN, NH-C₁-C₆-alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, $SO_2NR^bR^c$, or $S(=O)_mR^e$; R^g 20 is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted 25 with halogen, $C(=O)-OR^a$, NR^bR^c , C_1-C_6 -alkylene- NR^bR^c , $O-C_1-C_6$ -alkylene- NR^bR^c , C₁-C₆-alkylene-CN, NH-C₁-C₆-alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, $SO_2NR^bR^c$, or $S(=O)_mR^e$;

R^h is halogen, OH, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, or CN;

35

40

and the N-oxides, stereoisomers, tautomers and agriculturally or veterinarily acceptable salts thereof.

Moreover, the present invention also relates to processes and intermediates for preparing compounds of formula I and to active compound combinations comprising them. Moreover, the present invention relates to agricultural or veterinary compositions comprising the compounds of formula I, and to the use of the compounds of formula I or compositions comprising them for combating or controlling invertebrate pests and/or for protecting crops, plants, plant propagation material and/or growing plants from attack and/or infestation by invertebrate pests. The present invention also relates to methods of applying the compounds of formula I. The present invention also relates to method for protecting crops, plants, plant propagation material and/or growing plants from attack or infestation by invertebrate pests comprising contacting or treating the crops, plants, plant propagation material and growing plants, or soil, material, surface, space, area or water in which the crops, plants, plant propagation material is stored or the plant is growing, with

a pesticidally effective amount of at least one compound of formula (I) as defined above or a composition comprising at least one compound of formula (I);

Furthermore, the present invention relates to seed comprising compounds of formula I. Wherein the compounds of formula I includes N-oxides, stereoisomers, tautomers and agriculturally or veterinarily acceptable salts thereof.

General Procedure:

5

10

15

20

25

30

35

With due modification of the starting compounds, the compounds of formula I can be prepared by procedures as given in below schemes.

General Procedure:

Scheme 1:

The compounds of the formula (I) can be prepared by methods of organic chemistry, e.g, by the methods described herein after in schemes 1 to 17 and in the synthesis description of the examples. In the schemes 1 to 17, the radicals Ar, A, B¹, B², B³, B⁴, Q and R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R^{ya}, R^{zc}, R^{yc}, R^{yz}, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹ and R²⁰ are as defined above for formula (1), unless otherwise specified.

Compounds of formula (I), wherein Z is a single bond or $-NR^{zc}-C(=S)-$ or $-NR^{zc}-C(=O)-$ and T is O, N or $N-R^T$, are the compounds of formula (Ia) and can be prepared by analogy to the methods described in WO 2011017504 or WO 2015007682 or methods described in Scheme 1

In one embodiment of Scheme 1, an aldehyde or ketone of the formula (II) is reacted with a compound of formula (E1) wherein Z is $-NR^{zc}-C(=S)-$ or $-NR^{zc}-C(=O)-$ and T is N, in the presence or in the absence of a solvent. Suitable solvents are polar protic solvents. If the reaction is performed in the absence of a solvent, the compound of the formula (E1) usually also act as solvent. Compounds of the formula (E1) are commercially available or can be prepared using organic reactions analogy to method as described in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March.

According to another embodiment of Scheme 1, an aldehyde or ketone compound of the formula (IIa) is first reacted with a hydrazine of the formula $R^{zc}NHNH_2$ followed by the reaction with an isocyanate of the formula R^{11} -NCO or with an isothiocyanate R^{11} -NCS to yield a compound of the formula (Ia), wherein Z is -N(R^{zc})-C(=O) or - N(R^{zc})-C(=S) and T is N.

According to another embodiment of Scheme 1, an aldehyde or ketone compound of the formula (IIa) is first reacted with a hydroxylamine followed by the reaction with a compound R¹²-L, where L is a suitable leaving group, such as halogen or activated OH. Thereby, a compound of the formula (Ia) will result, wherein Z is a single bond and T is O.

According to another embodiment of the above reaction, an aldehyde or ketone compound of formula (IIa) is first reacted with a hydroxylamine followed by reaction with an isocyanate of the

WO 2021/013561 7 PCT/EP2020/069378

formula R^{11} -NCO or with an isothiocyanate R^{11} -NCS to yield a compound of the formula (Ia), wherein Z is -O-C(=O)- or -O-C(=S)- and T is N.

Compounds of formula (Ib) wherein Z is $-NR^{zc}-C(=S)-$ or $-NR^{zc}-C(=O)-$, wherein C(=S) or C(=O) is bound to T and T is O, N or N-R^T, can be prepared by analogy to the method described in Synthesis, 2010, 2990-296 or as shown in Scheme 2.

Scheme 2:

5

10

15

20

25

According to the method depicted in scheme 2, an isocyanate compound of the formula (IIb) is reacted with the compound of formula (E2) by methods of isocyanate chemistry. The isocyanate of the formula (IIb) can be obtained e.g. via Lossen rearrangement of the corresponding hydroxamic acid (IIIb). The hydroxamic acid (IIIb) is reacted with 1-propanephosphonic acid cyclic anhydride (T₃P) in the presence of a base. The base is preferably N-methylmorpholine. The isocyanate of the formula (IIb) may also be obtained via Curtius rearrangement of the corresponding azide of the formula (IVb), e.g. by analogy to the method described in WO 2014204622.

For converting compounds of formula (Ia) and (Ib) wherein R^{yz} or R^{zc} is H into compounds (I) wherein R^{yz} or R^{zc} is different from H, compounds of formula (Ia) and (Ib) wherein R^{yz} or R^{zc} is H can be reacted with compounds of formulae R^{yz}–Lg or R^{zc}–Lg wherein R^{yz} or R^{zc} is not H and Lg is a leaving group, such as a bromine, chlorine or iodine atom or a tosylate, mesylate or triflate, to yield compounds of formula (Ia) and (Ib), wherein R^{yz} or R^{zc} is different from H. The reaction is suitably carried out in the presence of a base such as sodium hydride or potassium hydride, suitably in a polar aprotic solvent such as N,N-dimethylformamide, tetrahydrofuran, dioxane, acetonitrile, dimethylsulfoxide or pyridine, or mixtures of these solvents, in a temperature range of from 0°C and 100°C.

Compounds of the formula (Ic) can be prepared from compounds of formula (IIc) by the reactions shown below.

Scheme 3:

$$Ar \xrightarrow{R^6} N = N$$

$$(IIc) \qquad Ar \qquad R^6$$

$$(IIc) \qquad Ar \qquad R^6$$

$$(IIc) \qquad Ar \qquad R^6$$

 $R^{11/12}$ corresponds to radicals R^{11} or R^{12} respectively. The reaction shown above can be performed by analogy to conventional methods of preparing carbamates. According to a first embodiment, the amine of the formula (IIc) is converted into either an isocyanate or pnitrophenyl carbamate followed by treatment with an alcohol of the formula R^{11} -OH or R^{12} -OH, respectively, in the presence of an organic or inorganic base. According to another embodiment, the compound of the formula (IIc) is reacted with a chloroformate of the formula $R^{11/12}$ -O-C(=O)-CI. The chloroformate is prepared from the alcohols $R^{11/12}$ -OH by treatment with phosgene or triphosgene in the presence of a base, e.g. pyridine. Compounds of formula (Ic), wherein Z is -N(R^{zc})-C(=O)- or -N(R^{zc})-C(=S)- can be prepared by analogy to the methods described in WO 2013009791 or by analogy to methods described in US 20120202687.

Compounds of formula (IIa) and (IIc) can be prepared from compounds of formula (IIa-1) by the reactions shown below.

15 **Scheme 4**:

5

10

20

Ar
$$A_{R}^{O}$$
 (IIa-1) (A = CRA) (1) A_{R}^{O} (IIa) A_{R}^{O} (IIa-2)

(iii)
$$A = CRA$$
 (3) $A = H$ (iv) $A = H$ (iv) $A = H$ (iii) $A = CRA$ (3) $A = H$ (iii) $A = CRA$ (3) $A = H$ (iii) $A = CRA$ (3) $A = CRA$ (3) $A = CRA$ (3) $A = CRA$ (3) $A = CRA$ (10) $A = CRA$ (10) $A = CRA$ (11) $A = CRA$ (12) $A = CRA$ (11) $A = CRA$ (12) $A = CRA$ (12) $A = CRA$ (12) $A = CRA$ (12) $A = CRA$ (13) $A = CRA$ (14) $A = CRA$ (15) $A = CRA$ (16) $A = CRA$ (17) $A = CRA$ (17) $A = CRA$ (18) $A = CRA$ (18

Reaction step (i) cab be performed via SNAr chemistry starting from commercially available *p*-fluoro-benzaldehyde derivative (1) as described in *Chem. Med. Chem,* **2013**, *8*(*6*), 967-975.

Reaction step (ii) can be performed via Chan-Lam coupling reaction starting from an aryl boronic acid precursor (2) as described in *Chem. A Eur. J.,* **2017**, *23(14)*, 3285-3290. Reaction step (step-iii) can be performed by analogy to method described in WO 2015051341. Reaction step (step-iv) cab be performed by analogy to method described in *E. J. Med. Chem.,* **2012**, *49*, 310-323. Compounds of the formula (IIc) can be prepared starting from compounds of formula (IIa-1) in two steps via intermediary of (IIc-1). The first step (step-v) involves SNAr reaction between pyrazole (IIa-1) and *p*-fluoro nitroarene (3) as described in *J. Org. Chem.*, **2017**, *82* (*17*), In 2nd step (step-vi), the nitroarene (IIc-1) was reduced to its corresponding amine (IIc) using SnCl₂ in acid medium as described in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March.

5

10

15

20

Compounds of formula (IId), (IIe) and (IIf), can be prepared by the reactions shown below. **Scheme 5:**

Compounds of formula (IId) can be prepared in two steps from a commercially available 3-nitro-1H-pyrazole derivative (4) and aryl boronic acid (2) in 1st step (step-vii) as described in WO 2016044666. The 2nd step (step-viii) is reduction of nitro to amine group using SnCl₂ in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March. Compounds of formula (IIe) can be prepared from a commercially available oxime (5), easily derived from 1,3 diketone derivative by reacting it with substituted aryl hydrazines (ArNHNH₂, 6) as described in WO 2016044666. Compounds of formula (IIf) can be prepared in three steps via intermediates with formula (IIf-1) and (IIf-2). In 1st step (step-x), oxadiazole derivative (IIf-1) can be synthesized

WO 2021/013561 10 PCT/EP2020/069378

as described in *Synthesis Communications*., **2007**, *37(24)*, 5539-4452. Compounds of formula (IIf) can be prepared in two steps (x-1 and x-2) following Boulton-Katritzky rearrangement reaction, as described in *J. Org. Chem.*, **2019**, *84 (5)*, 2462-2469 from compounds of formula (IIf-1).

Compounds of formula (IIg-1), (IIg-2), (IIg-3) and (IIg-4), where Q is $-C(R^9R^{10})-N(R^2)$ - or - $S(=O)_m-N(R^2)$ - or $-C(=O)-N(R^2)$ - or $-C(=S)-N(R^2)$ - and A is N or CR^A can be prepared as per below reactions.

Scheme 6:

5

10

15

20

25

Compounds of formula (IIg-1) can be prepared by heating compounds of the formula Ar-C(R⁹R¹⁰)-Lg (where Lg can be bromine, chlorine, tosylate, mesylate) with the common intermeidiate of compounds of formula (IIg) in a polar protic or aprotic solvents in an acidic, basic or neutral conditions analogous to as described in WO 2010129053, WO 20070146824 or *Chem. Commun.*, **2014**, *50*, 1465, shown in step (xi-1). Compounds of formula (IIg-2) can be prepared from compounds of formula (IIg) by treating with suitable Ar-SO₂Cl in presence of bases like pyridine and coupling reagents like DMAP, as described in *Chemistry - A European Journal*, **2014**, *20(1)*, 317-322, (step-xi-2). Compounds of formula (IIg-3) can be prepared from compounds of formula (IIg) by using amide coupling reactions analogous to as described in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March, shown in step (xi-3). Compounds of formula (IIg-4) can be prepared by reaction of compounds of formula (IIg-3) with P₂S₅ or Lawesson's reagent as described by, for example, Strong. et al, *J. Med. Chem.*, **2017**, *60*, 5556-5585 as shown in step (xi-4).

Compounds of formula (IIh-1) and (IIh-2), where Q is -N=C(X)-, X is CI or F and A is N or CR^A can be prepared by the reactions shown below.

Scheme 7:

$$Ar \xrightarrow{A} R^{6} \text{ (IIg-3); } R^{2} = H \text{ (Xii-1)}$$

$$R^{2} \xrightarrow{A} R^{6} \text{ (IIg-3); } R^{2} = H \text{ (IIh-2)}$$

In the above reaction compounds of formula (IIh-1) can be prepared from compounds of formula (IIg-3) using thionyl chloride as described in *Angew. Chem. Int. Ed.*, **2014**, *53*, 9068-9071. Compounds of formula (IIh-2) can be prepared from compounds of formula (IIh-1) by a method described in *Aust. J. Chem.*, **1999**, *52*, 807-811.

Compounds of formula (IIh-3), (IIh-4), (IIh-5) and (IIh-6) where Q is -C(X)=N-, X is OR⁸ or SR⁷ or N(R³)₂ or -NHCN and A is N or CR^A can be prepared as per below reactions.

Scheme 8:

5

10

15

Compounds of formula (IIh-3), (IIh-4), (IIh-5) and (IIh-6) can be prepared by heating compounds of formula (IIh-1) with compounds of the formula NH(R³)₂ or NH₂CN or R⁸-OH or R⁷-SH in a polar protic or aprotic solvents in an acidic, basic or neutral conditions as described in WO 2010129053, WO 2007146824 or *Chem. Commun.*, **2014**, *50*, 1465.

Compounds of formula (IIi), (IIi) and (IIk) can be prepared by the reactions shown below.

Scheme 9:

Compounds of formula (IIi) can be prepared from Chan-Lam coupling between commercially available pyrazole ester derivative (8) and aryl boronic acid (2) as described in WO 2009110520. Compounds of formula (IIj) can be prepared in two steps via intermediate of formula (IIj-1), starting from commercially available beta keto ester derivative (9) as described in *Synthesis*, **2019**, *51*(6), 1473-1481. The 2nd step (step-xv-2) where required pyrazole synthesis is described in *Angew., Chem., Int. Ed.,* **2010**, *49*(*42*), 7790-7794. Compounds of formula (IIk) can be prepared from Chan-Lam coupling between commercially available triazole ester derivative (11) and aryl boronic acid (2) as described in *Chem., Commun.,* **2019**, *55*(*32*), 4603-4606.

Compounds of formula (III-2), (III-3), (III-4), (III-5), (III-6), (III-7) and (III-9), where Q is -N(R²)-15 C(=O)- or -NR²-C(R⁹R¹⁰)- or -N(R²)-C(=S)- or -O-C(=O)- or -O-C(R⁴R⁵)- or -S-C(R⁷R⁸)- and A is N or CR^A can be prepared by the reactions shown below.

Scheme 10:

$$\begin{array}{c} \text{H}_{3}\text{C} \\ \text{O} \\ \text{R}_{6} \end{array} \xrightarrow{\text{R}_{1}} \xrightarrow{\text{B}_{2}^{2}} \xrightarrow{\text{Hal}} \\ \text{Hal} \\ \text{O} \\ \text{R}_{6} \end{array} \xrightarrow{\text{N}} \xrightarrow{\text{Hal}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{Hal}} \\ \text{O} \\ \text{R}_{6} \end{array} \xrightarrow{\text{N}} \xrightarrow{\text{Hal}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{Hal}} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{Hal}} \\ \text{Ar} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow{\text{Hal}} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow{\text{Hal}} \\ \text{Ar} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow{\text{N}} \xrightarrow{\text{N}} \xrightarrow{\text{R}_{2}^{2}} \xrightarrow{\text{N}} \xrightarrow$$

WO 2021/013561 13 PCT/EP2020/069378

Compounds of formula (III-1) can be prepared from ester intermediate (III) by hydrolysis with suitable base like LiOH, NaOH, as mentioned in WO 2011050245. Common intermediate of formula (III-2) can be prepared via amide formation by reacting the compounds of formula (III-1) with Ar-NH₂ in presence of suitable coupling reagent like HATU and base like DIPEA. Compounds of formula (III-3) can be prepared from a common intermediate of formula (III-2) via amide reduction with LiAIH₄ as described in Tet. Lett., 2015, 56 (16), 2062-2066. Compounds of formula (III-4) can be prepared by reaction of compounds of formula (III-2) with P₂S₅ or Lawesson's reagent as described by, for example, Strong et al, J. Med. Chem., 2017, 60, 5556-5585. Compounds of formula (III-5) can be prepared from a common intermediate of formula (III-1) via esterification by reacting the compound of formula (III-1) with ArOH in presence of acid. Common intermediate of formula (III-6) can be prepared from formula (III) by reduction in presence of suitable reducing agents like LiAIH4 or DIBAL-H. Common intermediate of formula (III-7) can be prepared from formula (III-6) via etherification reaction through Mitsunobu reaction condition. The compounds of formula (III-9) can be prepared from compounds of formula (III-6) via two steps sequence involving intermediate of compounds of formula (III-8). and Hal' is chlorine, bromine, iodine, tosylate, mesylate or triflate. Steps (xvii-1 and xvii-2), (xviii-1), (xviii-2), (xviii-3), (xviii-4), (xviii-5) and (xviii-6), (xviii-7) can be performed analogous to process as described in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March.

5

10

15

20

25

Compounds of formula (IIm-1) and (IIm-2) where Q is -N=C(X)-, X is CI or F and A is N or CR^A can be prepared by the reactions shown below.

Scheme 11:

$$Ar - N = R^{2} + R^{3} + R^{$$

Compounds of formula (IIm-1) and (IIm-2) can be prepared using the method analogous to scheme 7. Compounds of formula (IIm-1) can be prepared using thionyl chloride as described in *Angew. Chem. Int. Ed.*, **2014**, *53*, 9068-9071. Compounds of formula (IIm-2) can be prepared from compounds of formula (IIm-1) by a method described in *Australian Journal of Chemistry*, **1999**, *52*, 807-811.

Compounds of formula (IIm-3), (IIm-4), (IIm-5) and (IIm-6) where Q is -N=C(X)-, X is OR⁸ or SR⁷ or N(R³)₂ or NHCN and A is N or CR^A can be prepared by the reactions shown below.

10 **Scheme 12**:

5

Ar-N,
$$B^{1}$$
 B^{2} B^{3} B^{4} B^{3} $Ar-N$ B^{4} B^{4}

Compounds of formula (IIm-3), (IIm-4), (IIm-5) and (IIm-6) can be prepared by heating compounds of formula (IIm-1) with compounds of the formula R^8 -OH or R^7 -SH or NH(R^3)₂ or NH₂CN in a polar protic or aprotic solvents in an acidic, basic or neutral conditions as described in WO 2010129053, WO 2007146824 or *Chem. Commun.*, **2014**, *50*, 1465.

15 Compounds of formula (IIn-3) and (IIn-6), where Q is -C(R¹⁹R²⁰)-C(=O)- or -C(=O)-C(R¹⁹R²⁰)- and A is N or CR^A can be prepared by the reactions shown below.

WO 2021/013561 15 PCT/EP2020/069378

Scheme 13:

5

10

$$\begin{array}{c} & & & \\ & &$$

$$(xxi-5) \quad MeO \quad N \quad MeO \quad Me$$

Compounds of formula (IIn-3) can be prepared from compounds of formula (III-1) in two steps via intermediary of (IIn-1) and (IIn-2) as per methods described in *Org. Lett.*, **2016**, *18*(*23*), 6026-6029. Compounds of formula (IIn-6) can be prepared starting from compounds of formula (IIn-1) in three steps via intermediary of (IIn-4) and (IIn-5). The first step (xxi-4) involves Arndt-Eistert homologation from (IIn-1) followed by Weinreb amide formation (step-xxi-5) and addition of an aryl Grignard reagent (step-xxi-6) as per methods described in *Photochemical & Photobiological Sciences*, **2014**, *13*(*2*), 324-341.

Compounds of formula (IIo) and (IIo-2) where Q is $-C(R^{17})=C(R^{18})$ - or $-C(R^{13}R^{14})-C(R^{15}R^{16})$ - and A is N or CR^A can be prepared as per below reactions.

Scheme 14:

HO
$$\begin{array}{c}
A \\
N \\
B^{1}
\end{array}$$

$$\begin{array}{c}
B^{1}$$

$$\begin{array}{c}
B^{1}
\end{array}$$

$$\begin{array}{c}
B^{1}$$

$$\begin{array}{c}
B^{1}
\end{array}$$

$$\begin{array}{c}
B^{1}
\end{array}$$

$$\begin{array}{c}
B^{1}$$

$$\begin{array}{c}
B^{1}$$

$$\begin{array}{c}
B^{1}
\end{array}$$

$$\begin{array}{c}
B^{1}$$

$$\begin{array}{c}$$

Compounds of formula (IIo-1) can be prepared from compounds of formula (III-6) as per methods described in WO 2011060217. Two compounds of formula (IIo-2) and (IIo) can be prepared from compounds of formula (Iio-1) by Wittig reactions (step-xxii-2) using phosphorous Wittig ylide and bases like 'BuOK in THF, followed by hydrogenation process (step-xxii-3) known in organic chemistry such as using hydrogen gas and a suitable metal catalyst as described in Marchs Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March.

Compounds of formula (IIp), (IIq) and (IIr) can be prepared as per below reactions .

Scheme 15:

5

10

15

20

Compounds of formula (IIp) can be prepared from a commercially available 1-bromo-2,3-dione derivative (12) by reacting it with substituted aryl hydrazines (ArNHNH₂, 6) as described in *Science of Synthesis*, **2002**, *12*, 15 - 225. Compounds of formula (IIq) can be similarly prepared from a commercially available 1,3 diketone derivative (13) by reacting it with substituted aryl hydrazines (6) as described in *Science of Synthesis*, **2002**, *12*, 15 - 225. Compounds of formula

WO 2021/013561 17 PCT/EP2020/069378

(IIr) can be prepared from commercially available oxime derivative (14) and corresponding aryl hydrazines (6) in two steps involving through the intermediary of (IIr-1) as described in *Bulletin des Societies Chimique Belges*, **1997**, *106* (*11*), 717-728.

Compounds of formula (IIs-1), (IIs-3) and (IIs-4), where Q is $-C(R^4R^5)-O$ - or $-C(R^7R^8)-S(=O)_m$ - or -C(=O)-O- and A is N or CR^A can be prepared as per below reactions.

Scheme 16:

5

10

15

20

Compounds of the formula (IIs-1) can be prepared from compounds of formula (IIs) by reacting with compounds of the formula $ArC(R^4R^5)$ -Lg (where Lg is bromine, chlorine, iodine, tosylate, or mesylate) in polar and aprotic solvents in presence of a base like NaH or K_2CO_3 . Compounds of the formula (IIs-2) can be prepared from compounds of formula (IIs) by reacting with Lawesson's reagent as described in *J. Med. Chem.*, **1992**, *35*(2), 368-374. Compounds of the formula (IIs-3) can be prepared from compounds of formula (IIs-2) by reacting with compounds of the formula $ArC(R^7R^8)$ -Lg (where Lg is bromine, chlorine, iodine) in polar and aprotic solvents in presence of a base like NaH or K_2CO_3 etc. Compounds of formula (IIs-3) can be further oxidised using mCPBA for preparing compounds with different oxidation states on sulphur, as described in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March. Compounds of formula (IIs-4) can be prepared from a common intermediate of formula (IIs) by esterification process analogous as described in March's Advanced Organic Chemistry 6th edition, Michael B. Smith and Jerry March.

Compounds of formula (IIt), where Q is $-N(R^2)-S(=O)_m$ - and A is N or CR^A can be prepared as per below reactions.

Scheme 17:

5

10

15

20

25

30

$$HS \xrightarrow{A} N \xrightarrow{B^1 - B^2} Hal \xrightarrow{\text{(xxvii-1)}} HO \xrightarrow{S} A N \xrightarrow{B^1 - B^2} A N \xrightarrow{\text{(Ilt-1)}} B^2 \xrightarrow{\text{(xxvii-2)}} A N \xrightarrow{\text{(Ilt-1)}} B^3 \xrightarrow{\text{(xxvii-2)}} A N \xrightarrow{\text{(Ilt-1)}} A N \xrightarrow{\text{(Ilt-1)}} B^3 \xrightarrow{\text{(xxvii-2)}} A N \xrightarrow{\text{(Ilt-1)}} A N \xrightarrow{\text{(xxvii-2)}} A N \xrightarrow{\text{(xxvii-2)}}$$

CI
$$\xrightarrow{B^1-B^2}$$
 Hal $\xrightarrow{B^1-B^2}$ HAL \xrightarrow

Compounds of formula (IIt) can be prepared starting from compounds of formula (IIs-2) in three steps involving through the intermediary of (IIt-1) and (IIt-2) using the suitable reaction conditions as described in *Chemistry Select*, **2016**, *3*, 490-494, (step (xxvii-1), EP1992/524634 [step(xxvii-2)], *Chemistry - A European Journal*, **2014**, *20(1)*, 317-322 (step-xxvii-3).

Individual compounds of formula I can also be prepared by derivatisation of other compounds of formula I or the intermediates thereof.

If the synthesis yields mixtures of isomers, a separation is generally not necessarily required since in some cases the individual isomers can be interconverted during work-up for use or during application (for example under the action of light, acids or bases). Such conversions may also take place after use, for example in the treatment of plants in the treated plant, or in the harmful fungus to be controlled.

A skilled person will readily understand that the preferences for the substituents, also in particular the ones given in the tables below for the respective substituents, given herein in connection with compounds I apply for the intermediates accordingly. Thereby, the substituents in each case have independently of each other or more preferably in combination the meanings as defined herein.

Unless otherwise indicated, the term "compound(s) according to the invention" or "compound(s) of the invention" or "compound(s) of formula (I)", refers to the compounds of formula I.

The term "compound(s) according to the invention", or "compounds of formula I" comprises the compound(s) as defined herein as well as a stereoisomer, salt, tautomer or N-oxide thereof. The term "compound(s) of the present invention" is to be understood as equivalent to the term "compound(s) according to the invention", therefore also comprising a stereoisomer, salt, tautomer or N-oxide thereof.

The term "composition(s) according to the invention" or "composition(s) of the present invention" encompasses composition(s) comprising at least one compound of formula I according to the invention as defined above. The compositions of the invention are preferably agricultural or veterinary compositions.

Depending on the substitution pattern, the compounds according to the invention may have one or more centers of chirality, in which case they are present as mixtures of enantiomers or diastereomers. The invention provides both the single pure enantiomers or pure diastereomers of the compounds according to the invention, and their mixtures and the use according to the

WO 2021/013561 19 PCT/EP2020/069378

invention of the pure enantiomers or pure diastereomers of the compounds according to the invention or their mixtures. Suitable compounds according to the invention also include all possible geometrical stereoisomers (cis/trans isomers) and mixtures thereof. Cis/trans isomers may be present with respect to an alkene, carbon-nitrogen double-bond or amide group. The term "stereoisomer(s)" encompasses both optical isomers, such as enantiomers or diastereomers, the latter existing due to more than one center of chirality in the molecule, as well as geometrical isomers (cis/trans isomers). The present invention relates to every possible stereoisomer of the compounds of formula I, i.e. to single enantiomers or diastereomers, as well as to mixtures thereof.

5

10

15

20

25

30

35

40

The compounds according to the invention may be amorphous or may exist in one or more different crystalline states (polymorphs) which may have different macroscopic properties such as stability or show different biological properties such as activities. The present invention relates to amorphous and crystalline compounds according to the invention, mixtures of different crystalline states of the respective compounds according to the invention, as well as amorphous or crystalline salts thereof.

The term "tautomers" encompasses isomers, which are derived from the compounds of formula I by the shift of an H-atom involving at least one H-atom located at a nitrogen, oxygen or sulphur atom. Examples of tautomeric forms are keto-enol forms, imine-enamine forms, urea-isourea forms, thiourea-isothiourea forms, (thio)amide-(thio)imidate forms etc.

The term "stereoisomers" encompasses both optical isomers, such as enantiomers or diastereomers, the latter existing due to more than one center of chirality in the molecule, as well as geometrical isomers (cis/trans isomers).

Depending on the substitution pattern, the compounds of the formula I may have one or more centers of chirality, in which case they are present as mixtures of enantiomers or diastereomers. One center of chirality is the carbon ring atom of the isothiazoline ring carrying radical R¹. The invention provides both the pure enantiomers or diastereomers and their mixtures and the use according to the invention of the pure enantiomers or diastereomers of the compound I or its mixtures. Suitable compounds of the formula I also include all possible geometrical stereoisomers (cis/trans isomers) and mixtures thereof.

The term N-oxides relates to a form of compounds I in which at least one nitrogen atom is present in oxidized form (as NO). To be more precise, it relates to any compound of the present invention which has at least one tertiary nitrogen atom that is oxidized to an N-oxide moiety. N-oxides of compounds I can in particular be prepared by oxidizing e.g. the ring nitrogen atom of an N-heterocycle, e.g. a pyridine or pyrimidine ring present in Ar or R¹¹, or an imino-nitrogen present in central tricyclic core, with a suitable oxidizing agent, such as peroxo carboxylic acids or other peroxides. The person skilled in the art knows if and in which positions compounds of the present invention may form N-oxides.

Salts of the compounds of the formula I are preferably agriculturally and veterinarily acceptable salts. They can be formed in a customary method, e.g. by reacting the compound with an acid of the anion in question if the compound of formula I has a basic functionality or by reacting an acidic compound of formula I with a suitable base.

Suitable agriculturally or veterinarily acceptable salts are especially the salts of those cations or the acid addition salts of those acids whose cations and anions, which are known and

WO 2021/013561 20 PCT/EP2020/069378

accepted in the art for the formation of salts for agricultural or veterinary use respectively, and do not have any adverse effect on the action of the compounds according to the present invention. Suitable cations are in particular the ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium, magnesium and barium, and of the transition metals, preferably manganese, copper, zinc and iron, and also ammonium (NH⁴⁺) and substituted ammonium in which one to four of the hydrogen atoms are replaced by C_1 - C_4 -alkyl, C_1 - C_4 -hydroxyalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_1 - C_4 - C_4 -alkoxy- C_1 - C_4 -CC₁-C₄-alkyl, phenyl or –CH₂-phenyl. Examples of substituted ammonium ions comprise methylammonium, isopropylammonium, dimethylammonium, diisopropylammonium, trimethylammonium, tetramethylammonium, tetraethylammonium, tetrabutylammonium, 2-hydroxyethylammonium, 2-(2-hydroxyethoxy)ethylammonium, bis(2-hydroxyethyl)ammonium, benzyltrimethylammonium and benzyl-triethylammonium, furthermore phosphonium ions, sulfonium ions, preferably tri(C₁-C₄-alkyl)sulfonium, and sulfoxonium ions, preferably tri(C₁-C₄alkyl)sulfoxonium. Suitable acid addition veterinarily acceptable salts, e.g. formed by compounds of formula I containing a basic nitrogen atom, e.g. an amino group, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates, and nitrates and salts of organic acids for example acetic acid, maleic acid, dimaleic acid, fumaric acid, difumaric acid, methane sulfenic acid, methane sulfonic acid, and succinic acid.

5

10

15

20

25

30

35

Anions of useful acid addition salts are primarily chloride, bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C₁-C₄-alkanoic acids, preferably formate, acetate, propionate and butyrate. They can be formed by reacting a compound of formulae I with an acid of the corresponding anion, preferably of hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid or nitric acid.

The term "invertebrate pest" as used herein encompasses animal populations, such as insects, arachnids and nematodes, which may attack plants, thereby causing substantial damage to the plants attacked, as well as ectoparasites which may infest animals, in particular warm blooded animals such as e.g. mammals or birds, or other higher animals such as reptiles, amphibians or fish, thereby causing substantial damage to the animals infested.

The term "plant propagation material" is to be understood to denote all the generative parts of the plant such as seeds and vegetative plant material such as cuttings and tubers (e. g. potatoes), which can be used for the multiplication of the plant. This includes seeds, roots, fruits, tubers, bulbs, rhizomes, shoots, sprouts and other parts of plants, including seedlings and young plants, which are to be transplanted after germination or after emergence from soil. The plant propagation materials may be treated prophylactically with a plant protection compound either at or before planting or transplanting. Said young plants may also be protected before transplantation by a total or partial treatment by immersion or pouring.

The term "plants" comprises any types of plants including "modified plants" and in particular "cultivated plants".

The term "modified plants" refers to any wild type species or related species or related genera of a cultivated plant.

The term "cultivated plants" is to be understood as including plants which have been modified by breeding, mutagenesis or genetic engineering including but not limiting to agricultural biotech

WO 2021/013561 21 PCT/EP2020/069378

products on the market or in development (cf.

5

10

15

20

25

30

35

40

http://www.bio.org/speeches/pubs/er/agri_products.asp). Genetically modified plants are plants, which genetic material has been so modified by the use of recombinant DNA techniques that under natural circumstances cannot readily be obtained by cross breeding, mutations or natural recombination. Typically, one or more genes have been integrated into the genetic material of a genetically modified plant in order to improve certain properties of the plant. Such genetic modifications also include but are not limited to targeted post-translational modification of protein(s), oligo- or polypeptides e. g. by glycosylation or polymer additions such as prenylated, acetylated or farnesylated moieties or PEG moieties.

Plants that have been modified by breeding, mutagenesis or genetic engineering, e. g. have been rendered tolerant to applications of specific classes of herbicides, such as auxin herbicides such as dicamba or 2,4-D; bleacher herbicides such as hydroxylphenylpyruvate dioxygenase (HPPD) inhibitors or phytoene desaturase (PDS) inhibittors; acetolactate synthase (ALS) inhibitors such as sulfonyl ureas or imidazolinones; enolpyruvylshikimate-3-phosphate synthase (EPSPS) inhibitors, such as glyphosate; glutamine synthetase (GS) inhibitors such as glufosinate; protoporphyrinogen-IX oxidase inhibitors; lipid biosynthesis inhibitors such as acetyl CoA carboxylase (ACCase) inhibitors; or oxynil (i. e. bromoxynil or ioxynil) herbicides as a result of conventional methods of breeding or genetic engineering. Furthermore, plants have been made resistant to multiple classes of herbicides through multiple genetic modifications, such as resistance to both glyphosate and glufosinate or to both glyphosate and a herbicide from another class such as ALS inhibitors, HPPD inhibitors, auxin herbicides, or ACCase inhibitors. These herbicide resistance technologies are e.g. described in Pest Managem. Sci. 61, 2005, 246; 61, 2005, 258; 61, 2005, 277; 61, 2005, 269; 61, 2005, 286; 64, 2008, 326; 64, 2008, 332; Weed Sci. 57, 2009, 108; Austral. J. Agricult. Res. 58, 2007, 708; Science 316, 2007, 1185; and references quoted therein. Several cultivated plants have been rendered tolerant to herbicides by conventional methods of breeding (mutagenesis), e. g. Clearfield® summer rape (Canola, BASF SE, Germany) being tolerant to imidazolinones, e. g. imazamox, or ExpressSun® sunflowers (DuPont, USA) being tolerant to sulfonyl ureas, e.g. tribenuron. Genetic engineering methods have been used to render cultivated plants such as soybean, cotton, corn, beets and rape, tolerant to herbicides such as glyphosate and glufosinate, some of which are commercially available under the trade names RoundupReady® (glyphosate-tolerant, Monsanto, U.S.A.), Cultivance® (imidazolinone tolerant, BASF SE, Germany) and LibertyLink® (glufosinatetolerant, Bayer CropScience, Germany).

Furthermore, plants are also covered that are by the use of recombinant DNA techniques capable to synthesize one or more insecticidal proteins, especially those known from the bacterial genus Bacillus, particularly from Bacillus thuringiensis, such as δ -endotoxins, e. g. CrylA(b), CrylA(c), CrylF, CrylF(a2), CrylIA(b), CrylIIA, CrylIIB(b1) or Cry9c; vegetative insecticidal proteins (VIP), e. g. VIP1, VIP2, VIP3 or VIP3A; insecticidal proteins of bacteria colonizing nematodes, e. g. Photorhabdus spp. or Xenorhabdus spp.; toxins produced by animals, such as scorpion toxins, arachnid toxins, wasp toxins, or other insect-specific neurotoxins; toxins produced by fungi, such Streptomycetes toxins, plant lectins, such as pea or barley lectins; agglutinins; proteinase inhibitors, such as trypsin inhibitors, serine protease inhibitors, patatin, cystatin or papain inhibitors; ribosome-inactivating proteins (RIP), such as

WO 2021/013561 22 PCT/EP2020/069378

5

10

15

20

25

30

35

40

ricin, maize-RIP, abrin, luffin, saporin or bryodin; steroid metabolism enzymes, such as 3hydroxysteroid oxidase, ecdysteroid-IDP-glycosyl-transferase, cholesterol oxidases, ecdysone inhibitors or HMG-CoA-reductase; ion channel blockers, such as blockers of sodium or calcium channels; juvenile hormone esterase; diuretic hormone receptors (helicokinin receptors); stilben synthase, bibenzyl synthase, chitinases or glucanases. In the context of the present invention these insecticidal proteins or toxins are to be understood expressly also as pre-toxins, hybrid proteins, truncated or otherwise modified proteins. Hybrid proteins are characterized by a new combination of protein domains, (see, e. g. WO 02/015701). Further examples of such toxins or genetically modified plants capable of synthesizing such toxins are disclosed, e. g., in EP-A 374 753, WO 93/007278, WO 95/34656, EP-A 427 529, EP-A 451 878, WO 03/18810 und WO 03/52073. The methods for producing such genetically modified plants are generally known to the person skilled in the art and are described, e. g. in the publications mentioned above. These insecticidal proteins contained in the genetically modified plants impart to the plants producing these proteins tolerance to harmful pests from all taxonomic groups of athropods, especially to beetles (Coeloptera), two-winged insects (Diptera), and moths (Lepidoptera) and to nematodes (Nematoda). Genetically modified plants capable to synthesize one or more insecticidal proteins are, e. g., described in the publications mentioned above, and some of which are commercially available such as YieldGard® (corn cultivars producing the Cry1Ab toxin), YieldGard® Plus (corn cultivars producing Cry1Ab and Cry3Bb1 toxins), Starlink® (corn cultivars producing the Cry9c toxin), Herculex® RW (corn cultivars producing Cry34Ab1, Cry35Ab1 and the enzyme Phosphinothricin-N-Acetyltransferase [PAT]); NuCOTN® 33B (cotton cultivars producing the Cry1Ac toxin), Bollgard® I (cotton cultivars producing the Cry1Ac toxin), Bollgard® II (cotton cultivars producing Cry1Ac and Cry2Ab2 toxins); VIPCOT® (cotton cultivars producing a VIP-toxin); NewLeaf® (potato cultivars producing the Cry3A toxin); Bt-Xtra®, NatureGard®, KnockOut®, BiteGard®, Protecta®, Bt11 (e. g. Agrisure® CB) and Bt176 from Syngenta Seeds SAS, France, (corn cultivars producing the Cry1Ab toxin and PAT enyzme), MIR604 from Syngenta Seeds SAS, France (corn cultivars producing a modified version of the Cry3A toxin, c.f. WO 03/018810), MON 863 from Monsanto Europe S.A., Belgium (corn cultivars producing the Cry3Bb1 toxin), IPC 531 from Monsanto Europe S.A., Belgium (cotton cultivars producing a modified version of the Cry1Ac toxin) and 1507 from Pioneer Overseas Corporation, Belgium (corn cultivars producing the Cry1F toxin and PAT enzyme).

Furthermore, plants are also covered that are by the use of recombinant DNA techniques capable to synthesize one or more proteins to increase the resistance or tolerance of those plants to bacterial, viral or fungal pathogens. Examples of such proteins are the so-called "pathogenesis-related proteins" (PR proteins, see, e. g. EP-A 392 225), plant disease resistance genes (e. g. potato cultivars, which express resistance genes acting against *Phytophthora infestans* derived from the mexican wild potato *Solanum bulbocastanum*) or T4-lysozym (e. g. potato cultivars capable of synthesizing these proteins with increased resistance against bacteria such as *Erwinia amylvora*). The methods for producing such genetically modified plants are generally known to the person skilled in the art and are described, e. g. in the publications mentioned above.

Furthermore, plants are also covered that are by the use of recombinant DNA techniques capable to synthesize one or more proteins to increase the productivity (e. g. bio mass

WO 2021/013561 23 PCT/EP2020/069378

production, grain yield, starch content, oil content or protein content), tolerance to drought, salinity or other growth-limiting environmental factors or tolerance to pests and fungal, bacterial or viral pathogens of those plants.

Furthermore, plants are also covered that contain by the use of recombinant DNA techniques a modified amount of substances of content or new substances of content, specifically to improve human or animal nutrition, e. g. oil crops that produce health-promoting long-chain omega-3 fatty acids or unsaturated omega-9 fatty acids (e. g. Nexera® rape, DOW Agro Sciences, Canada).

Furthermore, plants are also covered that contain by the use of recombinant DNA techniques a modified amount of substances of content or new substances of content, specifically to improve raw material production, e. g. potatoes that produce increased amounts of amylopectin (e. g. Amflora® potato, BASF SE, Germany).

The organic moieties mentioned in the above definitions of the variables are - like the term halogen - collective terms for individual listings of the individual members. The prefix C_n - C_m indicates in each case the possible number of carbon atoms in the group.

The term halogen denotes in each case F, Br, Cl or I, in particular F, Cl or Br.

5

10

15

20

25

30

35

40

The term "alkyl" as used herein and in the alkyl moieties of alkoxy, alkylthio, and the like refers to saturated straight-chain or branched hydrocarbon radicals having 1 to 2 (" C_1 - C_2 -alkyl"), 1 to 3 (" C_1 - C_3 -alkyl"), 1 to 4 (" C_1 - C_4 -alkyl") or 1 to 6 (" C_1 - C_6 -alkyl") carbon atoms. C_1 - C_2 -Alkyl is C_3 or C_2 H₅. C_1 - C_3 -Alkyl is additionally propyl and isopropyl. C_1 - C_4 -Alkyl is additionally butyl, 1-methylpropyl (sec-butyl), 2-methylpropyl (isobutyl) or 1,1-dimethylethyl (tert-butyl). C_1 - C_6 -Alkyl is additionally also, for example, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, or 1-ethyl-2-methylpropyl.

The term "haloalkyl" as used herein, which is also expressed as "alkyl which is partially or fully halogenated", refers to straight-chain or branched alkyl groups having 1 to 2 (" C_1 - C_2 -haloalkyl"), 1 to 3 (" C_1 - C_3 -haloalkyl"), 1 to 4 (" C_1 - C_4 -haloalkyl") or 1 to 6 (" C_1 - C_6 -haloalkyl") carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these groups are replaced by halogen atoms as mentioned above: in particular C_1 - C_2 -haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trichloroethyl or pentafluoroethyl. C_1 - C_3 -haloalkyl is additionally, for example, 1-fluoropropyl, 2-fluoropropyl, 3-fluoropropyl, 1,1-difluoropropyl, 2,2-difluoropropyl, 1,2-difluoropropyl, 3,3-difluoropropyl, 3,3,3-trifluoropropyl, heptafluoropropyl, 1,1,1-trifluoroprop-2-yl, 3-chloropropyl and the like. Examples for C_1 - C_4 -haloalkyl are, apart those mentioned for C_1 - C_3 -haloalkyl, 4-chlorobutyl and the like.

The term "alkylene" (or alkanediyl) as used herein in each case denotes an alkyl radical as defined above, wherein one hydrogen atom at any position of the carbon backbone is replaced by one further binding site, thus forming a bivalent moiety. Alkylene has preferably 1 to 6 carbon atoms (C_1 - C_6 -alkylene), 2 to 6 carbon atoms (C_2 - C_6 -alkylene), in particular 1 to 4

WO 2021/013561 24 PCT/EP2020/069378

carbon atoms (C_1 - C_4 -alkylene) or 2 to 4 carbon atoms (C_2 - C_4 -alkylene). Examples of alkylene are methylene (CH2), 1,1-ethandiyl, 1,2-ethandiyl, 1,3-propandiyl, 1,2-propandiyl, 2,2-propandiyl, 1,4-butandiyl, 1,2-butandiyl, 1,3-butandiyl, 2,3-butandiyl, 2,2-butandiyl, 1,5-pentandiyl, 2,2-dimethylpropan-1,3-diyl, 1,3-dimethyl-1,3-propandiyl, 1,6-hexandiyl etc.

5

10

15

20

25

30

35

40

The term "alkenyl" as used herein refers to monounsaturated straight-chain or branched hydrocarbon radicals having 2 to 3 ("C₂-C₃-alkenyl"), 2 to 4 ("C₂-C₄-alkenyl") or 2 to 6 ("C₂-C₆alkenyl) carbon atoms and a double bond in any position, for example C₂-C₃-alkenyl, such as ethenyl, 1-propenyl, 2-propenyl or 1-methylethenyl; C₂-C₄-alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1propenyl, 1-methyl-2-propenyl or 2-methyl-2-propenyl; C₂-C₆-alkenyl, such as ethenyl, 1propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1ethyl-2-methyl-1-propenyl, 1-ethyl-2-methyl-2-propenyl and the like.

The term "alkynyl" as used herein refers to straight-chain or branched hydrocarbon groups having 2 to 3 (" C_2 - C_3 -alkynyl"), 2 to 4 (" C_2 - C_4 -alkynyl") or 2 to 6 (" C_2 - C_6 -alkynyl") carbon atoms and one or two triple bonds in any position, for example C_2 - C_3 -alkynyl, such as ethynyl, 1-propynyl or 2-propynyl; C_2 - C_4 -alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl and the like, C_2 - C_6 -alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 3-methyl-1-butynyl, 1,1-dimethyl-2-propynyl, 1-ethyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-3-pentynyl, 2-methyl-3-pentynyl, 3-methyl-1-pentynyl, 3-methyl-1-pentynyl, 3-methyl-1-pentynyl, 4-methyl-2-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 3,3-dimethyl-1-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl, 1-ethyl-1-methyl-2-propynyl and the like;

The term "cycloalkyl" as used herein refers to mono- or bi- or polycyclic saturated hydrocarbon radicals having in particular 3 to 6 (" C_3 - C_6 -cycloalkyl") or 3 to 5 (" C_3 - C_5 -cycloalkyl") or 3 to 4 (" C_3 - C_4 -cycloalkyl") carbon atoms. Examples of monocyclic radicals having 3 to 4 carbon atoms comprise cyclopropyl and cyclobutyl. Examples of monocyclic radicals having 3 to

WO 2021/013561 25 PCT/EP2020/069378

5 carbon atoms comprise cyclopropyl, cyclobutyl and cyclopentyl. Examples of monocyclic radicals having 3 to 6 carbon atoms comprise cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. Examples of monocyclic radicals having 3 to 8 carbon atoms comprise cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl. Examples of bicyclic radicals having 7 or 8 carbon atoms comprise bicyclo[2.2.1]heptyl, bicyclo[3.1.1]heptyl, bicyclo[2.2.2]octyl and bicyclo[3.2.1]octyl. Preferably, the term cycloalkyl denotes a monocyclic saturated hydrocarbon radical.

5

10

15

20

25

30

35

40

The term "cycloalkoxy" as used herein refers to a cycloalkyl radical, in particular a monocyclic cycloalkyl radical, as defined above having in particular 3 to 6 (" C_3 - C_6 -cycloalkoxy") or 3 to 5 (" C_3 - C_5 -cycloalkoxy") or 3 to 4 (" C_3 - C_4 -cycloalksoxy") carbon atoms, which is bound via an oxygen atom to the remainder of the molecule.

The term "cycloalkyl- C_1 - C_4 -alkyl" refers to a C_3 - C_8 -cycloalkyl (" C_3 - C_8 -cycloalkyl- C_1 - C_4 -alkyl"), preferably a C_3 - C_6 -cycloalkyl (" C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl"), more preferably a C_3 - C_4 -cycloalkyl (" C_3 - C_4 -cycloalkyl- C_1 - C_4 -alkyl") as defined above (preferably a monocyclic cycloalkyl group) which is bound to the remainder of the molecule via a C_1 - C_4 -alkyl group, as defined above. Examples for C_3 - C_4 -cycloalkyl- C_1 - C_4 -alkyl are cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclobutylmethyl, cyclobutylethyl and cyclobutylpropyl, Examples for C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl, apart those mentioned for C_3 - C_4 -cycloalkyl- C_1 - C_4 -alkyl, are cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclohexylmethyl, cyclohexylethyl and cyclohexylpropyl.

The term "C₁-C₂-alkoxy" is a C₁-C₂-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₃-alkoxy" is a C₁-C₃-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₄-alkoxy" is a C₁-C₄-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₆-alkoxy" is a C₁-C₆-alkyl group, as defined above, attached via an oxygen atom. The term "C₁-C₁₀-alkoxy" is a C₁-C₁₀-alkyl group, as defined above, attached via an oxygen atom. C₁-C₂-Alkoxy is OCH₃ or OC₂H₅. C₁-C₃-Alkoxy is additionally, for example, npropoxy and 1-methylethoxy (isopropoxy). C₁-C₄-Alkoxy is additionally, for example, butoxy, 1-methylpropoxy (sec-butoxy), 2-methylpropoxy (isobutoxy) or 1,1-dimethylethoxy (tert-butoxy). C₁-C₆-Alkoxy is additionally, for example, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3methylbutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2trimethylpropoxy, 1-ethyl-1-methylpropoxy or 1-ethyl-2-methylpropoxy. C₁-C₈-Alkoxy is additionally, for example, heptyloxy, octyloxy, 2-ethylhexyloxy and positional isomers thereof. C₁-C₁₀-Alkoxy is additionally, for example, nonyloxy, decyloxy and positional isomers thereof.

The term " C_1 - C_2 -haloalkoxy" is a C_1 - C_2 -haloalkyl group, as defined above, attached via an oxygen atom. The term " C_1 - C_3 -haloalkoxy" is a C_1 - C_3 -haloalkyl group, as defined above, attached via an oxygen atom. The term " C_1 - C_4 -haloalkoxy" is a C_1 - C_4 -haloalkyl group, as defined above, attached via an oxygen atom. The term " C_1 - C_6 -haloalkoxy" is a C_1 - C_6 -haloalkyl group, as defined above, attached via an oxygen atom. C_1 - C_2 -Haloalkoxy is, for example, OCH_2F , OCH_2 , OCH_3 , OCH_2 , OCH_3 , OCH_3 , chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2-bromoethoxy, 2-iodoethoxy, 2,2-

WO 2021/013561 26 PCT/EP2020/069378

difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy or OC_2F_5 . C_1 - C_3 -Haloalkoxy is additionally, for example, 2-fluoropropoxy, 3-fluoropropoxy, 2,2-difluoropropoxy, 2,3-difluoropropoxy, 2-bromopropoxy, 3-bromopropoxy, 2-chloropropoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 2-bromopropoxy, 3-bromopropoxy, 3,3,3-trifluoropropoxy, OCH_2 - C_2F_5 , OCF_2 - C_2F_5 , 1- (CH_2F) -2-fluoroethoxy, 1- (CH_2CI) -2-chloroethoxy or 1- (CH_2Br) -2-bromoethoxy. C_1 - C_4 -Haloalkoxy is additionally, for example, 4-fluorobutoxy, 4-chlorobutoxy, 4-bromobutoxy or nonafluorobutoxy, 5-iodopentoxy, is additionally, for example, 5-fluoropentoxy, 5-chloropentoxy, 5-brompentoxy, 5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy, 6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy or dodecafluorohexoxy.

5

10

15

20

25

30

35

40

The term " C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl" as used herein, refers to a straight-chain or branched alkyl having 1 to 4 carbon atoms, as defined above, where one hydrogen atom is replaced by a C_1 - C_6 -alkoxy group, as defined above. Examples are methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl, n-butoxymethyl, sec-butoxymethyl, isobutoxymethyl, tert-butoxymethyl, 1-methoxyethyl, 1-ethoxyethyl, 1-propoxyethyl, 1-isopropoxyethyl, 1-n-butoxyethyl, 2-methoxyethyl, 2-methoxyethyl, 2-ethoxyethyl, 2-isopropoxyethyl, 2-n-butoxyethyl, 2-sec-butoxyethyl, 2-isobutoxyethyl, 1-methoxypropyl, 1-ethoxypropyl, 1-propoxypropyl, 1-isobutoxypropyl, 1-isobutoxypropyl, 1-isobutoxypropyl, 1-methoxypropyl, 2-isobutoxypropyl, 2-methoxypropyl, 2-ethoxypropyl, 2-propoxypropyl, 2-isopropoxypropyl, 2-n-butoxypropyl, 2-sec-butoxypropyl, 2-isobutoxypropyl, 3-methoxypropyl, 3-isopropoxypropyl, 3-n-butoxypropyl, 3-methoxypropyl, 3-isobutoxypropyl, 3-n-butoxypropyl, 3-sec-butoxypropyl, 3-isobutoxypropyl, 3-tert-butoxypropyl, 3-isobutoxypropyl, 3-isobutoxypropy

The term "alkoxyalkoxy" as used herein refers to an alkoxyalkyl radical, in particular a C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl radical, as defined above, which is bound via an oxygen atom to the remainder of the molecule. Examples thereof are OCH₂-OCH₃, OCH₂-OC₂H₅, n-propoxymethoxy, OCH₂-OCH(CH₃)₂, n-butoxymethoxy, (1-methylpropoxy)methoxy, (2-methylpropoxy)methoxy, OCH₂-OC(CH₃)₃, 2-(methoxy)ethoxy, 2-(ethoxy)ethoxy, 2-(n-propoxy)ethoxy, 2-(1-methylpropoxy)ethoxy, 2-(1-methylpropo

The substituent "oxo" replaces a CH₂ by a C(=O) group.

The term "aryl" relates to phenyl and bi- or polycyclic carbocycles having at least one fused phenylene ring, which is bound to the remainder of the molecule. Examples of bi- or polycyclic carbocycles having at least one phenylene ring include naphthyl, tetrahydronaphthyl, indanyl, indenyl, anthracenyl, fluorenyl etc.

The term "aryl- C_1 - C_4 -alkyl" relates to C_1 - C_4 -alkyl, as defined above, wherein one hydrogen atom has been replaced by an aryl radical, in particular a phenyl radical. Particular examples of aryl- C_1 - C_4 -alkyl include — CH_2 -phenyl, 1-phenethyl, 2-phenetyl, 1-phenylpropyl, 2-phenylpropyl, 3-phenyl-1-propyl and 2-phenyl-2-propyl.

The term "aryloxy- C_1 - C_4 -alkyl" relates to C_1 - C_4 -alkyl, as defined above, wherein one hydrogen atom has been replaced by an aryloxy radical, in particular a phenoxy radical. Particular examples of aryloxy- C_1 - C_4 -alkyl include phenoxymethyl, 1-phenoxyethyl, 2-phenoxyetyl, 1-phenoxypropyl, 2-phenoxypropyl, 3-phenoxy-1-propyl and 2-phenoxy-2-propyl.

WO 2021/013561 27 PCT/EP2020/069378

The term "aryl-C₁-C₄-carbonyl" relates to aryl as defined above, , in particular a phenyl radical, which is bound by a carbonyl to the remainder of the molecule. Particular examples of arylcarbonyl include benzoyl, 1-naphthoyl and 2-naphthoyl.

5

10

15

20

25

30

35

40

The term "hetaryl" relates to aromatic heterocycles having either 5 or 6 ring atoms (5- or 6-membered hetaryl) and being monocyclic or 8, 9 or 10 ring atoms and bing bicyclic. Hetaryl will generally have at least one ring atom selected from O, S and N, which in case of N may be an imino-nitrogen or an amino-nitrogen, which carries hydrogen or a radical different from hydrogen. Hetaryl may have 1, 2, 3 or 4 further nitrogen atoms as ring members, which are imino nitrogens. Examples of 5- or 6-membered hetaryl include 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 1-pyrazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 1-imidazolyl, 2-imidazolyl, 4-imidazolyl, 1,3,4-triazol-1-yl, 1,3,4-triazol-2-yl, 1,3,4-oxadiazolyl-2-yl, 1,3,4-thiadiazol-2-yl, 2-pyridinyl, 3-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl and 1,3,5-triazin-2-yl. Examples of 8-, 9- or 10-membered hetaryl include, for example, quinolinyl, isoquinolinyl, cinnolinyl, indolyl, indolizynyl, isoindolyl, indazolyl, benzofuryl, benzothienyl, benzo[b]thiazolyl, benzoxazolyl, benzthiazolyl, benzimidazolyl, imidazo[1,2-a]pyridine-2-yl, thieno[3,2-b]pyridine-5-yl, imidazo-[2,1-b]-thiazol-6-yl and 1,2,4-triazolo[1,5-a]pyridine-2-yl.

Examples of N-bound 5-, 6-, 7 or 8-membered saturated heterocycles include: pyrrolidin-1-yl, pyrazolidin-1-yl, imidazolidin-1-yl, oxazolidin-3-yl, isoxazolidin-2-yl, thiazolidin-3-yl, isothiazolidin-2-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, 1-dioxothiomorpholin-4-yl, azepan-1-yl and the like.

The term "hetaryl- C_1 - C_4 -alkyl" relates to C_1 - C_4 -alkyl, as defined above, wherein one hydrogen atom has been replaced by a hetaryl radical, in particular a pyridyl radical. Particular examples of hetaryl- C_1 - C_4 -alkyl include 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl, 1-(2-pyridyl)ethyl, 2-(2-pyridyl)ethyl, 1-(3-pyridyl)ethyl, 2-(3-pyridyl)ethyl, 1-(4-pyridyl)ethyl, 2-(4-pyridyl)ethyl etc..

The term "hetaryloxy- C_1 - C_4 -alkyl" relates to C_1 - C_4 -alkyl, as defined above, wherein one hydrogen atom has been replaced by an hetaryloxy radical, in particular a pyridyloxy radical. Particular examples of hetaryloxy- C_1 - C_4 -alkyl include 2-pyridyloxymethyl, 3-pyridyloxymethyl, 4-pyridyloxymethyl, 1-(2-pyridyloxy)ethyl, 2-(2-pyridyloxy)ethyl, 1-(3-pyridyloxy)ethyl, 2-(3-pyridyloxy)ethyl, 1-(4-pyridyloxy)ethyl, 2-(4-pyridyloxy)ethyl etc.

The term "hetaryl- C_1 - C_4 -carbonyl" relates to hetaryl as defined above, in particular a C-bound hetaryl radical, e.g. 2-, 3-or 4-pyridyl, 2- or 3-thienyl, 2- or 3-furyl, 1-, 2- or 3-pyrrolyl, 2- or 4-pyrimidinyl, pyridazinyl, 1-, 3- or 4-pyrazolyl, 1-, 2- or 4-imidazolyl radical, which is bound by a carbonyl to the remainder of the molecule.

The term "substituted" if not specified otherwise refers to substituted with 1, 2, or maximum possible number of substituents. If substituents as defined in compounds of formula I are more than one then they are independently from each other are same or different if not mentioned otherwise.

With respect to the variables, the embodiments of the compounds of the formula I are, In one preferred embodiment, B¹ is CR^{B1}, B² is CR^{B2}, B³ is CR^{B3}, and B⁴ is CR^{B4}; In another preferred embodiment, B¹ is N, B² is CR^{B2}, B³ is CR^{B3}, B⁴ is CR^{B4};

In another preferred embodiment, B1 is CRB1, B2 is N, B3 is CRB3, B4 is CRB4;

In another preferred embodiment, B1 is N, B2 is N, B3 is CRB3, B4 is CRB4;

In another preferred embodiment, B¹ is N, B² is N, B³ is N, B⁴ is CR^{B4};

In another preferred embodiment, B¹ and B⁴ respectively are CR^{B1} and CR^{B4}, and B² is N or CR^{B2}, B³ is N or CR^{B3};

In another preferred embodiment, A is CRA, B1 is CRB1, B2 is CRB2, B3 is CRB3, and B4 is CRB4;

In another preferred embodiment, A is CRA, B1 is N, B2 is CRB2, B3 is CRB3, B4 is CRB4;

In another preferred embodiment, A is CRA, B1 is CRB1, B2 is N, B3 is CRB3, B4 is CRB4;

In another preferred embodiment, A is CRA, B1 is N, B2 is N, B3 is CRB3, B4 is CRB4;

10 In another preferred embodiment, A is CRA, B1 is N, B2 is N, B3 is N, B4 is CRB4;

In another preferred embodiment, A is N, B¹ is CR^{B1}, B² is CR^{B2}, B³ is CR^{B3}, and B⁴ is CR^{B4};

In another preferred embodiment, A is N, B¹ is N, B² is CR^{B2}, B³ is CR^{B3}, B⁴ is CR^{B4};

In another preferred embodiment, A is N, B¹ is CR^{B1}, B² is N, B³ is CR^{B3}, B⁴ is CR^{B4};

In another preferred embodiment, A is N, B¹ is N, B² is N, B³ is CR^{B3}, B⁴ is CR^{B4};

15 In another preferred embodiment, A is N, B¹ is N, B² is N, B³ is N, B⁴ is CR^{B4};

In one preferred embodiment, R^A is H, halogen, OH, CN, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, tri- C_1 - C_6 -alkylsilyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, wherein the alkyl, alkoxy, cycloalkyl moieties are unsubstituted or substituted with halogen,

C(=O)-OR^a, NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e;

In another preferred embodiment, R^A is H, halogen, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, wherein the alkyl or cycloalkyl moieties are unsubstituted or substituted with halogen,.

In another preferred embodiment, R^A is H, Cl, Br, F, CH₃, C₂H₅, n-C₃H₇, isopropyl, cyclopropyl, CH₂F, CHF₂, or CF₃.

In one preferred embodiment, R^{B1} , R^{B2} , R^{B3} , and R^{B4} independently of each other are H,

25 halogen, or C₁-C₆-alkyl;

30

35

5

In another preferred embodiment, R^{B1} , R^{B2} , R^{B3} , and R^{B4} independently of each other are H, CI, Br, F, CH₃, C₂H₅, n-C₃H₇, or isopropyl.

In one preferred embodiment, Q is -C(R⁴R⁵)-O-, wherein C is bound to Ar.

In another preferred embodiment, Q is –C(R⁴R⁵)-O-, wherein O is bound to Ar.

In another preferred embodiment, Q is -C(=O)-O-, wherein C is bound to Ar.

In another preferred embodiment, Q is -C(=O)-O-, wherein O is bound to Ar.

In another preferred embodiment, Q is $-S(=O)_m-C(R^7R^8)$, wherein S is bound to Ar.

In another preferred embodiment, Q is $-S(=O)_m-C(R^7R^8)$, wherein C is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-S(=O)_{m^-}$, wherein N is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-S(=O)_{m^-}$, wherein S is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(R^9R^{10})$ -, wherein N is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(R^9R^{10})$, wherein C is bound to Ar.

In another preferred embodiment, Q is -C(=O)–C(R¹⁹R²⁰)-, wherein C(=O) is bound to Ar.

In another preferred embodiment, Q is $-C(=O)-C(R^{19}R^{20})$, wherein $C(R^{19}R^{20})$ is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(=0)$ -, wherein N is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(=0)$ -, wherein C is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(=S)$ -, wherein N is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(=S)$ -, wherein C is bound to Ar.

In another preferred embodiment, Q is -N=C(X)-, wherein N is bound to Ar.

In another preferred embodiment, Q is -N=C(X)-, wherein C is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(=NR)$ -, wherein N is bound to Ar.

In another preferred embodiment, Q is $-N(R^2)-C(=NR)$ -, wherein C is bound to Ar.

In another preferred embodiment, Q is $-C(R^{13}R^{14})-C(R^{15}R^{16})$.

In another preferred embodiment, Q is $-C(R^{17})=C(R^{18})$ -.

In another preferred embodiment, Q is $-C(R^4R^5)-O-$, $-N(R^2)-S(=O)_{m^-}$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=S)-$, -N=C(X)-, or $-N(R^2)-C(=NR)-$, wherein Ar is bound to either side of Q;

In another preferred embodiment, Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=O)-$, wherein Ar is bound to either side of Q;

In one preferred embodiment, X is H or $N(R^3)_2$;

In another preferred embodiment, X is H;

In another preferred embodiment, X is $N(R^3)_2$;

15 In one preferred embodiment, R³ is H, C₁-C₆-alkyl, or C₁-C₆-alkoxy-C₁-C₄-alkyl;

In another preferred embodiment, R³ is H, or C₁-C₆-alkyl;

In another preferred embodiment, R³ is C₁-C₆-alkyl;

In another preferred embodiment, R³ is H;

In one preferred embodiment, R is H, CN, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-

20 haloalkenyl, C_2 - C_6 -alkynyl, C_2 - C_6 -haloalkynyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, OR^8 , or $N(R^3)_2$;

In another preferred embodiment, R is H, CN, C₁-C₆-alkyl, or OR⁸;

In another preferred embodiment, R is H, or C₁-C₆-alkyl;

In another preferred embodiment, R is H, CH₃, C₂H₅, n-C₃H₇, or isopropyl;

In one preferred embodiment, R^6 is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

In another preferred embodiment, R⁶ is –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

In another preferred embodiment, R^6 is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

In another preferred embodiment, R⁶ is H, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-haloalkyl, or – CH₂-phenyl;

In another preferred embodiment, R⁶ is H, C₁-C₆-alkyl, or C₁-C₆-haloalkyl;

In another preferred embodiment, R⁶ is H;

30

In another preferred embodiment, R⁶ is C₁-C₆-alkyl;

In another preferred embodiment, R⁶ is C₃-C₆-cycloalkyl which is unsubstituted or substituted with halogen;

In another preferred embodiment, R⁶ is C₁-C₆-haloalkyl;

In another preferred embodiment, R⁶ is H, CH₃, C₂H₅, C₃H₅, CH₂CF₃, or CHF₂;

In another preferred embodiment, R⁶ is H, CH₃, C₂H₅, C₃H₅, or CH₂CF₃;

In one preferred embodiment, R^4 , R^5 , R^7 , R^8 , R^9 , R^{10} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} are, identical or different, H, halogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkylalkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkoxy- C_1 - C_4 -alkyl, C(=O)- OR^a , C(=O)- NR^bR^c , C(=O)- R^d , $SO_2NR^bR^c$, $S(=O)_mR^e$, phenyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f ;

In another preferred embodiment, R⁴, R⁵, R⁷, R⁸, R⁹, R¹⁰, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰ are, identical or different, H, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkylalkyl, C₃-C₆-cycloalkyl, C₃-C₆-halocycloalkyl, C(=O)-OR^a, C(=O)-NR^bR^c, C(=O)-R^d, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

In another preferred embodiment, R^4 , R^5 , R^7 , R^8 , R^9 , R^{10} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} are, identical or different, H, halogen, C_1 - C_6 -alkyl, or C_1 - C_6 -haloalkylalkyl;

In another preferred embodiment, R^4 , R^5 , R^7 , R^8 , R^9 , R^{10} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} are, identical or different, H, halogen, or C_1 - C_6 -alkyl;

In another preferred embodiment, R^4 , R^5 , R^7 , R^8 , R^9 , R^{10} , R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{20} are, identical or different, H or C_1 - C_6 -alkyl;

In one preferred embodiment, Ar is phenyl which is unsubstituted or substituted with R^{Ar} .

In another preferred embodiment, Ar is 5- or 6-membered hetaryl, which is unsubstituted or substituted with R^{Ar}.

In more preferred embodiment, Ar is phenyl, pyrimidinyl, pyridazinyl, or pyridyl, which are unsubstituted or substituted with R^{Ar}.

In one preferred embodiment, R^{Ar} is halogen, OH, CN, NO₂, SCN, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-haloalkoxy, or S-R^e.

In another preferred embodiment, R^{Ar} is halogen, OH, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, or S-R^e.

In more preferred embodiment, R^{Ar} is F, CI , Br, OH, CN, NO₂, SCN, CH₃, C₂H₅, n-C₃H₇, isopropyl, CH₂F, CHF₂, CF₃, CH₂CF₃, CF₂CHF₂, C₂F₅, CH₂CH₂CF₃, CH₂CF₂CHF₂, CH₂CF₂CF₃, OCH₃, OC₂H₅, n-propyloxy, isopropyloxy, OCH₂F, OCHF₂, OCF₃, OCH₂CF₃, OCF₂CHF₂, OC₂F₅, OCH₂CH₂CF₃, OCH₂CF₂CHF₂, OCH₂CF₂CF₃, or S-R^e, where R^e is C₁-C₆-alkyl, in particular C₁-C₃-alkyl such as CH₃, C₂H₅, n-C₃H₇ or isopropyl, or C₁-C₆-haloalkyl, in particular fluorinated C₁-C₃-alkyl such as CH₂F, CHF₂, CF₃, CH₂CF₃, CF₂CHF₂, C₂F₅, CH₂CH₂CF₃, CH₂CF₂CHF₂ or CH₂CF₂CF₃.

Perticularly preferred Ar are listed in Table A below.

35 Table A:

5

10

15

20

30

Ar1.	F ₃ C
Ar2.	F ₃ C

Ar3.
$$F_5C_2 \longrightarrow F_3C \longrightarrow$$

WU 2021/013561		
Ar7.	N O C ₂ F ₅	
Ar8.	N S CF3	
Ar9.	N=N CF ₃	
Ar10.	CF ₃	
Ar11.	CF ₃	
Ar12.	F ₃ C S N-N	
Ar13.	F N N	
Ar14.	F F F F F F F F F F F F F F F F F F F	
Ar15.	F F F	
Ar16.	F F F F F	

Ar26.

Ar27.

$$F = N$$

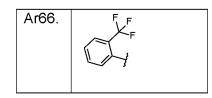
$$H_3 = N$$
Ar29.

$$F = N$$

$$F = N$$
Ar30.

$$F = N$$

Ar36.	F N CH3		
Ar37.	F		
Ar38.	FFF		
Ar39.	CI		
Ar40.	F		
Ar41.	CI		
Ar42.	F CH ₃		
Ar43.	F CH ₃		
Ar44.	F CI		
Ar45.	CI F F F		



Particularly preferred Ar is selected from Ar1 to Ar67;

also particularly preferred Ar is selected from Ar1 to Ar20;

also particularly preferred Ar is selected from Ar1 to Ar13;

also particularly preferred Ar is selected from Ar1 to Ar13 and Ar17 to Ar18;

also particularly preferred Ar is selected from Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, and Ar18.

also particularly preferred Ar is selected from Ar17 and Ar18;

also particularly preferred Ar is selected from Ar1, Ar2, Ar5, Ar21 and Ar22;

also particularly preferred Ar is Ar17;

10 also particularly preferred Ar is Ar18;

In one preferred embodiment, R¹ is Y-Z-T-R¹¹.

In another preferred embodiment, R¹ is Y-Z-T-R¹².

In one preferred embodiment, Y is -CR^{ya}=N-, wherein the N is bound to Z.

In another preferred embodiment, Y is -NR^{yc}-C(=S)-, wherein C(=S) is bound to Z.

15 In another preferred embodiment, Y is –NR^{yc}–C(=O)–, wherein C(=O) is bound to Z.

In one preferred embodiment, Z is a single bond;

 $-NR^{zc}-C(=O)-$, wherein C(=O) is bound to T;

 $-NR^{zc}-C(=S)-$, wherein C(=S) is bound to T;

-N=C(S-R^{za})-, wherein T is bound to the carbon atom; or

 $-NR^{zc}-C(S-R^{za})=$, wherein T is bound to the carbon atom;

In another preferred embodiment, Z is -NR^{zc}-C(=S)-, wherein C(=S) is bound to T.

In another preferred embodiment, Z is $-NR^{zc}-C(=O)-$, wherein C(=O) is bound to T.

In another preferred embodiment, Z is–N=C(S–R^{za})–, wherein T is bound to the carbon atom.

In another preferred embodiment, Z is–NR^{zc}–C(S–R^{za})=, wherein T is bound to the carbon

25 atom.

In another preferred embodiment, Z is -O-C(=O)-, wherein T is bound to the carbon atom;

In another preferred embodiment, Z is a single bond.

In one preferred embodiment, T is O.

In another preferred embodiment, T is N-R^T.

30 In another preferred embodiment, T is N.

In one preferred embodiment, R^{ya} is H, halogen, C₁-C₆-alkyl, C₁-C₆-alkoxy, which are unsubstituted or substituted with halogen,

phenyl, or -CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f.

In more preferred embodiment, R^{ya} is H, halogen, $\mathsf{C_1}\text{-}\mathsf{C_6}\text{-}\mathsf{alkyl}$, $\mathsf{C_1}\text{-}\mathsf{C_6}\text{-}\mathsf{alkoxy}$, which are

35 unsubstituted or substituted with halogen,

, or phenyl which is unsubstituted or substituted with Rf.

In most preferred embodiment, R^{ya} is H, F, CI , Br, CH_3 , C_2H_5 , $n-C_3H_7$, isopropyl, CH_2F , CH_2F , CF_3 , CH_2CF_3 , CF_2CH_2 , CF_3 , CH_2CF_3 , CH_2CF_3 , CH_2CF_3 , CH_2CF_3 , CH_3 , CC_2H_5 , CC_3H_5 , $CC_3H_$

propyloxy, isopropyloxy, OCH_2F , OCH_2 , OCF_3 , OCH_2CF_3 , OCF_2CHF_2 , OC_2F_5 , OCH_2CF_3 , $OCH_2CF_2CHF_3$, or phenyl which is unsubstituted or substituted with R^f .

In further most preferred embodiment, Rya is H or CH₃;

5

10

15

25

30

35

In one embodiment, R^{yc} , R^{zc} are H, C_1 - C_6 -alkyl, C_3 - C_6 -cycloalkyl, which are unsubstituted or substituted with halogen,

phenyl, or –CH₂-phenyl, wherein the rings are unsubstituted or substituted with Rf.

In more preferred embodiment, R^{yc} and R^{zc} are H, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, or phenyl which is unsubstituted or substituted with R^f .

In most preferred embodiment, R^{yc} and R^{zc} are H, CH_3 , C_2H_5 , n- C_3H_7 , isopropyl, CH_2F , CH_2 , CF_3 , CH_2CF_3 , CF_2CHF_2 , CH_2CF_3 , $CH_2CF_2CHF_2$, $CH_2CF_2CF_3$, or phenyl which is unsubstituted or substituted with R^f .

In further most preferred embodiment, Ryc and Rzc are H or CH₃;

In one preferred embodiment, R^T is C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkyl- C_1 - C_6 -alkoxy, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkoxy- C_1 - C_4 -alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

C(=O)-OR^a, C_1 -C₆-alkylene-NR^bR^c, C_1 -C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, $SO_2NR^bR^c$, $S(=O)_mR^e$, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

In another preferred embodiment, R^T is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkyl- C_1 - C_6 -alkoxy, which are unsubstituted or substituted with halogen, C(=O)- NR^bR^c , C(=O)- R^d , $SO_2NR^bR^c$, $S(=O)_mR^e$, phenyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f .

In more preferred embodiment, R^T is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkyl- C_1 - C_6 -alkoxy, which are unsubstituted or substituted with halogen.

In most preferred embodiment, R^T is H or C_1 - C_6 -alkyl.

In another preferred embodiment, R^{zc} together with R^T if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety may be replaced by a carbonyl or a C=N-R' and/or wherein 1 or 2 CH_2 moieties may be replaced by O or S and/or wherein the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h .

In more preferred embodiment, R^{zc} together with R^T if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety is replaced by a carbonyl group.

In another more preferred embodiment, R^{zc} together with R^{T} if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a C_1 - C_6 -alkylene and wherein 1 or 2 C_1 - C_6 -alkenylene and C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h .

In another more preferred embodiment, R^{zc} together with R^T if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkylene and the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h .

In one preferred embodiment, R^{za} is H, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkylene-NR^bR^c, C_1 - C_6 -C(=O)-R^d, phenyl, phenylcarbonyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

In more preferred embodiment, R^{za} is H, C₁-C₆-alkyl, or C₁-C₆-haloalkyl;

5 In most preferred embodiment, R^{za} is H, C₁-C₆-alkyl.

10

15

20

30

35

In another preferred embodiment, R^{za} together with R^{T} if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a C_1 - C_6 -alkylene and C_2 - C_6 -alkenylene and C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with C_1 - C_2 - C_3 -alkylene and C_4 - C_6 -alkylene may be unsubstituted or substituted with C_1 - C_2 - C_3 -alkylene and C_4 - C_6 -alkylene may be unsubstituted or substituted with C_4 - C_6 -alkylene may be unsubstituted or substituted with C_4 - C_6 -alkylene may be unsubstituted or substituted with C_4 - C_6 -alkylene may be unsubstituted or substituted with C_4 - C_6 -alkylene may be unsubstituted or substituted with C_4 - C_6 -alkylene may be unsubstituted with C_4 - C_6

In more preferred embodiment, R^{za} together with R^{T} if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety is replaced by a carbonyl group.

In another more preferred embodiment, R^{za} together with R^{T} if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety is replaced by a C=N-R' and wherein 1 or 2 CH_2 moieties may be replaced by O or S and/or wherein the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h .

In another more preferred embodiment, R^{za} together with R^{T} if present, forms C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene 1 or 2 CH₂ moieties are replaced by O or S and/or wherein the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h .

In a preferred embodiment, R^a, R^b and R^c are H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, which are unsubstituted or substituted with halogen,

25 C₁-C₆-alkylene-CN, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

In more preferred embodiment, R^a, R^b and R^c are H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, which are unsubstituted or substituted with halogen,

phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with Rf.

In a preferred embodiment, R^d is H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, which are unsubstituted or substituted with halogen,

phenyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f. In more preferred embodiment, R^d is H, C₁-C₆-alkyl, C₁-C₆-haloalkyl, or phenyl which is unsubstituted or substituted with R^f.

In one preferred embodiment, R^e is C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -halocycloalkyl, phenyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f .

In more preferred embodiment, R^e is H, C₁-C₆-alkyl, C₁-C₆-haloalkyl, or phenyl unsubstituted or substituted with R^f.

In one preferred embodiment, R^f is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy, which are unsubstituted or substituted with halogen,

C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e.

In more preferred embodiment, R^f is halogen, N_3 , OH, CN, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_2 - C_6 -alkenyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkoxy, which are unsubstituted or substituted with halogen,

 $C(=O)-OR^a$, NR^bR^c , C_1-C_6 -alkylene- NR^bR^c , C_1-C_6 -alkylene-CN, $C(=O)-NR^bR^c$, $C(=O)-R^d$, $SO_2NR^bR^c$, or $S(=O)_mR^e$.

In a preferred embodiment, R^9 is halogen, N_3 , OH, CN, NO_2 , -SCN, -SF₅, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkoxy, which are unsubstituted or substituted with halogen,

C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, NH-C₁-C₆-alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e.

In more preferred embodiment, R^g is halogen, N_3 , OH, CN, NO_2 , C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_2 - C_6 -alkenyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkoxy, which are unsubstituted or substituted with halogen,

C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e. In one embodiment, m is 0.

In another embodiment, m is 1.

5

10

15

25

30

In another embodiment, m is 2.

In another embodiment, m is 0 or 1.

In another embodiment, m is 1 or 2.

In more preferred embodiment, R¹ are formulas Y-1 to Y-9 wherein denotes attachment to the remaining part of the compound, D is R¹¹ or R¹² and wherein R^T, R¹¹, R¹², R^{ya}, R^{yc}, R^{za} and R^{zc} are as defined in compounds of formula I.

In more preferred embodiment, R^1 are formulas Y-1 to Y-8 wherein $^{\mbox{\ }}$ denotes attachment to the remaining part of the compound, D is R^{11} or R^{12} and wherein $R^{\mbox{\ }}$, R^{11} , R^{12} , R^{ya} , R^{yc} , R^{za} and R^{zc} are as defined in compounds of formula I.

Also in more preferred embodiment, R^1 are formulas Y-1, Y-5 or Y-6 wherein $^{\mbox{\begin{tikzpicture}{l} 1}}$ denotes attachment to the remaining part of the compound, D is R^{11} or R^{12} and wherein $R^{\mbox{\begin{tikzpicture}{l} 1}}$, R^{12} , R^{12} , R^{12} , R^{12} , R^{13} , R^{12} , R^{13} , R^{14} , R^{12} , R^{14} , R^{14} , R^{15} , R^{1

WO 2021/013561

10

15

In another more preferred embodiment, R¹ are formulas YZT-1 to YZT-9, wherein denotes attachment to the remaining part of the compound and R¹¹, R¹², R¬, Rya, Rza and Rzc are as defined in compounds of formula I.

In another more preferred embodiment, R^1 are formulas YZT-1 to YZT-8, wherein $^{\mbox{\colored}}$ denotes attachment to the remaining part of the compound and R^{11} , R^{12} , $R^{\mbox{\colored}}$, R^{ya} , R^{za} and R^{zc} are as defined in compounds of formula I.

In most preferred embodiment, R^1 are formulas Y-1A to Y-9A, wherein denotes attachment to the remaining part of the compound, D is R^{11} or R^{12} .

In most preferred embodiment, R¹ are formulas Y-1A to Y-8B, wherein ¹ denotes attachment to the remaining part of the compound, D is R¹¹ or R¹².

In one preferred embodiment, R^{11} is C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl, C_1 - C_4 -alkyl- C_3 - C_6 -cycloalkoxy, which are unsubstituted or substituted with halogen,

aryl, arylcarbonyl, aryl- C_1 - C_4 -alkyl, aryloxy- C_1 - C_4 -alkyl, hetaryl, carbonylhetaryl, C_1 - C_4 -alkyl-hetaryloxy, wherein the aryl or hetaryl rings are unsubstituted or substituted with R^g and wherein the hetaryl is a 5- or 6-membered monocyclic hetaryl or a 8-, 9- or 10-membered bicyclic hetaryl.

In more preferred embodiment, R^{11} is C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_6 -cycloalkyl, which are unsubstituted or substituted with halogen, aryl, arylcarbonyl, aryl- C_1 - C_4 -alkyl, aryloxy- C_1 - C_4 -alkyl, hetaryl, carbonylhetaryl, C_1 - C_4 -alkylhetaryloxy, where the rings are unsubstituted or substituted with R^9 and wherein the hetaryl is a 5- or 6-membered monocyclic hetaryl or a 8-, 9- or 10-membered bicyclic hetaryl.

In most preferred embodiment, R¹¹ is aryl, aryl-C₁-C₄-alkyl, hetaryl, or hetaryl-C₁-C₄-alkyl, wherein the rings are unsubstituted or substituted with R^g and where hetaryl in hetaryl or hetaryl-C₁-C₄-alkyl, is preferably a 5- or 6-membered monocyclic hetaryl such as pyridyl, pyrimidinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl or isothiazolyl which is unsubstituted or substituted with R^g.

Also in most preferred embodiment, R¹¹ is aryl, preferably phenyl which is unsubstituted or substituted with R^g.

Examples of particularly preferred radicals R¹¹ are the radicals R¹¹-1 to R¹¹-29 summarized in Table A-1 below.

Table A-1.

5

10

15

20

R ¹¹ -12 CI	, CI
*	Ĭ
R ¹¹ -13 H ₃ C	CH ₃
R ¹¹ -14 CI	CH ₃
R ¹¹ -15	CI
R ¹¹ -16	FF
R ¹¹ -17 H ₃ C	Br CH ₃

10

15

R ¹¹ -18	CH ₃
R ¹¹ -19	N CH ₃
R ¹¹ -20	H ₃ C O CH ₃
R ¹¹ -21	CH ₃
R ¹¹ -22	
R ¹¹ -23	C

PCT/EP2020/069378											
R ¹¹ -24	CV _F										
R ¹¹ -25	CH ₃										
R ¹¹ -26	F										
R ¹¹ -27	H ₃ C CH ₃										
R ¹¹ -28	H ₃ C CH ₃										
R ¹¹ -29	H_3C CH_3										
R ¹¹ -30	H ₃ C F CH ₃										

In another preffered embodiment of the invention, R¹¹ is R¹¹-1, R¹¹-10, R¹¹-29, or R¹¹-30; In another preffered embodiment of the invention, R¹¹ is R¹¹-1, R¹¹-10, or R¹¹-29; In one embodiment, R¹² is a radical of the formula (A¹),

$$R^{121}$$
 R^{122}
 R^{123}
 R^{124}
 R^{124}
 R^{124}

wherein # indicates the point of attachment to T and wherein R¹²¹, R¹²², R¹²³and R¹²⁴ are as defined above and wherein R¹²¹, R¹²², R¹²³and R¹²⁴ independently of each other and especially in combination preferably have the following meanings:

 R^{121} is C_1 - C_4 -alkoxy, in particular OCH₃, OC₂H₅;

 R^{122} is C_1 - C_4 -alkoxy, such as OCH₃, OC₂H₅, n-propoxyx or isopropoxy, or C₃-C₄-alkenyloxy, such as allyloxy, with R^{122} in particular being OCH₃, OC₂H₅, or n-propoxy;

 R^{123} is OH, C_1 - C_4 -alkoxy, such as OCH₃, OC₂H₅,, or C₃-C₄-alkenyloxy, such as allyloxy, with R^{123} in particular being OCH₃, OC₂H₅;

 R^{124} is C_1 - C_4 -alkyl, such as CH_3 or C_2H_5 , or C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, such as methoxymethyl, ethoxymethyl, 2-methoxyethyl or 2-ethoxyethyl, with R^{124} in particular being methyl;.

In more preferred embodiment, R^{12} is in particular a radical of the formula (A^{11}), e.g. (A^{11} -a) or (A^{11} -b)

$$R^{121}$$
, R^{122} R^{121} , R^{122} R^{122} R^{123} R^{123} R^{123} R^{123} R^{124} R^{124} R^{124} R^{124} R^{125} R^{126} R^{126} R^{127} R^{128} R^{128} R^{128} R^{129} $R^$

wherein # indicates the point of attachment to T and wherein R¹²¹, R¹²², R¹²³ and R¹²⁴ are as defined above and wherein R¹²¹, R¹²², R¹²³ and R¹²⁴ independently of each other and especially in combination preferably have the following meanings:

R¹²¹ is C₁-C₄-alkoxy, in particular OCH₃ or OC₂H₅;

5

10

15

 R^{122} is C_1 - C_4 -alkoxy, such as OCH₃, OC₂H₅, n-propoxyx or isopropoxy, or C₃-C₄-alkenyloxy, such as allyloxy, with R^{122} in particular being OCH₃, OC₂H₅ or n-propoxy;

 R^{123} is OH, C_1 - C_4 -alkoxy, such as OCH₃ or OC₂H₅, or C₃-C₄-alkenyloxy, such as allyloxy, with R^{123} in particular being OCH₃ or OC₂H₅;

 R^{124} is C_1 - C_4 -alkyl, such as CH_3 or C_2H_5 , or C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, such as methoxymethyl, ethoxymethyl, 2-methoxyethyl or 2-ethoxyethyl, with R^{124} in particular being methyl.

Particular examples of radicals R^{12} are the following radicals A^{11} -1, A^{11} -1a, A^{11} -1b, A^{11} -2, A^{11} -2a, A^{11} -2b, A^{11} -3a and A^{11} -3b:

In a more preferred embodiment compounds of formula I are selected from compounds of formula A.1 to A.10.

wherein, Ar is phenyl or 5- or 6-membered hetaryl ring which is substituted with R^{Ar}; R^{Ar} is halogen, OH, CN, NO₂, SCN, C₁-C₆-alkyl, C₁-C₆-alkoxy, or S-R^e, wherein the alkyl and alkoxy are unsubstituted or substituted with halogen;

 R^{A} is H, halogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_3 - C_6 -cycloalkyl, or C_3 - C_6 -halocycloalkyl; R^{B1} , R^{B2} , R^{B3} , and R^{B4} independently of each other are H, halogen, or C_1 - C_6 -alkyl;

10 Q is $-C(R^4R^5)-O-$, $-N(R^2)-S(=O)_{m^-}$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=S)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

X is $N(R^3)_2$;

and R1 is Y-Z-T-R11 or Y-Z-T-R12, as defined in formula I.

more preferred compounds of formula I are compounds of formula I.1 to I.24, wherein R¹ is selected from Y-1A, Y-1B, Y-2A, Y-2B, Y-3A, Y-3B, Y-3C, Y-3D, Y-4A, Y-4B, Y-4C, Y-4D, Y-5A, Y-5B, Y-6A, Y-6B, Y-7A, Y-7B, Y-8A, and Y-8B; wherein D is R¹¹ or R¹², and other variables are as defined herein.

1.24

Also more preferred are the compound of formula I, wherein

A is N or CRA;

5

20

B1 is CRB1, B2 is CRB2, B3 is CRB3, and B4 is CRB4

10 R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

1.23

R is H, CN, or C₁-C₆-alkyl;

 R^2 is H or C_1 - C_6 -alkyl;

15 R⁴, R⁵, R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

R⁶ is H or C₁-C₆-alkyl;

R⁹R¹⁰ independently are H or C₁-C₆-alkyl;

R^A is H or C₁-C₆-alkyl;

Ar is r is phenyl, pyrimidinyl, pyridazinyl, or pyridyl, which are unsubstituted or substituted with R^{Ar};

R^{Ar} is halogen, OH, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, or S-R^e;

R¹ is Y-1A, Y-2A, Y-5A, Y-6A, or Y-7A;

is R¹¹ or R¹²; D

R¹¹ is selected from R¹¹-1 to R¹¹-30:

 R^{12} is A^{11} -1b or A^{11} -3b:

Also more preferred are the compound of formula I, wherein

A is N or CRA;

 B^1 is CR^{B1} , B^2 is CR^{B2} , B^3 is CR^{B3} , and B^4 is CR^{B4}

R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

R is H, CN, or C_1 - C_6 -alkyl;

10 R^2 is H or C_1 - C_6 -alkyl;

R⁴, R⁵, R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

R⁶ is H or C₁-C₆-alkyl;

Ar is selected from Ar1 to Ar67;

R¹ is Y-1A, Y-2A, Y-5A, Y-6A, or Y-7A;

15 D is R^{11} or R^{12} ;

R¹¹ is selected from R¹¹-1 to R¹¹-30:

 R^{12} is A^{11} -1b or A^{11} -3b;

Also more preferred are the compound of formula I, wherein

A is CRA:

B¹ is CR^{B1}, B² is CR^{B2}, B³ is CR^{B3}, and B⁴ is CR^{B4}

R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

R is H, CN, or C₁-C₆-alkyl;

25 R^2 is H or C_1 - C_6 -alkyl;

R⁴, R⁵, R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

R⁶ is H, C₁-C₆-alkyl, C₁-C₆-haloalkyl, or –CH₂-phenyl;

Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

R¹ is Y-1A, Y-3C, Y-5A, Y-6A, Y-7A, Y-8A, or Y-9A;

30 D is R^{11} or R^{12} ;

R¹¹ is R¹¹-1 or R¹¹-10:

 R^{12} is A^{11} -1b or A^{11} -3b;

Also more preferred are the compound of formula I, wherein

A is N;

B¹ is CR^{B1}, B² is CR^{B2}, B³ is CR^{B3}, and B⁴ is CR^{B4}

R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

R is H, CN, or C₁-C₆-alkyl;

40 R^2 is H or C_1 - C_6 -alkyl;

R⁴. R⁵. R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

R⁶ is H, C₁-C₆-alkyl, C₁-C₆-haloalkyl, or –CH₂-phenyl;

Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

R¹ is Y-1A, Y-3C, Y-5A, Y-6A, Y-7A, Y-8A, or Y-9A;

D is R^{11} or R^{12} ;

R¹¹ is R¹¹-1 or R¹¹-10;

 R^{12} is A^{11} -1b or A^{11} -3b;

Also more preferred are the compound of formula I, wherein

5 A is N or CR^A;

B¹ is CR^{B1}, B² is CR^{B2}, B³ is CR^{B3}, and B⁴ is CR^{B4}

R^A is H, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₆-cycloalkyl, or C₃-C₆-halocycloalkyl;

R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O_{-}$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to

10 either side of Q:

R is H, CN, or C_1 - C_6 -alkyl;

R² is H or C₁-C₆-alkvl:

R⁴, R⁵, R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

 R^6 is H, CH₃, CH(CH₃)₂, CH₂(C₆H₅), CH₂CHF₂, or C₂H₅;

15 Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

R¹ is Y-1A, Y-3C, Y-5A, Y-6A, Y-7A, Y-8A, or Y-9A;

D is R^{11} or R^{12} ;

R¹¹ is R¹¹-1 or R¹¹-10;

 R^{12} is A^{11} -1b or A^{11} -3b;

20 Also more preferred are the compound of formula I, wherein

A is N or CR^A;

B1 is CRB1, B2 is N, B3 is CRB3, and B4 is CRB4

R^A is H, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₆-cycloalkyl, or C₃-C₆-halocycloalkyl;

R^{B1}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

25 Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

R is H, CN, or C_1 - C_6 -alkyl;

 R^2 is H or C_1 - C_6 -alkyl;

R⁴. R⁵. R⁹. R¹⁰. are identical or different H or C₁-C₆-alkyl:

30 R^6 is H, CH₃, CH(CH₃)₂, CH₂(C₆H₅), CH₂CHF₂, or C₂H₅;

Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

R¹ is Y-1A, Y-3C, Y-5A, Y-6A, Y-7A, Y-8A, or Y-9A;

D is R¹¹ or R¹²;

 R^{11} is R^{11} -1 or R^{11} -10;

35 R^{12} is A^{11} -1b or A^{11} -3b;

Also more preferred are the compound of formula I, wherein

A is N or CRA;

B¹ is CR^{B1}, B² is N, B³ is N, and B⁴ is CR^{B4}

R^A is H, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₆-cycloalkyl, or C₃-C₆-halocycloalkyl;

40 R^{B1}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q;

R is H, CN, or C_1 - C_6 -alkyl;

 R^2 is H or C_1 - C_6 -alkyl;

R⁴, R⁵, R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

 R^6 is H, CH₃, CH(CH₃)₂, CH₂(C₆H₅), CH₂CHF₂, or C₂H₅;

Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

R¹ is Y-1A, Y-3C, Y-5A, Y-6A, Y-7A, Y-8A, or Y-9A;

5 D is R^{11} or R^{12} ;

R¹¹ is R¹¹-1 or R¹¹-10;

 R^{12} is A^{11} -1b or A^{11} -3b;

Also more preferred are the compound of formula I, wherein

A is N or \mathbb{R}^A ;

10 B¹ is N, B² is N, B³ is CR^{B3}, and B⁴ is CR^{B4}

 R^A is H, halogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_3 - C_6 -cycloalkyl, or C_3 - C_6 -halocycloalkyl;

R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-C(R^4R^5)-O-$, $-N(R^2)-C(R^9R^{10})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=NR)-$; wherein Ar is bound to either side of Q:

15 R^2 is H or C_1 - C_6 -alkyl;

R is H, CN, or C_1 - C_6 -alkyl;

R⁴, R⁵, R⁹, R¹⁰, are identical or different H or C₁-C₆-alkyl;

 R^6 is H, CH₃, CH(CH₃)₂, CH₂(C₆H₅), CH₂CHF₂, or C₂H₅;

Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

20 R¹ is Y-1A, Y-3C, Y-5A, Y-6A, Y-7A, Y-8A, or Y-9A;

D is R^{11} or R^{12} ;

R¹¹ is R¹¹-1 or R¹¹-10;

 R^{12} is A^{11} -1b or A^{11} -3b;

In another preferred embodiment, the compound of formula I are compounds of formula I.1 to

25 I.24, wherein

Ar is r is phenyl, pyrimidinyl, pyridazinyl, or pyridyl, which are unsubstituted or substituted with R^{Ar};

R^{Ar} is halogen, OH, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, or S-R^e;

B1 is N or CH:

30 B^2 is N or CH;

B³ is N or CH:

R¹ is Y-1A, Y-1B, Y-2A, Y-2B, Y-3A, Y-3B, Y-3C, Y-3D, Y-4A, Y-4B, Y-4C, Y-4D, Y-5A, Y-5B, Y-6A, Y-6B, Y-7A, Y-7B, Y-8A, or Y-8B; wherein D is R¹¹ or R¹²:

R¹¹ is R¹¹-1, R¹¹-2, R¹¹-3, R¹¹-5, R¹¹-6, R¹¹-7, R¹¹-8, R¹¹-9, R¹¹-10, R¹¹-11, R¹¹-12, R¹¹-13, R¹¹-

35 14, R¹¹-15, R¹¹-16, R¹¹-17, R¹¹-18, R¹¹-19, R¹¹-20, R¹¹-21, R¹¹-22, R¹¹-23, R¹¹-25, R¹¹-26, R¹¹-27, R¹¹-28, or R¹¹-29;

 R^{12} is (A¹¹-1), (A¹¹-2), or (A¹¹-3).

R⁴ and R⁵ independently are H or CH₃,

 R^6 is H, CH₃, CH(CH₃)₂, or C₂H₅;

40 R⁹ and R¹⁰ independently are H or CH₃,

R² is H, CH₃, or c-C₃H₅

R is H, CH₃, or CN;

In another preferred embodiment, the compound of formula I are compounds of formula I.1 to I.24, wherein

Ar is selected from Ar1 to Ar67;

B¹ is N or CH;

B² is N or CH;

B³ is N or CH;

5 R¹ is Y-1A, Y-1B, Y-2A, Y-2B, Y-3A, Y-3B, Y-3C, Y-3D, Y-4A, Y-4B, Y-4C, Y-4D, Y-5A, Y-5B, Y-6A, Y-6B, Y-7A, Y-7B, Y-8A, or Y-8B; wherein D is R¹¹ or R¹²;

 R^{11} is R^{11} -1, R^{11} -2, R^{11} -3, R^{11} -5, R^{11} -6, R^{11} -7, R^{11} -8, R^{11} -9, R^{11} -10, R^{11} -11, R^{11} -12, R^{11} -13, R^{11} -14, R^{11} -15, R^{11} -16, R^{11} -17, R^{11} -18, R^{11} -19, R^{11} -20, R^{11} -21, R^{11} -22, R^{11} -23, R^{11} -25, R^{11} -26, R^{11} -27, R^{11} -28, or R^{11} -29;

10 R^{12} is $(A^{11}-1)$, $(A^{11}-2)$, or $(A^{11}-3)$.

R⁴ and R⁵ independently are H or CH₃,

R⁶ is H, CH₃, CH(CH₃)₂, or C₂H₅;

R⁹ and R¹⁰ independently are H or CH₃,

R² is H, CH₃, or c-C₃H₅

15 R is H, CH₃, or CN;

In another preferred embodiment, the compound of formula I are compounds of formula I.1 to I.24, wherein

Ar is r is phenyl, pyrimidinyl, pyridazinyl, or pyridyl, which are unsubstituted or substituted with R^{Ar};

20 R^{Ar} is halogen, OH, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, or S-R^e;

B¹ is CR^{B1};

B² is CR^{B2};

B³ is CR^{B3};

R¹ is Y-1A, Y-1B, Y-2A, Y-2B, Y-3A, Y-3B, Y-3C, Y-3D, Y-4A, Y-4B, Y-4C, Y-4D, Y-5A, Y-5B,

25 Y-6A, Y-6B, Y-7A, Y-7B, Y-8A, or Y-8B; wherein D is R¹¹ or R¹²;

 R^{11} is R^{11} -1, R^{11} -2, R^{11} -3, R^{11} -5, R^{11} -6, R^{11} -7, R^{11} -8, R^{11} -9, R^{11} -10, R^{11} -11, R^{11} -12, R^{11} -13, R^{11} -14, R^{11} -15, R^{11} -16, R^{11} -17, R^{11} -18, R^{11} -19, R^{11} -20, R^{11} -21, R^{11} -22, R^{11} -23, R^{11} -25, R^{11} -26, R^{11} -27, R^{11} -28, or R^{11} -29;

 R^{12} is (A¹¹-1), (A¹¹-2), or (A¹¹-3),

30 R⁴ and R⁵ independently are H or CH₃,

R⁶ is H, CH₃, CH(CH₃)₂, or C₂H₅;

R⁹ and R¹⁰ independently are H or CH₃,

R² is H, CH₃, or c-C₃H₅

R is H, CH₃, or CN;

In another preferred embodiment, the compound of formula I are compounds of formula I.1 to I.24, wherein

Ar is selected from Ar1 to Ar67;

B¹ is CH;

B² is CH;

40 B^3 is CH;

R¹ is Y-1A, Y-1B, Y-2A, Y-2B, Y-3A, Y-3B, Y-3C, Y-3D, Y-4A, Y-4B, Y-4C, Y-4D, Y-5A, Y-5B, Y-6A, Y-6B, Y-7A, Y-7B, Y-8A, or Y-8B; wherein D is R¹¹ or R¹²;

 R^{11} is R^{11} -1, R^{11} -2, R^{11} -3, R^{11} -5, R^{11} -6, R^{11} -7, R^{11} -8, R^{11} -9, R^{11} -10, R^{11} -11, R^{11} -12, R^{11} -13, R^{11} -14, R^{11} -15, R^{11} -16, R^{11} -17, R^{11} -18, R^{11} -19, R^{11} -20, R^{11} -21, R^{11} -22, R^{11} -23, R^{11} -25, R^{11} -26, R^{11} -27, R^{11} -28, or R^{11} -29;

 R^{12} is $(A^{11}-1)$, $(A^{11}-2)$, or $(A^{11}-3)$.

5 R⁴ and R⁵ independently are H or CH₃,

 R^6 is H, CH₃, CH(CH₃)₂, or C₂H₅;

R⁹ and R¹⁰ independently are H or CH₃,

R² is H, CH₃, or c-C₃H₅

R is H, CH₃, or CN;

In another preferred embodiment, the compound of formula I are compounds of formula I.1 to I.24, wherein

Ar is Ar1, Ar2, Ar3, Ar4, Ar10, Ar17, or Ar18;

B¹ is N or CH;

B² is N or CH;

15 B^3 is N or CH;

R¹ is Y-1A, Y-1B, Y-2A, Y-2B, Y-3A, Y-3B, Y-3C, Y-3D, Y-4A, Y-4B, Y-4C, Y-4D, Y-5A, Y-5B, Y-6A, Y-6B, Y-7A, Y-7B, Y-8A, or Y-8B; wherein D is R¹¹ or R¹²;

R¹¹ is R¹¹-1, R¹¹-2, R¹¹-3, R¹¹-5, R¹¹-6, R¹¹-7, R¹¹-8, R¹¹-9, R¹¹-10, R¹¹-11, R¹¹-12, R¹¹-13, R¹¹-14, R¹¹-15, R¹¹-16, R¹¹-17, R¹¹-18, R¹¹-19, R¹¹-20, R¹¹-21, R¹¹-22, R¹¹-23, R¹¹-25, R¹¹-26, R¹¹-

20 27, R¹¹-28, or R¹¹-29;

 R^{12} is $(A^{11}-1)$, $(A^{11}-2)$, or $(A^{11}-3)$.

R⁴ and R⁵ independently are H or CH₃,

 R^6 is H, CH₃, CH(CH₃)₂, or C₂H₅;

R⁹ and R¹⁰ independently are H or CH₃,

25 R^2 is H, CH₃, or c-C₃H₅

R is H, CH₃, or CN;

In another preferred embodiment, the compound of formula I are compounds of formula I, A is CH;

B1 is CRB1, B2 is CRB2, B3 is CRB3, and B4 is CRB4

30 R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, C₁-C₆-alkyl;

Q is $-N(R^2)-C(=0)$ -, wherein Ar is bound to either side of Q;

 R^2 is H or C_1 - C_6 -alkyl;

R⁶ is H or C₁-C₆-alkyl:

Ar is selected from Ar1, Ar2, Ar5, Ar21 and Ar22;

35 R¹ is Y-1A, Y-5A, or Y-7A;

D is R¹¹-1 or A¹¹-1b;

40

Particular compounds of formula I are the compounds of the formulae I.1 to I.24 that are compiled in the following tables 1 to 812, wherein the combination of variables R⁶, B¹, B², B³, Ar, and D for each compound of tables 1 to 812 corresponds to each line of Table B. Each of the groups mentioned for a substituent in the tables is furthermore per se, independently of the combination in which it is mentioned, a particularly preferred aspect of the substituent in question.

Table 1. Compounds of formula I.1 wherein R¹ is Y-1A, R⁴ is H and R⁵ is H.

Table 2. Compounds of formula I.1 wherein R¹ is Y-2A, R⁴ is H and R⁵ is H.

Table 3. Compounds of formula I.1 wherein R¹ is Y-5A, R⁴ is H and R⁵ is H.

- Table 4. Compounds of formula I.1 wherein R¹ is Y-6A, R⁴ is H and R⁵ is H.
- Table 5. Compounds of formula I.1 wherein R¹ is Y-7A, R⁴ is H and R⁵ is H.
- Table 6. Compounds of formula I.1 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is H.
- Table 7. Compounds of formula I.1 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is H.
- 5 Table 8. Compounds of formula I.1 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is H.
 - Table 9. Compounds of formula I.1 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is H.
 - Table 10. Compounds of formula I.1 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is H.
 - Table 11. Compounds of formula I.1 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 12. Compounds of formula I.1 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is CH₃.
- 10 Table 13. Compounds of formula I.1 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 14. Compounds of formula I.1 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 15. Compounds of formula I.1 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 16. Compounds of formula I.2 wherein R¹ is Y-1A, R⁴ is H and R⁵ is H.
 - Table 17. Compounds of formula I.2 wherein R¹ is Y-2A. R⁴ is H and R⁵ is H.
- 15 Table 18. Compounds of formula I.2 wherein R¹ is Y-5A, R⁴ is H and R⁵ is H.
 - Table 19. Compounds of formula I.2 wherein R¹ is Y-6A, R⁴ is H and R⁵ is H.
 - Table 20. Compounds of formula I.2 wherein R¹ is Y-7A, R⁴ is H and R⁵ is H.
 - Table 21. Compounds of formula I.2 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is H.
 - Table 22. Compounds of formula I.2 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is H.
- Table 23. Compounds of formula I.2 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is H.
 - Table 24. Compounds of formula I.2 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is H.
 - Table 25. Compounds of formula I.2 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is H.
 - Table 26. Compounds of formula I.2 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 27. Compounds of formula I.2 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is CH₃.
- 25 Table 28. Compounds of formula I.2 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 29. Compounds of formula I.2 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 30. Compounds of formula I.2 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 31. Compounds of formula I.3 wherein R¹ is Y-1A, R⁴ is H and R⁵ is H.
 - Table 32. Compounds of formula I.3 wherein R¹ is Y-2A. R⁴ is H and R⁵ is H.
- Table 33. Compounds of formula I.3 wherein R¹ is Y-5A, R⁴ is H and R⁵ is H.
 - Table 34. Compounds of formula I.3 wherein R¹ is Y-6A, R⁴ is H and R⁵ is H.
 - Table 35. Compounds of formula I.3 wherein R¹ is Y-7A, R⁴ is H and R⁵ is H.
 - Table 36. Compounds of formula I.3 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is H.
 - Table 37. Compounds of formula I.3 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is H.
- Table 38. Compounds of formula I.3 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is H.
 - Table 39. Compounds of formula I.3 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is H.
 - Table 40. Compounds of formula I.3 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is H.
 - Table 41. Compounds of formula I.3 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 42. Compounds of formula I.3 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is CH₃.
- 40 Table 43. Compounds of formula I.3 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 44. Compounds of formula I.3 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 45. Compounds of formula I.3 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 46. Compounds of formula I.4 wherein R¹ is Y-1A, R⁴ is H and R⁵ is H.
 - Table 47. Compounds of formula I.4 wherein R¹ is Y-2A, R⁴ is H and R⁵ is H.

- Table 48. Compounds of formula I.4 wherein R¹ is Y-5A, R⁴ is H and R⁵ is H.
- Table 49. Compounds of formula I.4 wherein R¹ is Y-6A, R⁴ is H and R⁵ is H.
- Table 50. Compounds of formula I.4 wherein R¹ is Y-7A, R⁴ is H and R⁵ is H.
- Table 51. Compounds of formula I.4 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is H.
- 5 Table 52. Compounds of formula I.4 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is H.
 - Table 53. Compounds of formula I.4 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is H.
 - Table 54. Compounds of formula I.4 wherein R^1 is Y-6A, R^4 is CH_3 and R^5 is H.
 - Table 55. Compounds of formula I.4 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is H.
 - Table 56. Compounds of formula I.4 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is CH₃.
- 10 Table 57. Compounds of formula I.4 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 58. Compounds of formula I.4 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 59. Compounds of formula I.4 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 60. Compounds of formula I.4 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 61. Compounds of formula I.5 wherein R¹ is Y-1A. R⁴ is H and R⁵ is H.
- 15 Table 62. Compounds of formula I.5 wherein R¹ is Y-2A, R⁴ is H and R⁵ is H.
 - Table 63. Compounds of formula I.5 wherein R¹ is Y-5A, R⁴ is H and R⁵ is H.
 - Table 64. Compounds of formula I.5 wherein R¹ is Y-6A, R⁴ is H and R⁵ is H.
 - Table 65. Compounds of formula I.5 wherein R¹ is Y-7A, R⁴ is H and R⁵ is H.
 - Table 66. Compounds of formula I.5 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is H.
- Table 67. Compounds of formula I.5 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is H.
 - Table 68. Compounds of formula I.5 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is H.
 - Table 69. Compounds of formula I.5 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is H.
 - Table 70. Compounds of formula I.5 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is H.
 - Table 71. Compounds of formula I.5 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is CH₃.
- Table 72. Compounds of formula I.5 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 73. Compounds of formula I.5 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 74. Compounds of formula I.5 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 75. Compounds of formula I.5 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 76. Compounds of formula I.6 wherein R¹ is Y-1A. R⁴ is H and R⁵ is H.
- Table 77. Compounds of formula I.6 wherein R¹ is Y-2A, R⁴ is H and R⁵ is H.
 - Table 78. Compounds of formula I.6 wherein R¹ is Y-5A, R⁴ is H and R⁵ is H.
 - Table 79. Compounds of formula I.6 wherein R¹ is Y-6A, R⁴ is H and R⁵ is H.
 - Table 80. Compounds of formula I.6 wherein R¹ is Y-7A, R⁴ is H and R⁵ is H.
 - Table 81. Compounds of formula I.6 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is H.
- Table 82. Compounds of formula I.6 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is H.

- Table 83. Compounds of formula I.6 wherein R¹ is Y-5A, R⁴ is CH₃ and R⁵ is H.
- Table 84. Compounds of formula I.6 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is H.
- Table 85. Compounds of formula I.6 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is H.
- Table 86. Compounds of formula I.6 wherein R¹ is Y-1A, R⁴ is CH₃ and R⁵ is CH₃.
- Table 87. Compounds of formula I.6 wherein R¹ is Y-2A, R⁴ is CH₃ and R⁵ is CH₃.
- - Table 88. Compounds of formula I.6 wherein R^1 is Y-5A, R^4 is CH_3 and R^5 is CH_3 .
 - Table 89. Compounds of formula I.6 wherein R¹ is Y-6A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 90. Compounds of formula I.6 wherein R¹ is Y-7A, R⁴ is CH₃ and R⁵ is CH₃.
 - Table 91. Compounds of formula I.7 wherein R¹ is Y-1A, R² is H, R⁹ is H and R¹⁰ is H.

- Table 92. Compounds of formula I.7 wherein R^1 is Y-1A, R^2 is H, R^9 is CH_3 and, R^{10} is H.
- Table 93. Compounds of formula I.7 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
- Table 94. Compounds of formula I.7 wherein R1 is Y-2A, R2 is H, R9 is H and R10 is H.
- Table 95. Compounds of formula I.7 wherein R¹ is Y-2A, R² is H, R9 is CH₃ and R¹0 is H.
- Table 96. Compounds of formula I.7 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 97. Compounds of formula I.7 wherein R¹ is Y-5A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 98. Compounds of formula I.7 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
 - Table 99. Compounds of formula I.7 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 100. Compounds of formula I.7 wherein R¹ is Y-6A, R² is H, R⁹ is H and R¹⁰ is H.
- Table 101. Compounds of formula I.7 wherein R^1 is Y-6A, R^2 is H, R^9 is CH_3 and R^{10} is H.
 - Table 102. Compounds of formula I.7 wherein R¹ is Y-6A, R² is H, R⁰ is CH₃ and R¹⁰ is CH₃.
 - Table 103. Compounds of formula I.7 wherein R^1 is Y-7A, R^2 is H, R^9 is H and R^{10} is H.
 - Table 104. Compounds of formula I.7 wherein R^1 is Y-7A, R^2 is H, R^9 is CH_3 and R^{10} is H.
 - Table 105. Compounds of formula I.7 wherein R¹ is Y-7A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
- Table 106. Compounds of formula I.7 wherein R¹ is Y-1A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 107. Compounds of formula I.7 wherein R^1 is Y-1A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is H.
 - Table 108. Compounds of formula I.7 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 109. Compounds of formula I.7 wherein R¹ is Y-2A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 110. Compounds of formula I.7 wherein R¹ is Y-2A, R² is CH₃, R⁰ is CH₃ and R¹⁰ is H.
- Table 111. Compounds of formula I.7 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 112. Compounds of formula I.7 wherein R¹ is Y-5A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 113. Compounds of formula I.7 wherein R^1 is Y-5A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is H.
 - Table 114. Compounds of formula I.7 wherein R^1 is Y-5A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 115. Compounds of formula I.7 wherein R¹ is Y-6A, R² is CH₃, R⁹ is H and R¹⁰ is H.
- Table 116. Compounds of formula I.7 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 117. Compounds of formula I.7 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 118. Compounds of formula I.7 wherein R¹ is Y-7A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 119. Compounds of formula I.7 wherein R¹ is Y-7A, R² is CH₃, R9 is CH₃ and R¹0 is H.
 - Table 120. Compounds of formula I.7 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 121. Compounds of formula I.7 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.

- Table 122. Compounds of formula I.7 wherein R¹ is Y-1A, R² is C₂H₅, R⁰ is CH₃ and R¹⁰ is H.
- Table 123. Compounds of formula I.7 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 124. Compounds of formula I.7 wherein R¹ is Y-2A, R² is C₂H₅, R⁰ is H and R¹⁰ is H.
- Table 125. Compounds of formula I.7 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 126. Compounds of formula I.7 wherein R^1 is Y-2A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 127. Compounds of formula I.7 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 128. Compounds of formula I.7 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 129. Compounds of formula I.7 wherein R^1 is Y-5A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 130. Compounds of formula I.7 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 131. Compounds of formula I.7 wherein R¹ is Y-6A, R² is C₂H₅, R9 is CH₃ and R¹0 is H.
 - Table 132. Compounds of formula I.7 wherein R¹ is Y-6A, R² is C₂H₅, R9 is CH₃ and R¹0 is

CH₃.

- Table 133. Compounds of formula I.7 wherein R¹ is Y-7A, R² is C₂H₅, R⁰ is H and R¹⁰ is H.
- Table 134. Compounds of formula I.7 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 135. Compounds of formula I.7 wherein R^1 is Y-7A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is
- 5 CH₃.
 - Table 136. Compounds of formula I.7 wherein R¹ is Y-1A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 137. Compounds of formula I.7 wherein R¹ is Y-1A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 138. Compounds of formula I.7 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 139. Compounds of formula I.7 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 140. Compounds of formula I.7 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 141. Compounds of formula I.7 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 142. Compounds of formula I.7 wherein R1 is Y-5A, R2 is c-C3H5, R9 is H and R10 is H.
- Table 143. Compounds of formula I.7 wherein R¹ is Y-5A, R² is c-C₃H₅, R⁰ is CH₃ and R¹⁰ is H.
 - Table 144. Compounds of formula I.7 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 145. Compounds of formula I.7 wherein R¹ is Y-6A, R² is c-C₃H₅, R9 is H and R¹0 is H.
 - Table 146. Compounds of formula I.7 wherein R¹ is Y-6A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 147. Compounds of formula I.7 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 148. Compounds of formula I.7 wherein R¹ is Y-7A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 149. Compounds of formula I.7 wherein R¹ is Y-7A, R² is c-C₃H₅, R⁰ is CH₃ and R¹⁰ is H.
- Table 150. Compounds of formula I.7 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 151. Compounds of formula I.8 wherein R¹ is Y-1A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 152. Compounds of formula I.8 wherein R¹ is Y-1A, R² is H, R9 is CH₃ and, R¹0 is H.
 - Table 153. Compounds of formula I.8 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 154. Compounds of formula I.8 wherein R¹ is Y-2A, R² is H, R⁹ is H and R¹⁰ is H.
- Table 155. Compounds of formula I.8 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
 - Table 156. Compounds of formula I.8 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 157. Compounds of formula I.8 wherein R¹ is Y-5A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 158. Compounds of formula I.8 wherein R¹ is Y-5A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 159. Compounds of formula I.8 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
- Table 160. Compounds of formula I.8 wherein R¹ is Y-6A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 161. Compounds of formula I.8 wherein R¹ is Y-6A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 162. Compounds of formula I.8 wherein R¹ is Y-6A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 163. Compounds of formula I.8 wherein R¹ is Y-7A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 164. Compounds of formula I.8 wherein R¹ is Y-7A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
- Table 165. Compounds of formula I.8 wherein R¹ is Y-7A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 166. Compounds of formula I.8 wherein R¹ is Y-1A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 167. Compounds of formula I.8 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 168. Compounds of formula I.8 wherein R¹ is Y-1A, R² is CH₃, R9 is CH₃ and R¹0 is CH₃.
 - Table 169. Compounds of formula I.8 wherein R¹ is Y-2A, R² is CH₃, R⁹ is H and R¹⁰ is H.

- Table 170. Compounds of formula I.8 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
- Table 171. Compounds of formula I.8 wherein R¹ is Y-2A, R² is CH₃, R9 is CH₃ and R¹0 is CH₃.
- Table 172. Compounds of formula I.8 wherein R¹ is Y-5A, R² is CH₃, R⁹ is H and R¹⁰ is H.
- Table 173. Compounds of formula I.8 wherein R¹ is Y-5A, R² is CH₃, R9 is CH₃ and R¹0 is H.
- Table 174. Compounds of formula I.8 wherein R¹ is Y-5A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 175. Compounds of formula I.8 wherein R¹ is Y-6A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 176. Compounds of formula I.8 wherein R¹ is Y-6A, R² is CH₃, R9 is CH₃ and R¹0 is H.
 - Table 177. Compounds of formula I.8 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 178. Compounds of formula I.8 wherein R¹ is Y-7A, R² is CH₃, R⁹ is H and R¹⁰ is H.
- Table 179. Compounds of formula I.8 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 180. Compounds of formula I.8 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 181. Compounds of formula I.8 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 182. Compounds of formula I.8 wherein R¹ is Y-1A, R² is C₂H₅, R9 is CH₃ and R¹0 is H.
 - Table 183. Compounds of formula I.8 wherein R1 is Y-1A, R2 is C2H5, R9 is CH3 and R10 is
- 15 CH₃.
 - Table 184. Compounds of formula I.8 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 185. Compounds of formula I.8 wherein R¹ is Y-2A, R² is C₂H₅, R⁰ is CH₃ and R¹⁰ is H.
 - Table 186. Compounds of formula I.8 wherein R^1 is Y-2A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 187. Compounds of formula I.8 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 188. Compounds of formula I.8 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 189. Compounds of formula I.8 wherein R^1 is Y-5A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 190. Compounds of formula I.8 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 191. Compounds of formula I.8 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 192. Compounds of formula I.8 wherein R^1 is Y-6A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 193. Compounds of formula I.8 wherein R¹ is Y-7A, R² is C₂H₅, R9 is H and R¹0 is H.
 - Table 194. Compounds of formula I.8 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 195. Compounds of formula I.8 wherein R^1 is Y-7A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 196. Compounds of formula I.8 wherein R¹ is Y-1A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 197. Compounds of formula I.8 wherein R¹ is Y-1A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 198. Compounds of formula I.8 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is
- 35 CH₃.

- Table 199. Compounds of formula I.8 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
- Table 200. Compounds of formula I.8 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 201. Compounds of formula I.8 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 202. Compounds of formula I.8 wherein R¹ is Y-5A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 203. Compounds of formula I.8 wherein R¹ is Y-5A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 204. Compounds of formula I.8 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃
 - Table 205. Compounds of formula I.8 wherein R¹ is Y-6A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.

Table 206. Compounds of formula I.8 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H. Table 207. Compounds of formula I.8 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.

- Table 208. Compounds of formula I.8 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 209. Compounds of formula I.8 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H. Table 210. Compounds of formula I.8 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 211. Compounds of formula I.9 wherein R¹ is Y-1A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 212. Compounds of formula I.9 wherein R¹ is Y-1A, R² is H, R⁰ is CH₃ and, R¹⁰ is H.
- Table 213. Compounds of formula I.9 wherein R^1 is Y-1A, R^2 is H, R^9 is CH_3 and R^{10} is CH_3 .

- Table 214. Compounds of formula I.9 wherein R¹ is Y-2A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 215. Compounds of formula I.9 wherein R¹ is Y-2A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 216. Compounds of formula I.9 wherein R^1 is Y-2A, R^2 is H, R^9 is CH_3 and R^{10} is CH_3 .
 - Table 217. Compounds of formula I.9 wherein R¹ is Y-5A, R² is H, R⁹ is H and R¹⁰ is H.
- Table 218. Compounds of formula I.9 wherein R^1 is Y-5A, R^2 is H, R^9 is CH_3 and R^{10} is H.
 - Table 219. Compounds of formula I.9 wherein R^1 is Y-5A, R^2 is H, R^9 is CH_3 and R^{10} is CH_3 .
 - Table 220. Compounds of formula I.9 wherein R¹ is Y-6A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 221. Compounds of formula I.9 wherein R¹ is Y-6A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 222. Compounds of formula I.9 wherein R¹ is Y-6A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
- Table 223. Compounds of formula I.9 wherein R¹ is Y-7A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 224. Compounds of formula I.9 wherein R¹ is Y-7A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 225. Compounds of formula I.9 wherein R¹ is Y-7A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 226. Compounds of formula I.9 wherein R¹ is Y-1A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 227. Compounds of formula I.9 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
- Table 228. Compounds of formula I.9 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 229. Compounds of formula I.9 wherein R¹ is Y-2A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 230. Compounds of formula I.9 wherein R¹ is Y-2A, R² is CH₃, R9 is CH₃ and R¹0 is H.
 - Table 231. Compounds of formula I.9 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 232. Compounds of formula I.9 wherein R¹ is Y-5A. R² is CH₃. R⁹ is H and R¹⁰ is H.
- Table 233. Compounds of formula I.9 wherein R¹ is Y-5A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 234. Compounds of formula I.9 wherein R¹ is Y-5A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 235. Compounds of formula I.9 wherein R¹ is Y-6A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 236. Compounds of formula I.9 wherein R¹ is Y-6A, R² is CH₃, R9 is CH₃ and R¹0 is H.
 - Table 237. Compounds of formula I.9 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
- Table 238. Compounds of formula I.9 wherein R¹ is Y-7A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 239. Compounds of formula I.9 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 240. Compounds of formula I.9 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 241. Compounds of formula I.9 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 242. Compounds of formula I.9 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 243. Compounds of formula I.9 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 244. Compounds of formula I.9 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 245. Compounds of formula I.9 wherein R¹ is Y-2A, R² is C₂H₅, R9 is CH₃ and R¹0 is H.
 - Table 246. Compounds of formula I.9 wherein R¹ is Y-2A, R² is C₂H₅, R9 is CH₃ and R¹0 is

CH₃.

5

- Table 247. Compounds of formula I.9 wherein R¹ is Y-5A, R² is C₂H₅, R9 is H and R¹0 is H.
- Table 248. Compounds of formula I.9 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 249. Compounds of formula I.9 wherein R^1 is Y-5A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 250. Compounds of formula I.9 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 251. Compounds of formula I.9 wherein R¹ is Y-6A, R² is C₂H₅, R9 is CH₃ and R¹0 is H.
- Table 252. Compounds of formula I.9 wherein R^1 is Y-6A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 253. Compounds of formula I.9 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 254. Compounds of formula I.9 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 255. Compounds of formula I.9 wherein R^1 is Y-7A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_2
 - Table 256. Compounds of formula I.9 wherein R1 is Y-1A, R2 is c-C3H5, R9 is H and R10 is H.
- Table 257. Compounds of formula I.9 wherein R^1 is Y-1A, R^2 is c- C_3H_5 , R^9 is CH_3 and R^{10} is H.
 - Table 258. Compounds of formula I.9 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 259. Compounds of formula I.9 wherein R¹ is Y-2A, R² is c-C₃H₅, R9 is H and R¹0 is H.
 - Table 260. Compounds of formula I.9 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 261. Compounds of formula I.9 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 262. C
 - Table 263. Compounds of formula I.9 wherein R¹ is Y-5A, R² is c-C₃H₅, R9 is H and R¹0 is H.
 - Table 264. Compounds of formula I.9 wherein R¹ is Y-5A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 265. Compounds of formula I.9 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 266. Compounds of formula I.9 wherein R¹ is Y-6A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 267. Compounds of formula I.9 wherein R¹ is Y-6A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 268. Compounds of formula I.9 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 269. Compounds of formula I.9 wherein R¹ is Y-7A, R² is c-C₃H₅, R⁰ is H and R¹⁰ is H.
 - Table 270. Compounds of formula I.9 wherein R¹ is Y-7A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 271. Compounds of formula I.9 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 272. Compounds of formula I.10 wherein R¹ is Y-1A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 273. Compounds of formula I.10 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and, R¹⁰ is H.
 - Table 274. Compounds of formula I.10 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 275. Compounds of formula I.10 wherein R¹ is Y-2A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 276. Compounds of formula I.10 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
- Table 277. Compounds of formula I.10 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 278. Compounds of formula I.10 wherein R¹ is Y-5A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 279. Compounds of formula I.10 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
 - Table 280. Compounds of formula I.10 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 281. Compounds of formula I.10 wherein R1 is Y-6A, R2 is H, R9 is H and R10 is H.

- Table 282. Compounds of formula I.10 wherein R¹ is Y-6A, R² is H, R9 is CH₃ and R¹0 is H.
- Table 283. Compounds of formula I.10 wherein R¹ is Y-6A, R² is H, R9 is CH₃ and R¹0 is CH₃.
- Table 284. Compounds of formula I.10 wherein R1 is Y-7A, R2 is H, R9 is H and R10 is H.
- Table 285. Compounds of formula I.10 wherein R¹ is Y-7A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
- Table 286. Compounds of formula I.10 wherein R¹ is Y-7A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 287. Compounds of formula I.10 wherein R¹ is Y-1A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 288. Compounds of formula I.10 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 289. Compounds of formula I.10 wherein R^1 is Y-1A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 290. Compounds of formula I.10 wherein R¹ is Y-2A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 291. Compounds of formula I.10 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 292. Compounds of formula I.10 wherein R¹ is Y-2A, R² is CH₃, R⁰ is CH₃ and R¹⁰ is CH₃
 - Table 293. Compounds of formula I.10 wherein R1 is Y-5A, R2 is CH3, R9 is H and R10 is H.
- Table 294. Compounds of formula I.10 wherein R^1 is Y-5A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is H.
 - Table 295. Compounds of formula I.10 wherein R^1 is Y-5A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 296. Compounds of formula I.10 wherein R¹ is Y-6A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 297. Compounds of formula I.10 wherein R1 is Y-6A, R2 is CH3, R9 is CH3 and R10 is H.
- Table 298. Compounds of formula I.10 wherein R^1 is Y-6A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 299. Compounds of formula I.10 wherein R1 is Y-7A, R2 is CH3, R9 is H and R10 is H.
 - Table 300. Compounds of formula I.10 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 301. Compounds of formula I.10 wherein R^1 is Y-7A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is
- 25 CH₃.
 - Table 302. Compounds of formula I.10 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is H and R^{10} is H.
 - Table 303. Compounds of formula I.10 wherein R¹ is Y-1A, R² is C₂H₅, R⁰ is CH₃ and R¹⁰ is H.
 - Table 304. Compounds of formula I.10 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 305. Compounds of formula I.10 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 306. Compounds of formula I.10 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 307. Compounds of formula I.10 wherein R^1 is Y-2A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 308. Compounds of formula I.10 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
- Table 309. Compounds of formula I.10 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 310. Compounds of formula I.10 wherein R^1 is Y-5A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 311. Compounds of formula I.10 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 312. Compounds of formula I.10 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 313. Compounds of formula I.10 wherein R^1 is Y-6A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 314. Compounds of formula I.10 wherein R^1 is Y-7A, R^2 is C_2H_5 , R^9 is H and R^{10} is H.
 - Table 315. Compounds of formula I.10 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 316. Compounds of formula I.10 wherein R¹ is Y-7A, R² is C₂H₅, R⁰ is CH₃ and R¹⁰ is

CH₃.

Table 317. Compounds of formula I.10 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 318. Compounds of formula I.10 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.

- Table 319. Compounds of formula I.10 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 320. Compounds of formula I.10 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 321. Compounds of formula I.10 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
- Table 322. Compounds of formula I.10 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.

Table 323. C

15

20

25

30

35

Table 324. Compounds of formula I.10 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 325. Compounds of formula I.10 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.

Table 326. Compounds of formula I.10 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.

Table 327. Compounds of formula I.10 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 328. Compounds of formula I.10 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.

Table 329. Compounds of formula I.10 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.

Table 330. Compounds of formula I.10 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 331. Compounds of formula I.10 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.

Table 332. Compounds of formula I.10 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.

Table 333. Compounds of formula I.11 wherein R¹ is Y-1A, R² is H, R⁹ is H and R¹⁰ is H.

Table 334. Compounds of formula I.11 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and, R¹⁰ is H.

Table 335. Compounds of formula I.11 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.

Table 336. Compounds of formula I.11 wherein R¹ is Y-2A, R² is H, R⁹ is H and R¹⁰ is H.

Table 337. Compounds of formula I.11 wherein R¹ is Y-2A, R² is H, R9 is CH₃ and R¹0 is H.

Table 338. Compounds of formula I.11 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.

Table 339. Compounds of formula I.11 wherein R¹ is Y-5A, R² is H, R⁹ is H and R¹⁰ is H.

Table 340. Compounds of formula I.11 wherein R¹ is Y-5A, R² is H, R9 is CH₃ and R¹0 is H.

Table 341. Compounds of formula I.11 wherein R¹ is Y-5A, R² is H, R9 is CH₃ and R¹0 is CH₃.

Table 342. Compounds of formula I.11 wherein R¹ is Y-6A, R² is H, R⁹ is H and R¹⁰ is H.

Table 343. Compounds of formula I.11 wherein R¹ is Y-6A, R² is H, R9 is CH₃ and R¹0 is H.

Table 344. Compounds of formula I.11 wherein R¹ is Y-6A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.

Table 345. Compounds of formula I.11 wherein R¹ is Y-7A, R² is H, R⁹ is H and R¹⁰ is H.

Table 346. Compounds of formula I.11 wherein R¹ is Y-7A, R² is H, R9 is CH₃ and R¹0 is H.

Table 347. Compounds of formula I.11 wherein R¹ is Y-7A, R² is H, R9 is CH₃ and R¹0 is CH₃.

Table 348. Compounds of formula I.11 wherein R¹ is Y-1A, R² is CH₃, R⁹ is H and R¹⁰ is H.

Table 349. Compounds of formula I.11 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.

- Table 350. Compounds of formula I.11 wherein R^1 is Y-1A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 351. Compounds of formula I.11 wherein R¹ is Y-2A, R² is CH₃, R⁹ is H and R¹⁰ is H.
- Table 352. Compounds of formula I.11 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
- Table 353. Compounds of formula I.11 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 354. Compounds of formula I.11 wherein R¹ is Y-5A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 355. Compounds of formula I.11 wherein R¹ is Y-5A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 356. Compounds of formula I.11 wherein R¹ is Y-5A, R² is CH₃, R⁰ is CH₃ and R¹⁰ is
- 10 CH₃.
 - Table 357. Compounds of formula I.11 wherein R¹ is Y-6A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 358. Compounds of formula I.11 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 359. Compounds of formula I.11 wherein R^1 is Y-6A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 360. Compounds of formula I.11 wherein R¹ is Y-7A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 361. Compounds of formula I.11 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 362. Compounds of formula I.11 wherein R^1 is Y-7A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 363. Compounds of formula I.11 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
- Table 364. Compounds of formula I.11 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is H.
 - Table 365. Compounds of formula I.11 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 366. Compounds of formula I.11 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 367. Compounds of formula I.11 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 368. Compounds of formula I.11 wherein R^1 is Y-2A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 369. Compounds of formula I.11 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 370. Compounds of formula I.11 wherein R¹ is Y-5A, R² is C₂H₅, R9 is CH₃ and R¹0 is H.
 - Table 371. Compounds of formula I.11 wherein R^1 is Y-5A, R^2 is $\mathsf{C}_2\mathsf{H}_5,\ \mathsf{R}^9$ is CH_3 and R^{10} is
- 30 CH₃.
 - Table 372. Compounds of formula I.11 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 373. Compounds of formula I.11 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 374. Compounds of formula I.11 wherein R^1 is Y-6A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 375. Compounds of formula I.11 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 376. Compounds of formula I.11 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 377. Compounds of formula I.11 wherein R^1 is Y-7A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 378. Compounds of formula I.11 wherein R¹ is Y-1A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
- Table 379. Compounds of formula I.11 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
 - Table 380. Compounds of formula I.11 wherein R¹ is Y-1A, R² is c-C₃H₅, R⁰ is CH₃ and R¹⁰ is CH₃
 - Table 381. Compounds of formula I.11 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.

- Table 382. Compounds of formula I.11 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
- Table 383. Compounds of formula I.11 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 384. Compounds of formula I.11 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 385. Compounds of formula I.11 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
 - Table 386. Compounds of formula I.11 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 387. Compounds of formula I.11 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 388. Compounds of formula I.11 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
 - Table 389. Compounds of formula I.11 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 390. Compounds of formula I.11 wherein R¹ is Y-7A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H. Table 391. Compounds of formula I.11 wherein R¹ is Y-7A, R² is c-C₃H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 392. Compounds of formula I.11 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
- Table 393. Compounds of formula I.12 wherein R¹ is Y-1A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 394. Compounds of formula I.12 wherein R¹ is Y-1A, R² is H, R⁹ is CH₃ and, R¹⁰ is H.
 - Table 395. Compounds of formula I.12 wherein R1 is Y-1A, R2 is H, R9 is CH3 and R10 is CH3.
 - Table 396. Compounds of formula I.12 wherein R¹ is Y-2A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 397. Compounds of formula I.12 wherein R¹ is Y-2A, R² is H, R9 is CH₃ and R¹0 is H.
- Table 398. Compounds of formula I.12 wherein R¹ is Y-2A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 399. Compounds of formula I.12 wherein R¹ is Y-5A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 400. Compounds of formula I.12 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is H.
 - Table 401. Compounds of formula I.12 wherein R¹ is Y-5A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 402. Compounds of formula I.12 wherein R1 is Y-6A, R2 is H, R9 is H and R10 is H.
- Table 403. Compounds of formula I.12 wherein R¹ is Y-6A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 404. Compounds of formula I.12 wherein R¹ is Y-6A, R² is H, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 405. Compounds of formula I.12 wherein R¹ is Y-7A, R² is H, R⁹ is H and R¹⁰ is H.
 - Table 406. Compounds of formula I.12 wherein R¹ is Y-7A, R² is H, R9 is CH₃ and R¹0 is H.
 - Table 407. Compounds of formula I.12 wherein R¹ is Y-7A, R² is H, R9 is CH₃ and R¹0 is CH₃.
 - Table 408. Compounds of formula I.12 wherein R¹ is Y-1A, R² is CH₃, R⁹ is H and R¹⁰ is H.

- Table 409. Compounds of formula I.12 wherein R¹ is Y-1A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
- Table 410. Compounds of formula I.12 wherein R^1 is Y-1A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 411. Compounds of formula I.12 wherein R¹ is Y-2A, R² is CH₃, R⁹ is H and R¹⁰ is H.
- Table 412. Compounds of formula I.12 wherein R¹ is Y-2A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 413. Compounds of formula I.12 wherein R^1 is Y-2A, R^2 is CH_3 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 414. Compounds of formula I.12 wherein R¹ is Y-5A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 415. Compounds of formula I.12 wherein R¹ is Y-5A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.

- Table 416. Compounds of formula I.12 wherein R¹ is Y-5A, R² is CH₃, R⁰ is CH₃ and R¹⁰ is CH₃.
- Table 417. Compounds of formula I.12 wherein R¹ is Y-6A, R² is CH₃, R⁹ is H and R¹⁰ is H.
- Table 418. Compounds of formula I.12 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
- Table 419. Compounds of formula I.12 wherein R¹ is Y-6A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is CH₃.
 - Table 420. Compounds of formula I.12 wherein R¹ is Y-7A, R² is CH₃, R⁹ is H and R¹⁰ is H.
 - Table 421. Compounds of formula I.12 wherein R¹ is Y-7A, R² is CH₃, R⁹ is CH₃ and R¹⁰ is H.
 - Table 422. Compounds of formula I.12 wherein R¹ is Y-7A, R² is CH₃, R9 is CH₃ and R¹0 is
- 10 CH₃.
 - Table 423. Compounds of formula I.12 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 424. Compounds of formula I.12 wherein R¹ is Y-1A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 425. Compounds of formula I.12 wherein R^1 is Y-1A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
- Table 426. Compounds of formula I.12 wherein R^1 is Y-2A, R^2 is C_2H_5 , R^9 is H and R^{10} is H.
 - Table 427. Compounds of formula I.12 wherein R¹ is Y-2A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
 - Table 428. Compounds of formula I.12 wherein R^1 is Y-2A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 429. Compounds of formula I.12 wherein R¹ is Y-5A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
- Table 430. Compounds of formula I.12 wherein R^1 is Y-5A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is H.
 - Table 431. Compounds of formula I.12 wherein R^1 is Y-5A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 432. Compounds of formula I.12 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 433. Compounds of formula I.12 wherein R¹ is Y-6A, R² is C₂H₅, R⁹ is CH₃ and R¹⁰ is H.
- Table 434. Compounds of formula I.12 wherein R^1 is Y-6A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is CH_3 .
 - Table 435. Compounds of formula I.12 wherein R¹ is Y-7A, R² is C₂H₅, R⁹ is H and R¹⁰ is H.
 - Table 436. Compounds of formula I.12 wherein R¹ is Y-7A, R² is C₂H₅, R9 is CH₃ and R¹0 is H.
 - Table 437. Compounds of formula I.12 wherein R^1 is Y-7A, R^2 is C_2H_5 , R^9 is CH_3 and R^{10} is
- 30 CH₃.
 - Table 438. Compounds of formula I.12 wherein R¹ is Y-1A, R² is c-C₃H₅, R9 is H and R¹0 is H.
 - Table 439. Compounds of formula I.12 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
- Table 440. Compounds of formula I.12 wherein R^1 is Y-1A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 441. Compounds of formula I.12 wherein R¹ is Y-2A, R² is c-C₃H₅, R⁹ is H and R¹⁰ is H.
 - Table 442. Compounds of formula I.12 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
- Table 443. Compounds of formula I.12 wherein R^1 is Y-2A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is 40 CH₃.
 - Table 444. Compounds of formula I.12 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H.
 - Table 445. Compounds of formula I.12 wherein R^1 is Y-5A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is
 - Table 446. Compounds of formula I.12 wherein R¹ is Y-5A, R² is c-C₃H₅, R9 is CH₃ and R¹0 is

CH₃.

Table 447. Compounds of formula I.12 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 448. Compounds of formula I.12 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.

- Table 449. Compounds of formula I.12 wherein R^1 is Y-6A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 450. Compounds of formula I.12 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is H and R^{10} is H. Table 451. Compounds of formula I.12 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is H.
- Table 452. Compounds of formula I.12 wherein R^1 is Y-7A, R^2 is c-C₃H₅, R^9 is CH₃ and R^{10} is CH₃.
 - Table 453. Compounds of formula I.13 wherein R¹ is Y-1A and R² is H.
 - Table 454. Compounds of formula I.13 wherein R¹ is Y-2A and R² is H.
 - Table 455. Compounds of formula I.13 wherein R¹ is Y-5A and R² is H.
- Table 456. Compounds of formula I.13 wherein R¹ is Y-6A and R² is H.
 - Table 457. Compounds of formula I.13 wherein R¹ is Y-7A and R² is H.
 - Table 458. Compounds of formula I.13 wherein R¹ is Y-1A and R² is CH₃.
 - Table 459. Compounds of formula I.13 wherein R^1 is Y-2A and R^2 is CH_3 .
 - Table 460. Compounds of formula I.13 wherein R¹ is Y-5A and R² is CH₃.
- Table 461. Compounds of formula I.13 wherein R¹ is Y-6A and R² is CH₃.
 - Table 462. Compounds of formula I.13 wherein R¹ is Y-7A and R² is CH₃.
 - Table 463. Compounds of formula I.13 wherein R¹ is Y-1A and R² is c-C₃H₅.
 - Table 464. Compounds of formula I.13 wherein R¹ is Y-2A and R² is c-C₃H₅.
 - Table 465. Compounds of formula I.13 wherein R¹ is Y-5A and R² is c-C₃H₅.
- Table 466. Compounds of formula I.13 wherein R^1 is Y-6A and R^2 is c-C₃H₅.
 - Table 467. Compounds of formula I.13 wherein R¹ is Y-7A and R² is c-C₃H₅.
 - Table 468. Compounds of formula I.14 wherein R¹ is Y-1A and R² is H.
 - Table 469. Compounds of formula I.14 wherein R¹ is Y-2A and R² is H.
 - Table 470. Compounds of formula I.14 wherein R¹ is Y-5A and R² is H.
- Table 471. Compounds of formula I.14 wherein R¹ is Y-6A and R² is H.
 - Table 472. Compounds of formula I.14 wherein R¹ is Y-7A and R² is H.
 - Table 473. Compounds of formula I.14 wherein R¹ is Y-1A and R² is CH₃.
 - Table 474. Compounds of formula I.14 wherein R¹ is Y-2A and R² is CH₃.
 - Table 475. Compounds of formula I.14 wherein R¹ is Y-5A and R² is CH₃.
- Table 476. Compounds of formula I.14 wherein R¹ is Y-6A and R² is CH₃.
 - Table 477. Compounds of formula I.14 wherein R¹ is Y-7A and R² is CH₃.
 - Table 478. Compounds of formula I.14 wherein R¹ is Y-1A and R² is c-C₃H₅.
 - Table 479. Compounds of formula I.14 wherein R^1 is Y-2A and R^2 is c-C₃H₅.
 - Table 480. Compounds of formula I.14 wherein R¹ is Y-5A and R² is c-C₃H₅.
- Table 481. Compounds of formula I.14 wherein R¹ is Y-6A and R² is c-C₃H₅.
 - Table 482. Compounds of formula I.14 wherein R¹ is Y-7A and R² is c-C₃H₅.
 - Table 483. Compounds of formula I.15 wherein R¹ is Y-1A and R² is H.
 - Table 484. Compounds of formula I.15 wherein R¹ is Y-2A and R² is H.
 - Table 485. Compounds of formula I.15 wherein R¹ is Y-5A and R² is H.

- Table 486. Compounds of formula I.15 wherein R¹ is Y-6A and R² is H.
- Table 487. Compounds of formula I.15 wherein R¹ is Y-7A and R² is H.
- Table 488. Compounds of formula I.15 wherein R¹ is Y-1A and R² is CH₃.
- Table 489. Compounds of formula I.15 wherein R1 is Y-2A and R2 is CH3.
- 5 Table 490. Compounds of formula I.15 wherein R¹ is Y-5A and R² is CH₃.
 - Table 491. Compounds of formula I.15 wherein R¹ is Y-6A and R² is CH₃.
 - Table 492. Compounds of formula I.15 wherein R1 is Y-7A and R2 is CH3.
 - Table 493. Compounds of formula I.15 wherein R¹ is Y-1A and R² is c-C₃H₅.
 - Table 494. Compounds of formula I.15 wherein R¹ is Y-2A and R² is c-C₃H₅.
- Table 495. Compounds of formula I.15 wherein R¹ is Y-5A and R² is c-C₃H₅.
 - Table 496. Compounds of formula I.15 wherein R¹ is Y-6A and R² is c-C₃H₅.
 - Table 497. Compounds of formula I.15 wherein R¹ is Y-7A and R² is c-C₃H₅.
 - Table 498. Compounds of formula I.16 wherein R¹ is Y-1A and R² is H.
 - Table 499. Compounds of formula I.16 wherein R¹ is Y-2A and R² is H.
- Table 500. Compounds of formula I.16 wherein R¹ is Y-5A and R² is H.
 - Table 501. Compounds of formula I.16 wherein R¹ is Y-6A and R² is H.
 - Table 502. Compounds of formula I.16 wherein R¹ is Y-7A and R² is H.
 - Table 503. Compounds of formula I.16 wherein R¹ is Y-1A and R² is CH₃.
 - Table 504. Compounds of formula I.16 wherein R¹ is Y-2A and R² is CH₃.
- Table 505. Compounds of formula I.16 wherein R¹ is Y-5A and R² is CH₃.
 - Table 506. Compounds of formula I.16 wherein R¹ is Y-6A and R² is CH₃.
 - Table 507. Compounds of formula I.16 wherein R¹ is Y-7A and R² is CH₃.
 - Table 508. Compounds of formula I.16 wherein R1 is Y-1A and R2 is c-C3H5.
 - Table 509. Compounds of formula I.16 wherein R¹ is Y-2A and R² is c-C₃H₅.
- Table 510. Compounds of formula I.16 wherein R¹ is Y-5A and R² is c-C₃H₅.
 - Table 511. Compounds of formula I.16 wherein R¹ is Y-6A and R² is c-C₃H₅.
 - Table 512. Compounds of formula I.16 wherein R¹ is Y-7A and R² is c-C₃H₅.
 - Table 513. Compounds of formula I.17 wherein R¹ is Y-1A and R² is H.
 - Table 514. Compounds of formula I.17 wherein R¹ is Y-2A and R² is H.
- Table 515. Compounds of formula I.17 wherein R¹ is Y-5A and R² is H.
 - Table 516. Compounds of formula I.17 wherein R¹ is Y-6A and R² is H.
 - Table 517. Compounds of formula I.17 wherein R¹ is Y-7A and R² is H.
 - Table 518. Compounds of formula I.17 wherein R¹ is Y-1A and R² is CH₃.
 - Table 519. Compounds of formula I.17 wherein R1 is Y-2A and R2 is CH3.
- Table 520. Compounds of formula I.17 wherein R¹ is Y-5A and R² is CH₃.
 - Table 521. Compounds of formula I.17 wherein R¹ is Y-6A and R² is CH₃.
 - Table 522. Compounds of formula I.17 wherein R¹ is Y-7A and R² is CH₃.
 - Table 523. Compounds of formula I.17 wherein R¹ is Y-1A and R² is c-C₃H₅.
 - Table 524. Compounds of formula I.17 wherein R¹ is Y-2A and R² is c-C₃H₅.
- Table 525. Compounds of formula I.17 wherein R¹ is Y-5A and R² is c-C₃H₅.
 - Table 526. Compounds of formula I.17 wherein R¹ is Y-6A and R² is c-C₃H₅.
 - Table 527. Compounds of formula I.17 wherein R¹ is Y-7A and R² is c-C₃H₅.
 - Table 528. Compounds of formula I.18 wherein R¹ is Y-1A and R² is H.
 - Table 529. Compounds of formula I.18 wherein R¹ is Y-2A and R² is H.

- Table 530. Compounds of formula I.18 wherein R¹ is Y-5A and R² is H.
- Table 531. Compounds of formula I.18 wherein R¹ is Y-6A and R² is H.
- Table 532. Compounds of formula I.18 wherein R¹ is Y-7A and R² is H.
- Table 533. Compounds of formula I.18 wherein R¹ is Y-1A and R² is CH₃.
- 5 Table 534. Compounds of formula I.18 wherein R¹ is Y-2A and R² is CH₃.
 - Table 535. Compounds of formula I.18 wherein R¹ is Y-5A and R² is CH₃.
 - Table 536. Compounds of formula I.18 wherein R¹ is Y-6A and R² is CH₃.
 - Table 537. Compounds of formula I.18 wherein R¹ is Y-7A and R² is CH₃.
 - Table 538. Compounds of formula I.18 wherein R¹ is Y-1A and R² is c-C₃H₅.
- 10 Table 539. Compounds of formula I.18 wherein R¹ is Y-2A and R² is c-C₃H₅.
- - Table 540. Compounds of formula I.18 wherein R¹ is Y-5A and R² is c-C₃H₅.
 - Table 541. Compounds of formula I.18 wherein R¹ is Y-6A and R² is c-C₃H₅.
 - Table 542. Compounds of formula I.18 wherein R¹ is Y-7A and R² is c-C₃H₅.
 - Table 543. Compounds of formula I.19 wherein R¹ is Y-1A, R is NH, and R² is H.
- 15 Table 544. Compounds of formula I.19 wherein R¹ is Y-2A, R is NH, and R² is H.
- Table 545. Compounds of formula I.19 wherein R¹ is Y-5A, R is NH, and R² is H.
 - Table 546. Compounds of formula I.19 wherein R¹ is Y-6A, R is NH, and R² is H.
 - Table 547. Compounds of formula I.19 wherein R¹ is Y-7A, R is NH, and R² is H.
 - Table 548. Compounds of formula I.19 wherein R¹ is Y-1A, R is NH, and R² is CH₃.
- 20 Table 549. Compounds of formula I.19 wherein R¹ is Y-2A, R is NH, and R² is CH₃.
 - Table 550. Compounds of formula I.19 wherein R¹ is Y-5A, R is NH, and R² is CH₃.
 - Table 551. Compounds of formula I.19 wherein R¹ is Y-6A, R is NH, and R² is CH₃.
 - Table 552. Compounds of formula I.19 wherein R¹ is Y-7A, R is NH, and R² is CH₃.
 - Table 553. Compounds of formula I.19 wherein R¹ is Y-1A, R is NH, and R² is c-C₃H₅.
- 25 Table 554. Compounds of formula I.19 wherein R¹ is Y-2A, R is NH, and R² is c-C₃H₅.
 - Table 555. Compounds of formula I.19 wherein R¹ is Y-5A, R is NH, and R² is c-C₃H₅.
 - Table 556. Compounds of formula I.19 wherein R¹ is Y-6A, R is NH, and R² is c-C₃H₅.
 - Table 557. Compounds of formula I.19 wherein R¹ is Y-7A, R is NH, and R² is c-C₃H₅.
 - Table 558. Compounds of formula I.19 wherein R¹ is Y-1A, R is NCH₃ and R² is H.
- 30 Table 559. Compounds of formula I.19 wherein R¹ is Y-2A, R is NCH₃ and R² is H.
 - Table 560. Compounds of formula I.19 wherein R¹ is Y-5A, R is NCH₃ and R² is H.
 - Table 561. Compounds of formula I.19 wherein R¹ is Y-6A, R is NCH₃ and R² is H.
 - Table 562. Compounds of formula I.19 wherein R¹ is Y-7A, R is NCH₃ and R² is H.
 - Table 563. Compounds of formula I.19 wherein R¹ is Y-1A, R is NCH₃ and R² is CH₃.
- Table 564. Compounds of formula I.19 wherein R¹ is Y-2A, R is NCH₃ and R² is CH₃. 35
 - Table 565. Compounds of formula I.19 wherein R¹ is Y-5A, R is NCH₃ and R² is CH₃.
 - Table 566. Compounds of formula I.19 wherein R¹ is Y-6A, R is NCH₃ and R² is CH₃.
 - Table 567. Compounds of formula I.19 wherein R¹ is Y-7A, R is NCH₃ and R² is CH₃.
 - Table 568. Compounds of formula I.19 wherein R¹ is Y-1A, R is NCH₃ and R² is c-C₃H₅.
- 40 Table 569. Compounds of formula I.19 wherein R¹ is Y-2A, R is NCH₃ and R² is c-C₃H₅.
 - Table 570. Compounds of formula I.19 wherein R¹ is Y-5A, R is NCH₃ and R² is c-C₃H₅.
 - Table 571. Compounds of formula I.19 wherein R¹ is Y-6A, R is NCH₃ and R² is c-C₃H₅.
 - Table 572. Compounds of formula I.19 wherein R¹ is Y-7A, R is NCH₃ and R² is c-C₃H₅.
 - Table 573. Compounds of formula I.19 wherein R¹ is Y-1A, R is NCN, and R² is H.

Table 574. Compounds of formula I.19 wherein R¹ is Y-2A, R is NCN, and R² is H. Table 575. Compounds of formula I.19 wherein R¹ is Y-5A, R is NCN, and R² is H. Table 576. Compounds of formula I.19 wherein R¹ is Y-6A, R is NCN, and R² is H. Table 577. Compounds of formula I.19 wherein R¹ is Y-7A, R is NCN, and R² is H. Table 578. Compounds of formula I.19 wherein R¹ is Y-1A, R is NCN, and R² is CH₃. 5 Table 579. Compounds of formula I.19 wherein R¹ is Y-2A, R is NCN, and R² is CH₃. Table 580. Compounds of formula I.19 wherein R¹ is Y-5A, R is NCN, and R² is CH₃. Table 581. Compounds of formula I.19 wherein R¹ is Y-6A, R is NCN, and R² is CH₃. Table 582. Compounds of formula I.19 wherein R¹ is Y-7A, R is NCN, and R² is CH₃. 10 Table 583. Compounds of formula I.19 wherein R¹ is Y-1A, R is NCN, and R² is c-C₃H₅. Table 584. Compounds of formula I.19 wherein R¹ is Y-2A, R is NCN, and R² is c-C₃H₅. Table 585. Compounds of formula I.19 wherein R¹ is Y-5A, R is NCN, and R² is c-C₃H₅. Table 586. Compounds of formula I.19 wherein R¹ is Y-6A, R is NCN, and R² is c-C₃H₅. Table 587. Compounds of formula I.19 wherein R¹ is Y-7A, R is NCN, and R² is c-C₃H₅. 15 Table 588. Compounds of formula I.20 wherein R¹ is Y-1A, R is NH, and R² is H. Table 589. Compounds of formula I.20 wherein R¹ is Y-2A, R is NH, and R² is H. Table 590. Compounds of formula I.20 wherein R¹ is Y-5A, R is NH, and R² is H. Table 591. Compounds of formula I.20 wherein R¹ is Y-6A, R is NH, and R² is H. Table 592. Compounds of formula I.20 wherein R¹ is Y-7A, R is NH, and R² is H. 20 Table 593. Compounds of formula I.20 wherein R¹ is Y-1A, R is NH, and R² is CH₃. Table 594. Compounds of formula I.20 wherein R¹ is Y-2A, R is NH, and R² is CH₃. Table 595. Compounds of formula I.20 wherein R¹ is Y-5A, R is NH, and R² is CH₃. Table 596. Compounds of formula I.20 wherein R¹ is Y-6A, R is NH, and R² is CH₃. Table 597. Compounds of formula I.20 wherein R¹ is Y-7A, R is NH, and R² is CH₃. 25 Table 598. Compounds of formula I.20 wherein R¹ is Y-1A, R is NH, and R² is c-C₃H₅. Table 599. Compounds of formula I.20 wherein R¹ is Y-2A, R is NH, and R² is c-C₃H₅. Table 600. Compounds of formula I.20 wherein R¹ is Y-5A, R is NH, and R² is c-C₃H₅. Table 601. Compounds of formula I.20 wherein R¹ is Y-6A, R is NH, and R² is c-C₃H₅. Table 602. Compounds of formula I.20 wherein R¹ is Y-7A, R is NH, and R² is c-C₃H₅. 30 Table 603. Compounds of formula I.20 wherein R¹ is Y-1A, R is NCH₃ and R² is H. Table 604. Compounds of formula I.20 wherein R¹ is Y-2A, R is NCH₃ and R² is H. Table 605. Compounds of formula I.20 wherein R¹ is Y-5A, R is NCH₃ and R² is H. Table 606. Compounds of formula I.20 wherein R¹ is Y-6A, R is NCH₃ and R² is H. Table 607. Compounds of formula I.20 wherein R¹ is Y-7A, R is NCH₃ and R² is H. Table 608. Compounds of formula I.20 wherein R¹ is Y-1A, R is NCH₃ and R² is CH₃. 35 Table 609. Compounds of formula I.20 wherein R¹ is Y-2A, R is NCH₃ and R² is CH₃. Table 610. Compounds of formula I.20 wherein R¹ is Y-5A, R is NCH₃ and R² is CH₃. Table 611. Compounds of formula I.20 wherein R¹ is Y-6A, R is NCH₃ and R² is CH₃. Table 612. Compounds of formula I.20 wherein R¹ is Y-7A, R is NCH₃ and R² is CH₃. 40 Table 613. Compounds of formula I.20 wherein R¹ is Y-1A, R is NCH₃ and R² is c-C₃H₅. Table 614. Compounds of formula I.20 wherein R¹ is Y-2A, R is NCH₃ and R² is c-C₃H₅. Table 615. Compounds of formula I.20 wherein R¹ is Y-5A, R is NCH₃ and R² is c-C₃H₅. Table 616. Compounds of formula I.20 wherein R¹ is Y-6A, R is NCH₃ and R² is c-C₃H₅. Table 617. Compounds of formula I.20 wherein R¹ is Y-7A, R is NCH₃ and R² is c-C₃H₅.

Table 618. Compounds of formula I.20 wherein R¹ is Y-1A, R is NCN, and R² is H. Table 619. Compounds of formula I.20 wherein R¹ is Y-2A, R is NCN, and R² is H. Table 620. Compounds of formula I.20 wherein R1 is Y-5A, R is NCN, and R2 is H. Table 621. Compounds of formula I.20 wherein R¹ is Y-6A, R is NCN, and R² is H. Table 622. Compounds of formula I.20 wherein R¹ is Y-7A, R is NCN, and R² is H. 5 Table 623. Compounds of formula I.20 wherein R¹ is Y-1A, R is NCN, and R² is CH₃. Table 624. Compounds of formula I.20 wherein R¹ is Y-2A, R is NCN, and R² is CH₃. Table 625. Compounds of formula I.20 wherein R¹ is Y-5A, R is NCN, and R² is CH₃. Table 626. Compounds of formula I.20 wherein R¹ is Y-6A, R is NCN, and R² is CH₃. 10 Table 627. Compounds of formula I.20 wherein R¹ is Y-7A, R is NCN, and R² is CH₃. Table 628. Compounds of formula I.20 wherein R¹ is Y-1A, R is NCN, and R² is c-C₃H₅. Table 629. Compounds of formula I.20 wherein R¹ is Y-2A, R is NCN, and R² is c-C₃H₅. Table 630. Compounds of formula I.20 wherein R¹ is Y-5A, R is NCN, and R² is c-C₃H₅. Table 631. Compounds of formula I.20 wherein R¹ is Y-6A, R is NCN, and R² is c-C₃H₅. 15 Table 632. Compounds of formula I.20 wherein R¹ is Y-7A, R is NCN, and R² is c-C₃H₅. Table 633. Compounds of formula I.21 wherein R¹ is Y-1A, R is NH, and R² is H. Table 634. Compounds of formula I.21 wherein R¹ is Y-2A, R is NH, and R² is H. Table 635. Compounds of formula I.21 wherein R¹ is Y-5A, R is NH, and R² is H. Table 636. Compounds of formula I.21 wherein R¹ is Y-6A, R is NH, and R² is H. 20 Table 637. Compounds of formula I.21 wherein R¹ is Y-7A, R is NH, and R² is H. Table 638. Compounds of formula I.21 wherein R¹ is Y-1A, R is NH, and R² is CH₃. Table 639. Compounds of formula I.21 wherein R¹ is Y-2A, R is NH, and R² is CH₃. Table 640. Compounds of formula I.21 wherein R¹ is Y-5A, R is NH, and R² is CH₃. Table 641. Compounds of formula I.21 wherein R¹ is Y-6A, R is NH, and R² is CH₃. 25 Table 642. Compounds of formula I.21 wherein R¹ is Y-7A, R is NH, and R² is CH₃. Table 643. Compounds of formula I.21 wherein R¹ is Y-1A, R is NH, and R² is c-C₃H₅. Table 644. Compounds of formula I.21 wherein R¹ is Y-2A, R is NH, and R² is c-C₃H₅. Table 645. Compounds of formula I.21 wherein R¹ is Y-5A, R is NH, and R² is c-C₃H₅. Table 646. Compounds of formula I.21 wherein R¹ is Y-6A, R is NH, and R² is c-C₃H₅. 30 Table 647. Compounds of formula I.21 wherein R¹ is Y-7A, R is NH, and R² is c-C₃H₅. Table 648. Compounds of formula I.21 wherein R¹ is Y-1A, R is NCH₃ and R² is H. Table 649. Compounds of formula I.21 wherein R¹ is Y-2A, R is NCH₃ and R² is H. Table 650. Compounds of formula I.21 wherein R¹ is Y-5A, R is NCH₃ and R² is H. Table 651. Compounds of formula I.21 wherein R¹ is Y-6A, R is NCH₃ and R² is H. Table 652. Compounds of formula I.21 wherein R¹ is Y-7A, R is NCH₃ and R² is H. 35 Table 653. Compounds of formula I.21 wherein R¹ is Y-1A, R is NCH₃ and R² is CH₃. Table 654. Compounds of formula I.21 wherein R¹ is Y-2A, R is NCH₃ and R² is CH₃. Table 655. Compounds of formula I.21 wherein R¹ is Y-5A, R is NCH₃ and R² is CH₃. Table 656. Compounds of formula I.21 wherein R¹ is Y-6A, R is NCH₃ and R² is CH₃. 40 Table 657. Compounds of formula I.21 wherein R¹ is Y-7A, R is NCH₃ and R² is CH₃. Table 658. Compounds of formula I.21 wherein R¹ is Y-1A, R is NCH₃ and R² is c-C₃H₅. Table 659. Compounds of formula I.21 wherein R¹ is Y-2A, R is NCH₃ and R² is c-C₃H₅. Table 660. Compounds of formula I.21 wherein R¹ is Y-5A, R is NCH₃ and R² is c-C₃H₅.

Table 661. Compounds of formula I.21 wherein R¹ is Y-6A, R is NCH₃ and R² is c-C₃H₅.

Table 662. Compounds of formula I.21 wherein R¹ is Y-7A, R is NCH₃ and R² is c-C₃H₅. Table 663. Compounds of formula I.21 wherein R¹ is Y-1A, R is NCN, and R² is H. Table 664. Compounds of formula I.21 wherein R1 is Y-2A, R is NCN, and R2 is H. Table 665. Compounds of formula I.21 wherein R¹ is Y-5A, R is NCN, and R² is H. Table 666. Compounds of formula I.21 wherein R¹ is Y-6A, R is NCN, and R² is H. 5 Table 667. Compounds of formula I.21 wherein R¹ is Y-7A, R is NCN, and R² is H. Table 668. Compounds of formula I.21 wherein R¹ is Y-1A, R is NCN, and R² is CH₃. Table 669. Compounds of formula I.21 wherein R¹ is Y-2A, R is NCN, and R² is CH₃. Table 670. Compounds of formula I.21 wherein R¹ is Y-5A, R is NCN, and R² is CH₃. 10 Table 671. Compounds of formula I.21 wherein R¹ is Y-6A, R is NCN, and R² is CH₃. Table 672. Compounds of formula I.21 wherein R¹ is Y-7A, R is NCN, and R² is CH₃. Table 673. Compounds of formula I.21 wherein R¹ is Y-1A, R is NCN, and R² is c-C₃H₅. Table 674. Compounds of formula I.21 wherein R¹ is Y-2A, R is NCN, and R² is c-C₃H₅. Table 675. Compounds of formula I.21 wherein R¹ is Y-5A, R is NCN, and R² is c-C₃H₅. 15 Table 676. Compounds of formula I.21 wherein R¹ is Y-6A, R is NCN, and R² is c-C₃H₅. Table 677. Compounds of formula I.21 wherein R¹ is Y-7A, R is NCN, and R² is c-C₃H₅. Table 678. Compounds of formula I.22 wherein R¹ is Y-1A, R is NH, and R² is H. Table 679. Compounds of formula I.22 wherein R¹ is Y-2A, R is NH, and R² is H. Table 680. Compounds of formula I.22 wherein R¹ is Y-5A, R is NH, and R² is H. 20 Table 681. Compounds of formula I.22 wherein R¹ is Y-6A, R is NH, and R² is H. Table 682. Compounds of formula I.22 wherein R¹ is Y-7A, R is NH, and R² is H. Table 683. Compounds of formula I.22 wherein R¹ is Y-1A, R is NH, and R² is CH₃. Table 684. Compounds of formula I.22 wherein R¹ is Y-2A, R is NH, and R² is CH₃. Table 685. Compounds of formula I.22 wherein R¹ is Y-5A, R is NH, and R² is CH₃. 25 Table 686. Compounds of formula I.22 wherein R¹ is Y-6A, R is NH, and R² is CH₃. Table 687. Compounds of formula I.22 wherein R¹ is Y-7A, R is NH, and R² is CH₃. Table 688. Compounds of formula I.22 wherein R¹ is Y-1A, R is NH, and R² is c-C₃H₅. Table 689. Compounds of formula I.22 wherein R¹ is Y-2A, R is NH, and R² is c-C₃H₅. Table 690. Compounds of formula I.22 wherein R¹ is Y-5A. R is NH, and R² is c-C₃H₅. 30 Table 691. Compounds of formula I.22 wherein R¹ is Y-6A, R is NH, and R² is c-C₃H₅. Table 692. Compounds of formula I.22 wherein R¹ is Y-7A, R is NH, and R² is c-C₃H₅. Table 693. Compounds of formula I.22 wherein R¹ is Y-1A, R is NCH₃ and R² is H. Table 694. Compounds of formula I.22 wherein R¹ is Y-2A, R is NCH₃ and R² is H. Table 695. Compounds of formula I.22 wherein R¹ is Y-5A, R is NCH₃ and R² is H. Table 696. Compounds of formula I.22 wherein R¹ is Y-6A, R is NCH₃ and R² is H. 35 Table 697. Compounds of formula I.22 wherein R¹ is Y-7A, R is NCH₃ and R² is H. Table 698. Compounds of formula I.22 wherein R¹ is Y-1A, R is NCH₃ and R² is CH₃. Table 699. Compounds of formula I.22 wherein R¹ is Y-2A, R is NCH₃ and R² is CH₃. Table 700. Compounds of formula I.22 wherein R¹ is Y-5A, R is NCH₃ and R² is CH₃. 40 Table 701. Compounds of formula I.22 wherein R¹ is Y-6A, R is NCH₃ and R² is CH₃. Table 702. Compounds of formula I.22 wherein R¹ is Y-7A, R is NCH₃ and R² is CH₃. Table 703. Compounds of formula I.22 wherein R¹ is Y-1A, R is NCH₃ and R² is c-C₃H₅. Table 704. Compounds of formula I.22 wherein R¹ is Y-2A, R is NCH₃ and R² is c-C₃H₅. Table 705. Compounds of formula I.22 wherein R¹ is Y-5A, R is NCH₃ and R² is c-C₃H₅.

Table 706. Compounds of formula I.22 wherein R¹ is Y-6A, R is NCH₃ and R² is c-C₃H₅. Table 707. Compounds of formula I.22 wherein R¹ is Y-7A, R is NCH₃ and R² is c-C₃H₅. Table 708. Compounds of formula I.22 wherein R¹ is Y-1A, R is NCN, and R² is H. Table 709. Compounds of formula I.22 wherein R¹ is Y-2A, R is NCN, and R² is H. Table 710. Compounds of formula I.22 wherein R1 is Y-5A, R is NCN, and R2 is H. 5 Table 711. Compounds of formula I.22 wherein R¹ is Y-6A, R is NCN, and R² is H. Table 712. Compounds of formula I.22 wherein R¹ is Y-7A, R is NCN, and R² is H. Table 713. Compounds of formula I.22 wherein R¹ is Y-1A, R is NCN, and R² is CH₃. Table 714. Compounds of formula I.22 wherein R¹ is Y-2A, R is NCN, and R² is CH₃. 10 Table 715. Compounds of formula I.22 wherein R¹ is Y-5A, R is NCN, and R² is CH₃. Table 716. Compounds of formula I.22 wherein R¹ is Y-6A, R is NCN, and R² is CH₃. Table 717. Compounds of formula I.22 wherein R¹ is Y-7A, R is NCN, and R² is CH₃. Table 718. Compounds of formula I.22 wherein R¹ is Y-1A, R is NCN, and R² is c-C₃H₅. Table 719. Compounds of formula I.22 wherein R¹ is Y-2A, R is NCN, and R² is c-C₃H₅. 15 Table 720. Compounds of formula I.22 wherein R¹ is Y-5A, R is NCN, and R² is c-C₃H₅. Table 721. Compounds of formula I.22 wherein R¹ is Y-6A, R is NCN, and R² is c-C₃H₅. Table 722. Compounds of formula I.22 wherein R¹ is Y-7A, R is NCN, and R² is c-C₃H₅. Table 723. Compounds of formula I.23 wherein R¹ is Y-1A, R is NH, and R² is H. Table 724. Compounds of formula I.23 wherein R¹ is Y-2A, R is NH, and R² is H. 20 Table 725. Compounds of formula I.23 wherein R¹ is Y-5A, R is NH, and R² is H. Table 726. Compounds of formula I.23 wherein R¹ is Y-6A, R is NH, and R² is H. Table 727. Compounds of formula I.23 wherein R¹ is Y-7A, R is NH, and R² is H. Table 728. Compounds of formula I.23 wherein R¹ is Y-1A, R is NH, and R² is CH₃. Table 729. Compounds of formula I.23 wherein R¹ is Y-2A, R is NH, and R² is CH₃. 25 Table 730. Compounds of formula I.23 wherein R¹ is Y-5A, R is NH, and R² is CH₃. Table 731. Compounds of formula I.23 wherein R¹ is Y-6A, R is NH, and R² is CH₃. Table 732. Compounds of formula I.23 wherein R¹ is Y-7A, R is NH, and R² is CH₃. Table 733. Compounds of formula I.23 wherein R¹ is Y-1A, R is NH, and R² is c-C₃H₅. Table 734. Compounds of formula I.23 wherein R¹ is Y-2A, R is NH, and R² is c-C₃H₅. 30 Table 735. Compounds of formula I.23 wherein R¹ is Y-5A, R is NH, and R² is c-C₃H₅. Table 736. Compounds of formula I.23 wherein R¹ is Y-6A, R is NH, and R² is c-C₃H₅. Table 737. Compounds of formula I.23 wherein R¹ is Y-7A, R is NH, and R² is c-C₃H₅. Table 738. Compounds of formula I.23 wherein R¹ is Y-1A, R is NCH₃ and R² is H. Table 739. Compounds of formula I.23 wherein R¹ is Y-2A, R is NCH₃ and R² is H. Table 740. Compounds of formula I.23 wherein R¹ is Y-5A, R is NCH₃ and R² is H. 35 Table 741. Compounds of formula I.23 wherein R¹ is Y-6A, R is NCH₃ and R² is H. Table 742. Compounds of formula I.23 wherein R¹ is Y-7A, R is NCH₃ and R² is H. Table 743. Compounds of formula I.23 wherein R¹ is Y-1A, R is NCH₃ and R² is CH₃. Table 744. Compounds of formula I.23 wherein R¹ is Y-2A, R is NCH₃ and R² is CH₃. 40 Table 745. Compounds of formula I.23 wherein R¹ is Y-5A, R is NCH₃ and R² is CH₃. Table 746. Compounds of formula I.23 wherein R¹ is Y-6A, R is NCH₃ and R² is CH₃. Table 747. Compounds of formula I.23 wherein R¹ is Y-7A, R is NCH₃ and R² is CH₃. Table 748. Compounds of formula I.23 wherein R¹ is Y-1A, R is NCH₃ and R² is c-C₃H₅. Table 749. Compounds of formula I.23 wherein R¹ is Y-2A, R is NCH₃ and R² is c-C₃H₅.

Table 750. Compounds of formula I.23 wherein R¹ is Y-5A, R is NCH₃ and R² is c-C₃H₅. Table 751. Compounds of formula I.23 wherein R¹ is Y-6A, R is NCH₃ and R² is c-C₃H₅. Table 752. Compounds of formula I.23 wherein R¹ is Y-7A, R is NCH₃ and R² is c-C₃H₅. Table 753. Compounds of formula I.23 wherein R¹ is Y-1A, R is NCN, and R² is H. Table 754. Compounds of formula I.23 wherein R1 is Y-2A, R is NCN, and R2 is H. 5 Table 755. Compounds of formula I.23 wherein R¹ is Y-5A, R is NCN, and R² is H. Table 756. Compounds of formula I.23 wherein R¹ is Y-6A, R is NCN, and R² is H. Table 757. Compounds of formula I.23 wherein R¹ is Y-7A, R is NCN, and R² is H. Table 758. Compounds of formula I.23 wherein R¹ is Y-1A, R is NCN, and R² is CH₃. 10 Table 759. Compounds of formula I.23 wherein R¹ is Y-2A, R is NCN, and R² is CH₃. Table 760. Compounds of formula I.23 wherein R¹ is Y-5A, R is NCN, and R² is CH₃. Table 761. Compounds of formula I.23 wherein R¹ is Y-6A, R is NCN, and R² is CH₃. Table 762. Compounds of formula I.23 wherein R¹ is Y-7A, R is NCN, and R² is CH₃. Table 763. Compounds of formula I.23 wherein R¹ is Y-1A, R is NCN, and R² is c-C₃H₅. 15 Table 764. Compounds of formula I.23 wherein R¹ is Y-2A, R is NCN, and R² is c-C₃H₅. Table 765. Compounds of formula I.23 wherein R¹ is Y-5A, R is NCN, and R² is c-C₃H₅. Table 766. Compounds of formula I.23 wherein R¹ is Y-6A, R is NCN, and R² is c-C₃H₅. Table 767. Compounds of formula I.23 wherein R¹ is Y-7A, R is NCN, and R² is c-C₃H₅. Table 768. Compounds of formula I.24 wherein R¹ is Y-1A, R is NH, and R² is H. 20 Table 769. Compounds of formula I.24 wherein R¹ is Y-2A, R is NH, and R² is H. Table 770. Compounds of formula I.24 wherein R¹ is Y-5A, R is NH, and R² is H. Table 771. Compounds of formula I.24 wherein R¹ is Y-6A, R is NH, and R² is H. Table 772. Compounds of formula I.24 wherein R¹ is Y-7A, R is NH, and R² is H. Table 773. Compounds of formula I.24 wherein R¹ is Y-1A, R is NH, and R² is CH₃. 25 Table 774. Compounds of formula I.24 wherein R¹ is Y-2A, R is NH, and R² is CH₃. Table 775. Compounds of formula I.24 wherein R¹ is Y-5A, R is NH, and R² is CH₃. Table 776. Compounds of formula I.24 wherein R¹ is Y-6A, R is NH, and R² is CH₃. Table 777. Compounds of formula I.24 wherein R¹ is Y-7A, R is NH, and R² is CH₃. Table 778. Compounds of formula I.24 wherein R¹ is Y-1A, R is NH, and R² is c-C₃H₅. 30 Table 779. Compounds of formula I.24 wherein R¹ is Y-2A, R is NH, and R² is c-C₃H₅. Table 780. Compounds of formula I.24 wherein R¹ is Y-5A, R is NH, and R² is c-C₃H₅. Table 781. Compounds of formula I.24 wherein R¹ is Y-6A, R is NH, and R² is c-C₃H₅. Table 782. Compounds of formula I.24 wherein R¹ is Y-7A, R is NH, and R² is c-C₃H₅. Table 783. Compounds of formula I.24 wherein R¹ is Y-1A, R is NCH₃ and R² is H. Table 784. Compounds of formula I.24 wherein R¹ is Y-2A, R is NCH₃ and R² is H. 35 Table 785. Compounds of formula I.24 wherein R¹ is Y-5A, R is NCH₃ and R² is H. Table 786. Compounds of formula I.24 wherein R¹ is Y-6A, R is NCH₃ and R² is H. Table 787. Compounds of formula I.24 wherein R¹ is Y-7A, R is NCH₃ and R² is H. Table 788. Compounds of formula I.24 wherein R¹ is Y-1A, R is NCH₃ and R² is CH₃. 40 Table 789. Compounds of formula I.24 wherein R¹ is Y-2A, R is NCH₃ and R² is CH₃. Table 790. Compounds of formula I.24 wherein R¹ is Y-5A, R is NCH₃ and R² is CH₃. Table 791. Compounds of formula I.24 wherein R¹ is Y-6A, R is NCH₃ and R² is CH₃. Table 792. Compounds of formula I.24 wherein R¹ is Y-7A, R is NCH₃ and R² is CH₃. Table 793. Compounds of formula I.24 wherein R¹ is Y-1A, R is NCH₃ and R² is c-C₃H₅.

Table 794. Compounds of formula I.24 wherein R¹ is Y-2A, R is NCH₃ and R² is c-C₃H₅. Table 795. Compounds of formula I.24 wherein R¹ is Y-5A, R is NCH₃ and R² is c-C₃H₅. Table 796. Compounds of formula I.24 wherein R¹ is Y-6A, R is NCH₃ and R² is c-C₃H₅. Table 797. Compounds of formula I.24 wherein R¹ is Y-7A, R is NCH₃ and R² is c-C₃H₅. Table 798. Compounds of formula I.24 wherein R¹ is Y-1A, R is NCN, and R² is H. 5 Table 799. Compounds of formula I.24 wherein R¹ is Y-2A, R is NCN, and R² is H. Table 800. Compounds of formula I.24 wherein R¹ is Y-5A, R is NCN, and R² is H. Table 801. Compounds of formula I.24 wherein R¹ is Y-6A, R is NCN, and R² is H. Table 802. Compounds of formula I.24 wherein R¹ is Y-7A, R is NCN, and R² is H. 10 Table 803. Compounds of formula I.24 wherein R¹ is Y-1A, R is NCN, and R² is CH₃. Table 804. Compounds of formula I.24 wherein R¹ is Y-2A, R is NCN, and R² is CH₃. Table 805. Compounds of formula I.24 wherein R¹ is Y-5A, R is NCN, and R² is CH₃. Table 806. Compounds of formula I.24 wherein R¹ is Y-6A, R is NCN, and R² is CH₃. Table 807. Compounds of formula I.24 wherein R¹ is Y-7A, R is NCN, and R² is CH₃. 15 Table 808. Compounds of formula I.24 wherein R¹ is Y-1A, R is NCN, and R² is c-C₃H₅. Table 809. Compounds of formula I.24 wherein R¹ is Y-2A, R is NCN, and R² is c-C₃H₅. Table 810. Compounds of formula I.24 wherein R¹ is Y-5A, R is NCN, and R² is c-C₃H₅. Table 811. Compounds of formula I.24 wherein R¹ is Y-6A, R is NCN, and R² is c-C₃H₅. Table 812. Compounds of formula I.24 wherein R¹ is Y-7A, R is NCN, and R² is c-C₃H₅. 20 Table B:

Lin	R ⁶	B ¹	B ²	B ³	Ar	D	Lin	R ⁶	B ¹	B ²	B^3	Ar	D
е							e						
1	Н	СН	СН	СН	Ar1	R ¹¹ -1	21	Н	СН	СН	СН	Ar1	R ¹¹ -22
2	Н	СН	СН	СН	Ar1	R ¹¹ -2	22	Н	СН	СН	СН	Ar1	R ¹¹ -23
3	Н	СН	СН	СН	Ar1	R ¹¹ -3	23	Н	СН	СН	СН	Ar1	R ¹¹ -25
4	Н	СН	СН	СН	Ar1	R ¹¹ -5	24	Н	СН	СН	СН	Ar1	R ¹¹ -26
5	Н	СН	СН	СН	Ar1	R ¹¹ -6	25	Н	СН	СН	СН	Ar1	R ¹¹ -27
6	Н	СН	СН	СН	Ar1	R ¹¹ -7	26	Н	СН	СН	СН	Ar1	R ¹¹ -28
7	Н	СН	СН	СН	Ar1	R ¹¹ -8	27	Н	СН	СН	СН	Ar1	R ¹¹ -29
8	Н	СН	СН	СН	Ar1	R ¹¹ -9	28	Н	СН	СН	СН	Ar1	R ¹¹ -30
9	Н	СН	СН	СН	Ar1	R ¹¹ -10	29	Н	СН	СН	СН	Ar1	A ¹¹ -1
10	Н	СН	СН	СН	Ar1	R ¹¹ -11	30	Н	СН	СН	СН	Ar1	A ¹¹ -2
11	Н	СН	СН	СН	Ar1	R ¹¹ -12	31	Н	СН	СН	СН	Ar1	A ¹¹ -3
12	Н	СН	СН	СН	Ar1	R ¹¹ -13	32	CH₃	СН	СН	СН	Ar1	R ¹¹ -1
13	Н	СН	СН	СН	Ar1	R ¹¹ -14	33	CH₃	СН	СН	СН	Ar1	R ¹¹ -2
14	Н	СН	СН	СН	Ar1	R ¹¹ -15	34	CH₃	СН	СН	СН	Ar1	R ¹¹ -3
15	Н	СН	СН	СН	Ar1	R ¹¹ -16	35	CH₃	СН	СН	СН	Ar1	R ¹¹ -5
16	Н	СН	СН	СН	Ar1	R ¹¹ -17	36	CH ₃	СН	СН	СН	Ar1	R ¹¹ -6
17	Н	СН	СН	СН	Ar1	R ¹¹ -18	37	CH ₃	СН	СН	СН	Ar1	R ¹¹ -7
18	Н	СН	СН	СН	Ar1	R ¹¹ -19	38	CH ₃	СН	СН	СН	Ar1	R ¹¹ -8
19	Н	СН	СН	СН	Ar1	R ¹¹ -20	39	CH₃	СН	СН	СН	Ar1	R ¹¹ -9
20	Н	СН	СН	СН	Ar1	R ¹¹ -21	40	CH₃	СН	СН	СН	Ar1	R ¹¹ -10

WO 2021/013561	69	PCT/EP2020/069378

Lin	R ⁶	B ¹	B ²	B ³	Ar	D	Lin	R ⁶	B ¹	B ²	B ³	Ar	D
е							е						
41	CH₃	СН	СН	СН	Ar1	R ¹¹ -11	68	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -7
42	CH₃	СН	СН	СН	Ar1	R ¹¹ -12	69	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -8
43	CH₃	СН	СН	СН	Ar1	R ¹¹ -13	70	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -9
44	CH₃	СН	СН	СН	Ar1	R ¹¹ -14	71	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -10
45	CH₃	СН	СН	СН	Ar1	R ¹¹ -15	72	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -11
46	CH₃	СН	СН	СН	Ar1	R ¹¹ -16	73	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -12
47	CH ₃	СН	СН	СН	Ar1	R ¹¹ -17	74	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -13
48	CH₃	СН	СН	СН	Ar1	R ¹¹ -18	75	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -14
49	CH₃	СН	СН	СН	Ar1	R ¹¹ -19	76	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -15
50	CH₃	СН	СН	СН	Ar1	R ¹¹ -20	77	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -16
51	CH₃	СН	СН	СН	Ar1	R ¹¹ -21	78	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -17
52	CH₃	СН	СН	СН	Ar1	R ¹¹ -22	79	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -18
53	CH₃	СН	СН	СН	Ar1	R ¹¹ -23	80	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -19
54	CH₃	СН	СН	СН	Ar1	R ¹¹ -25	81	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -20
55	CH₃	СН	СН	СН	Ar1	R ¹¹ -26	82	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -21
56	CH₃	СН	СН	СН	Ar1	R ¹¹ -27	83	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -22
57	CH₃	СН	СН	СН	Ar1	R ¹¹ -28	84	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -23
58	CH₃	СН	СН	СН	Ar1	R ¹¹ -29	85	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -25
59	CH₃	СН	СН	СН	Ar1	R ¹¹ -30	86	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -26
60	CH ₃	СН	СН	СН	Ar1	A ¹¹ -1	87	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -27
61	CH₃	СН	СН	СН	Ar1	A ¹¹ -2	88	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -28
62	CH₃	СН	СН	СН	Ar1	A ¹¹ -3	89	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -29
63	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -1	90	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -30
64	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -2	91	C ₂ H ₅	СН	СН	СН	Ar1	A ¹¹ -1
65	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -3	92	C ₂ H ₅	СН	СН	СН	Ar1	A ¹¹ -2
66	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -5	93	C ₂ H ₅	СН	СН	СН	Ar1	A ¹¹ -3
67	C ₂ H ₅	СН	СН	СН	Ar1	R ¹¹ -6		ı					•

Also more preferred are the compounds disclosed in Table 813 to Table 54404 similar to the compounds of Table 1 to Table 812 with different Ar group.

Table 813 to Table 1624: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar2;

Table 1625 to Table 2436: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar3;

Table 2437 to Table 3248: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar4;

Table 3249 to Table 4060: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar5;

Table 4061 to Table 4872: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar6;

Table 4873 to Table 5684: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar7;

Table 5685 to Table 6496: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar8;

Table 6497 to Table 7308: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar9;

Table 7309 to Table 8120: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar10;

Table 8121 to Table 8932: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar11;

10

20

30

40

Table 8933 to Table 9744: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar12;

Table 9745 to Table 10556: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar13;

Table 10557 to Table 11368: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar14;

Table 11369 to Table 12180: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar15;

Table 12181 to Table 12992: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar16;

Table 12993 to Table 13804: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar17;

Table 13805 to Table 14616: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar18;

Table 14617 to Table 15428: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar19;

Table 15429 to Table 16240: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar20;

Table 16241 to Table 17052: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar21;

Table 17053 to Table 17864: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar22;

Table 17865 to Table 18676: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar23;

Table 18677 to Table 19488: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar24;

Table 19489 to Table 20300: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar25;

Table 20301 to Table 21112: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar26;

Table 21113 to Table 21924: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar27;

Table 21925 to Table 22736: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar28;

Table 22737 to Table 23548: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar29;

Table 23549 to Table 24360: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar30;

Table 24361 to Table 25172: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar31;

Table 25173 to Table 25984: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar32;

10

20

30

40

Table 25985 to Table 26796: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar33;

Table 26797 to Table 27608: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar34;

Table 27609 to Table 28420: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar45;

Table 28421 to Table 29232: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar36;

Table 29233 to Table 30044: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar37;

Table 30045 to Table 30856: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar38;

Table 30857 to Table 31668: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar39;

Table 31669 to Table 32480: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar40;

Table 32481 to Table 33292: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar41;

Table 33293 to Table 34104: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar42;

Table 34105 to Table 34916: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar43;

Table 34917 to Table 35728: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar44;

Table 35729 to Table 36540: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar45;

Table 36541 to Table 37352: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar46;

Table 37353 to Table 38164: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar47;

Table 38165 to Table 38976: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar48;

Table 38977 to Table 39788: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar49;

Table 39789 to Table 40600: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar50;

Table 40601 to Table 41412: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar51;

Table 41413 to Table 42224: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar52;

Table 42225 to Table 43036: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar53;

10

20

30

40

Table 43037 to Table 43848: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar54;

Table 43849 to Table 44660: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar55;

Table 44661 to Table 45472: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar56;

Table 45473 to Table 46284: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar57;

Table 46285 to Table 47096: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar58;

Table 47097 to Table 47908: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar59;

Table 47909 to Table 48720: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar60;

Table 48721 to Table 49532: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar61;

Table 49533 to Table 50344: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar62;

Table 50345 to Table 51156: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar63;

Table 51157 to Table 51968: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar64;

Table 51968 to Table 52780: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar65;

Table 52781 to Table 53592: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar66;

Table 53593to Table 54404: Includes all the compounds as disclosed in Table 1 to Table 812 respectively wherein Ar1 is replaced by Ar67;

As used herein, the term "compound(s) of the present invention" or "compound(s) according to the invention" refers to the compound(s) of formula (I) as defined above, which are also referred to as "compound(s) of formula I" or "compound(s) I" or "formula I compound(s)", and includes their salts, tautomers, stereoisomers, and N-oxides.

WO 2021/013561 73 PCT/EP2020/069378

The present invention also relates to a mixture of at least one compound of the invention with at least one mixing partner as defined herein. Preferred are binary mixtures of one compound of the invention as component I with one mixing partner as defined herein as component II. Preferred weight ratios for such binary mixtures are from 5000:1 to 1:5000, preferably from 1000:1 to 1:1000, more preferably from 100:1 to 1:100, particularly from 10:1 to 1:10. In such binary mixtures, components I and II may be used in equal amounts, or an excess of component I, or an excess of component II may be used.

5

10

15

20

30

35

40

Mixing partners can be selected from pesticides, in particular insecticides, nematicides, and acaricides, fungicides, herbicides, plant growth regulators, fertilizers. Preferred mixing partners are insecticides, nematicides and fungicides.

The following list M of pesticides, grouped and numbered according the Mode of Action Classification of the Insecticide Resistance Action Committee (IRAC), together with which the compounds of the invention can be used and with which potential synergistic effects might be produced, is intended to illustrate the possible combinations, but not to impose any limitation:

M.1 Acetylcholine esterase (AChE) inhibitors: M.1A carbamates, e.g. aldicarb, alanycarb, bendiocarb, benfuracarb, butocarboxim, butoxycarboxim, carbaryl, carbofuran, carbosulfan, ethiofencarb, fenobucarb, formetanate, furathiocarb, isoprocarb, methiocarb, methomyl, metolcarb, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, trimethacarb, XMC, xylylcarb and triazamate; or M.1B organophosphates, e.g. acephate, azamethiphos, azinphos-ethyl, azinphosmethyl, cadusafos, chlorethoxyfos, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, coumaphos, cyanophos, demeton-S-methyl, diazinon, dichlorvos/ DDVP, dicrotophos, dimethoate, dimethylvinphos, disulfoton, EPN, ethion, ethoprophos, famphur, fenamiphos, fenitrothion, fenthion, fosthiazate, heptenophos, imicyafos, isofenphos, isopropyl O-(methoxyaminothio-phosphoryl) salicylate, isoxathion, malathion, mecarbam,

methamidophos, methidathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phenthoate, phorate, phosalone, phosmet, phosphamidon, phoxim, pirimiphos- methyl, profenofos, propetamphos, prothiofos, pyraclofos, pyridaphenthion, quinalphos, sulfotep, tebupirimfos, temephos, terbufos, tetrachlorvinphos, thiometon, triazophos, trichlorfon, and vamidothion;

M.2. GABA-gated chloride channel antagonists: M.2A cyclodiene organochlorine compounds, e.g. endosulfan or chlordane; or M.2B fiproles (phenylpyrazoles), e.g. ethiprole, fipronil, flufiprole, pyrafluprole, and pyriprole;

M.3 Sodium channel modulators from the class of M.3A pyrethroids, e.g. acrinathrin, allethrin, d-cis-trans allethrin, d-trans allethrin, bifenthrin, kappa-bifenthrin, bioallethrin, bioallethrin S-cylclopentenyl, bioresmethrin, cycloprothrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, gamma-cyhalothrin, cypermethrin, alpha-cypermethrin, beta-cypermethrin, theta-cypermethrin, zeta-cypermethrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, etofenprox, fenpropathrin, fenvalerate, flucythrinate, flumethrin, tau-fluvalinate, halfenprox, heptafluthrin, imiprothrin, meperfluthrin,metofluthrin, momfluorothrin, epsilon-momfluorothrin, permethrin, phenothrin, profluthrin, pyrethrin (pyrethrum), resmethrin, silafluofen, tefluthrin, kappa-tefluthrin, tetramethylfluthrin, tetramethrin, tralomethrin, and transfluthrin; or M.3B sodium channel modulators such as DDT or methoxychlor;

M.4 Nicotinic acetylcholine receptor agonists (nAChR): M.4A neonicotinoids, e.g. acetamiprid, clothianidin, cycloxaprid, dinotefuran, imidacloprid, nitenpyram, thiacloprid and thiamethoxam; or the compounds M.4A.1 4,5-Dihydro-N-nitro-1-(2-oxiranylmethyl)-1H-imidazol-2-amine, M.4A.2: (2E-)-1-[(6-Chloropyridin-3-yl)methyl]-N'-nitro-2-pentylidenehydrazinecarboximidamide; or M4.A.3: 1-[(6-Chloropyridin-3-yl)methyl]-7-methyl-8-nitro-5-propoxy-1,2,3,5,6,7-hexahydroimidazo[1,2-a]pyridine; or M.4B nicotine; M.4C sulfoxaflor; M.4D flupyradifurone; M.4E triflumezopyrim, M.4E.1a) (3R)-3-(2-chlorothiazol-5-yl)-8-methyl-5-oxo-6-phenyl-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate, M.4E.1b) (3S)-3-(6-chloro-3-pyridyl)-8-methyl-5-oxo-6-phenyl-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate, M.4E.1c) (3S)-8-methyl-5-oxo-6-phenyl-3-pyrimidin-5-yl-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate, M.4E.1d) (3R)-3-(2-chlorothiazol-5-yl)-8-methyl-5-oxo-6-[3-(trifluoromethyl)phenyl]-2,3-dihydrothiazolo[3,2-chlorothiazolo]-2,3-dihydrothiazolo[3,2

5

15

20

25

30

35

40

6-phenyl-3-pyrimidin-5-yl-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate, M.4E.1d) (3R)-3-(2-chlorothiazol-5-yl)-8-methyl-5-oxo-6-[3-(trifluoromethyl)phenyl]-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate; M.4E.1e) (3R)-3-(2-chlorothiazol-5-yl)-6-(3,5-dichlorophenyl)-8-methyl-5-oxo-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate, M.4E.1f) (3R)-3-(2-chlorothiazol-5-yl)-8-ethyl-5-oxo-6-phenyl-2,3-dihydrothiazolo[3,2-a]pyrimidin-8-ium-7-olate;

M.5 Nicotinic acetylcholine receptor allosteric activators:spinosyns, e.g. spinosad or spinetoram;

M.6 Chloride channel activators from the class of avermectins and milbemycins, e.g. abamectin, emamectin benzoate, ivermectin, lepimectin, or milbemectin;

M.7 Juvenile hormone mimics, such as M.7A juvenile hormone analogues hydroprene, kinoprene, and methoprene; or M.7B fenoxycarb, or M.7C pyriproxyfen;

M.8 miscellaneous non-specific (multi-site) inhibitors, e.g. M.8A alkyl halides as methyl bromide and other alkyl halides, M.8B chloropicrin, M.8C sulfuryl fluoride, M.8D borax, or M.8E tartar emetic;

M.9 Chordotonal organ TRPV channel modulators, e.g. M.9B pymetrozine; pyrifluquinazon; M.10 Mite growth inhibitors, e.g. M.10A clofentezine, hexythiazox, and diflovidazin, or M.10B etoxazole;

M.11 Microbial disruptors of insect midgut membranes, e.g. *bacillus thuringiensis* or *bacillus sphaericus* and the insecticdal proteins they produce such as *bacillus thuringiensis subsp. israelensis*, *bacillus sphaericus*, *bacillus thuringiensis subsp. aizawai*, *bacillus thuringiensis subsp. kurstaki* and *bacillus thuringiensis subsp. tenebrionis*, or the Bt crop proteins: Cry1Ab, Cry1Ac, Cry1Fa, Cry2Ab, mCry3A, Cry3Ab, Cry3Bb, and Cry34/35Ab1;

M.12 Inhibitors of mitochondrial ATP synthase, e.g. M.12A diafenthiuron, or M.12B organotin miticides such as azocyclotin, cyhexatin, or fenbutatin oxide, M.12C propargite, or M.12D tetradifon;

M.13 Uncouplers of oxidative phosphorylation via disruption of the proton gradient, e.g. chlorfenapyr, DNOC, or sulfluramid;

M.14 Nicotinic acetylcholine receptor (nAChR) channel blockers, e.g. nereistoxin analogues bensultap, cartap hydrochloride, thiocyclam, or thiosultap sodium;

M.15 Inhibitors of the chitin biosynthesis type 0, such as benzoylureas e.g. bistrifluron, chlorfluazuron, diflubenzuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, teflubenzuron, or triflumuron;

M.16 Inhibitors of the chitin biosynthesis type 1, e.g. buprofezin;

M.17 Moulting disruptors, Dipteran, e.g. cyromazine;

- M.18 Ecdyson receptor agonists such as diacylhydrazines, e.g. methoxyfenozide, tebufenozide, halofenozide, fufenozide, or chromafenozide;
 - M.19 Octopamin receptor agonists, e.g. amitraz;
 - M.20 Mitochondrial complex III electron transport inhibitors, e.g. M.20A hydramethylnon,
- 5 M.20B acequinocyl, M.20C fluacrypyrim; or M.20D bifenazate;
 - M.21 Mitochondrial complex I electron transport inhibitors, e.g. M.21A METI acaricides and insecticides such as fenazaquin, fenpyroximate, pyrimidifen, pyridaben, tebufenpyrad or tolfenpyrad, or M.21B rotenone;
 - M.22 Voltage-dependent sodium channel blockers, e.g. M.22A indoxacarb, M.22B metaflumizone, or M.22B.1: 2-[2-(4-Cyanophenyl)-1-[3-(trifluoromethyl)phenyl]ethylidene]-N-[4-(difluoromethoxy)phenyl]-hydrazinecarboxamide or M.22B.2: N-(3-Chloro-2-methylphenyl)-2-[(4-chlorophenyl)[4-[methyl(methylsulfonyl)amino]phenyl]methylene]-hydrazinecarboxamide;
 - M.23 Inhibitors of the of acetyl CoA carboxylase, such as Tetronic and Tetramic acid derivatives, e.g. spirodiclofen, spiromesifen, or spirotetramat; M.23.1 spiropidion;
- M.24 Mitochondrial complex IV electron transport inhibitors, e.g. M.24A phosphine such as aluminium phosphide, calcium phosphide, phosphine or zinc phosphide, or M.24B cyanide; M.25 Mitochondrial complex II electron transport inhibitors, such as beta-ketonitrile derivatives, e.g. cyenopyrafen or cyflumetofen;
- M.28 Ryanodine receptor-modulators from the class of diamides, e.g. flubendiamide, chlor-antraniliprole, cyantraniliprole, tetraniliprole, M.28.1: (R)-3-Chlor-N1-{2-methyl-4-[1,2,2,2 tetrafluoro-1-(trifluoromethyl)ethyl]phenyl}-N2-(1-methyl-2-methylsulfonylethyl)phthalamid, M.28.2: (S)-3-Chloro-N1-{2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl}-N2-(1-methyl-2-methylsulfonylethyl)phthalamid, M.28.3: cyclaniliprole, or M.28.4: methyl-2-[3,5-dibromo-2-({[3-bromo-1-(3-chloroyridin-2-yl)-1H-pyrazol-5-yl]carbonyl}amino)benzoyl]-1,2-dimethylhydrazinecarboxylate; M.28.5i) N-[2-(5-Amino-1,3,4-thiadiazol-2-yl)-4-chloro-6-methylphenyl]-3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5-carboxamide; M.28.5j) 3-Chloro
 - methylphenyl]-3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5-carboxamide; M.28.5j) 3-Chloro 1-(3-chloro-2-pyridinyl)-N-[2,4-dichloro-6-[[(1-cyano-1-methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide; M.28.5k) tetrachlorantraniliprole; M.28.5l) N-[4-Chloro-2-[[(1,1-dimethylethyl)amino]carbonyl]-6-methylphenyl]-1-(3-chloro-2-pyridinyl)-3-(fluoromethoxy)-1H-pyrazole-5-carboxamide; or
 - M.28.6: cyhalodiamide; or

10

30

35

- M.29: Chordotonal organ Modulators undefined target site, e.g. flonicamid;
- M.UN. insecticidal active compounds of unknown or uncertain mode of action, e.g. afidopyropen, afoxolaner, azadirachtin, amidoflumet, benzoximate, broflanilide, bromopropylate, chinomethionat, cryolite, dicloromezotiaz, dicofol, flufenerim, flometoquin, fluensulfone, fluhexafon, fluopyram, fluralaner, metaldehyde, metoxadiazone, piperonyl butoxide, pyflubumide, pyridalyl, tioxazafen, M.UN.3: 11-(4-chloro-2,6-dimethylphenyl)-12-hydroxy-1,4-dioxa-9-azadispiro[4.2.4.2]-tetradec-11-en-10-one,
- M.UN.4: 3-(4'-fluoro-2,4-dimethylbiphenyl-3-yl)-4-hydroxy-8-oxa-1-azaspiro[4.5]dec-3-en-2-40 one,
 - M.UN.5: 1-[2-fluoro-4-methyl-5-[(2,2,2-trifluoroethyl)sulfinyl]phenyl]-3-(trifluoromethyl)-1H-1,2,4-triazole-5-amine, or actives on basis of *bacillus firmus* (Votivo, I-1582); M.UN.6: flupyrimin;

M.UN.8: fluazaindolizine; M.UN.9.a): 4-[5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(1-oxothietan-3-yl)benzamide; M.UN.9.b): fluxametamide; M.UN.10: 5-[3-[2,6-dichloro-4-(3,3-dichloroallyloxy)phenoxy]propoxy]-1H-pyrazole;

- M.UN.11.i) 4-cyano-N-[2-cyano-5-[[2,6-dibromo-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]carbamoyl]phenyl]-2-methyl-benzamide; M.UN.11.j) 4-cyano-3-[(4-cyano-2-methyl-benzoyl)amino]-N-[2,6-dichloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]-2-fluoro-benzamide; M.UN.11.k) N-[5-[[2-chloro-6-cyano-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)propyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; M.UN.11.l) N-[5-[[2-bromo-6-chloro-4-[2,2,2-trifluoro-1-hydroxy-1-
- (trifluoromethyl)ethyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; M.UN.11.m) N-[5-[[2-bromo-6-chloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; M.UN.11.n) 4-cyano-N-[2-cyano-5-[[2,6-dichloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]carbamoyl]phenyl]-2-methyl-benzamide; M.UN.11.o) 4-cyano-N-[2-cyano-5-[[2,6-dichloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]-2-methyl-benzamide; M.UN.11.o) 4-cyano-N-[2-cyano-5-[[2,6-dichloro-4-[1,2,2,3,3,3-hexafluoro-1-(trifluoromethyl)-propyl]phenyl]-2-methyl-benzamide; M.UN.11.o)
- dichloro-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]carbamoyl]phenyl]-2-methyl-benzamide; M.UN.11.p) N-[5-[[2-bromo-6-chloro-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]carbamoyl]-2-cyano-phenyl]-4-cyano-2-methyl-benzamide; or M.UN.12.a) 2-(1,3-Dioxan-2-yl)-6-[2-(3-pyridinyl)-5-thiazolyl]-pyridine; M.UN.12.b) 2-[6-[2-(5-Fluoro-3-pyridinyl)-5-thiazolyl]-2-pyridinyl]-pyrimidine; M.UN.12.d) N-Methylsulfonyl-6-[2-(3-pyridyl)thiazol-5-
- thiazolyl]-2-pyridinyl]-pyrimidine; M.UN.12.d) N-Methylsulfonyl-6-[2-(3-pyridyl)thiazol-5-yl]pyridine-2-carboxamide; M.UN.12.e) N-Methylsulfonyl-6-[2-(3-pyridyl)thiazol-5-yl]pyridine-2-carboxamide;

25

- M.UN.14a) 1-[(6-Chloro-3-pyridinyl)methyl]-1,2,3,5,6,7-hexahydro-5-methoxy-7-methyl-8-nitro-imidazo[1,2-a]pyridine; or M.UN.14b) 1-[(6-Chloropyridin-3-yl)methyl]-7-methyl-8-nitro-1,2,3,5,6,7-hexahydroimidazo[1,2-a]pyridin-5-ol;
- M.UN.16a) 1-isopropyl-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.UN.16b) 1-(1,2-dimethylpropyl)-N-ethyl-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.UN.16c) N,5-dimethyl-N-pyridazin-4-yl-1-(2,2,2-trifluoro-1-methyl-ethyl)pyrazole-4-carboxamide; M.UN.16d) 1-[1-(1-cyanocyclopropyl)ethyl]-N-ethyl-5-methyl-N-pyridazin-4-yl-pyrazole-4-
- carboxamide; M.UN.16e) N-ethyl-1-(2-fluoro-1-methyl-propyl)-5-methyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.UN.16f) 1-(1,2-dimethylpropyl)-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.UN.16g) 1-[1-(1-cyanocyclopropyl)ethyl]-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide; M.UN.16h) N-methyl-1-(2-fluoro-1-methyl-propyl]-5-methyl-pyridazin-4-yl-pyrazole-4-carboxamide; M.UN.16i) 1-(4,4-difluorocyclohexyl)-N-ethyl-5-methyl-
- N-pyridazin-4-yl-pyrazole-4-carboxamide; or M.UN.16j) 1-(4,4-difluorocyclohexyl)-N,5-dimethyl-N-pyridazin-4-yl-pyrazole-4-carboxamide,
 - M.UN.17a) N-(1-methylethyl)-2-(3-pyridinyl)-2H-indazole-4-carboxamide; M.UN.17b) N-cyclopropyl-2-(3-pyridinyl)-2H-indazole-4-carboxamide; M.UN.17c) N-cyclohexyl-2-(3-pyridinyl)-2H-indazole-4-carboxamide; M.UN.17d) 2-(3-pyridinyl)-N-(2,2,2-trifluoroethyl)-2H-indazole-4-
- carboxamide; M.UN.17e) 2-(3-pyridinyl)-N-[(tetrahydro-2-furanyl)methyl]-2H-indazole-5-carboxamide; M.UN.17f) methyl 2-[[2-(3-pyridinyl)-2H-indazol-5-yl]carbonyl]hydrazinecarboxylate; M.UN.17g) N-[(2,2-difluorocyclopropyl)methyl]-2-(3-pyridinyl)-2H-indazole-5-carboxamide; M.UN.17h) N-(2,2-difluoropropyl)-2-(3-pyridinyl)-2H-indazole-5-

carboxamide; M.UN.17i) 2-(3-pyridinyl)-N-(2-pyrimidinylmethyl)-2H-indazole-5-carboxamide; M.UN.17j) N-[(5-methyl-2-pyrazinyl)methyl]-2-(3-pyridinyl)-2H-indazole-5-carboxamide, M.UN.18. tyclopyrazoflor;

M.UN.19 sarolaner, M.UN.20 lotilaner;

- M.UN.21 N-[4-Chloro-3-[[(phenylmethyl)amino]carbonyl]phenyl]-1-methyl-3-(1,1,2,2,2-pentafluoroethyl)-4-(trifluoromethyl)-1H-pyrazole-5-carboxamide; M.UN.22a 2-(3-ethylsulfonyl-2-pyridyl)-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, or M.UN.22b 2-[3-ethylsulfonyl-5-(trifluoromethyl)-2-pyridyl]-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine; M.UN.23 Isocycloseram;
- M.UN.24a) N-[4-chloro-3-(cyclopropylcarbamoyl)phenyl]-2-methyl-5-(1,1,2,2,2-pentafluoroethyl)-4-(trifluoromethyl)pyrazole-3-carboxamide or M.UN.24b) N-[4-chloro-3-[(1-cyanocyclopropyl)carbamoyl]phenyl]-2-methyl-5-(1,1,2,2,2-pentafluoroethyl)-4-(trifluoromethyl)pyrazole-3-carboxamide; M.UN.25 acynonapyr; M.UN.26 benzpyrimoxan; M.UN.27 tigolaner; M.UN.28 Oxazosulfyl;
- M.UN.29a) [(2S,3R,4R,5S,6S)-3,5-dimethoxy-6-methyl-4-propoxy-tetrahydropyran-2-yl] N-[4-[1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-yl]phenyl]carbamate; M.UN.29b) [(2S,3R,4R,5S,6S)-3,4,5-trimethoxy-6-methyl-tetrahydropyran-2-yl] N-[4-[1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-yl]phenyl]carbamate; M.UN.29c) [(2S,3R,4R,5S,6S)-3,5-dimethoxy-6-methyl-4-propoxy-tetrahydropyran-2-yl] N-[4-[1-[4-(1,1,2,2,2-
- 20 pentafluoroethoxy)phenyl]-1,2,4-triazol-3-yl]phenyl]carbamate; M.UN.29d) [(2S,3R,4R,5S,6S)-3,4,5-trimethoxy-6-methyl-tetrahydropyran-2-yl] N-[4-[1-[4-(1,1,2,2,2-pentafluoroethoxy)phenyl]-1,2,4-triazol-3-yl]phenyl]carbamate; M.UN.29.e) (2Z)-3-(2-isopropylphenyl)-2-[(E)-[4-[1-[4-(trifluoromethoxy)phenyl]-1,2,4-triazol-3-yl]phenyl]methylenehydrazono]thiazolidin-4-one or M.UN.29f) (2Z)-3-(2-isopropylphenyl)-2-[(E)-[4-[1-[4-(1,1,2,2,2-pentafluoroethoxy)phenyl]-1,2,4-triazol-3-yl]phenyl]methylenehydrazono]thiazolidin-4-one;
 - M.UN.30a) 2-(6-chloro-3-ethylsulfonyl-imidazo[1,2-a]pyridin-2-yl)-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30b) 2-(6-bromo-3-ethylsulfonyl-imidazo[1,2-a]pyridin-2-yl)-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30c) 2-(3-ethylsulfonyl-6-iodo-imidazo[1,2-a]pyridin-2-yl)-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30d) 2-[3-ethylsulfonyl-6-(trifluoromethyl)imidazo[1,2-a]pyridin-2-yl]-3-methyl-6-
- 30 2-[3-ethylsulfonyl-6-(trifluoromethyl)imidazo[1,2-a]pyridin-2-yl]-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30e) 2-(7-chloro-3-ethylsulfonyl-imidazo[1,2-a]pyridin-2-yl)-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30f) 2-(3-ethylsulfonyl-7-iodo-imidazo[1,2-a]pyridin-2-yl)-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30g) 3-ethylsulfonyl-6-iodo-2-[3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridin-2-yl]imidazo[1,2-
- a]pyridine-8-carbonitrile, M.UN.30h) 2-[3-ethylsulfonyl-8-fluoro-6-(trifluoromethyl)imidazo[1,2-a]pyridin-2-yl]-3-methyl-6-(trifluoromethyl)imidazo[4,5-b]pyridine, M.UN.30i) 2-[3-ethylsulfonyl-7-(trifluoromethyl)imidazo[1,2-a]pyridin-2-yl]-3-methyl-6-(trifluoromethylsulfinyl)imidazo[4,5-b]pyridine, M.UN.30j) 2-[3-ethylsulfonyl-7-(trifluoromethyl)imidazo[1,2-a]pyridin-2-yl]-3-methyl-6-(trifluoromethyl)imidazo[4,5-c]pyridine, M.UN.30k) 2-(6-bromo-3-ethylsulfonyl-imidazo[1,2-a]pyridin-2-yl)-6-(trifluoromethyl)pyrazolo[4,3-c]pyridine.
 - The commercially available compounds of the group M listed above may be found in The Pesticide Manual, 17th Edition, C. MacBean, British Crop Protection Council (2015) among

other publications. The online Pesticide Manual is updated regularly and is accessible through http://bcpcdata.com/pesticide-manual.html.

Another online data base for pesticides providing the ISO common names is http://www.alanwood.net/pesticides.

- The M.4 cycloxaprid is known from WO2010/069266 and WO2011/069456. M.4A.1 is known from CN 103814937; CN105367557, CN 105481839. M.4A.2, guadipyr, is known from WO 2013/003977, and M.4A.3 (approved as paichongding in China) is known from WO 2007/101369. M.4E.1a) to M.4E.1f) are known from WO2018177970. M.22B.1 is described in CN10171577 and M.22B.2 in CN102126994. Spiropidion M.23.1 is known from WO
- 2014/191271. M.28.1 and M.28.2 are known from WO2007/101540. M.28.3 is described in WO2005/077934. M.28.4 is described in WO2007/043677. M.28.5a) to M.28.5d) and M.28.5h) are described in WO 2007/006670, WO2013/024009 and WO 2013/024010, M.28.5i) is described in WO2011/085575, M.28.5j) in WO2008/134969, M.28.5k) in US2011/046186 and M.28.5l) in WO2012/034403. M.28.6 can be found in WO2012/034472. M.UN.3 is known from
- WO2006/089633 and M.UN.4 from WO2008/067911. M.UN.5 is described in WO2006/043635, and biological control agents on the basis of *bacillus firmus* are described in WO2009/124707. Flupyrimin is described in WO2012/029672. M.UN.8 is known from WO2013/055584. M.UN.9.a) is described in WO2013/050317. M.UN.9.b) is described in WO2014/126208. M.UN.10 is known from WO2010/060379. Broflanilide and M.UN.11.b) to M.UN.11.h) are described in
- 20 WO2010/018714, and M.UN.11i) to M.UN.11.p) in WO 2010/127926. M.UN.12.a) to M.UN.12.c) are known from WO2010/006713, M.UN.12.d) and M.UN.12.e) are known from WO2012/000896. M.UN.14a) and M.UN.14b) are known from WO2007/101369. M.UN.16.a) to M.UN.16h) are described in WO2010/034737, WO2012/084670, and WO2012/143317, resp., and M.UN.16i) and M.UN.16j) are described in WO2015/055497. M.UN.17a) to M.UN.17.j) are
- described in WO2015/038503. M.UN.18 Tycloprazoflor is described in US2014/0213448.
 M.UN.19 is described in WO2014/036056. M.UN.20 is known from WO2014/090918. M.UN.21 is known from EP2910126. M.UN.22a and M.UN.22b are known from WO2015/059039 and WO2015/190316. M.UN.23a and M.UN.23b are known from WO2013/050302. M.UN.24a) and M.UN.24b) are known from WO2012/126766. Acynonapyr M.UN.25 is known from WO
- 30 2011/105506. Benzpyrimoxan M.UN.26 is known from WO2016/104516. M.UN.27 is known from WO2016/174049. M.UN.28 Oxazosulfyl is known from WO2017/104592. M.UN.29a) to M.UN.29f) are known from WO2009/102736 or WO2013116053. M.UN.30 is known from WO2013/050302. M.UN.30a) to M.UN.30k) are known from WO2018/052136.

The following list of fungicides, in conjunction with which the compounds of the present invention can be used, is intended to illustrate the possible combinations but does not limit them:

A) Respiration inhibitors

35

Inhibitors of complex III at Q_o site: azoxystrobin (A.1.1), coumethoxystrobin (A.1.2), coumoxystrobin (A.1.3), dimoxystrobin (A.1.4), enestroburin (A.1.5), fenaminstrobin (A.1.6),
 fenoxystrobin/flufenoxystrobin (A.1.7), fluoxastrobin (A.1.8), kresoxim-methyl (A.1.9), mandestrobin (A.1.10), metominostrobin (A.1.11), orysastrobin (A.1.12), picoxystrobin (A.1.13), pyraclostrobin (A.1.14), pyrametostrobin (A.1.15), pyraoxystrobin (A.1.16), trifloxystrobin (A.1.17), 2-(2-(3-(2,6-dichlorophenyl)-1-methyl-allylideneaminooxymethyl)-phenyl)-

WO 2021/013561 79 PCT/EP2020/069378

2-methoxyimino-N-methyl-acetamide (A.1.18), pyribencarb (A.1.19), triclopyricarb/chlorodincarb (A.1.20), famoxadone (A.1.21), fenamidone (A.1.21), methyl-N-[2-[(1,4-dimethyl-5-phenyl-pyrazol-3-yl)oxylmethyl]phenyl]-N-methoxy-carbamate (A.1.22), metyltetrapole (A.1.25), (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3-yl]-oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (A.1.34), (Z,2E)-5-[1-(4-chlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (A.1.35), pyriminostrobin (A.1.36), bifujunzhi (A.1.37), 2-(ortho-((2,5-dimethylphenyl-oxymethylen)phenyl)-3-methoxy-acrylic acid methylester (A.1.38);

- inhibitors of complex III at Q_i site: cyazofamid (A.2.1), amisulbrom (A.2.2), [(6S,7R,8R)-8-benzyl-3-[(3-hydroxy-4-methoxy-pyridine-2-carbonyl)amino]-6-methyl-4,9-dioxo-1,5-dioxonan-7-yl] 2-methylpropanoate (A.2.3), fenpicoxamid (A.2.4), florylpicoxamid (A.2.5);
- inhibitors of complex II: benodanil (A.3.1), benzovindiflupyr (A.3.2), bixafen (A.3.3), boscalid (A.3.4), carboxin (A.3.5), fenfuram (A.3.6), fluopyram (A.3.7), flutolanil (A.3.8), fluxapyroxad (A.3.9), furametpyr (A.3.10), isofetamid (A.3.11), isopyrazam (A.3.12), mepronil (A.3.13), oxycarboxin (A.3.14), penflufen (A.3.15), penthiopyrad (A.3.16), pydiflumetofen
- (A.3.17), pyraziflumid (A.3.18), sedaxane (A.3.19), tecloftalam (A.3.20), thifluzamide (A.3.21), inpyrfluxam (A.3.22), pyrapropoyne (A.3.23), fluindapyr (A.3.28), N-[2-[2-chloro-4-(trifluoro-methyl)phenoxy]phenyl]-3-(difluoromethyl)-5-fluoro-1-methyl-pyrazole-4-carboxamide (A.3.29), methyl (*E*)-2-[2-[(5-cyano-2-methyl-phenoxy)methyl]phenyl]-3-methoxy-prop-2-enoate (A.3.30), isoflucypram (A.3.31), 2-(difluoromethyl)-*N*-(1,1,3-trimethyl-indan-4-yl)pyridine-3-carboxamide
- (A.3.32), 2-(difluoromethyl)-*N*-[(3*R*)-1,1,3-trimethylindan-4-yl]pyridine-3-carboxamide (A.3.33), 2-(difluoromethyl)-*N*-(3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide (A.3.34), 2-(difluoromethyl)-*N*-[(3*R*)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide (A.3.35), 2-(difluoromethyl)-*N*-[(3*R*)-1,1-dimethyl-3-propyl-indan-4-yl]pyridine-3-carboxamide (A.3.36), 2-(difluoromethyl)-*N*-(3-isobutyl-1,1-dimethyl-indan-4-yl)pyridine-3-carboxamide (A.3.38), 2-(difluoromethyl)-*N*-[(3*R*)-3-isobutyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide (A.3.39);
 - other respiration inhibitors: diflumetorim (A.4.1); nitrophenyl derivates: binapacryl (A.4.2), dinobuton (A.4.3), dinocap (A.4.4), fluazinam (A.4.5), meptyldinocap (A.4.6), ferimzone (A.4.7); organometal compounds: fentin salts, e. g. fentin-acetate (A.4.8), fentin chloride (A.4.9) or fentin hydroxide (A.4.10); ametoctradin (A.4.11); silthiofam (A.4.12);
 - B) Sterol biosynthesis inhibitors (SBI fungicides)

5

10

30

C14 demethylase inhibitors: triazoles: azaconazole (B.1.1), bitertanol (B.1.2), bromuconazole (B.1.3), cyproconazole (B.1.4), difenoconazole (B.1.5), diniconazole (B.1.6), diniconazole-M (B.1.7), epoxiconazole (B.1.8), fenbuconazole (B.1.9), fluquinconazole (B.1.10), flusilazole (B.1.11), flutriafol (B.1.12), hexaconazole (B.1.13), imibenconazole (B.1.14), ipconazole (B.1.15), metconazole (B.1.17), myclobutanil (B.1.18), oxpoconazole (B.1.19), paclobutrazole (B.1.20), penconazole (B.1.21), propiconazole (B.1.22), prothioconazole (B.1.23), simeconazole (B.1.24), tebuconazole (B.1.25), tetraconazole (B.1.26), triadimefon (B.1.27), triadimenol (B.1.28), triticonazole (B.1.29), uniconazole (B.1.30), 2-(2,4-difluorophenyl)-1,1-difluoro-3-(tetrazol-1-yl)-1-[5-[4-(2,2,2-trifluoroethoxy)phenyl]-2-pyridyl]propan-2-ol (B.1.31), 2-(2,4-difluorophenyl)-1,1-difluoro-3-(tetrazol-1-yl)-

1-[5-[4-(trifluoromethoxy)phenyl]-2-pyridyl]propan-2-ol (B.1.32), ipfentrifluconazole (B.1.37), mefentrifluconazole (B.1.38), 2-(chloromethyl)-2-methyl-5-(p-tolylmethyl)-1-(1,2,4-triazol-

1-ylmethyl)cyclopentanol (B.1.43); imidazoles: imazalil (B.1.44), pefurazoate (B.1.45), prochloraz (B.1.46), triflumizol (B.1.47); pyrimidines, pyridines, piperazines: fenarimol (B.1.49), pyrifenox (B.1.50), triforine (B.1.51), [3-(4-chloro-2-fluoro-phenyl)-5-(2,4-difluorophenyl)isoxazol-4-yl]-(3-pyridyl)methanol (B.1.52);

- Delta14-reductase inhibitors: aldimorph (B.2.1), dodemorph (B.2.2), dodemorph-acetate (B.2.3), fenpropimorph (B.2.4), tridemorph (B.2.5), fenpropidin (B.2.6), piperalin (B.2.7), spiroxamine (B.2.8);
 - Inhibitors of 3-keto reductase: fenhexamid (B.3.1);
 - Other Sterol biosynthesis inhibitors: chlorphenomizole (B.4.1);
- 10 C) Nucleic acid synthesis inhibitors

15

20

25

30

35

- phenylamides or acyl amino acid fungicides: benalaxyl (C.1.1), benalaxyl-M (C.1.2), kiralaxyl (C.1.3), metalaxyl (C.1.4), metalaxyl-M (C.1.5), ofurace (C.1.6), oxadixyl (C.1.7);
- other nucleic acid synthesis inhibitors: hymexazole (C.2.1), octhilinone (C.2.2), oxolinic acid (C.2.3), bupirimate (C.2.4), 5-fluorocytosine (C.2.5), 5-fluoro-2-(p-tolylmethoxy)pyrimidin-4-amine (C.2.6), 5-fluoro-2-(4-fluorophenylmethoxy)pyrimidin-4-amine (C.2.7), 5-fluoro-2-(4-chlorophenylmethoxy)pyrimidin-4 amine (C.2.8);
 - D) Inhibitors of cell division and cytoskeleton
- tubulin inhibitors: benomyl (D.1.1), carbendazim (D.1.2), fuberidazole (D1.3), thiabendazole (D.1.4), thiophanate-methyl (D.1.5), pyridachlometyl (D.1.6), *N*-ethyl-2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]butanamide (D.1.8), *N*-ethyl-2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]-*N*-(2-fluoroethyl)butanamide (D.1.10), 2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]-*N*-(2-fluoroethyl)-2-methoxy-acetamide (D.1.11), 2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]-*N*-propyl-butanamide (D.1.12), 2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]-2-methoxy-*N*-propyl-acetamide (D.1.13), 2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]-2-methylsulfanyl-*N*-propyl-acetamide (D.1.14), 2-[(3-ethynyl-8-methyl-6-quinolyl)oxy]-*N*-(2-fluoroethyl)-2-methylsulfanyl-acetamide (D.1.15), 4-(2-bromo-4-fluoro-phenyl)-*N*-(2-chloro-6-fluoro-phenyl)-2,5-dimethyl-pyrazol-3-amine (D.1.16);
- other cell division inhibitors: diethofencarb (D.2.1), ethaboxam (D.2.2), pencycuron (D.2.3), fluopicolide (D.2.4), zoxamide (D.2.5), metrafenone (D.2.6), pyriofenone (D.2.7);
- E) Inhibitors of amino acid and protein synthesis
- methionine synthesis inhibitors: cyprodinil (E.1.1), mepanipyrim (E.1.2), pyrimethanil (E.1.3);
- protein synthesis inhibitors: blasticidin-S (E.2.1), kasugamycin (E.2.2), kasugamycin hydrochloride-hydrate (E.2.3), mildiomycin (E.2.4), streptomycin (E.2.5), oxytetracyclin (E.2.6);
- F) Signal transduction inhibitors
- MAP / histidine kinase inhibitors: fluoroimid (F.1.1), iprodione (F.1.2), procymidone (F.1.3), vinclozolin (F.1.4), fludioxonil (F.1.5);
 - G protein inhibitors: quinoxyfen (F.2.1);
 - G) Lipid and membrane synthesis inhibitors
- Phospholipid biosynthesis inhibitors: edifenphos (G.1.1), iprobenfos (G.1.2), pyrazophos (G.1.3), isoprothiolane (G.1.4);
 - lipid peroxidation: dicloran (G.2.1), quintozene (G.2.2), tecnazene (G.2.3), tolclofos-methyl (G.2.4), biphenyl (G.2.5), chloroneb (G.2.6), etridiazole (G.2.7);

- phospholipid biosynthesis and cell wall deposition: dimethomorph (G.3.1), flumorph (G.3.2), mandipropamid (G.3.3), pyrimorph (G.3.4), benthiavalicarb (G.3.5), iprovalicarb (G.3.6), valifenalate (G.3.7);
 - compounds affecting cell membrane permeability and fatty acides: propamocarb (G.4.1);
- inhibitors of oxysterol binding protein: oxathiapiprolin (G.5.1), fluoxapiprolin (G.5.3), 4-[1-[2-[3-(difluoromethyl)-5-methyl-pyrazol-1-yl]acetyl]-4-piperidyl]-N-tetralin-1-yl-pyridine-2-carboxamide (G.5.4), 4-[1-[2-[3,5-bis(difluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]-N-tetralin-1-yl-pyridine-2-carboxamide (G.5.5), 4-[1-[2-[3-(difluoromethyl)-5-(trifluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]-N-tetralin-1-yl-pyridine-2-carboxamide (G.5.6), 4-[1-[2-[5-cyclopropyl-3-
- (difluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]-*N*-tetralin-1-yl-pyridine-2-carboxamide (G.5.7), 4-[1-[2-[5-methyl-3-(trifluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]-*N*-tetralin-1-yl-pyridine-2-carboxamide (G.5.8), 4-[1-[2-[5-(difluoromethyl)-3-(trifluoromethyl)pyrazol-1-yl]acetyl]-*N*-tetralin-1-yl-pyridine-2-carboxamide (G.5.9), 4-[1-[2-[3,5-bis(trifluoromethyl)pyrazol-1-yl]acetyl]-*N*-tetralin-1-yl-pyridine-2-carboxamide (G.5.10), (4-[1-[2-[5-cyclopropyl-
- 3-(trifluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]-*N*-tetralin-1-yl-pyridine-2-carboxamide (G.5.11);
 - H) Inhibitors with Multi Site Action

20

25

30

- inorganic active substances: Bordeaux mixture (H.1.1), copper (H.1.2), copper acetate (H.1.3), copper hydroxide (H.1.4), copper oxychloride (H.1.5), basic copper sulfate (H.1.6), sulfur (H.1.7);
- thio- and dithiocarbamates: ferbam (H.2.1), mancozeb (H.2.2), maneb (H.2.3), metam (H.2.4), metiram (H.2.5), propineb (H.2.6), thiram (H.2.7), zineb (H.2.8), ziram (H.2.9);
- organochlorine compounds: anilazine (H.3.1), chlorothalonil (H.3.2), captafol (H.3.3), captan (H.3.4), folpet (H.3.5), dichlorluanid (H.3.6), dichlorophen (H.3.7), hexachlorobenzene (H.3.8), pentachlorphenole (H.3.9) and its salts, phthalide (H.3.10), tolylfluanid (H.3.11);
- guanidines and others: guanidine (H.4.1), dodine (H.4.2), dodine free base (H.4.3), guazatine (H.4.4), guazatine-acetate (H.4.5), iminoctadine (H.4.6), iminoctadine-triacetate (H.4.7), iminoctadine-tris(albesilate) (H.4.8), dithianon (H.4.9), 2,6-dimethyl-1*H*,5*H*-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2*H*,6*H*)-tetraone (H.4.10);
- I) Cell wall synthesis inhibitors
 - inhibitors of glucan synthesis: validamycin (I.1.1), polyoxin B (I.1.2);
- melanin synthesis inhibitors: pyroquilon (I.2.1), tricyclazole (I.2.2), carpropamid (I.2.3), dicyclomet (I.2.4), fenoxanil (I.2.5);
 - J) Plant defence inducers
- acibenzolar-S-methyl (J.1.1), probenazole (J.1.2), isotianil (J.1.3), tiadinil (J.1.4), prohexadione-calcium (J.1.5); phosphonates: fosetyl (J.1.6), fosetyl-aluminum (J.1.7), phosphorous acid and its salts (J.1.8), calcium phosphonate (J.1.11), potassium phosphonate (J.1.12), potassium or sodium bicarbonate (J.1.9), 4-cyclopropyl-*N*-(2,4-dimethoxyphenyl)thiadiazole-5-carboxamide (J.1.10);
- 40 K) Unknown mode of action
 - bronopol (K.1.1), chinomethionat (K.1.2), cyflufenamid (K.1.3), cymoxanil (K.1.4), dazomet (K.1.5), debacarb (K.1.6), diclocymet (K.1.7), diclomezine (K.1.8), difenzoquat (K.1.9), difenzoquat-methylsulfate (K.1.10), diphenylamin (K.1.11), fenitropan (K.1.12), fenpyrazamine

WO 2021/013561 82 PCT/EP2020/069378

(K.1.13), flumetover (K.1.14), flusulfamide (K.1.15), flutianil (K.1.16), harpin (K.1.17), methasulfocarb (K.1.18), nitrapyrin (K.1.19), nitrothal-isopropyl (K.1.20), tolprocarb (K.1.21), oxincopper (K.1.22), proquinazid (K.1.23), tebufloquin (K.1.24), tecloftalam (K.1.25), triazoxide (K.1.26), N'-(4-(4-chloro-3-trifluoromethyl-phenoxy)-2,5-dimethyl-phenyl)-N-ethyl-N-methyl 5 formamidine (K.1.27), N'-(4-(4-fluoro-3-trifluoromethyl-phenoxy)-2,5-dimethyl-phenyl)-N-ethyl-Nmethyl formamidine (K.1.28), N'-[4-[[3-[(4-chlorophenyl)methyl]-1,2,4-thiadiazol-5-yl]oxy]-2,5dimethyl-phenyl]-N-ethyl-N-methyl-formamidine (K.1.29), N'-(5-bromo-6-indan-2-yloxy-2-methyl-3-pyridyl)-N-ethyl-N-methyl-formamidine (K.1.30), N'-[5-bromo-6-[1-(3,5-difluorophenyl)ethoxy]-2-methyl-3-pyridyl]-N-ethyl-N-methyl-formamidine (K.1.31), N'-[5-bromo-6-(4isopropylcyclohexoxy)-2-methyl-3-pyridyl]-N-ethyl-N-methyl-formamidine (K.1.32), N'-[5-bromo-10 2-methyl-6-(1-phenylethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine (K.1.33), N'-(2-methyl-5trifluoromethyl-4-(3-trimethylsilanyl-propoxy)-phenyl)-N-ethyl-N-methyl formamidine (K.1.34), N'-(5-difluoromethyl-2-methyl-4-(3-trimethylsilanyl-propoxy)-phenyl)-N-ethyl-N-methyl formamidine (K.1.35), 2-(4-chloro-phenyl)-N-[4-(3,4-dimethoxy-phenyl)-isoxazol-5-yl]-2-prop-2-ynyloxy-15 acetamide (K.1.36), 3-[5-(4-chloro-phenyl)-2,3-dimethyl-isoxazolidin-3-yl]-pyridine (pyrisoxazole) (K.1.37), 3-[5-(4-methylphenyl)-2,3-dimethyl-isoxazolidin-3 yl]-pyridine (K.1.38), 5-chloro-1-(4,6dimethoxy-pyrimidin-2-yl)-2-methyl-1H-benzoimidazole (K.1.39), ethyl (Z)-3-amino-2-cyano-3phenyl-prop-2-enoate (K.1.40), picarbutrazox (K.1.41), pentyl N-[6-[[(Z)-[(1-methyltetrazol-5-yl)phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate (K.1.42), but-3-ynyl N-[6-[[(Z)-[(1-20 methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate (K.1.43),

(2,5-dimethyl-4-phenoxy-phenyl)-*N*-ethyl-*N*-methyl-formamidine (K.1.53), pyrifenamine (K.1.54). The fungicides described by common names, their preparation and their activity e.g. against harmful fungi is known (cf.: http://www.alanwood.net/pesticides/); these substances are commercially available.

25

30

35

40

ipflufenoquin (K.1.44), quinofumelin (K.1.47), 2-(6-benzyl-2-pyridyl)quinazoline (K.1.50), 2-[6-(3-fluoro-4-methoxy-phenyl)-5-methyl-2-pyridyl]quinazoline (K.1.51), dichlobentiazox (K.1.52), *N'*-

The active substances referred to as component 2, their preparation and their activity e. g. against harmful fungi is known (cf.: http://www.alanwood.net/pesticides/); these substances are commercially available. The compounds described by IUPAC nomenclature, their preparation and their pesticidal activity are also known (cf. Can. J. Plant Sci. 48(6), 587-94, 1968; EP-A 141 317; EP-A 152 031; EP-A 226 917; EP-A 243 970; EP-A 256 503; EP-A 428 941; EP-A 532 022; EP-A 1 028 125; EP-A 1 035 122; EP-A 1 201 648; EP-A 1 122 244, JP 2002316902; DE 19650197; DE 10021412; DE 102005009458; US 3.296.272; US 3,325,503; WO 98/46608; WO 99/14187; WO 99/24413; WO 99/27783; WO 00/29404; WO 00/46148; WO 00/65913; WO 01/54501; WO 01/56358; WO 02/22583; WO 02/40431; WO 03/10149; WO 03/11853; WO 03/14103; WO 03/16286; WO 03/53145; WO 03/61388; WO 03/66609; WO 03/74491; WO 04/49804; WO 04/83193; WO 05/120234; WO 05/123689; WO 05/123690; WO 05/63721; WO 05/87772; WO 05/87773; WO 06/15866; WO 06/87325; WO 06/87343; WO 07/82098; WO 07/90624, WO 10/139271, WO 11/028657, WO 12/168188, WO 07/006670, WO 11/77514; WO 13/047749, WO 10/069882, WO 13/047441, WO 03/16303, WO 09/90181, WO 13/007767, WO 13/010862, WO 13/127704, WO 13/024009, WO 13/24010, WO 13/047441, WO 13/162072, WO 13/092224, WO 11/135833, CN 1907024, CN 1456054, CN 103387541, CN 1309897, WO 12/84812, CN 1907024, WO 09094442, WO 14/60177,

WO 2021/013561 83 PCT/EP2020/069378

WO 13/116251, WO 08/013622, WO 15/65922, WO 94/01546, EP 2865265, WO 07/129454, WO 12/165511, WO 11/081174, WO 13/47441). Some compounds are identified by their CAS Registry Number which is separated by hyphens into three parts, the first consisting from two up to seven digits, the second consisting of two digits, and the third consisting of a single digit.

5 Biopesticides

10

Suitable mixing partners for the compounds of the present invention also include biopesticides. Biopesticides have been defined as a form of pesticides based on micro-organisms (bacteria, fungi, viruses, nematodes, etc.) or natural products (compounds, such as metabolites, proteins, or extracts from biological or other natural sources) (U.S. Environmental Protection Agency: http://www.epa.gov/pesticides/biopesticides/). Biopesticides fall into two major classes, microbial and biochemical pesticides:

- (1) Microbial pesticides consist of bacteria, fungi or viruses (and often include the metabolites that bacteria and fungi produce). Entomopathogenic nematodes are also classified as microbial pesticides, even though they are multi-cellular.
- 15 (2) Biochemical pesticides are naturally occurring substances or or structurally-similar and functionally identical to a naturally-occurring substance and extracts from biological sources that control pests or provide other crop protection uses as defined below, but have non-toxic mode of actions (such as growth or developmental regulation, attractents, repellents or defence activators (e.g. induced resistance) and are relatively non-toxic to mammals.
- Biopesticides for use against crop diseases have already established themselves on a variety of crops. For example, biopesticides already play an important role in controlling downy mildew diseases. Their benefits include: a 0-Day Pre-Harvest Interval, the ability to use under moderate to severe disease pressure, and the ability to use in mixture or in a rotational program with other registered pesticides.
- A major growth area for biopesticides is in the area of seed treatments and soil amendments. Biopesticidal seed treatments are e.g. used to control soil borne fungal pathogens that cause seed rots, damping-off, root rot and seedling blights. They can also be used to control internal seed borne fungal pathogens as well as fungal pathogens that are on the surface of the seed. Many biopesticidal products also show capacities to stimulate plant host defenses and other physiological processes that can make treated crops more resistant to a variety of biotic and abiotic stresses or can regulate plant growth. Many biopesticidal products also show capacities to stimulate plant health, plant growth and/or yield enhancing activity.

The following list of biopesticides, in conjunction with which the compounds of the present invention can be used, is intended to illustrate the possible combinations but does not limit them:

L) Biopesticides

35

40

L1) Microbial pesticides with fungicidal, bactericidal, viricidal and/or plant defense activator activity: *Ampelomyces quisqualis*, *Aspergillus flavus*, *Aureobasidium pullulans*, *Bacillus altitudinis*, *B. amyloliquefaciens*, *B. amyloliquefaciens* ssp. *plantarum* (also referred to as *B. velezensis*), *B. megaterium*, *B. mojavensis*, *B. mycoides*, *B. pumilus*, *B. simplex*, *B. solisalsi*, *B. subtilis*, *B. subtilis* var. *amyloliquefaciens*, *B. velezensis*, *Candida oleophila*, *C. saitoana*, *Clavibacter michiganensis* (bacteriophages), *Coniothyrium minitans*, *Cryphonectria parasitica*, *Cryptococcus albidus*, *Dilophosphora alopecuri*, *Fusarium oxysporum*, *Clonostachys rosea* f.

WO 2021/013561 84 PCT/EP2020/069378

catenulate (also named Gliocladium catenulatum), Gliocladium roseum, Lysobacter antibioticus, L. enzymogenes, Metschnikowia fructicola, Microdochium dimerum, Microsphaeropsis ochracea, Muscodor albus, Paenibacillus alvei, Paenibacillus epiphyticus, P. polymyxa, Pantoea vagans, Penicillium bilaiae, Phlebiopsis gigantea, Pseudomonas sp., Pseudomonas chloraphis, Pseudozyma flocculosa, Pichia anomala, Pythium oligandrum, Sphaerodes mycoparasitica, Streptomyces griseoviridis, S. lydicus, S. violaceusniger, Talaromyces flavus, Trichoderma asperelloides, T. asperellum, T. atroviride, T. fertile, T. gamsii, T. harmatum, T. harzianum, T. polysporum, T. stromaticum, T. virens, T. viride, Typhula phacorrhiza, Ulocladium oudemansii, Verticillium dahlia, zucchini yellow mosaic virus (avirulent strain);

5

- 10 L2) Biochemical pesticides with fungicidal, bactericidal, viricidal and/or plant defense activator activity: harpin protein, *Reynoutria sachalinensis* extract;
 - L3) Microbial pesticides with insecticidal, acaricidal, molluscidal and/or nematicidal activity: Agrobacterium radiobacter, Bacillus cereus, B. firmus, B. thuringiensis, B. thuringiensis ssp. aizawai, B. t. ssp. israelensis, B. t. ssp. galleriae, B. t. ssp. kurstaki, B. t. ssp. tenebrionis,
- 15 Beauveria bassiana, B. brongniartii, Burkholderia spp., Chromobacterium subtsugae, Cydia pomonella granulovirus (CpGV), Cryptophlebia leucotreta granulovirus (CrleGV), Flavobacterium spp., Helicoverpa armigera nucleopolyhedrovirus (HearNPV), Helicoverpa zea nucleopolyhedrovirus (HzNPV), Helicoverpa zea single capsid nucleopolyhedrovirus (HzSNPV), Heterorhabditis bacteriophora, Isaria fumosorosea, Lecanicillium longisporum, L. muscarium,
- Metarhizium anisopliae, M. anisopliae var. anisopliae, M. anisopliae var. acridum, Nomuraea rileyi, Paecilomyces fumosoroseus, P. lilacinus, Paenibacillus popilliae, Pasteuria spp., P. nishizawae, P. penetrans, P. ramosa, P. thornea, P. usgae, Pseudomonas fluorescens, Spodoptera littoralis nucleopolyhedrovirus (SpliNPV), Steinernema carpocapsae, S. feltiae, S. kraussei, Streptomyces galbus, S. microflavus;
- L4) Biochemical pesticides with insecticidal, acaricidal, molluscidal, pheromone and/or nematicidal activity: L-carvone, citral, (*E*,*Z*)-7,9-dodecadien-1-yl acetate, ethyl formate, (*E*,*Z*)-2,4-ethyl decadienoate (pear ester), (*Z*,*Z*,*E*)-7,11,13-hexadecatrienal, heptyl butyrate, isopropyl myristate, lavanulyl senecioate, cis-jasmone, 2-methyl 1-butanol, methyl eugenol, methyl jasmonate, (*E*,*Z*)-2,13-octadecadien-1-ol, (*E*,*Z*)-2,13-octadecadien-1-ol acetate, (*E*,*Z*)-3,13-octadecadien-1-ol, (*R*)-1-octen-3-ol, pentatermanone, (*E*,*Z*,*Z*)-3,8,11-tetradecatrienyl acetate, (*Z*,*E*)-9,12-tetradecadien-1-yl acetate, (*Z*)-7-tetradecen-2-one, (*Z*)-9-tetradecen-1-yl acetate, (*Z*)-11-tetradecenal, (*Z*)-11-tetradecen-1-ol, extract of *Chenopodium ambrosiodes*, Neem oil, Quillay extract;
- L5) Microbial pesticides with plant stress reducing, plant growth regulator, plant growth promoting and/or yield enhancing activity: Azospirillum amazonense, A. brasilense, A. lipoferum, A. irakense, A. halopraeferens, Bradyrhizobium spp., B. elkanii, B. japonicum, B. liaoningense, B. lupini, Delftia acidovorans, Glomus intraradices, Mesorhizobium spp., Rhizobium leguminosarum bv. phaseoli, R. I. bv. trifolii, R. I. bv. viciae, R. tropici, Sinorhizobium meliloti.
- The biopesticides from group L1) and/or L2) may also have insecticidal, acaricidal, molluscidal, pheromone, nematicidal, plant stress reducing, plant growth regulator, plant growth promoting and/or yield enhancing activity. The biopesticides from group L3) and/or L4) may also have fungicidal, bactericidal, viricidal, plant defense activator, plant stress reducing, plant

WO 2021/013561 85 PCT/EP2020/069378

growth regulator, plant growth promoting and/or yield enhancing activity. The biopesticides from group L5) may also have fungicidal, bactericidal, viricidal, plant defense activator, insecticidal, acaricidal, molluscidal, pheromone and/or nematicidal activity.

5

10

15

20

25

30

35

40

Many of these biopesticides have been deposited under deposition numbers mentioned herein (the prefices such as ATCC or DSM refer to the acronym of the respective culture collection, for details see e. g. here: http://www. wfcc.info/ccinfo/collection/by acronym/), are referred to in literature, registered and/or are commercially available: mixtures of Aureobasidium pullulans DSM 14940 and DSM 14941 isolated in 1989 in Konstanz, Germany (e.g. blastospores in BlossomProtect® from bio-ferm GmbH, Austria), Azospirillum brasilense Sp245 originally isolated in wheat reagion of South Brazil (Passo Fundo) at least prior to 1980 (BR 11005; e.g. GELFIX® Gramíneas from BASF Agricultural Specialties Ltd., Brazil), A. brasilense strains Ab-V5 and Ab-V6 (e. g. in AzoMax from Novozymes BioAg Produtos papra Agricultura Ltda., Quattro Barras, Brazil or Simbiose-Maíz® from Simbiose-Agro, Brazil; Plant Soil 331, 413-425, 2010), Bacillus amyloliquefaciens strain AP-188 (NRRL B-50615 and B-50331; US 8,445,255); B. amyloliquefaciens ssp. plantarum strains formerly also sometimes referred to as B. subtilis, recently together with B. methylotrophicus, and B. velezensis classified as B. velezensis (Int. J. Syst. Evol. Microbiol. 66, 1212–1217, 2016): B. a. ssp. plantarum or B. velezensis D747 isolated from air in Kikugawa-shi, Japan (US 20130236522 A1; FERM BP-8234; e. g. Double Nickel™ 55 WDG from Certis LLC, USA), B. a. ssp. plantarum or B. velezensis FZB24 isolated from soil in Brandenburg, Germany (also called SB3615; DSM 96-2; J. Plant Dis. Prot. 105, 181–197, 1998; e. g. Taegro® from Novozyme Biologicals, Inc., USA), B. a. ssp. plantarum or B. velezensis FZB42 isolated from soil in Brandenburg, Germany (DSM 23117; J. Plant Dis. Prot. 105, 181–197, 1998; e. g. RhizoVital® 42 from AbiTEP GmbH, Germany), B. a. ssp. plantarum or B. velezensis MBI600 isolated from faba bean in Sutton Bonington, Nottinghamshire, U.K. at least before 1988 (also called 1430; NRRL B-50595; US 2012/0149571 A1; e. g. Integral® from BASF Corp., USA), B. a. ssp. plantarum or B. velezensis QST-713 isolated from peach orchard in 1995 in California, U.S.A. (NRRL B-21661; e. g. Serenade® MAX from Bayer Crop Science LP, USA), B. a. ssp. plantarum or B. velezensis TJ1000 isolated in 1992 in South Dakoda, U.S.A. (also called 1BE; ATCC BAA-390; CA 2471555 A1; e. g. QuickRoots™ from TJ Technologies, Watertown, SD, USA); B. firmus CNCM I-1582, a variant of parental strain EIP-N1 (CNCM I-1556) isolated from soil of central plain area of Israel (WO 2009/126473, US 6,406,690; e. g. Votivo® from Bayer CropScience LP, USA), B. pumilus GHA 180 isolated from apple tree rhizosphere in Mexico (IDAC 260707-01; e. g. PRO-MIX® BX from Premier Horticulture, Quebec, Canada), B. pumilus INR-7 otherwise referred to as BU-F22 and BU-F33 isolated at least before 1993 from cucumber infested by Erwinia tracheiphila (NRRL B-50185, NRRL B-50153; US 8,445,255), B. pumilus KFP9F isolated from the rhizosphere of grasses in South Africa at least before 2008 (NRRL B-50754; WO 2014/029697; e. g. BAC-UP or FUSION-P from BASF Agricultural Specialities (Pty) Ltd., South Africa), B. pumilus QST 2808 was isolated from soil collected in Pohnpei, Federated States of Micronesia, in 1998 (NRRL B-30087; e. g. Sonata® or Ballad® Plus from Bayer Crop Science LP, USA), B. simplex ABU 288 (NRRL B-50304; US 8,445,255), B. subtilis FB17 also

called UD 1022 or UD10-22 isolated from red beet roots in North America (ATCC PTA-11857;

System. Appl. Microbiol. 27, 372-379, 2004; US 2010/0260735; WO 2011/109395); B.

WO 2021/013561 86 PCT/EP2020/069378

thuringiensis ssp. aizawai ABTS-1857 isolated from soil taken from a lawn in Ephraim, Wisconsin, U.S.A., in 1987 (also called ABG-6346; ATCC SD-1372; e. g. XenTari® from BioFa AG, Münsingen, Germany), B. t. ssp. kurstaki ABTS-351 identical to HD-1 isolated in 1967 from diseased Pink Bollworm black larvae in Brownsville, Texas, U.S.A. (ATCC SD-1275; e.g. Dipel® DF from Valent BioSciences, IL, USA), B. t. ssp. kurstaki SB4 isolated from E. 5 saccharina larval cadavers (NRRL B-50753; e. g. Beta Pro® from BASF Agricultural Specialities (Pty) Ltd., South Africa), B. t. ssp. tenebrionis NB-176-1, a mutant of strain NB-125, a wild type strain isolated in 1982 from a dead pupa of the beetle Tenebrio molitor (DSM 5480; EP 585 215 B1; e. g. Novodor® from Valent BioSciences, Switzerland), Beauveria bassiana GHA (ATCC 74250; e. g. BotaniGard® 22WGP from Laverlam Int. Corp., USA), B. bassiana JW-1 (ATCC 10 74040; e. g. Naturalis® from CBC (Europe) S.r.I., Italy), B. bassiana PPRI 5339 isolated from the larva of the tortoise beetle Conchyloctenia punctata (NRRL 50757; e. g. BroadBand® from BASF Agricultural Specialities (Pty) Ltd., South Africa), Bradyrhizobium elkanii strains SEMIA 5019 (also called 29W) isolated in Rio de Janeiro, Brazil and SEMIA 587 isolated in 1967 in the State of Rio Grande do Sul, from an area previously inoculated with a North American isolate, 15 and used in commercial inoculants since 1968 (Appl. Environ. Microbiol. 73(8), 2635, 2007; e. g. GELFIX 5 from BASF Agricultural Specialties Ltd., Brazil), B. japonicum 532c isolated from Wisconsin field in U.S.A. (Nitragin 61A152; Can. J. Plant. Sci. 70, 661-666, 1990; e. g. in Rhizoflo®, Histick®, Hicoat® Super from BASF Agricultural Specialties Ltd., Canada), B. japonicum E-109 variant of strain USDA 138 (INTA E109, SEMIA 5085; Eur. J. Soil Biol. 45, 20 28–35, 2009; Biol. Fertil. Soils 47, 81–89, 2011); B. japonicum strains deposited at SEMIA known from Appl. Environ. Microbiol. 73(8), 2635, 2007: SEMIA 5079 isolated from soil in Cerrados region, Brazil by Embrapa-Cerrados used in commercial inoculants since 1992 (CPAC 15; e. g. GELFIX 5 or ADHERE 60 from BASF Agricultural Specialties Ltd., Brazil), B. 25 japonicum SEMIA 5080 obtained under lab condtions by Embrapa-Cerrados in Brazil and used in commercial inoculants since 1992, being a natural variant of SEMIA 586 (CB1809) originally isolated in U.S.A. (CPAC 7; e. g. GELFIX 5 or ADHERE 60 from BASF Agricultural Specialties Ltd., Brazil); Burkholderia sp. A396 isolated from soil in Nikko, Japan, in 2008 (NRRL B-50319; WO 2013/032693; Marrone Bio Innovations, Inc., USA), Coniothyrium minitans CON/M/91-08 isolated from oilseed rape (WO 1996/021358; DSM 9660; e. g. Contans® WG, Intercept® WG 30 from Bayer CropScience AG, Germany), harpin (alpha-beta) protein (Science 257, 85-88, 1992; e. g. Messenger™ or HARP-N-Tek from Plant Health Care plc, U.K.), Helicoverpa armigera nucleopolyhedrovirus (HearNPV) (J. Invertebrate Pathol. 107, 112-126, 2011; e. g. Helicovex® from Adermatt Biocontrol, Switzerland; Diplomata® from Koppert, Brazil; Vivus® Max from 35 AgBiTech Pty Ltd., Queensland, Australia), Helicoverpa zea single capsid nucleopolyhedrovirus (HzSNPV) (e. g. Gemstar® from Certis LLC, USA), Helicoverpa zea nucleopolyhedrovirus ABA-NPV-U (e. g. Heligen® from AgBiTech Pty Ltd., Queensland, Australia), Heterorhabditis bacteriophora (e. g. Nemasys® G from BASF Agricultural Specialities Limited, UK), Isaria fumosorosea Apopka-97 isolated from mealy bug on gynura in Apopka, Florida, U.S.A. (ATCC 40 20874; Biocontrol Science Technol. 22(7), 747-761, 2012; e. g. PFR-97™ or PreFeRal® from Certis LLC, USA), Metarhizium anisopliae var. anisopliae F52 also called 275 or V275 isolated from codling moth in Austria (DSM 3884, ATCC 90448; e. g. Met52® Novozymes Biologicals

BioAg Group, Canada), Metschnikowia fructicola 277 isolated from grapes in the central part of

WO 2021/013561 87 PCT/EP2020/069378

5

10

15

20

25

30

35

40

Israel (US 6,994,849; NRRL Y-30752; e. g. formerly Shemer® from Agrogreen, Israel), Paecilomyces ilacinus 251 isolated from infected nematode eggs in the Philippines (AGAL 89/030550; WO1991/02051; Crop Protection 27, 352-361, 2008; e. g. BioAct®from Bayer CropScience AG, Germany and MeloCon® from Certis, USA), Paenibacillus alvei NAS6G6 isolated from the rhizosphere of grasses in South Africa at least before 2008 (WO 2014/029697; NRRL B-50755; e.g. BAC-UP from BASF Agricultural Specialities (Pty) Ltd., South Africa), Paenibacillus strains isolated from soil samples from a variety of European locations including Germany: P. epiphyticus Lu17015 (WO 2016/020371; DSM 26971), P. polymyxa ssp. plantarum Lu16774 (WO 2016/020371; DSM 26969), P. p. ssp. plantarum strain Lu17007 (WO 2016/020371; DSM 26970); Pasteuria nishizawae Pn1 isolated from a soybean field in the mid-2000s in Illinois, U.S.A. (ATCC SD-5833; Federal Register 76(22), 5808, February 2, 2011; e.g. Clariva™ PN from Syngenta Crop Protection, LLC, USA), Penicillium bilaiae (also called P. bilaii) strains ATCC 18309 (= ATCC 74319), ATCC 20851 and/or ATCC 22348 (= ATCC 74318) originally isolated from soil in Alberta, Canada (Fertilizer Res. 39, 97-103, 1994; Can. J. Plant Sci. 78(1), 91-102, 1998; US 5,026,417, WO 1995/017806; e. g. Jump Start®, Provide® from Novozymes Biologicals BioAg Group, Canada), Reynoutria sachalinensis extract (EP 0307510 B1; e. g. Regalia® SC from Marrone BioInnovations, Davis, CA, USA or Milsana® from BioFa AG, Germany), Steinernema carpocapsae (e. g. Millenium® from BASF Agricultural Specialities Limited, UK), S. feltiae (e. g. Nemashield® from BioWorks, Inc., USA; Nemasys® from BASF Agricultural Specialities Limited, UK), Streptomyces microflavus NRRL B-50550 (WO 2014/124369; Bayer CropScience, Germany), Trichoderma asperelloides JM41R isolated in South Africa (NRRL 50759; also referred to as T. fertile; e. g. Trichoplus® from BASF Agricultural Specialities (Pty) Ltd., South Africa), T. harzianum T-22 also called KRL-AG2 (ATCC 20847; BioControl 57, 687-696, 2012; e. g. Plantshield® from BioWorks Inc., USA or SabrEx™ from Advanced Biological Marketing Inc., Van Wert, OH, USA).

According to the invention, the solid material (dry matter) of the biopesticides (with the exception of oils such as Neem oil) are considered as active components (e.g. to be obtained after drying or evaporation of the extraction or suspension medium in case of liquid formulations of the microbial pesticides).

In accordance with the present invention, the weight ratios and percentages used herein for a biological extract such as Quillay extract are based on the total weight of the dry content (solid material) of the respective extract(s).

The total weight ratios of compositions comprising at least one microbial pesticide in the form of viable microbial cells including dormant forms, can be determined using the amount of CFU of the respective microorganism to calculate the total weight of the respective active component with the following equation that 1×10^{10} CFU equals one gram of total weight of the respective active component. Colony forming unit is measure of viable microbial cells, in particular fungal and bacterial cells. In addition, here "CFU" may also be understood as the number of (juvenile) individual nematodes in case of (entomopathogenic) nematode biopesticides, such as *Steinernema feltiae*.

When mixtures comprising microbial pesticides are employed in crop protection, the application rates preferably range from about 1×10^6 to 5×10^{15} (or more) CFU/ha, preferably from about 1×10^8 to about 1×10^{13} CFU/ha, and even more preferably from about 1×10^9 to

WO 2021/013561 88 PCT/EP2020/069378

about 1 x 10^{12} CFU/ha. In the case of (entomopathogenic) nematodes as microbial pesticides (e. g. Steinernema feltiae), the application rates preferably range inform about 1 x 10^5 to 1 x 10^{12} (or more), more preferably from 1 x 10^8 to 1 x 10^{11} , even more preferably from 5 x 10^8 to 1 x 10^{10} individuals (e. g. in the form of eggs, juvenile or any other live stages, preferably in an infetive juvenile stage) per ha.

5

10

15

20

25

30

35

40

When mixtures comprising microbial pesticides are employed in seed treatment, the application rates with respect to plant propagation material preferably range from about 1×10^6 to 1×10^{12} (or more) CFU/seed. Preferably, the concentration is about 1×10^6 to about 1×10^9 CFU/seed. In the case of the microbial pesticides II, the application rates with respect to plant propagation material also preferably range from about 1×10^7 to 1×10^{14} (or more) CFU per 100 kg of seed, preferably from 1×10^9 to about 1×10^{12} CFU per 100 kg of seed.

The invention also relates to agrochemical compositions comprising an auxiliary and at least one compound of the present invention or a mixture thereof.

An agrochemical composition comprises a pesticidally effective amount of a compound of the present invention or a mixture thereof. The term "pesticidally effective amount" is defined below.

The compounds of the present invention or the mixtures thereof can be converted into customary types of agro-chemical compositions, e. g. solutions, emulsions, suspensions, dusts, powders, pastes, granules, pressings, capsules, and mixtures thereof. Examples for composition types are suspensions (e.g. SC, OD, FS), emulsifiable concentrates (e.g. EC), emulsions (e.g. EW, EO, ES, ME), capsules (e.g. CS, ZC), pastes, pastilles, wettable powders or dusts (e.g. WP, SP, WS, DP, DS), pressings (e.g. BR, TB, DT), granules (e.g. WG, SG, GR, FG, GG, MG), insecticidal articles (e.g. LN), as well as gel formulations for the treatment of plant propagation materials such as seeds (e.g. GF). These and further compositions types are defined in the "Catalogue of pesticide formulation types and international coding system", Technical Mono-graph No. 2, 6th Ed. May 2008, CropLife International.

The compositions are prepared in a known manner, such as described by Mollet and Grubemann, Formulation technology, Wiley VCH, Weinheim, 2001; or Knowles, New developments in crop protection product formulation, Agrow Reports DS243, T&F Informa, London, 2005.

Examples for suitable auxiliaries are solvents, liquid carriers, solid carriers or fillers, surfactants, dispersants, emulsifiers, wetters, adjuvants, solubilizers, penetration enhancers, protective colloids, adhesion agents, thickeners, humectants, repellents, attractants, feeding stimulants, compatibilizers, bactericides, anti-freezing agents, anti-foaming agents, colorants, tackifiers and binders.

Suitable solvents and liquid carriers are water and organic solvents, such as mineral oil fractions of medium to high boiling point, e.g. kerosene, diesel oil; oils of vegetable or animal origin; aliphatic, cyclic and aromatic hydrocarbons, e. g. toluene, paraffin, tetrahydronaphthalene, alkylated naphthalenes; alcohols, e.g. ethanol, propanol, butanol, benzylalcohol, cyclohexanol; glycols; DMSO; ketones, e.g. cyclohexanone; esters, e.g. lactates, carbonates, fatty acid esters, gamma-butyrolactone; fatty acids; phosphonates; amines; amides, e.g. N-methylpyrrolidone, fatty acid dimethylamides; and mixtures thereof.

Suitable solid carriers or fillers are mineral earths, e.g. silicates, silica gels, talc, kaolins, limestone, lime, chalk, clays, dolomite, diatomaceous earth, bentonite, calcium sulfate, magnesium sulfate, magnesium oxide; polysaccharide powders, e.g. cellulose, starch;

WO 2021/013561 89 PCT/EP2020/069378

fertilizers, e.g. ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas; products of vegetable origin, e.g. cereal meal, tree bark meal, wood meal, nutshell meal, and mixtures thereof.

Suitable surfactants are surface-active compounds, such as anionic, cationic, nonionic and amphoteric surfactants, block polymers, polyelectrolytes, and mixtures thereof. Such surfactants can be used as emusifier, dispersant, solubilizer, wetter, penetration enhancer, protective colloid, or adjuvant. Examples of surfactants are listed in McCutcheon's, Vol.1: Emulsifiers & Detergents, McCutcheon's Directories, Glen Rock, USA, 2008 (International Ed. or North American Ed.).

5

20

25

30

35

40

Suitable anionic surfactants are alkali, alkaline earth or ammonium salts of sulfonates, sul-fates, phosphates, carboxylates, and mixtures thereof. Examples of sulfonates are alkylaryl-sulfonates, diphenylsulfonates, alpha-olefin sulfonates, lignine sulfonates, sulfonates of fatty acids and oils, sulfonates of ethoxylated alkylphenols, sulfonates of alkoxylated arylphenols, sulfonates of condensed naphthalenes, sulfonates of dodecyl- and tridecylbenzenes, sulfonates of naphthalenes and alkylnaphthalenes, sulfosuccinates or sulfosuccinamates. Examples of sulfates are sulfates of fatty acids and oils, of ethoxylated alkylphenols, of alcohols, of ethoxylated alcohols, or of fatty acid esters. Examples of phosphates are phosphate esters. Examples of carboxylates are alkyl carboxylates, and carboxylated alcohol or alkylphenol ethoxylates.

Suitable nonionic surfactants are alkoxylates, N-subsituted fatty acid amides, amine oxides, esters, sugar-based surfactants, polymeric surfactants, and mixtures thereof. Examples of alkoxylates are compounds such as alcohols, alkylphenols, amines, amides, arylphenols, fatty acids or fatty acid esters which have been alkoxylated with 1 to 50 equivalents. Ethylene oxide and/or propylene oxide may be employed for the alkoxylation, preferably ethylene oxide. Examples of N-subsititued fatty acid amides are fatty acid glucamides or fatty acid alkanolamides. Examples of esters are fatty acid esters, glycerol esters or monoglycerides. Examples of sugar-based surfactants are sorbitans, ethoxylated sorbitans, sucrose and glucose esters or alkylpolyglucosides. Examples of polymeric surfactants are homo- or copolymers of vinylpyrrolidone, vinylalcohols, or vinylacetate.

Suitable cationic surfactants are quaternary surfactants, for example quaternary ammonium compounds with one or two hydrophobic groups, or salts of long-chain primary amines. Suitable amphoteric surfactants are alkylbetains and imidazolines. Suitable block polymers are block polymers of the A-B or A-B-A type comprising blocks of polyethylene oxide and polypropylene oxide, or of the A-B-C type comprising alkanol, polyethylene oxide and polypropylene oxide. Suitable polyelectrolytes are polyacids or polybases. Examples of polyacids are alkali salts of polyacrylic acid or polyacid comb polymers. Examples of polybases are polyvinylamines or polyethyleneamines.

Suitable adjuvants are compounds, which have a neglectable or even no pesticidal activity themselves, and which improve the biological performance of the compounds of the present invention on the target. Examples are surfactants, mineral or vegetable oils, and other auxilaries. Further examples are listed by Knowles, Adjuvants and additives, Agrow Reports DS256, T&F Informa UK, 2006, chapter 5.

WO 2021/013561 90 PCT/EP2020/069378

Suitable thickeners are polysaccharides (e.g. xanthan gum, carboxymethylcellulose), anorganic clays (organically modified or unmodified), polycarboxylates, and silicates.

Suitable bactericides are bronopol and isothiazolinone derivatives such as alkylisothiazolinones and benzisothiazolinones.

- Suitable anti-freezing agents are ethylene glycol, propylene glycol, urea and glycerin. Suitable anti-foaming agents are silicones, long chain alcohols, and salts of fatty acids. Suitable colorants (e.g. in red, blue, or green) are pigments of low water solubility and water-soluble dyes. Examples are inorganic colorants (e.g. iron oxide, titan oxide, iron hexacyanoferrate) and organic colorants (e.g. alizarin-, azo- and phthalocyanine colorants).
- Suitable tackifiers or binders are polyvinylpyrrolidons, polyvinylacetates, polyvinyl alcohols, polyacrylates, biological or synthetic waxes, and cellulose ethers.

Examples for composition types and their preparation are:

- i) Water-soluble concentrates (SL, LS)
- 10-60 wt% of a compound I according to the invention and 5-15 wt% wetting agent (e.g. alcohol alkoxylates) are dissolved in water and/or in a water-soluble solvent (e.g. alcohols) up to 100 wt%. The active substance dissolves upon dilution with water.
 - ii) Dispersible concentrates (DC)

15

20

25

30

35

40

5-25 wt% of a compound I according to the invention and 1-10 wt% dispersant (e. g. polyvinylpyrrolidone) are dissolved in up to 100 wt% organic solvent (e.g. cyclohexanone). Dilution with water gives a dispersion.

- iii) Emulsifiable concentrates (EC)
- 15-70 wt% of a compound I according to the invention and 5-10 wt% emulsifiers (e.g. calcium dodecylbenzenesulfonate and castor oil ethoxylate) are dissolved in up to 100 wt% waterinsoluble organic solvent (e.g. aromatic hydrocarbon). Dilution with water gives an emulsion.
- iv) Emulsions (EW, EO, ES)
- 5-40 wt% of a compound I according to the invention and 1-10 wt% emulsifiers (e.g. calcium dodecylbenzenesulfonate and castor oil ethoxylate) are dissolved in 20-40 wt% water-insoluble organic solvent (e.g. aromatic hydrocarbon). This mixture is introduced into up to 100 wt% water by means of an emulsifying machine and made into a homogeneous emulsion. Dilution with water gives an emulsion.
 - v) Suspensions (SC, OD, FS)

In an agitated ball mill, 20-60 wt% of a compound I according to the invention are comminuted with addition of 2-10 wt% dispersants and wetting agents (e.g. sodium lignosulfonate and alcohol ethoxylate), 0,1-2 wt% thickener (e.g. xanthan gum) and up to 100 wt% water to give a fine active substance suspension. Dilution with water gives a stable suspension of the active sub-stance. For FS type composition up to 40 wt% binder (e.g. polyvinylalcohol) is added.

vi) Water-dispersible granules and water-soluble granules (WG, SG)

50-80 wt% of a compound I according to the invention are ground finely with addition of up to 100 wt% dispersants and wetting agents (e.g. sodium lignosulfonate and alcohol ethoxylate) and prepared as water-dispersible or water-soluble granules by means of technical appliances (e.g. extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active substance.

WO 2021/013561 91 PCT/EP2020/069378

vii) Water-dispersible powders and water-soluble powders (WP, SP, WS)

50-80 wt% of a compound I according to the invention are ground in a rotor-stator mill with addition of 1-5 wt% dispersants (e.g. sodium lignosulfonate), 1-3 wt% wetting agents (e.g. alcohol ethoxylate) and up to 100 wt% solid carrier, e.g. silica gel. Dilution with water gives a stable dispersion or solution of the active substance.

viii) Gel (GW, GF)

5

10

15

20

25

30

40

In an agitated ball mill, 5-25 wt% of a compound I according to the invention are comminuted with addition of 3-10 wt% dispersants (e.g. sodium lignosulfonate), 1-5 wt% thickener (e.g. carboxymethylcellulose) and up to 100 wt% water to give a fine suspension of the active substance. Dilution with water gives a stable suspension of the active substance.

ix) Microemulsion (ME)

5-20 wt% of a compound I according to the invention are added to 5-30 wt% organic solvent blend (e.g. fatty acid dimethylamide and cyclohexanone), 10-25 wt% surfactant blend (e.g. alkohol ethoxylate and arylphenol ethoxylate), and water up to 100 %. This mixture is stirred for 1 h to produce spontaneously a thermodynamically stable microemulsion.

x) Microcapsules (CS)

An oil phase comprising 5-50 wt% of a compound I according to the invention, 0-40 wt% water insoluble organic solvent (e.g. aromatic hydrocarbon), 2-15 wt% acrylic monomers (e.g. methylmethacrylate, methacrylic acid and a di- or triacrylate) are dispersed into an aqueous solution of a protective colloid (e.g. polyvinyl alcohol). Radical polymerization initiated by a radical initiator results in the formation of poly(meth)acrylate microcapsules. Alternatively, an oil phase comprising 5-50 wt% of a compound I according to the invention, 0-40 wt% water insoluble organic solvent (e.g. aromatic hydrocarbon), and an isocyanate monomer (e.g. diphenylmethene-4,4'-diisocyanatae) are dispersed into an aqueous solution of a protective colloid (e.g. polyvinyl alcohol). The addition of a polyamine (e.g. hexamethylenediamine) results in the formation of a polyurea microcapsule. The monomers amount to 1-10 wt%. The wt% relate to the total CS composition.

- xi) Dustable powders (DP, DS)
- 1-10 wt% of a compound I according to the invention are ground finely and mixed intimately with up to 100 wt% solid carrier, e.g. finely divided kaolin.
 - xii) Granules (GR, FG)
- 0.5-30 wt% of a compound I according to the invention is ground finely and associated with up to 100 wt% solid carrier (e.g. silicate). Granulation is achieved by extrusion, spray-drying or the fluidized bed.
- 35 xiii) Ultra-low volume liquids (UL)
 - 1-50 wt% of a compound I according to the invention are dissolved in up to 100 wt% organic solvent, e.g. aromatic hydrocarbon.

The compositions types i) to xi) may optionally comprise further auxiliaries, such as 0.1-1 wt% bactericides, 5-15 wt% anti-freezing agents, 0.1-1 wt% anti-foaming agents, and 0.1-1 wt% colorants.

The agrochemical compositions generally comprise between 0.01 and 95%, preferably between 0.1 and 90%, and most preferably between 0.5 and 75%, by weight of active sub-stance.

WO 2021/013561 92 PCT/EP2020/069378

The active substances are employed in a purity of from 90% to 100%, preferably from 95% to 100% (according to NMR spectrum).

Various types of oils, wetters, adjuvants, fertilizer, or micronutrients, and other pesticides (e.g. herbicides, insecticides, fungicides, growth regulators, safeners) may be added to the active substances or the compositions comprising them as premix or, if appropriate not until immediately prior to use (tank mix). These agents can be admixed with the compositions according to the invention in a weight ratio of 1:100 to 100:1, preferably 1:10 to 10:1.

5

10

15

20

25

30

35

40

The user applies the composition according to the invention usually from a predosage de-vice, a knapsack sprayer, a spray tank, a spray plane, or an irrigation system. Usually, the agrochemical composition is made up with water, buffer, and/or further auxiliaries to the desired application concentration and the ready-to-use spray liquor or the agrochemical composition according to the invention is thus obtained. Usually, 20 to 2000 liters, preferably 50 to 400 liters, of the ready-to-use spray liquor are applied per hectare of agricultural useful area.

According to one embodiment, individual components of the composition according to the invention such as parts of a kit or parts of a binary or ternary mixture may be mixed by the user himself in a spray tank and further auxiliaries may be added, if appropriate.

In a further embodiment, either individual components of the composition according to the invention or partially premixed components, e. g. components comprising compounds of the present invention and/or mixing partners as defined above, may be mixed by the user in a spray tank and further auxiliaries and additives may be added, if appropriate.

In a further embodiment, either individual components of the composition according to the invention or partially premixed components, e. g. components comprising compounds of the present invention and/or mixing partners as defined above, can be applied jointly (e.g. after tank mix) or consecutively.

The compounds of the present invention are suitable for use in protecting crops, plants, plant propagation materials, such as seeds, or soil or water, in which the plants are growing, from attack or infestation by animal pests. Therefore, the present invention also relates to a plant protection method, which comprises contacting crops, plants, plant propagation materials, such as seeds, or soil or water, in which the plants are growing, to be protected from attack or infestation by animal pests, with a pesticidally effective amount of a compound of the present invention.

The compounds of the present invention are also suitable for use in combating or controlling animal pests. Therefore, the present invention also relates to a method of combating or controlling animal pests, which comprises contacting the animal pests, their habitat, breeding ground, or food supply, or the crops, plants, plant propagation materials, such as seeds, or soil, or the area, material or environment in which the animal pests are growing or may grow, with a pesticidally effective amount of a compound of the present invention.

The compounds of the present invention are effective through both contact and ingestion. Furthermore, the compounds of the present invention can be applied to any and all developmental stages, such as egg, larva, pupa, and adult.

The compounds of the present invention can be applied as such or in form of compositions comprising them as defined above. Furthermore, the compounds of the present invention can be applied together with a mixing partner as defined above or in form of compositions

WO 2021/013561 93 PCT/EP2020/069378

comprising said mixtures as defined above. The components of said mixture can be applied simultaneously, jointly or separately, or in succession, that is immediately one after another and thereby creating the mixture "in situ" on the desired location, e.g. the plant, the sequence, in the case of separate application, generally not having any effect on the result of the control measures.

The application can be carried out both before and after the infestation of the crops, plants, plant propagation materials, such as seeds, soil, or the area, material or environment by the pests.

5

10

15

20

25

30

35

40

Suitable application methods include inter alia soil treatment, seed treatment, in furrow application, and foliar application. Soil treatment methods include drenching the soil, drip irrigation (drip application onto the soil), dipping roots, tubers or bulbs, or soil injection. Seed treatment techniques include seed dressing, seed coating, seed dusting, seed soaking, and seed pelleting. In furrow applications typically include the steps of making a furrow in cultivated land, seeding the furrow with seeds, applying the pesticidally active compound to the furrow, and closing the furrow. Foliar application refers to the application of the pesticidally active compound to plant foliage, e.g. through spray equipment. For foliar applications, it can be advantageous to modify the behavior of the pests by use of pheromones in combination with the compounds of the present invention. Suitable pheromones for specific crops and pests are known to a skilled person and publicly available from databases of pheromones and semiochemicals, such as http://www.pherobase.com.

As used herein, the term "contacting" includes both direct contact (applying the compounds/compositions directly on the animal pest or plant - typically to the foliage, stem or roots of the plant) and indirect contact (applying the compounds/compositions to the locus, i.e. habitat, breeding ground, plant, seed, soil, area, material or environment in which a pest is growing or may grow, of the animal pest or plant).

The term "animal pest" includes arthropods, gastropods, and nematodes. Preferred animal pests according to the invention are arthropods, preferably insects and arachnids, in particular insects. Insects, which are of particular relevance for crops, are typically referred to as crop insect pests.

The term "crop" refers to both, growing and harvested crops.

The term "plant" includes cereals, e.g. durum and other wheat, rye, barley, triticale, oats, rice, or maize (fodder maize and sugar maize / sweet and field corn); beet, e.g. sugar beet or fodder beet; fruits, such as pomes, stone fruits or soft fruits, e.g. apples, pears, plums, peaches, nectarines, almonds, cherries, papayas, strawberries, raspberries, blackberries or gooseberries; leguminous plants, such as beans, lentils, peas, alfalfa or soybeans; oil plants, such as rapeseed (oilseed rape), turnip rape, mustard, olives, sunflowers, coconut, cocoa beans, castor oil plants, oil palms, ground nuts or soybeans; cucurbits, such as squashes, pumpkins, cucumber or melons; fiber plants, such as cotton, flax, hemp or jute; citrus fruit, such as oranges, lemons, grapefruits or mandarins; vegetables, such as eggplant, spinach, lettuce (e.g. iceberg lettuce), chicory, cabbage, asparagus, cabbages, carrots, onions, garlic, leeks, tomatoes, potatoes, cucurbits or sweet peppers; lauraceous plants, such as avocados, cinnamon or camphor; energy and raw material plants, such as corn, soybean, rapeseed, sugar cane or oil palm; tobacco; nuts, e.g. walnuts; pistachios; coffee; tea; bananas; vines (table

WO 2021/013561 94 PCT/EP2020/069378

grapes and grape juice grape vines); hop; sweet leaf (also called Stevia); natural rubber plants or ornamental and forestry plants, such as flowers (e.g. carnation, petunias, geranium/pelargoniums, pansies and impatiens), shrubs, broad-leaved trees (e.g. poplar) or evergreens, e.g. conifers; eucalyptus; turf; lawn; grass such as grass for animal feed or ornamental uses. Preferred plants include potatoes sugar beets, tobacco, wheat, rye, barley, oats, rice, corn, cotton, soybeans, rapeseed, legumes, sunflowers, coffee or sugar cane; fruits; vines; ornamentals; or vegetables, such as cucumbers, tomatoes, beans or squashes.

5

10

15

20

25

30

35

40

The term "cultivated plants" is to be understood as including plants which have been modified by mutagenesis or genetic engineering in order to provide a new trait to a plant or to modify an already present trait.

Mutagenesis includes techniques of random mutagenesis using X-rays or mutagenic chemicals, but also techniques of targeted mutagenesis, in order to create mutations at a specific locus of a plant genome. Targeted mutagenesis techniques frequently use oligonucleotides or proteins like CRISPR/Cas, zinc-finger nucleases, TALENs or meganucleases to achieve the targeting effect.

Genetic engineering usually uses recombinant DNA techniques to create modifications in a plant genome which under natural circumstances cannot readily be obtained by cross breeding, mutagenesis or natural recombination. Typically, one or more genes are integrated into the genome of a plant in order to add a trait or improve a trait. These integrated genes are also referred to as transgenes in the art, while plant comprising such transgenes are referred to as transgenic plants. The process of plant transformation usually produces several transformation events, wich differ in the genomic locus in which a transgene has been integrated. Plants comprising a specific transgene on a specific genomic locus are usually described as comprising a specific "event", which is referred to by a specific event name. Traits which have been introduced in plants or hae been modified include in particular herbicide tolerance, insect resistance, increased yield and tolerance to abiotic conditions, like drought.

Herbicide tolerance has been created by using mutagenesis as well as using genetic engineering. Plants which have been rendered tolerant to acetolactate synthase (ALS) inhibitor herbicides by conventional methods of mutagenesis and breeding comprise plant varieties commercially available under the name Clearfield®. However, most of the herbicide tolerance traits have been created via the use of transgenes.

Herbicide tolerance has been created to glyphosate, glufosinate, 2,4-D, dicamba, oxynil herbicides, like bromoxynil and ioxynil, sulfonylurea herbicides, ALS inhibitor herbicides and 4-hydroxyphenylpyruvate dioxygenase (HPPD) inhibitors, like isoxaflutole and mesotrione.

Transgenes wich have been used to provide herbicide tolerance traits comprise: for tolerance to glyphosate: cp4 epsps, epsps grg23ace5, mepsps, 2mepsps, gat4601, gat4621 and goxv247, for tolerance to glufosinate: pat and bar, for tolerance to 2,4-D: aad-1 and aad-12, for tolerance to dicamba: dmo, for tolerance to oxynil herbicies: bxn, for tolerance to sulfonylurea herbicides: zm-hra, csr1-2, gm-hra, S4-HrA, for tolerance to ALS inhibitor herbicides: csr1-2, for tolerance to HPPD inhibitor herbicides: hppdPF, W336 and avhppd-03.

Transgenic corn events comprising herbicide tolerance genes are for example, but not excluding others, DAS40278, MON801, MON802, MON809, MON810, MON832, MON87411, MON87419, MON87427, MON88017, MON89034, NK603, GA21, MZHG0JG, HCEM485, VCO-

WO 2021/013561 95 PCT/EP2020/069378

Ø1981-5, 676, 678, 680, 33121, 4114, 59122, 98140, Bt10, Bt176, CBH-351, DBT418, DLL25, MS3, MS6, MZIR098, T25, TC1507 and TC6275.

Transgenic soybean events comprising herbicide tolerance genes are for example, but not excluding others, GTS 40-3-2, MON87705, MON87708, MON87712, MON87769, MON89788, A2704-12, A2704-21, A5547-127, A5547-35, DP356043, DAS44406-6, DAS68416-4, DAS-81419-2, GU262, SYHTØH2, W62, W98, FG72 and CV127.

5

10

15

20

25

30

35

40

Transgenic cotton events comprising herbicide tolerance genes are for example, but not excluding others, 19-51a, 31707, 42317, 81910, 281-24-236, 3006-210-23, BXN10211, BXN10215, BXN10222, BXN10224, MON1445, MON1698, MON88701, MON88913, GHB119, GHB614, LLCotton25, T303-3 and T304-40.

Transgenic canola events comprising herbicide tolerance genes are for example, but not excluding others, MON88302, HCR-1, HCN10, HCN28, HCN92, MS1, MS8, PHY14, PHY23, PHY35, PHY36, RF1, RF2 and RF3.

Insect resistance has mainly been created by transferring bacterial genes for insecticidal proteins to plants. Transgenes which have most frequently been used are toxin genes of *Bacillus spec.* and synthetic variants thereof, like cry1A, cry1Ab, cry1Ab-Ac, cry1Ac, cry1A.105, cry1F, cry1Fa2, cry2Ab2, cry2Ae, mcry3A, ecry3.1Ab, cry3Bb1, cry34Ab1, cry35Ab1, cry9C, vip3A(a), vip3Aa20. However, also genes of plant origin have been transferred to other plants. In particular genes coding for protease inhibitors, like CpTl and pinIl. A further approach uses transgenes in order to produce double stranded RNA in plants to target and downregulate insect genes. An example for such a transgene is dvsnf7.

Transgenic corn events comprising genes for insecticidal proteins or double stranded RNA are for example, but not excluding others, Bt10, Bt11, Bt176, MON801, MON802, MON809, MON810, MON863, MON87411, MON88017, MON89034, 33121, 4114, 5307, 59122, TC1507, TC6275, CBH-351, MIR162, DBT418 and MZIR098.

Transgenic soybean events comprising genes for insecticidal proteins are for example, but not excluding others, MON87701, MON87751 and DAS-81419.

Transgenic cotton events comprising genes for insecticidal proteins are for example, but not excluding others, SGK321, MON531, MON757, MON1076, MON15985, 31707, 31803, 31807, 31808, 42317, BNLA-601, Event1, COT67B, COT102, T303-3, T304-40, GFM Cry1A, GK12, MLS 9124, 281-24-236, 3006-210-23, GHB119 and SGK321.

Increased yield has been created by increasing ear biomass using the transgene athb17, being present in corn event MON87403, or by enhancing photosynthesis using the transgene bbx32, being present in the soybean event MON87712.

Cultivated plants comprising a modified oil content have been created by using the transgenes: gm-fad2-1, Pj.D6D, Nc.Fad3, fad2-1A and fatb1-A. Soybean events comprising at least one of these genes are: 260-05, MON87705 and MON87769.

Tolerance to abiotic conditions, in particular to tolerance to drought, has been created by using the transgene cspB, comprised by the corn event MON87460 and by using the transgene Hahb-4, comprised by soybean event IND-ØØ41Ø-5.

Traits are frequently combined by combining genes in a transformation event or by combining different events during the breeding process. Preferred combination of traits are herbicide tolerance to different groups of herbicides, insect tolerance to different kind of insects, in

WO 2021/013561 96 PCT/EP2020/069378

particular tolerance to lepidopteran and coleopteran insects, herbicide tolerance with one or several types of insect resistance, herbicide tolerance with increased yield as well as a combination of herbicide tolerance and tolerance to abiotic conditions.

5

10

35

Plants comprising singular or stacked traits as well as the genes and events providing these traits are well known in the art. For example, detailed information as to the mutagenized or integrated genes and the respective events are available from websites of the organizations "International Service for the Acquisition of Agri-biotech Applications (ISAAA)" (http://www.isaaa.org/gmapprovaldatabase) and the "Center for Environmental Risk Assessment (CERA)" (http://cera-gmc.org/GMCropDatabase), Further information on specific events and methods to detect them can be found for canola events MS1, MS8, RF3, GT73, MON88302, KK179 in WO01/031042, WO01/041558, WO01/041558, WO02/036831, WO11/153186, WO13/003558, for cotton events MON1445, MON15985, MON531(MON15985), LLCotton25, MON88913, COT102, 281-24-236, 3006-210-23, COT67B, GHB614, T304-40, GHB119, MON88701, 81910 in WO02/034946, WO02/100163,

WO02/100163, WO03/013224, WO04/072235, WO04/039986, WO05/103266, WO05/103266, WO06/128573, WO07/017186, WO08/122406, WO08/151780, WO12/134808, WO13/112527, for corn events GA21, MON810, DLL25, TC1507, MON863, MIR604, LY038, MON88017, 3272, 59122, NK603, MIR162, MON89034, 98140, 32138, MON87460, 5307, 4114, MON87427, DAS40278, MON87411, 33121, MON87403, MON87419 in WO98/044140, US02/102582,

US03/126634, WO04/099447, WO04/011601, WO05/103301, WO05/061720, WO05/059103, WO06/098952, WO06/039376, US2007/292854, WO07/142840, WO07/140256, WO08/112019, WO09/103049, WO09/111263, WO10/077816, WO11/084621, WO11/062904, WO11/022469, WO13/169923, WO14/116854, WO15/053998, WO15/142571, for potato events E12, F10, J3, J55, V11, X17, Y9 in WO14/178910, WO14/178913, WO14/178941,

WO14/179276, WO16/183445, WO17/062831, WO17/062825, for rice events LLRICE06, LLRICE601, LLRICE62 in WO00/026345, WO00/026356, WO00/026345 for soybean events H7-1, MON89788, A2704-12, A5547-127, DP305423, DP356043, MON87701, MON87769, CV127, MON87705, DAS68416-4, MON87708, MON87712, SYHT0H2, DAS81419, DAS81419 x DAS44406-6, MON87751 in WO04/074492, WO06/130436, WO06/108674, WO06/108675, WO08/054747, WO08/002872, WO09/064652, WO09/102873, WO10/080829, WO10/037016, WO11/066384, WO11/034704, WO12/051199, WO12/082548, WO13/016527, WO13/016516, WO14/201235.

The use of compositions according to the invention on cultivated plants may result in effects which are specific to a cultivated plant comprising a certain gene or event. These effects might involve changes in growth behavior or changed resistance to biotic or abiotic stress factors. Such effects may in particular comprise enhanced yield, enhanced resistance or tolerance to insects, nematodes, fungal, bacterial, mycoplasma, viral or viroid pathogens as well as early vigour, early or delayed ripening, cold or heat tolerance as well as changed amino acid or fatty acid spectrum or content.

It has surprisingly been found that the pesticidal activity of the compounds of the present invention may be enhanced by the insecticidal trait of a modified plant. Furthermore, it has been found that the compounds of the present invention are suitable for preventing insects to become resistant to the insecticidal trait or for combating pests, which already have become resistant to

WO 2021/013561 97 PCT/EP2020/069378

the insecticidal trait of a modified plant. Moreover, the compounds of the present invention are suitable for combating pests, against which the insecticidal trait is not effective, so that a complementary insecticidal activity can advantageously be used.

The term "plant propagation material" refers to all the generative parts of the plant such as seeds and vegetative plant material such as cuttings and tubers (e.g. potatoes), which can be used for the multiplication of the plant. This includes seeds, roots, fruits, tubers, bulbs, rhizomes, shoots, sprouts and other parts of plants. Seedlings and young plants, which are to be transplanted after germination or after emergence from soil, may also be included. These plant propagation materials may be treated prophylactically with a plant protection compound either at or before planting or transplanting.

5

10

15

20

25

30

35

40

The term "seed" embraces seeds and plant propagules of all kinds including but not limited to true seeds, seed pieces, suckers, corms, bulbs, fruit, tubers, grains, cuttings, cut shoots and the like, and means in a preferred embodiment true seeds.

In general, "pesticidally effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The pesticidally effective amount can vary for the various compounds/compositions used in the invention. A pesticidally effective amount of the compositions will also vary according to the prevailing conditions such as desired pesticidal effect and duration, weather, target species, locus, mode of application, and the like.

In the case of soil treatment, in furrow application or of application to the pests dwelling place or nest, the quantity of active ingredient ranges from 0.0001 to 500 g per 100 m^2 , preferably from 0.001 to 20 g per 100 m^2 .

For use in treating crop plants, e.g. by foliar application, the rate of application of the active ingredients of this invention may be in the range of 0.0001 g to 4000 g per hectare, e.g. from 1 g to 2 kg per hectare or from 1 g to 750 g per hectare, desirably from 1 g to 100 g per hectare, more desirably from 10 g to 50 g per hectare, e.g., 10 to 20 g per hectare, 20 to 30 g per hectare, 30 to 40 g per hectare, or 40 to 50 g per hectare.

The compounds of the invention are particularly suitable for use in the treatment of seeds in order to protect the seeds from insect pests, in particular from soil-living insect pests, and the resulting seedling's roots and shoots against soil pests and foliar insects. The invention therefore also relates to a method for the protection of seeds from insects, in particular from soil insects, and of the seedling's roots and shoots from insects, in particular from soil and foliar insects, said method comprising treating the seeds before sowing and/or after pregermination with a compound of the invention. The protection of the seedling's roots and shoots is preferred. More preferred is the protection of seedling's shoots from piercing and sucking insects, chewing insects and nematodes.

The term "seed treatment" comprises all suitable seed treatment techniques known in the art, such as seed dressing, seed coating, seed dusting, seed soaking, seed pelleting, and in-furrow application methods. Preferably, the seed treatment application of the active compound is carried out by spraying or by dusting the seeds before sowing of the plants and before emergence of the plants.

WO 2021/013561 98 PCT/EP2020/069378

The invention also comprises seeds coated with or containing the active compound. The term "coated with and/or containing" generally signifies that the active ingredient is for the most part on the surface of the propagation product at the time of application, although a greater or lesser part of the ingredient may penetrate into the propagation product, depending on the method of application. When the said propagation product is (re)planted, it may absorb the active ingredient.

5

10

15

20

25

30

35

40

Suitable seed is for example seed of cereals, root crops, oil crops, vegetables, spices, ornamentals, for example seed of durum and other wheat, barley, oats, rye, maize (fodder maize and sugar maize / sweet and field corn), soybeans, oil crops, crucifers, cotton, sunflowers, bananas, rice, oilseed rape, turnip rape, sugarbeet, fodder beet, eggplants, potatoes, grass, lawn, turf, fodder grass, tomatoes, leeks, pumpkin/squash, cabbage, iceberg lettuce, pepper, cucumbers, melons, Brassica species, melons, beans, peas, garlic, onions, carrots, tuberous plants such as potatoes, sugar cane, tobacco, grapes, petunias, geranium/pelargoniums, pansies and impatiens.

In addition, the active compound may also be used for the treatment of seeds from plants, which have been modified by mutagenisis or genetic engineering, and which e.g. tolerate the action of herbicides or fungicides or insecticides. Such modified plants have been described in detail above.

Conventional seed treatment formulations include for example flowable concentrates FS, solutions LS, suspoemulsions (SE), powders for dry treatment DS, water dispersible powders for slurry treatment WS, water-soluble powders SS and emulsion ES and EC and gel formulation GF. These formulations can be applied to the seed diluted or undiluted. Application to the seeds is carried out before sowing, either directly on the seeds or after having pregerminated the latter. Preferably, the formulations are applied such that germination is not included.

The active substance concentrations in ready-to-use formulations, which may be obtained after two-to-tenfold dilution, are preferably from 0.01 to 60% by weight, more preferably from 0.1 to 40 % by weight.

In a preferred embodiment a FS formulation is used for seed treatment. Typically, a FS formulation may comprise 1-800 g/l of active ingredient, 1-200 g/l Surfactant, 0 to 200 g/l antifreezing agent, 0 to 400 g/l of binder, 0 to 200 g/l of a pigment and up to 1 liter of a solvent, preferably water.

Especially preferred FS formulations of the compounds of the invention for seed treatment usually comprise from 0.1 to 80% by weight (1 to 800 g/l) of the active ingredient, from 0.1 to 20% by weight (1 to 200 g/l) of at least one surfactant, e.g. 0.05 to 5% by weight of a wetter and from 0.5 to 15% by weight of a dispersing agent, up to 20% by weight, e.g. from 5 to 20% of an anti-freeze agent, from 0 to 15% by weight, e.g. 1 to 15% by weight of a pigment and/or a dye, from 0 to 40% by weight, e.g. 1 to 40% by weight of a binder (sticker /adhesion agent), optionally up to 5% by weight, e.g. from 0.1 to 5% by weight of a thickener, optionally from 0.1 to 2% of an anti-foam agent, and optionally a preservative such as a biocide, antioxidant or the like, e.g. in an amount from 0.01 to 1% by weight and a filler/vehicle up to 100% by weight. In the treatment of seed, the application rates of the compounds of the invention are generally from 0.1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, more

WO 2021/013561 99 PCT/EP2020/069378

preferably from 1 g to 1000 g per 100 kg of seed and in particular from 1 g to 200 g per 100 kg of seed, e.g. from 1 g to 100 g or from 5 g to 100 g per 100 kg of seed.

The invention therefore also relates to seed comprising a compound of the invention, or an agriculturally useful salt thereof, as defined herein. The amount of the compound of the invention or the agriculturally useful salt thereof will in general vary from 0.1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, in particular from 1 g to 1000 g per 100 kg of seed. For specific crops such as lettuce the rate can be higher.

The compounds of the invention may also be used for improving the health of a plant. Therefore, the invention also relates to a method for improving plant health by treating a plant, plant propagation material and/or the locus where the plant is growing or is to grow with an effective and non-phytotoxic amount of a compound of the invention.

As used herein "an effective and non-phytotoxic amount" means that the compound is used in a quantity which allows to obtain the desired effect but which does not give rise to any phytotoxic symptom on the treated plant or on the plant grown from the treated propagule or treated soil.

The terms "plant" and "plant propagation material" are defined above.

5

10

15

20

25

30

35

40

"Plant health" is defined as a condition of the plant and/or its products which is determined by several aspects alone or in combination with each other such as yield (for example increased biomass and/or increased content of valuable ingredients), quality (for example improved content or composition of certain ingredients or shelf life), plant vigour (for example improved plant growth and/or greener leaves ("greening effect"), tolerance to abiotic (for example drought) and/or biotic stress (for example disease) and production efficiency (for example, harvesting efficiency, processability).

The above identified indicators for the health condition of a plant may be interdependent and may result from each other. Each indicator is defined in the art and can be determined by methods known to a skilled person.

The compounds of the invention are also suitable for use against non-crop insect pests. For use against said non-crop pests, compounds of the invention can be used as bait composition, gel, general insect spray, aerosol, as ultra-low volume application and bed net (impregnated or surface applied). Furthermore, drenching and rodding methods can be used.

As used herein, the term "non-crop insect pest" refers to pests, which are particularly relevant for non-crop targets, such as ants, termites, wasps, flies, ticks, mosquitoes, bed bugs, crickets, or cockroaches.

The bait can be a liquid, a solid or a semisolid preparation (e.g. a gel). The bait employed in the composition is a product, which is sufficiently attractive to incite insects such as ants, termites, wasps, flies, mosquitoes, crickets etc. or cockroaches to eat it. The attractiveness can be manipulated by using feeding stimulants or sex pheromones. Food stimulants are chosen, for example, but not exclusively, from animal and/or plant proteins (meat-, fish- or blood meal, insect parts, egg yolk), from fats and oils of animal and/or plant origin, or mono-, oligo- or polyorganosaccharides, especially from sucrose, lactose, fructose, dextrose, glucose, starch, pectin or even molasses or honey. Fresh or decaying parts of fruits, crops, plants, animals, insects or specific parts thereof can also serve as a feeding stimulant. Sex pheromones are

known to be more insect specific. Specific pheromones are described in the literature (e.g. http://www.pherobase.com), and are known to those skilled in the art.

5

10

15

20

25

30

35

40

For use in bait compositions, the typical content of active ingredient is from 0.001 weight % to 15 weight %, desirably from 0.001 weight % to 5% weight % of active compound.

Formulations of the compounds of the invention as aerosols (e.g in spray cans), oil sprays or pump sprays are highly suitable for professional or non-professional users for controlling pests such as flies, fleas, ticks, bed bugs, mosquitoes or cockroaches. Aerosol recipes are preferably composed of the active compound, solvents, furthermore auxiliaries such as emulsifiers, perfume oils, if appropriate stabilizers, and, if required, propellants.

The oil spray formulations differ from the aerosol recipes in that no propellants are used. For use in spray compositions, the content of active ingredient is from 0.001 to 80 weights %, preferably from 0.01 to 50 weight % and most preferably from 0.01 to 15 weight %.

The compounds of the invention and its respective compositions can also be used in mosquito and fumigating coils, smoke cartridges, vaporizer plates or long-term vaporizers and also in moth papers, moth pads or other heat-independent vaporizer systems.

Methods to control infectious diseases transmitted by insects (e.g. malaria, dengue and yellow fever, lymphatic filariasis, and leishmaniasis) with compounds of the invention and its respective compositions also comprise treating surfaces of huts and houses, air spraying and impregnation of curtains, tents, clothing items, bed nets, tsetse-fly trap or the like. Insecticidal compositions for application to fibers, fabric, knitgoods, nonwovens, netting material or foils and tarpaulins preferably comprise a mixture including the insecticide, optionally a repellent and at least one binder.

The compounds of the invention and its compositions can be used for protecting wooden materials such as trees, board fences, sleepers, frames, artistic artifacts, etc. and buildings, but also construction materials, furniture, leathers, fibers, vinyl articles, electric wires and cables etc. from ants, termites and/or wood or textile destroying beetles, and for controlling ants and termites from doing harm to crops or human beings (e.g. when the pests invade into houses and public facilities or nest in yards, orchards or parks).

Customary application rates in the protection of materials are, for example, from 0.001 g to 2000 g or from 0.01 g to 1000 g of active compound per m² treated material, desirably from 0.1 g to 50 g per m².

Insecticidal compositions for use in the impregnation of materials typically contain from 0.001 to 95 weight %, preferably from 0.1 to 45 weight %, and more preferably from 1 to 25 weight % of at least one repellent and/or insecticide.

The compounds of the the invention are especially suitable for efficiently combating animal pests such as arthropods, gastropods and nematodes including but not limited to:

insects from the order of **Lepidoptera**, for example *Achroia grisella*, *Acleris* spp. such as *A. fimbriana*, *A. gloverana*, *A. variana*; *Acrolepiopsis assectella*, *Acronicta major*, *Adoxophyes* spp. such as *A. cyrtosema*, *A. orana*; *Aedia leucomelas*, *Agrotis* spp. such as *A. exclamationis*, *A. fucosa*, *A. ipsilon*, *A. orthogoma*, *A. segetum*, *A. subterranea*; *Alabama argillacea*, *Aleurodicus dispersus*, *Alsophila pometaria*, *Ampelophaga rubiginosa*, *Amyelois transitella*, *Anacampsis sarcitella*, *Anagasta kuehniella*, *Anarsia lineatella*, *Anisota senatoria*, *Antheraea pernyi*, *Anticarsia* (=Thermesia) spp. such as *A. gemmatalis*; *Apamea* spp., *Aproaerema modicella*,

WO 2021/013561 101 PCT/EP2020/069378

Archips spp. such as A. argyrospila, A. fuscocupreanus, A. rosana, A. xyloseanus; Argyresthia conjugella, Argyroploce spp., Argyrotaenia spp. such as A. velutinana; Athetis mindara, Austroasca viridigrisea, Autographa gamma, Autographa nigrisigna, Barathra brassicae, Bedellia spp., Bonagota salubricola, Borbo cinnara, Bucculatrix thurberiella, Bupalus piniarius, Busseola spp., Cacoecia spp. such as C. murinana, C. podana; Cactoblastis cactorum, Cadra 5 cautella, Calingo braziliensis, Caloptilis theivora, Capua reticulana, Carposina spp. such as C. niponensis, C. sasakii; Cephus spp., Chaetocnema aridula, Cheimatobia brumata, Chilo spp. such as C. Indicus, C. suppressalis, C. partellus; Choreutis pariana, Choristoneura spp. such as C. conflictana, C. fumiferana, C. longicellana, C. murinana, C. occidentalis, C. rosaceana; Chrysodeixis (=Pseudoplusia) spp. such as C. eriosoma, C. includens; Cirphis unipuncta, Clysia 10 ambiguella, Cnaphalocerus spp., Cnaphalocrocis medinalis, Cnephasia spp., Cochylis hospes, Coleophora spp., Colias eurytheme, Conopomorpha spp., Conotrachelus spp., Copitarsia spp., Corcyra cephalonica, Crambus caliginosellus, Crambus teterrellus, Crocidosema (=Epinotia) aporema, Cydalima (=Diaphania) perspectalis, Cydia (=Carpocapsa) spp. such as C. 15 pomonella, C. latiferreana; Dalaca noctuides, Datana integerrima, Dasychira pinicola, Dendrolimus spp. such as D. pini, D. spectabilis, D. sibiricus; Desmia funeralis, Diaphania spp. such as D. nitidalis, D. hyalinata; Diatraea grandiosella, Diatraea saccharalis, Diphthera festiva, Earias spp. such as E. insulana, E. vittella; Ecdytolopha aurantianu, Egira (=Xylomyges) curialis, Elasmopalpus lignosellus, Eldana saccharina, Endopiza viteana, Ennomos subsignaria, Eoreuma loftini, Ephestia spp. such as E. cautella, E. elutella, E. kuehniella; Epinotia aporema, 20 Epiphyas postvittana, Erannis tiliaria, Erionota thrax, Etiella spp., Eulia spp., Eupoecilia ambiquella, Euproctis chrysorrhoea, Euxoa spp., Evetria bouliana, Faronta albilinea, Feltia spp. such as F. subterranean; Galleria mellonella, Gracillaria spp., Grapholita spp. such as G. funebrana, G. molesta, G. inopinata; Halysidota spp., Harrisina americana, Hedylepta spp., 25 Helicoverpa spp. such as H. armigera (=Heliothis armigera), H. zea (=Heliothis zea); Heliothis spp. such as H. assulta, H. subflexa, H. virescens; Hellula spp. such as H. undalis, H. rogatalis; Helocoverpa gelotopoeon, Hemileuca oliviae, Herpetogramma licarsisalis, Hibernia defoliaria, Hofmannophila pseudospretella, Homoeosoma electellum, Homona magnanima, Hypena scabra, Hyphantria cunea, Hyponomeuta padella, Hyponomeuta malinellus, Kakivoria 30 flavofasciata, Keiferia lycopersicella, Lambdina fiscellaria fiscellaria, Lambdina fiscellaria lugubrosa, Lamprosema indicata, Laspeyresia molesta, Leguminivora glycinivorella, Lerodea eufala, Leucinodes orbonalis, Leucoma salicis, Leucoptera spp. such as L. coffeella, L. scitella; Leuminivora lycinivorella, Lithocolletis blancardella, Lithophane antennata, Llattia octo (=Amyna axis), Lobesia botrana, Lophocampa spp., Loxagrotis albicosta, Loxostege spp. such as L. 35 sticticalis, L. cereralis; Lymantria spp. such as L. dispar, L. monacha; Lyonetia clerkella, Lyonetia prunifoliella, Malacosoma spp. such as M. americanum, M. californicum, M. constrictum, M. neustria; Mamestra spp. such as M. brassicae, M. configurata; Mamstra brassicae, Manduca spp. such as M. quinquemaculata, M. sexta; Marasmia spp, Marmara spp., Maruca testulalis, Megalopyge lanata, Melanchra picta, Melanitis leda, Mocis spp. such as M. 40 lapites, M. repanda; Mocis latipes, Monochroa fragariae, Mythimna separata, Nemapogon cloacella, Neoleucinodes elegantalis, Nepytia spp., Nymphula spp., Oiketicus spp., Omiodes

indicata, Omphisa anastomosalis, Operophtera brumata, Orgyia pseudotsugata, Oria spp., Orthaga thyrisalis, Ostrinia spp. such as O. nubilalis; Oulema oryzae, Paleacrita vernata,

WO 2021/013561 102 PCT/EP2020/069378

Panolis flammea, Parnara spp., Papaipema nebris, Papilio cresphontes, Paramyelois transitella, Paranthrene regalis, Paysandisia archon, Pectinophora spp. such as P. gossypiella; Peridroma saucia, Perileucoptera spp., such as P. coffeella; Phalera bucephala, Phryganidia californica, Phthorimaea spp. such as P. operculella; Phyllocnistis citrella, Phyllonorycter spp. such as P. blancardella, P. crataegella, P. issikii, P. ringoniella; Pieris spp. such as P. brassicae, P. rapae, 5 P. napi; Pilocrocis tripunctata, Plathypena scabra, Platynota spp. such as P. flavedana, P. idaeusalis, P. stultana; Platyptilia carduidactyla, Plebejus argus, Plodia interpunctella, Plusia spp., Plutella maculipennis, Plutella xylostella, Pontia protodica, Prays spp., Prodenia spp., Proxenus lepigone, Pseudaletia spp. such as P. seguax, P. unipuncta; Pyrausta nubilalis, Rachiplusia nu, Richia albicosta, Rhizobius ventralis, Rhyacionia frustrana, Sabulodes 10 aegrotata, Schizura concinna, Schoenobius spp., Schreckensteinia festaliella, Scirpophaga spp. such as S. incertulas, S. innotata; Scotia segetum, Sesamia spp. such as S. inferens, Seudyra subflava, Sitotroga cerealella, Sparganothis pilleriana, Spilonota lechriaspis, S. ocellana, Spodoptera (=Lamphygma) spp. such as S. cosmoides, S. eridania, S. exigua, S. frugiperda, S. 15 latisfascia, S. littoralis, S. litura, S. omithogalli; Stigmella spp., Stomopteryx subsecivella, Strymon bazochii, Sylepta derogata, Synanthedon spp. such as S. exitiosa, Tecia solanivora, Telehin licus, Thaumatopoea pityocampa, Thaumatotibia (=Cryptophlebia) leucotreta, Thaumetopoea pityocampa, Thecla spp., Theresimima ampelophaga, Thyrinteina spp, Tildenia inconspicuella, Tinea spp. such as T. cloacella, T. pellionella; Tineola bisselliella, Tortrix spp. such as T. viridana; Trichophaga tapetzella, Trichoplusia spp. such as T. ni; Tuta 20 (=Scrobipalpula) absoluta, Udea spp. such as U. rubigalis, U. rubigalis; Virachola spp., Yponomeuta padella, and Zeiraphera canadensis; insects from the order of Coleoptera, for example Acalymma vittatum, Acanthoscehdes obtectus, Adoretus spp., Agelastica alni, Agrilus spp. such as A. anxius, A. planipennis, A. 25 sinuatus; Agriotes spp. such as A. fuscicollis, A. lineatus, A. obscurus; Alphitobius diaperinus, Amphimallus solstitialis, Anisandrus dispar, Anisoplia austriaca, Anobium punctatum, Anomala corpulenta, Anomala rufocuprea, Anoplophora spp. such as A. glabripennis; Anthonomus spp. such as A. eugenii, A. grandis, A. pomorum; Anthrenus spp., Aphthona euphoridae, Apion spp., Apogonia spp., Athous haemorrhoidalis, Atomaria spp. such as A. linearis; Attagenus spp., 30 Aulacophora femoralis, Blastophagus piniperda, Blitophaga undata, Bruchidius obtectus, Bruchus spp. such as B. lentis, B. pisorum, B. rufimanus; Byctiscus betulae, Callidiellum rufipenne, Callopistria floridensis, Callosobruchus chinensis, Cameraria ohridella, Cassida nebulosa, Cerotoma trifurcata, Cetonia aurata, Ceuthorhynchus spp. such as C. assimilis, C. napi; Chaetocnema tibialis, Cleonus mendicus, Conoderus spp. such as C. vespertinus; Conotrachelus nenuphar, Cosmopolites spp., Costelytra zealandica, Crioceris asparagi, 35 Cryptolestes ferrugineus, Cryptorhynchus lapathi, Ctenicera spp. such as C. destructor; Curculio spp., Cylindrocopturus spp., Cyclocephala spp., Dactylispa balyi, Dectes texanus, Dermestes spp., Diabrotica spp. such as D. undecimpunctata, D. speciosa, D. longicornis, D. semipunctata, D. virgifera; Diaprepes abbreviates, Dichocrocis spp., Dicladispa armigera, 40 Diloboderus abderus, Diocalandra frumenti (Diocalandra stigmaticollis), Enaphalodes rufulus, Epilachna spp. such as E. varivestis, E. vigintioctomaculata; Epitrix spp. such as E. hirtipennis, E. similaris; Eutheola humilis, Eutinobothrus brasiliensis, Faustinus cubae, Gibbium psylloides,

Gnathocerus cornutus, Hellula undalis, Heteronychus arator, Hylamorpha elegans, Hylobius

103 WO 2021/013561 PCT/EP2020/069378

5

10

15

25

30

35

40

abietis, Hylotrupes bajulus, Hypera spp. such as H. brunneipennis, H. postica; Hypomeces squamosus, Hypothenemus spp., Ips typographus, Lachnosterna consanguinea, Lasioderma serricorne, Latheticus oryzae, Lathridius spp., Lema spp. such as L. bilineata, L. melanopus; Leptinotarsa spp. such as L. decemlineata; Leptispa pygmaea, Limonius californicus, Lissorhoptrus oryzophilus, Lixus spp., Luperodes spp., Lyctus spp. such as L. bruneus; Liogenys fuscus, Macrodactylus spp. such as M. subspinosus; Maladera matrida, Megaplatypus mutates, Megascelis spp., Melanotus communis, Meligethes spp. such as M. aeneus; Melolontha spp. such as M. hippocastani, M. melolontha; Metamasius hemipterus, Microtheca spp., Migdolus spp. such as M. fryanus, Monochamus spp. such as M. alternatus; Naupactus xanthographus, Niptus hololeucus, Oberia brevis, Oemona hirta, Oryctes rhinoceros, Oryzaephilus surinamensis, Oryzaphagus oryzae, Otiorrhynchus sulcatus, Otiorrhynchus ovatus, Otiorrhynchus sulcatus, Oulema melanopus, Oulema oryzae, Oxycetonia jucunda, Phaedon spp. such as P. brassicae, P. cochleariae; Phoracantha recurva, Phyllobius pyri, Phyllopertha horticola, Phyllophaga spp. such as P. helleri; Phyllotreta spp. such as P. chrysocephala, P. nemorum, P. striolata, P. vittula; Phyllopertha horticola, Popillia japonica, Premnotrypes spp., Psacothea hilaris, Psylliodes chrysocephala, Prostephanus truncates, Psylliodes spp., Ptinus spp., Pulga saltona, Rhizopertha dominica, Rhynchophorus spp. such as R. billineatus, R. ferrugineus, R. palmarum, R. phoenicis, R. vulneratus; Saperda candida, Scolytus schevyrewi, Scyphophorus acupunctatus, Sitona lineatus, Sitophilus spp. such as S.

granaria, S. oryzae, S. zeamais; Sphenophorus spp. such as S. levis; Stegobium paniceum, 20 Sternechus spp. such as S. subsignatus; Strophomorphus ctenotus, Symphyletes spp., Tanymecus spp., Tenebrio molitor, Tenebrioides mauretanicus, Tribolium spp. such as T. castaneum; Trogoderma spp., Tychius spp., Xylotrechus spp. such as X. pyrrhoderus; and, Zabrus spp. such as Z. tenebrioides;

insects from the order of **Diptera** e.g. Aedes spp. such as A. aegypti, A. albopictus, A. vexans; Anastrepha ludens, Anopheles spp. such as A. albimanus, A. crucians, A. freeborni, A. gambiae, A. leucosphyrus, A. maculipennis, A. minimus, A. quadrimaculatus, A. sinensis; Bactrocera invadens, Bibio hortulanus, Calliphora erythrocephala, Calliphora vicina, Ceratitis capitata, Chrysomyia spp. such as C. bezziana, C. hominivorax, C. macellaria; Chrysops atlanticus, Chrysops discalis, Chrysops silacea, Cochliomyia spp. such as C. hominivorax; Contarinia spp. such as C. sorghicola; Cordylobia anthropophaga, Culex spp. such as C. nigripalpus, C. pipiens, C. quinquefasciatus, C. tarsalis, C. tritaeniorhynchus; Culicoides furens, Culiseta inornata, Culiseta melanura, Cuterebra spp., Dacus cucurbitae, Dacus oleae, Dasineura brassicae, Dasineura oxycoccana, Delia spp. such as D. antique, D. coarctata, D. platura, D. radicum; Dermatobia hominis, Drosophila spp. such as D. suzukii, Fannia spp. such as F. canicularis; Gastraphilus spp. such as G. intestinalis; Geomyza tipunctata, Glossina spp. such as G. fuscipes, G. morsitans, G. palpalis, G. tachinoides; Haematobia irritans, Haplodiplosis equestris, Hippelates spp., Hylemyia spp. such as H. platura; Hypoderma spp. such as H. lineata; Hyppobosca spp., Hydrellia philippina, Leptoconops torrens, Liriomyza spp. such as L. sativae, L. trifolii; Lucilia spp. such as L. caprina, L. cuprina, L. sericata; Lycoria pectoralis, Mansonia titillanus, Mayetiola spp. such as M. destructor; Musca spp. such as M. autumnalis, M. domestica; Muscina stabulans, Oestrus spp. such as O. ovis; Opomyza florum,

Oscinella spp. such as O. frit; Orseolia oryzae, Pegomya hysocyami, Phlebotomus argentipes,

WO 2021/013561 104 PCT/EP2020/069378

Phorbia spp. such as P. antiqua, P. brassicae, P. coarctata; Phytomyza gymnostoma, Prosimulium mixtum, Psila rosae, Psorophora columbiae, Psorophora discolor, Rhagoletis spp. such as R. cerasi, R. cingulate, R. indifferens, R. mendax, R. pomonella; Rivellia quadrifasciata, Sarcophaga spp. such as S. haemorrhoidalis; Simulium vittatum, Sitodiplosis mosellana,

- 5 Stomoxys spp. such as S. calcitrans; Tabanus spp. such as T. atratus, T. bovinus, T. lineola, T. similis; Tannia spp., Thecodiplosis japonensis, Tipula oleracea, Tipula paludosa, and Wohlfahrtia spp;
- insects from the order of **Thysanoptera** for example, *Baliothrips biformis, Dichromothrips corbetti, Dichromothrips* ssp., *Echinothrips americanus, Enneothrips flavens, Frankliniella* spp.

 such as *F. fusca, F. occidentalis, F. tritici; Heliothrips* spp., *Hercinothrips femoralis, Kakothrips* spp., *Microcephalothrips abdominalis, Neohydatothrips samayunkur, Pezothrips kellyanus, Rhipiphorothrips cruentatus, Scirtothrips* spp. such as *S. citri, S. dorsalis, S. perseae; Stenchaetothrips spp, Taeniothrips cardamoni, Taeniothrips inconsequens, Thrips* spp. such as *T. imagines, T. hawaiiensis, T. oryzae, T. palmi, T. parvispinus, T. tabaci;*
- insects from the order of **Hemiptera** for example, *Acizzia jamatonica*, *Acrosternum* spp. such as *A. hilare;* Acyrthosipon spp. such as *A. onobrychis, A. pisum; Adelges laricis, Adelges tsugae, Adelphocoris* spp., such as *A. rapidus, A. superbus; Aeneolamia* spp., *Agonoscena* spp., *Aulacorthum solani, Aleurocanthus woglumi, Aleurodes* spp., *Aleurodicus disperses, Aleurolobus barodensis, Aleurothrixus* spp., *Amrasca* spp., *Anasa tristis, Antestiopsis* spp.,
- Anuraphis cardui, Aonidiella spp., Aphanostigma piri, Aphidula nasturtii, Aphis spp. such as A. craccivora, A. fabae, A. forbesi, A. gossypii, A. grossulariae, A. maidiradicis, A. pomi, A. sambuci, A. schneideri, A. spiraecola; Arboridia apicalis, Arilus critatus, Aspidiella spp., Aspidiotus spp., Atanus spp., Aulacaspis yasumatsui, Aulacorthum solani, Bactericera cockerelli (Paratrioza cockerelli), Bemisia spp. such as B. argentifolii, B. tabaci (Aleurodes tabaci); Blissus
- spp. such as *B. leucopterus; Brachycaudus* spp. such as *B. cardui, B. helichrysi, B. persicae, B. prunicola; Brachycolus* spp., *Brachycorynella asparagi, Brevicoryne brassicae, Cacopsylla* spp. such as *C. fulguralis, C. pyricola (Psylla piri); Calligypona marginata, Calocoris* spp., *Campylomma livida, Capitophorus horni, Carneocephala fulgida, Cavelerius* spp., *Ceraplastes*
- spp., Ceratovacuna lanigera, Ceroplastes ceriferus, Cerosipha gossypii, Chaetosiphon fragaefolii, Chionaspis tegalensis, Chlorita onukii, Chromaphis juglandicola, Chrysomphalus ficus, Cicadulina mbila, Cimex spp. such as C. hemipterus, C. lectularius; Coccomytilus halli, Coccus spp. such as C. hesperidum, C. pseudomagnoliarum; Corythucha arcuata, Creontiades dilutus, Cryptomyzus ribis, Chrysomphalus aonidum, Cryptomyzus ribis, Ctenarytaina spatulata, Cyrtopeltis notatus, Dalbulus spp., Dasynus piperis, Dialeurodes spp. such as D. citrifolii;
- Dalbulus maidis, Diaphorina spp. such as D. citri; Diaspis spp. such as D. bromeliae; Dichelops furcatus, Diconocoris hewetti, Doralis spp., Dreyfusia nordmannianae, Dreyfusia piceae, Drosicha spp., Dysaphis spp. such as D. plantaginea, D. pyri, D. radicola; Dysaulacorthum pseudosolani, Dysdercus spp. such as D. cingulatus, D. intermedius; Dysmicoccus spp., Edessa spp., Geocoris spp., Empoasca spp. such as E. fabae, E. solana; Epidiaspis leperii,
- 40 Eriosoma spp. such as E. lanigerum, E. pyricola; Erythroneura spp., Eurygaster spp. such as E. integriceps; Euscelis bilobatus, Euschistus spp. such as E. heros, E. impictiventris, E. servus; Fiorinia theae, Geococcus coffeae, Glycaspis brimblecombei, Halyomorpha spp. such as H. halys; Heliopeltis spp., Homalodisca vitripennis (=H. coagulata), Horcias nobilellus, Hyalopterus

WO 2021/013561 105 PCT/EP2020/069378

pruni, Hyperomyzus lactucae, Icerya spp. such as I. purchase; Idiocerus spp., Idioscopus spp., Laodelphax striatellus, Lecanium spp., Lecanoideus floccissimus, Lepidosaphes spp. such as L. ulmi; Leptocorisa spp., Leptoglossus phyllopus, Lipaphis erysimi, Lygus spp. such as L. hesperus, L. lineolaris, L. pratensis; Maconellicoccus hirsutus, Marchalina hellenica, Macropes excavatus, Macrosiphum spp. such as M. rosae, M. avenae, M. euphorbiae; Macrosteles quadrilineatus, Mahanarva fimbriolata, Megacopta cribraria, Megoura viciae, Melanaphis pyrarius, Melanaphis sacchari, Melanocallis (=Tinocallis) caryaefoliae, Metcafiella spp., Metopolophium dirhodum, Monellia costalis, Monelliopsis pecanis, Myzocallis coryli, Murgantia spp., Myzus spp. such as M. ascalonicus, M. cerasi, M. nicotianae, M. persicae, M. varians; Nasonovia ribis-nigri, Neotoxoptera formosana, Neomegalotomus spp, Nephotettix spp. such as N. malavanus, N. nigropictus, N. parvus, N. virescens; Nezara spp. such as N. viridula:

5

- Nasonovia ribis-nigri, Neotoxoptera formosana, Neomegalotomus spp, Nephotettix spp. such as N. malayanus, N. nigropictus, N. parvus, N. virescens; Nezara spp. such as N. viridula; Nilaparvata lugens, Nysius huttoni, Oebalus spp. such as O. pugnax; Oncometopia spp., Orthezia praelonga, Oxycaraenus hyalinipennis, Parabemisia myricae, Parlatoria spp., Parthenolecanium spp. such as P. corni, P. persicae; Pemphigus spp. such as P. bursarius, P.
- populivenae; Peregrinus maidis, Perkinsiella saccharicida, Phenacoccus spp. such as P. aceris, P. gossypii; Phloeomyzus passerinii, Phorodon humuli, Phylloxera spp. such as P. devastatrix, Piesma quadrata, Piezodorus spp. such as P. guildinii; Pinnaspis aspidistrae, Planococcus spp. such as P. citri, P. ficus; Prosapia bicincta, Protopulvinaria pyriformis, Psallus seriatus, Pseudacysta persea, Pseudaulacaspis pentagona, Pseudococcus spp. such as P. comstocki;
- 20 Psylla spp. such as P. mali; Pteromalus spp., Pulvinaria amygdali, Pyrilla spp., Quadraspidiotus spp., such as Q. perniciosus; Quesada gigas, Rastrococcus spp., Reduvius senilis, Rhizoecus americanus, Rhodnius spp., Rhopalomyzus ascalonicus, Rhopalosiphum spp. such as R. pseudobrassicas, R. insertum, R. maidis, R. padi; Sagatodes spp., Sahlbergella singularis, Saissetia spp., Sappaphis mala, Sappaphis mali, Scaptocoris spp., Scaphoides titanus,
- 25 Schizaphis graminum, Schizoneura lanuginosa, Scotinophora spp., Selenaspidus articulatus, Sitobion avenae, Sogata spp., Sogatella furcifera, Solubea insularis, Spissistilus festinus (=Stictocephala festina), Stephanitis nashi, Stephanitis pyrioides, Stephanitis takeyai, Tenalaphara malayensis, Tetraleurodes perseae, Therioaphis maculate, Thyanta spp. such as T. accerra, T. perditor; Tibraca spp., Tomaspis spp., Toxoptera spp. such as T. aurantii;
- Trialeurodes spp. such as T. abutilonea, T. ricini, T. vaporariorum; Triatoma spp., Trioza spp., Typhlocyba spp., Unaspis spp. such as U. citri, U. yanonensis; and Viteus vitifolii, Insects from the order Hymenoptera for example Acanthomyops interjectus, Athalia rosae, Atta spp. such as A. capiguara, A. cephalotes, A. cephalotes, A. laevigata, A. robusta, A. sexdens, A. texana, Bombus spp., Brachymyrmex spp., Camponotus spp. such as C. floridanus, C. pennsylvanicus, C. modoc; Cardiocondyla nuda, Chalibion sp, Crematogaster spp., Dasymutilla occidentalis, Diprion spp., Dolichovespula maculata, Dorymyrmex spp., Dryocosmus kuriphilus, Formica spp., Hoplocampa spp. such as H. minuta, H. testudinea; Iridomyrmex humilis, Lasius spp. such as L. niger, Linepithema humile, Liometopum spp., Leptocybe invasa, Monomorium
- Paratrechina longicornis, Paravespula spp., such as P. germanica, P. pennsylvanica, P. vulgaris; Pheidole spp. such as P. megacephala; Pogonomyrmex spp. such as P. barbatus, P. californicus, Polistes rubiginosa, Prenolepis impairs, Pseudomyrmex gracilis, Schelipron spp., Sirex cyaneus, Solenopsis spp. such as S. geminata, S.invicta, S. molesta, S. richteri, S. xyloni,

spp. such as M. pharaonis, Monomorium, Nylandria fulva, Pachycondyla chinensis,

WO 2021/013561 106 PCT/EP2020/069378

Sphecius speciosus, Sphex spp., Tapinoma spp. such as T. melanocephalum, T. sessile; Tetramorium spp. such as T. caespitum, T. bicarinatum, Vespa spp. such as V. crabro; Vespula spp. such as V. squamosal; Wasmannia auropunctata, Xylocopa sp;

Insects from the order **Orthoptera** for example *Acheta domesticus*, *Calliptamus italicus*, *Chortoicetes terminifera*, *Ceuthophilus* spp., *Diastrammena asynamora*, *Dociostaurus maroccanus*, *Gryllotalpa* spp. such as *G. africana*, *G. gryllotalpa*; *Gryllus* spp., *Hieroglyphus daganensis*, *Kraussaria angulifera*, *Locusta* spp. such as *L. migratoria*, *L. pardalina*; *Melanoplus* spp. such as *M. bivittatus*, *M. femurrubrum*, *M. mexicanus*, *M. sanguinipes*, *M. spretus*; *Nomadacris septemfasciata*, *Oedaleus senegalensis*, *Scapteriscus* spp., *Schistocerca* spp. such as *S. americana*, *S. gregaria*, *Stemopelmatus* spp., *Tachycines asynamorus*, and *Zonozerus variegatus*;

5

10

15

40

Pests from the Class **Arachnida** for example **Acari**,e.g. of the families Argasidae, Ixodidae and Sarcoptidae, such as *Amblyomma* spp. (e.g. *A. americanum*, *A. variegatum*, *A. maculatum*), Argas spp. such as *A. persicu*), *Boophilus* spp. such as *B. annulatus*, *B. decoloratus*, *B. microplus*, *Dermacentor* spp. such as *D.silvarum*, *D. andersoni*, *D. variabilis*, *Hyalomma* spp. such as *H. truncatum*, *Ixodes* spp. such as *I. ricinus*, *I. rubicundus*, *I. scapularis*, *I. holocyclus*, *I. pacificus*, *Rhipicephalus* sanguineus, *Ornithodorus* spp. such as *O. moubata*, *O. hermsi*, *O. turicata*, *Ornithonyssus bacoti*, *Otobius megnini*, *Dermanyssus gallinae*, *Psoroptes* spp. such as *P. ovis*, *Rhipicephalus* spp. such as *R. sanguineus*, *R. appendiculatus*,

20 Rhipicephalus evertsi, Rhizoglyphus spp., Sarcoptes spp. such as S. Scabiei; and Family Eriophyidae including Aceria spp. such as A. sheldoni, A. anthocoptes, Acallitus spp., Aculops spp. such as A. lycopersici, A. pelekassi; Aculus spp. such as A. schlechtendali; Colomerus vitis, Epitrimerus pyri, Phyllocoptruta oleivora; Eriophytes ribis and Eriophyes spp. such as Eriophyes sheldoni; Family Tarsonemidae including Hemitarsonemus spp., Phytonemus pallidus and Polyphagotarsonemus latus, Stenotarsonemus spp. Steneotarsonemus spinki;

Family **Tenuipalpidae** including Brevipalpus spp. such as *B. phoenicis*; Family **Tetranychidae** including *Eotetranychus* spp., *Eutetranychus* spp., *Oligonychus* spp., *Petrobia latens*, *Tetranychus* spp. such as *T. cinnabarinus*, *T. evansi*, *T. kanzawai*, *T. pacificus*, *T. phaseulus*, *T. telarius* and *T. urticae*; *Bryobia praetiosa*; *Panonychus* spp. such as *P. ulmi*, *P. citri*;

Metatetranychus spp. and Oligonychus spp. such as O. pratensis, O. perseae, Vasates lycopersici; Raoiella indica, Family Carpoglyphidae including Carpoglyphus spp.; Penthaleidae spp. such as Halotydeus destructor, Family Demodicidae with species such as Demodex spp.; Family Trombicidea including Trombicula spp.; Family Macronyssidae including Ornothonyssus spp.; Family Pyemotidae including Pyemotes tritici; Tyrophagus putrescentiae;
 Family Acaridae including Acarus siro; Family Araneida including Latrodectus mactans,

Tegenaria agrestis, Chiracanthium sp, Lycosa sp Achaearanea tepidariorum and Loxosceles reclusa;

Pests from the Phylum **Nematoda**, for example, plant parasitic nematodes such as root-knot nematodes, *Meloidogyne* spp. such as *M. hapla*, *M. incognita*, *M. javanica*; cyst-forming nematodes, *Globodera* spp. such as *G. rostochiensis*; *Heterodera* spp. such as *H. avenae*, *H. glycines*, *H. schachtii*, *H. trifolii*; Seed gall nematodes, *Anguina* spp.; Stem and foliar nematodes, *Aphelenchoides* spp. such as *A. besseyi*; Sting nematodes, *Belonolaimus* spp. such as *B. longicaudatus*; Pine nematodes, *Bursaphelenchus* spp. such as *B. lignicolus*, *B.*

WO 2021/013561 107 PCT/EP2020/069378

xylophilus; Ring nematodes, Criconema spp., Criconemella spp. such as C. xenoplax and C. ornata; and, Criconemoides spp. such as Criconemoides informis; Mesocriconema spp.; Stem and bulb nematodes, Ditylenchus spp. such as D. destructor, D. dipsaci; Awl nematodes, Dolichodorus spp.; Spiral nematodes, Heliocotylenchus multicinctus; Sheath and sheathoid nematodes, Hemicycliophora spp. and Hemicriconemoides spp.; Hirshmanniella spp.; Lance nematodes, Hoploaimus spp.; False rootknot nematodes, Nacobbus spp.; Needle nematodes, Longidorus spp. such as L. elongatus; Lesion nematodes, Pratylenchus spp. such as P. brachyurus, P. neglectus, P. penetrans, P. curvitatus, P. goodeyi; Burrowing nematodes, Radopholus spp. such as R. similis; Rhadopholus spp.; Rhodopholus spp.; Reniform
nematodes, Rotylenchus spp. such as R. robustus, R. reniformis; Scutellonema spp.; Stubby-

- nematodes, *Rotylenchus* spp. such as *R. robustus, R. reniformis; Scutellonema* spp.; Stubbyroot nematode, *Trichodorus* spp. such as *T. obtusus, T. primitivus; Paratrichodorus* spp. such as *P. minor;* Stunt nematodes, *Tylenchorhynchus* spp. such as *T. claytoni, T. dubius;* Citrus nematodes, *Tylenchulus* spp. such as *T. semipenetrans;* Dagger nematodes, *Xiphinema* spp.; and other plant parasitic nematode species;
- Insects from the order **Blattodea** for example *Macrotermes* spp. such as *M. natalensis;*Cornitermes cumulans, Procornitermes spp., Globitermes sulfureus, Neocapritermes spp. such as *N. opacus*, *N. parvus;* Odontotermes spp., Nasutitermes spp. such as *N. corniger*,

 Coptotermes spp. such as *C. formosanus*, *C. gestroi*, *C. acinaciformis;* Reticulitermes spp. such as *R. hesperus*, *R. tibialis*, *R. speratus*, *R. flavipes*, *R. grassei*, *R. lucifugus*, *R. virginicus*;
- 20 Heterotermes spp. such as H. aureus, H. longiceps, H. tenuis; Cryptotermes spp. such as C. brevis, C. cavifrons; Incisitermes spp. such as I. minor, I. snyderi; Marginitermes hubbardi, Kalotermes flavicollis, Neotermes spp. such as N. castaneus, Zootermopsis spp. such as Z. angusticollis, Z. nevadensis, Mastotermes spp. such as M. darwiniensis; Blatta spp. such as B. orientalis, B. lateralis; Blattella spp. such as B. asahinae, B. germanica; Rhyparobia maderae,
- Panchlora nivea, Periplaneta spp. such as P. americana, P. australasiae, P. brunnea, P. fuliginosa, P. japonica; Supella longipalpa, Parcoblatta pennsylvanica, Eurycotis floridana, Pycnoscelus surinamensis,
 - Insects from the order **Siphonoptera** for example *Cediopsylla simples*, *Ceratophyllus* spp., *Ctenocephalides* spp. such as *C. felis*, *C. canis*, *Xenopsylla cheopis*, *Pulex irritans*,
- 30 Trichodectes canis, Tunga penetrans, and Nosopsyllus fasciatus,
 - Insects from the order **Thysanura** for example *Lepisma saccharina*, *Ctenolepisma urbana*, and *Thermobia domestica*,
 - Pests from the class **Chilopoda** for example *Geophilus* spp., Scutigera spp. such as *Scutigera* coleoptrata;
- Pests from the class **Diplopoda** for example *Blaniulus guttulatus*, *Julus* spp., *Narceus* spp., Pests from the class **Symphyla** for example *Scutigerella immaculata*, Insects from the order **Dermaptera**, for example *Forficula auricularia*, Insects from the order **Collembola**, for example *Onychiurus* spp., such as *Onychiurus armatus*,
- 40 Pests from the order **Isopoda** for example, *Armadillidium vulgare, Oniscus asellus, Porcellio scaber,*
 - Insects from the order **Phthiraptera**, for example *Damalinia* spp., Pediculus spp. such as *Pediculus humanus capitis*, *Pediculus humanus corporis*, *Pediculus humanus humanus*; *Pthirus*

pubis, Haematopinus spp. such as *Haematopinus eurysternus*, *Haematopinus suis*; Linognathus spp. such as *Linognathus vituli; Bovicola bovis, Menopon gallinae, Menacanthus stramineus* and *Solenopotes capillatus, Trichodectes* spp.,

5

10

20

25

30

35

40

Examples of further pest species which may be controlled by compounds of fomula (I) include: from the Phylum Mollusca, class Bivalvia, for example, *Dreissena* spp.; class Gastropoda, for example, *Arion* spp., *Biomphalaria* spp., *Bulinus* spp., *Deroceras* spp., *Galba* spp., *Lymnaea* spp., *Oncomelania* spp., *Pomacea canaliclata, Succinea* spp.; from the class of the helminths, for example, *Ancylostoma duodenale, Ancylostoma ceylanicum, Acylostoma braziliensis, Ancylostoma* spp., *Ascaris lubricoides, Ascaris spp., Brugia malayi, Brugia timori, Bunostomum* spp., *Chabertia* spp., *Clonorchis* spp., *Cooperia* spp., *Dicrocoelium* spp., *Dictyocaulus filaria, Diphyllobothrium latum, Dracunculus medinensis, Echinococcus granulosus, Echinococcus multilocularis, Enterobius vermicularis, Faciola* spp., Haemonchus spp. such as *Haemonchus contortus*; *Heterakis* spp., *Hymenolepis nana, Hyostrongulus* spp., *Loa Loa, Nematodirus* spp., *Oesophagostomum* spp., *Opisthorchis* spp., *Onchocerca volvulus*, *Ostertagia* spp.,

15 Paragonimus spp., Schistosomen spp., Strongyloides fuelleborni, Strongyloides stercora lis, Stronyloides spp., Taenia saginata, Taenia solium, Trichinella spiralis, Trichinella nativa, Trichinella britovi, Trichinella nelsoni, Trichinella pseudopsiralis, Trichostrongulus spp., Trichuris trichuria, Wuchereria bancrofti.

The compounds of the invention are suitable for use in treating or protecting animals against infestation or infection by parasites. Therefore, the invention also relates to the use of a compound of the invention for the manufacture of a medicament for the treatment or protection of animals against infestation or infection by parasites. Furthermore, the invention relates to a method of treating or protecting animals against infestation and infection by parasites, which comprises orally, topically or parenterally administering or applying to the animals a parasiticidally effective amount of a compound of the invention.

The present invention also relates to the non-therapeutic use of compounds of the invention for treating or protecting animals against infestation and infection by parasites. Moreover, the invention relates to a non-therapeutic method of treating or protecting animals against infestation and infection by parasites, which comprises applying to a locus a parasiticidally effective amount of a compound of the invention.

The compounds of the invention are further suitable for use in combating or controlling parasites in and on animals. Furthermore, the invention relates to a method of combating or controlling parasites in and on animals, which comprises contacting the parasites with a parasitically effective amount of a compound of the invention.

The invention also relates to the non-therapeutic use of compounds of the invention for controlling or combating parasites. Moreover, the invention relates to a non-therapeutic method of combating or controlling parasites, which comprises applying to a locus a parasiticidally effective amount of a compound of the invention.

The compounds of the invention can be effective through both contact (via soil, glass, wall, bed net, carpet, blankets or animal parts) and ingestion (e.g. baits). Furthermore, the compounds of the invention can be applied to any and all developmental stages.

The compounds of the invention can be applied as such or in form of compositions comprising the compounds of the invention.

WO 2021/013561 109 PCT/EP2020/069378

The compounds of the invention can also be applied together with a mixing partner, which acts against pathogenic parasites, e.g. with synthetic coccidiosis compounds, polyetherantibiotics such as Amprolium, Robenidin, Toltrazuril, Monensin, Salinomycin, Maduramicin, Lasalocid, Narasin or Semduramicin, or with other mixing partners as defined above, or in form of compositions comprising said mixtures.

The compounds of the invention and compositions comprising them can be applied orally, parenterally or topically, e.g. dermally. The compounds of the invention can be systemically or non-systemically effective.

The application can be carried out prophylactically, therapeutically or non-therapeutically.

Furthermore, the application can be carried out preventively to places at which occurrence of the parasites is expected.

5

15

25

30

35

40

As used herein, the term "contacting" includes both direct contact (applying the compounds/compositions directly on the parasite, including the application directly on the animal or excluding the application directly on the animal, e.g. at it's locus for the latter) and indirect contact (applying the compounds/compositions to the locus of the parasite). The contact of the parasite through application to its locus is an example of a non-therapeutic use of the compounds of the invention.

The term "locus" means the habitat, food supply, breeding ground, area, material or environment in which a parasite is growing or may grow outside of the animal.

As used herein, the term "parasites" includes endo- and ectoparasites. In some embodiments of the invention, endoparasites can be preferred. In other embodiments, ectoparasites can be preferred. Infestations in warm-blooded animals and fish include, but are not limited to, lice, biting lice, ticks, nasal bots, keds, biting flies, muscoid flies, flies, myiasitic fly larvae, chiggers, gnats, mosquitoes and fleas.

The compounds of the invention are especially useful for combating parasites of the following orders and species, respectively:

fleas (Siphonaptera), e.g. Ctenocephalides felis, Ctenocephalides canis, Xenopsylla cheopis, Pulex irritans, Tunga penetrans, and Nosopsyllus fasciatus; cockroaches (Blattaria -Blattodea), e.g. Blattella germanica, Blattella asahinae, Periplaneta americana, Periplaneta japonica, Periplaneta brunnea, Periplaneta fuligginosa, Periplaneta australasiae, and Blatta orientalis; flies, mosquitoes (Diptera), e.g. Aedes aegypti, Aedes albopictus, Aedes vexans, Anastrepha ludens, Anopheles maculipennis, Anopheles crucians, Anopheles albimanus, Anopheles gambiae, Anopheles freeborni, Anopheles leucosphyrus, Anopheles minimus, Anopheles quadrimaculatus, Calliphora vicina, Chrysomya bezziana, Chrysomya hominivorax, Chrysomya macellaria, Chrysops discalis, Chrysops silacea, Chrysops atlanticus, Cochliomyia hominivorax, Cordylobia anthropophaga, Culicoides furens, Culex pipiens, Culex nigripalpus, Culex quinquefasciatus, Culex tarsalis, Culiseta inornata, Culiseta melanura, Dermatobia hominis, Fannia canicularis, Gasterophilus intestinalis, Glossina morsitans, Glossina palpalis, Glossina fuscipes, Glossina tachinoides, Haematobia irritans, Haplodiplosis equestris, Hippelates spp., Hypoderma lineata, Leptoconops torrens, Lucilia caprina, Lucilia cuprina, Lucilia sericata, Lycoria pectoralis, Mansonia spp., Musca domestica, Muscina stabulans, Oestrus ovis, Phlebotomus argentipes, Psorophora columbiae, Psorophora discolor,

Prosimulium mixtum, Sarcophaga haemorrhoidalis, Sarcophaga sp., Simulium vittatum,

WO 2021/013561 110 PCT/EP2020/069378

Stomoxys calcitrans, Tabanus bovinus, Tabanus atratus, Tabanus lineola, and Tabanus similis; lice (Phthiraptera), e.g. Pediculus humanus capitis, Pediculus humanus humanus, Pthirus pubis, Haematopinus eurysternus, Haematopinus suis, Linognathus vituli, Bovicola bovis, Menopon gallinae, Menacanthus stramineus and Solenopotes capillatus; ticks and parasitic mites (Parasitiformes): ticks (Ixodida), e.g. Ixodes scapularis, Ixodes holocyclus, Ixodes pacificus, Rhiphicephalus sanguineus, Dermacentor andersoni, Dermacentor variabilis, Amblyomma americanum, Ambryomma maculatum, Ornithodorus hermsi, Ornithodorus turicata and parasitic mites (Mesostigmata), e.g. Ornithonyssus bacoti and Dermanyssus gallinae; Actinedida (Prostigmata) und Acaridida (Astigmata), e.g. Acarapis spp., Cheyletiella spp., Ornithocheyletia spp., Myobia spp., Psorergates spp., Demodex spp., Trombicula spp., Listrophorus spp., Acarus spp., Tyrophagus spp., Caloglyphus spp., Hypodectes spp., Pterolichus spp., Psoroptes spp., Chorioptes spp., Otodectes spp., Sarcoptes spp., Notoedres

5

10

- Listropnorus spp., Acarus spp., Tyropnagus spp., Caloglypnus spp., Hypodectes spp.,
 Pterolichus spp., Psoroptes spp., Chorioptes spp., Otodectes spp., Sarcoptes spp., Notoedres spp., Knemidocoptes spp., Cytodites spp., and Laminosioptes spp; Bugs (Heteropterida):
 Cimex lectularius, Cimex hemipterus, Reduvius senilis, Triatoma spp., Rhodnius ssp.,
 Panstrongylus ssp., and Arilus critatus; Anoplurida, e.g. Haematopinus spp., Linognathus spp.,
- Panstrongylus ssp., and Arilus critatus; Anoplurida, e.g. Haematopinus spp., Linognathus spp. Pediculus spp., Phtirus spp., and Solenopotes spp.; Mallophagida (suborders Arnblycerina and Ischnocerina), e.g. Trimenopon spp., Menopon spp., Trinoton spp., Bovicola spp., Werneckiella spp., Lepikentron spp., Trichodectes spp., and Felicola spp.; Roundworms

 Nematoda: Wipeworms and Trichinosis (Trichosyringida), e.g. Trichinellidae (Trichinella
- spp.), (Trichuridae) Trichuris spp., Capillaria spp.; Rhabditida, e.g. Rhabditis spp.,
 Strongyloides spp., Helicephalobus spp.; Strongylida, e.g. Strongylus spp., Ancylostoma spp.,
 Necator americanus, Bunostomum spp. (Hookworm), Trichostrongylus spp., Haemonchus
 contortus, Ostertagia spp., Cooperia spp., Nematodirus spp., Dictyocaulus spp., Cyathostoma
 spp., Oesophagostomum spp., Stephanurus dentatus, Ollulanus spp., Chabertia spp.,
- 25 Stephanurus dentatus, Syngamus trachea, Ancylostoma spp., Uncinaria spp., Globocephalus spp., Necator spp., Metastrongylus spp., Muellerius capillaris, Protostrongylus spp., Angiostrongylus spp., Parelaphostrongylus spp., Aleurostrongylus abstrusus, and Dioctophyma renale; Intestinal roundworms (Ascaridida), e.g. Ascaris lumbricoides, Ascaris suum, Ascaridia galli, Parascaris equorum, Enterobius vermicularis (Threadworm), Toxocara canis,
- Toxascaris leonine, Skrjabinema spp., and Oxyuris equi; Camallanida, e.g. Dracunculus medinensis (guinea worm); Spirurida, e.g. Thelazia spp., Wuchereria spp., Brugia spp., Onchocerca spp., Dirofilari spp.a, Dipetalonema spp., Setaria spp., Elaeophora spp., Spirocerca lupi, and Habronema spp.; Thorny headed worms (Acanthocephala), e.g. Acanthocephalus spp., Macracanthorhynchus hirudinaceus and Oncicola spp.; Planarians (Plathelminthes):
- Flukes (Trematoda), e.g. Faciola spp., Fascioloides magna, Paragonimus spp., Dicrocoelium spp., Fasciolopsis buski, Clonorchis sinensis, Schistosoma spp., Trichobilharzia spp., Alaria alata, Paragonimus spp., and Nanocyetes spp.; Cercomeromorpha, in particular Cestoda (Tapeworms), e.g. Diphyllobothrium spp., Tenia spp., Echinococcus spp., Dipylidium caninum, Multiceps spp., Hymenolepis spp., Mesocestoides spp., Vampirolepis spp., Moniezia spp., 40 Anoplocephala spp., Sirometra spp., Anoplocephala spp., and Hymenolepis spp..
- As used herein, the term "animal" includes warm-blooded animals (including humans) and fish. Preferred are mammals, such as cattle, sheep, swine, camels, deer, horses, pigs, poultry, rabbits, goats, dogs and cats, water buffalo, donkeys, fallow deer and reindeer, and also in fur-

WO 2021/013561 111 PCT/EP2020/069378

bearing animals such as mink, chinchilla and raccoon, birds such as hens, geese, turkeys and ducks and fish such as fresh- and salt-water fish such as trout, carp and eels. Particularly preferred are domestic animals, such as dogs or cats.

In general, "parasiticidally effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The parasiticidally effective amount can vary for the various compounds/compositions used in the invention. A parasiticidally effective amount of the compositions will also vary according to the prevailing conditions such as desired parasiticidal effect and duration, target species, mode of application, and the like.

Generally, it is favorable to apply the compounds of the invention in total amounts of 0.5 mg/kg to 100 mg/kg per day, preferably 1 mg/kg to 50 mg/kg per day.

For oral administration to warm-blooded animals, the formula I compounds may be formulated as animal feeds, animal feed premixes, animal feed concentrates, pills, solutions, pastes, suspensions, drenches, gels, tablets, boluses and capsules. In addition, the formula I compounds may be administered to the animals in their drinking water. For oral administration, the dosage form chosen should provide the animal with 0.01 mg/kg to 100 mg/kg of animal body weight per day of the formula I compound, preferably with 0.5 mg/kg to 100 mg/kg of animal body weight per day.

Alternatively, the formula I compounds may be administered to animals parenterally, for example, by intraruminal, intramuscular, intravenous or subcutaneous injection. The formula I compounds may be dispersed or dissolved in a physiologically acceptable carrier for subcutaneous injection. Alternatively, the formula I compounds may be formulated into an implant for subcutaneous administration. In addition the formula I compound may be transdermally administered to animals. For parenteral administration, the dosage form chosen should provide the animal with 0.01 mg/kg to 100 mg/kg of animal body weight per day of the formula I compound.

The formula I compounds may also be applied topically to the animals in the form of dips, dusts, powders, collars, medallions, sprays, shampoos, spot-on and pour-on formulations and in ointments or oil-in-water or water-in-oil emulsions. For topical application, dips and sprays usually contain 0.5 ppm to 5,000 ppm and preferably 1 ppm to 3,000 ppm of the formula I compound. In addition, the formula I compounds may be formulated as ear tags for animals, particularly quadrupeds such as cattle and sheep.

Suitable preparations are:

5

10

15

20

25

30

35

40

- Solutions such as oral solutions, concentrates for oral administration after dilution, solutions for use on the skin or in body cavities, pouring-on formulations, gels;
 - Emulsions and suspensions for oral or dermal administration; semi-solid preparations;
- Formulations in which the active compound is processed in an ointment base or in an oil-inwater or water-in-oil emulsion base;
- Solid preparations such as powders, premixes or concentrates, granules, pellets, tablets, boluses, capsules; aerosols and inhalants, and active compound-containing shaped articles. Compositions suitable for injection are prepared by dissolving the active ingredient in a

suitable solvent and optionally adding further auxiliaries such as acids, bases, buffer salts,

WO 2021/013561 112 PCT/EP2020/069378

preservatives, and solubilizers. Suitable auxiliaries for injection solutions are known in the art. The solutions are filtered and filled sterile.

Oral solutions are administered directly. Concentrates are administered orally after prior dilution to the use concentration. Oral solutions and concentrates are prepared according to the state of the art and as described above for injection solutions, sterile procedures not being necessary.

5

10

15

30

35

40

Solutions for use on the skin are trickled on, spread on, rubbed in, sprinkled on or sprayed on. Solutions for use on the skin are prepared according to the state of the art and according to what is described above for injection solutions, sterile procedures not being necessary.

Gels are applied to or spread on the skin or introduced into body cavities. Gels are prepared by treating solutions which have been prepared as described in the case of the injection solutions with sufficient thickener that a clear material having an ointment-like consistency results. Suitable thickeners are known in the art.

Pour-on formulations are poured or sprayed onto limited areas of the skin, the active compound penetrating the skin and acting systemically. Pour-on formulations are prepared by dissolving, suspending or emulsifying the active compound in suitable skin-compatible solvents or solvent mixtures. If appropriate, other auxiliaries such as colorants, bioabsorption-promoting substances, antioxidants, light stabilizers, adhesives are added. Suitable such auxiliaries are known in the art.

Emulsions can be administered orally, dermally or as injections. Emulsions are either of the water-in-oil type or of the oil-in-water type. They are prepared by dissolving the active compound either in the hydrophobic or in the hydrophilic phase and homogenizing this with the solvent of the other phase with the aid of suitable emulsifiers and, if appropriate, other auxiliaries such as colorants, absorption-promoting substances, preservatives, antioxidants,
 light stabilizers, viscosity-enhancing substances. Suitable hydrophobic phases (oils), suitable hydrophilic phases, suitable emulsifiers, and suitable further auxiliaries for emulsions are known in the art.

Suspensions can be administered orally or topically/dermally. They are prepared by suspending the active compound in a suspending agent, if appropriate with addition of other auxiliaries such as wetting agents, colorants, bioabsorption-promoting substances, preservatives, antioxidants, light stabilizers. Suitable suspending agents, and suitable other auxiliaries for suspensions including wetting agents are known in the art.

Semi-solid preparations can be administered orally or topically/dermally. They differ from the suspensions and emulsions described above only by their higher viscosity.

For the production of solid preparations, the active compound is mixed with suitable excipients, if appropriate with addition of auxiliaries, and brought into the desired form. Suitable auxiliaries for this purpose are known in the art.

The compositions which can be used in the invention can comprise generally from about 0.001 to 95% of the compound of the invention.

Ready-to-use preparations contain the compounds acting against parasites, preferably ectoparasites, in concentrations of 10 ppm to 80 per cent by weight, preferably from 0.1 to 65 per cent by weight, more preferably from 1 to 50 per cent by weight, most preferably from 5 to 40 per cent by weight.

WO 2021/013561 113 PCT/EP2020/069378

Preparations which are diluted before use contain the compounds acting against ectoparasites in concentrations of 0.5 to 90 per cent by weight, preferably of 1 to 50 per cent by weight.

Furthermore, the preparations comprise the compounds of formula I against endoparasites in concentrations of 10 ppm to 2 per cent by weight, preferably of 0.05 to 0.9 per cent by weight, very particularly preferably of 0.005 to 0.25 per cent by weight.

Topical application may be conducted with compound-containing shaped articles such as collars, medallions, ear tags, bands for fixing at body parts, and adhesive strips and foils.

Generally it is favorable to apply solid formulations which release compounds of the invention in total amounts of 10 mg/kg to 300 mg/kg, preferably 20 mg/kg to 200 mg/kg, most preferably 25 mg/kg to 160 mg/kg body weight of the treated animal in the course of three weeks.

Examples:

5

10

15

25

30

35

40

Preparation examples:

Compounds can be characterized e.g. by coupled High Performance Liquid Chromatography / mass spectrometry (HPLC/MS), by 1H-NMR and/or by their melting points.

Analytical HPLC – Method 1: Agilent Eclipse Plus C18, 50 X 4,6 mm, ID 5 μ m; Elution: A = 10 mM Amm. Formate (0.1 % Formic Acid), B = Acetonitrile (0.1 % Formic Acid), Flow = 1.2 ml/min. at 30 °C; Gradient: 10 % B to 100 % B – 3 min, hold for 1 min, 1 min - 10% B. Run Time = 5.01 min.

Analytical HPLC - Method 2: Kinetex XB C18 1,7 μ 50 x 2,1mm; A = Water + 0.1 % TFA, B = Acetonitrile, Flow = 0.8 ml/min – 1.0 ml/min in 1.5 min. at 60°C; Gradient: 5 % B to 100 % B – 1.5 min.

1H-NMR: The signals are characterized by chemical shift (ppm, δ [delta]) vs. tetramethylsilane respectively, CDCl3 for 13C-NMR, by their multiplicity and by their integral (relative number of hydrogen atoms given). The following abbreviations are used to characterize the multiplicity of the signals: m = multiplet, q = quartet, t = triplet, d = doublet and s = singlet.

Abbreviations used are: d for day(s), h for hour(s), min for minute(s), r.t./room temperature for 20 – 25 °C, Rt for retention time; DMSO for dimethyl sulfoxide, OAc for acetate, EtOAc for ethyl acetate, THF for tetrahydrofuran, DMF for N,N-Dimethylformamide, ACN for acetonitrile, DCM for dichloromethane, TEA for triethylamine and t-BuOH for tert-butanol.

Example C-1:

Compound: C-1

Synthesis of N-[1-[2-fluoro-4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide

Step 1: Synthesis of 3-methyl-1H-pyrazol-4-amine:

To a stirred solution of 3-methyl-4-nitro pyrazole (5 g) in IPA (40 mL) and methanol (40 mL) mixture were added bispinacolato diboron (30.0 g) and potassium tert-butoxide (5.3 g) at RT. The whole reaction mixture was heated at 110 °C for 3 h. The progress of the reaction was monitored by GCMS analysis. The reaction mixture was filtered through celite and the filtrate was concentrated under reduced pressure to get the desired product as brown solid (3.8 g). GC/MS: Rt: 4.07 min; m / z = 97 (M).

Step 2: Synthesis of N-(3-methyl-1H-pyrazol-4-yl)-4-(trifluoromethoxy) benzamide:

WO 2021/013561 114 PCT/EP2020/069378

To a stirred solution of 3-methyl 4-amino pyrazole (2.9 g) in dry THF (55 mL) was added triethyl amine (14.14 mL) followed by slow addition of 4-trifluoromethoxy benzoyl chloride (7.85 g) at 0 °C. The reaction mixture was stirred at RT for 3 h. The progress of the reaction was monitored by TLC. The reaction mixture was diluted with water (200 mL) then extracted with ethyl acetate (100 mL X 2). The organic layers were dried over sodium sulphate and concentrated under reduced pressure to obtain the desired product as brown solid (8.0 g). 1 H NMR (300 MHz, DMSO- d_6) δ 12.43 (d, J = 12.0 Hz, 1H), 9.81 (s, 1H), 8.15 – 7.99 (m, 2H), 7.88 (s, 1H), 7.61 – 7.40 (m, 2H), 2.18 (s, 3H).

Step 3: Synthesis of N-[1-(2-fluoro-4-formyl-phenyl)-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide:

To a stirred solution of N-(3-methyl-1H-pyrazol-4-yl)-4-(trifluoromethoxy) benzamide (1.2 g) in dry DMF (20 mL) were added potassium carbonate (0.872 g) and 3, 4-fluoro benzaldehyde (0.75 g) at RT. The reaction mixture was heated at 145 °C for 6 h. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (100 mL) then extracted with ethyl acetate (100 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the crude product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent to offer the desired product as off-white solid (0.80 g). HPLC/MS (method 1): Rt: 1.93 min; m / z = 408 (M+1)⁺; 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.16 (s, 1H), 10,00 (s, 1H), 8.65 (d, J = 3.0 Hz, 1H), 8.15 – 8.08 (m, 3H), 7.97 – 7.89 (m, 3H), 7.55 (d, J = 8.5 Hz, 2H), 2.37 (s, 3H).

Step 4: N-[1-[2-fluoro-4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide:

To a stirred solution of N-[1-(2-fluoro-4-formyl-phenyl)-3-methyl-pyrazol-4-yl]-4- (trifluoromethoxy) benzamide (0.45 g) in acetic acid (6 mL) was added 1-amino-3-(2-isopropylphenyl) thiourea (0.230 g) at RT. The reaction mixture was stirred at RT for 4 h.The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (100 mL) and neutralized with solid sodium bicarbonate then exctrated with ethyl acetate (100 mL X 2). The combined organic layers were dried over sodium sulfate and concentrated under reduced pressure to get the desired product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent to afford the desired product as yellow solid (0.62 g). HPLC/MS (method 1): Rt: 2.101 min; m / z = 599 (M+1)⁺. 1 H NMR (500 MHz, DMSO- d_6) δ 11.90 (s, 1H), 10.15 (s, 1H), 10.10 (s, 1H), 8.54 (d, J = 2.9 Hz, 1H), 8.29 (dd, J = 13.7, 1.7 Hz, 1H), 8.15 (s, 1H), 8.12 – 8.05 (m, 2H), 7.88 (t, J = 8.3 Hz, 1H), 7.69 (dd, J = 8.5, 1.8 Hz, 1H), 7.58 – 7.49 (m, 2H), 7.38 (dd, J = 7.9, 1.6 Hz, 1H), 7.32 (td, J = 7.5, 1.5 Hz, 1H), 7.24 (td, J = 7.5, 1.6 Hz, 1H), 7.19 (dd, J = 7.8, 1.5 Hz, 1H), 3.14 (p, J = 6.9 Hz, 1H), 2.34 (s, 3H), 1.20 (d, J = 6.9 Hz, 6H).

Compound: C-2

5

10

15

20

25

30

35

40

Synthesis of N-[1-[2-fluoro-4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene]hydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide:

To a stirred solution of N-[1-[2-fluoro-4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono] methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide (0.44 g) in ethanol (6 mL) were added sodium acetate (0.12 g) and methyl bromoacetate (0.27 mL) at RT. The mixture was heated at 50 °C for 12 h. The progress of the reaction was monitored by LCMS analysis.

WO 2021/013561 115 PCT/EP2020/069378

The reaction mixture was diluted with water (100 mL) then extracted with ethyl acetate (50 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the crude product. The crude product was purified by trituration using diethyl ether and heptane as eluent to afford the desired product as yellow solid (0.41 g).

HPLC/MS (method 1): Rt: 2.101 min; m / z = 637 (M-1)⁺. 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.12 (s, 1H), 8.55 (d, J = 2.9 Hz, 1H), 8.37 (s, 1H), 8.16 – 8.03 (m, 2H), 7.95 (t, J = 8.3 Hz, 1H), 7.81 – 7.63 (m, 2H), 7.57 – 7.52 (m, 2H), 7.49 (ddd, J = 15.0, 8.6, 1.6 Hz, 2H), 7.34 (td, J = 7.5, 1.7 Hz, 1H), 7.27 (dd, J = 7.8, 1.4 Hz, 1H), 4.28 (d, J = 17.4 Hz, 1H), 4.16 (d, J = 17.3 Hz, 1H), 2.80 (p, J = 6.8 Hz, 1H), 2.34 (s, 3H), 1.15 (dd, J = 11.2, 6.8 Hz, 6H).

Compound: C-3

5

10

15

20

25

40

Synthesis of N-[1-[2-fluoro-4-[(E)-[(2Z)-2-(2-isopropylphenyl)imino-4-oxo-thiazolidin-3-yl]iminomethyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide:

To a stirred solution of N-[1-(2-fluoro-4-formyl-phenyl)-3-methyl-pyrazol-4-yl]-4- (trifluoromethoxy)benzamide (0.21 g) in acetic acid (5 mL) was added (2Z)-3-amino-2-(2-isopropylphenyl) imino-thiazolidin-4-oneat (0.129 g) RT and the reaction mixture was stirred at RT for 12 h. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (100 mL) then neutralized with solid sodium bicarbonate, the crude product was extracted with ethyl acetate (50 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the crude product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent to afford the desired product as yellow solid (0.06 g). HPLC/MS (method 1): Rt: 2.144 min; m / z = 639 (M+1)⁺; 1 H NMR (300 MHz, DMSO- d_6) δ 10.14 (s, 1H), 9.20 (s, 1H), 8.62 (d, J = 3.1 Hz, 1H), 8.07 (dd, J = 11.5, 8.5 Hz, 3H), 8.01 – 7.83 (m, 2H), 7.54 (d, J = 8.3 Hz, 2H), 7.31 (d, J = 7.5 Hz, 1H), 7.23 – 7.06 (m, 2H), 6.87 (dd, J = 7.7, 1.5 Hz, 1H), 4.16 (s, 2H), 3.10 – 2.87 (m, 1H), 2.36 (s, 3H), 1.15 (d, J = 6.9 Hz, 6H).

Compound: C-4

Synthesis of N-[1-[4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide:

Step 1: Synthesis of 3-methyl-1H-pyrazol-4-amine:

To a stirred solution of 3-methyl-4-nitro pyrazole (5.0 g) in IPA (40 mL) and methanol (40 mL) mixture were added bispinacolato diboron (30.0 g) and potassium tert-butoxide (5.3 g) at RT. The whole reaction mixture was heated at 110 °C for 3 h. The progress of the reaction was monitored by GCMS analysis. The reaction mixture was filtered through celite and the filtrate was concentrated under reduced pressure to get the desired product as brown solid (3.8 g).

GC/MS: Rt: 4.07 min; m / z = 97 (M).

Step 2: Synthesis of N-(3-methyl-1H-pyrazol-4-yl)-4-(trifluoromethoxy) benzamide:

To a stirred solution of 3-methyl 4-amino pyrazole (2.9 g) in dry THF (55 mL) was added triethyl amine (14.14 mL) followed by slow addition of 4-trifluoromethoxy benzoyl chloride (7.85 g) at 0 °C. The reaction mixture was stirred at RT for 3 h. The progress of the reaction was monitored by TLC. The reaction mixture was diluted with water (200 mL) then extracted with ethyl acetate (100 mL X 2). The organic layers were dried over sodium sulphate and concentrated under reduced pressure to obtain the desired product as brown solid (8.0 g). ¹H

NMR (300 MHz, DMSO- d_6) δ 12.43 (d, J = 12.0 Hz, 1H), 9.81 (s, 1H), 8.15 – 7.99 (m, 2H), 7.88 (s, 1H), 7.61 - 7.40 (m, 2H), 2.18 (s, 3H).

Step 3: Synthesis of N-[1-(4-formylphenyl)-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide:

To a stirred solution of N-(3-methyl-1H-pyrazol-4-yl)-4-(trifluoromethoxy) benzamide (0.6 g) in dry DMF (10 mL) were added potassium carbonate (0.582 g) and 4-fluoro benzaldehyde (0.3 g) at RT. The reaction mixture was heated at 125 °C for 24 h. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (50 mL) then extracted with ethyl acetate (50 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the crude product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent to offer the desired product as off-white solid (0.43 g). HPLC/MS (method 1): Rt: 1.867 min; m / z = 390 $(M+1)^+$; ¹H NMR (300 MHz, DMSO- d_6) δ 10.14 (s, 1H), 9.99 (s, 1H), 8.84 (s, 1H), 8.13 – 8.07 (m, 2H), 8.07 - 7.96 (m, 4H), 7.59 - 7.51 (m, 2H), 2.34 (s, 3H).

15 Step 4: Synthesis of N-[1-[4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide:

To a stirred solution of N-[1-(4-formylphenyl)pyrazol-4-yl]-4-(trifluoromethoxy) benzamide (0.43 g) in acetic acid (6 mL) was added 1-amino-3-(2-isopropylphenyl) thiourea (0.231 g) at RT. The reaction mixture was stirred at RT for 5 h.The progress of the reaction was monitored by LCMS analysis. The reaction mixure was diluted with water (100 mL) and neutralized with solid sodium bicarbonate then exctrated with ethyl acetate (100 mL X 2). The combined organic layers were dried over sodium sulfate and concentrated under reduced pressure to get the desired product. The crude product was purified by column chromatography using DCM and methanol as eluent to afford the desired product as yellow solid (0.630 g). HPLC/MS (method 1): Rt: 2.059 min; m / z = 581 (M+1)⁺; ¹H NMR (300 MHz, DMSO- d_6) δ 11.79 (s, 1H), 10.07 (d, J = 11.0 Hz, 2H), 8.76 (s, 1H), 8.16 (s, 1H), 8.13 - 8.05 (m, 2H), 8.00 (d, J = 8.7 Hz, 2H), 7.85 (d, J = 8.7Hz, 2H), 7.60 - 7.48 (m, 2H), 7.41 - 7.33 (m, 1H), 7.33 - 7.24 (m, 1H), 7.24 - 7.18 (m, 2H), 3.24 - 2.88 (m, 1H), 2.31 (s, 3H), 1.19 (d, J = 6.8 Hz, 6H).

Compound: C-5

5

10

20

25

30

35

40

Step 1: Synthesis of N-[1-[4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2ylidene]hydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide: To a stirred solution of N-[1-[4-[(E)-[(2-isopropylphenyl) carbamothioylhydrazono]methyl] phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide (0.320 g) in ethanol (5 mL) were added sodium acetate (0.09 g) and methyl bromoacetate (0.2 mL) at RT. The mixture was heated at 45 °C for 3 h. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (50 mL) then extracted with ethyl acetate (50 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the crude product. The crude product was purified by trituration using diethyl ether and heptane as eluent to afford the desired product as beige solid (0.3 g). HPLC/MS (method 1): Rt: 2.165 min; m / z = 621 (M+1)⁺; ¹H NMR (300 MHz, DMSO- d_6) δ 10.10 (s, 1H), 8.74 (s, 1H), 8.34 (s, 1H), 8.15 - 8.04 (m, 2H), 7.89 (d, J = 8.8 Hz, 2H), 7.82 (d, J = 8.8 Hz, 2H), 7.61 - 7.42 (m, 4H), 7.34 (td, J = 7.3, 6.7, 1.9 Hz, 1H), 7.29 - 7.21 (m, 1H), 4.33 - 4.02 (m, 2H), 2.78 (q, J = 6.8 Hz, 1H), 2.32 (s, 3H), 1.15 (t, J = 7.2 Hz, 6H).

Compound: C-6

5

10

15

20

25

Synthesis of [(2S,3R,4R,5S,6S)-3,4,5-trimethoxy-6-methyl-tetrahydropyran-2-yl] N-[4-[3-methyl-4-[[4-(trifluoromethoxy) benzoyl]amino] pyrazol-1-yl]phenyl] carbamate:

Step 1: Synthesis of N-[3-methyl-1-(4-nitrophenyl)pyrazol-4-yl]-4-(trifluoromethoxy)benzamide To a stirred solution of N-(3-methyl-1H-pyrazol-4-yl)-4-(trifluoromethoxy) benzamide (0.3 g) in dry DMF (4 mL) were added potassium carbonate (0.306 g) and 1-fluoro-4-nitro-benzene (0.190 g) at RT. The reaction mixture was heated at 125 $^{\circ}$ C for 24 h. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (100 mL) then extracted with ethyl acetate (50 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the crude product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent to obtain the title compound as brown solid (0.210 g). HPLC/MS (method 1): Rt: 2.016 min; m / z = 405 (M-1)+; 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.15 (s, 1H), 8.88 (s, 1H), 8.36 – 8.27 (m, 2H), 8.13 – 8.03 (m, 4H), 7.55 (d, J = 8.3 Hz, 2H), 2.35 (s, 3H).

Step 2: Synthesis of N-[1-(4-aminophenyl)-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide:

To a stirred solution of N-[3-methyl-1-(4-nitrophenyl)pyrazol-4-yl]-4-(trifluoromethoxy) benzamide (1.13 g) in ethyl acetate (40 mL) was added tin chloride dihydrate (1.85 g) at RT. The whole mixture was heated at 85 $^{\circ}$ C for 24 h. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (200 mL) and quenched with saturated lithium hydroxide solution to make the pH 7, then ethyl acetate (200 mL) was added into the reaction mixture. The reaction mixture was filtered through celite. The layers were separated, the organic layer was dried over sodium sulphate and concentrated under reduced pressure to get the product as pale-brown solid (1.0 g). HPLC/MS (method 1): Rt: 1.709 min; m / z = 375 (M-1)+; 1 H NMR (500 MHz, DMSO- d_{6}) δ 9.96 (s, 1H), 8.35 (s, 1H), 8.08 (d, J = 8.6 Hz, 2H), 7.53 (d, J = 8.3 Hz, 2H), 7.39 (d, J = 8.6 Hz, 2H), 6.65 – 6.56 (m, 2H), 5.17 (s, 2H), 2.25 (s, 3H).

Step 3: Synthesis of (4-nitrophenyl) N-[4-[3-methyl-4-[[4-(trifluoromethoxy)benzoyl]amino]pyrazol-1-yl]phenyl]carbamate:

To a stirred solution of N-[1-(4-aminophenyl)-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide (0.5 g) in dry THF (10 mL) was added *p*-nitro phenyl chloroformate (0.402 g) at 0 °C. The whole mixture was stirred at RT for 2 h. The progress of the reaction was monitored by LCMS analysis. The excess THF solvent was removed by purging nitrogen gas, then heptane (15 mL) was added into the crude solid and stirred for 15 minutes. The solid was filtered and dried under high vacuum to offer the product as pale grey solid. HPLC/MS (method 1): Rt: 1.963 min; m / z = 540 (M-1)⁺;

Step 4: Synthesis of [(2S,3R,4R,5S,6S)-3,4,5-trimethoxy-6-methyl-tetrahydropyran-2-yl] N-[4-[3-methyl-4-[[4-(trifluoromethoxy)benzoyl]amino]pyrazol-1-yl]phenyl] carbamate:

To a stirred solution of (4-nitrophenyl) N-[4-[3-methyl-4-[[4-

(trifluoromethoxy)benzoyl]amino]pyrazol-1-yl] phenyl] carbamate (0.7 g) in dry acetonitrile (15 mL) were successively added potassium phosphate (0.685 g), DIPEA (0.417 g) and then rhamnose at 0 °C. The reaction mixture was stirred at RT for overnight. The progress of the reaction was monitored by LCMS analysis. The reaction mixture was diluted with water (250

mL) then extracted with ethyl acetate (250 mL X 2), the organic layers were dried over sodium sulphate and concentrate under reduced pressure to get the crude product. The crude product was purified by preparative-HPLC to obtain the desired product as pale-orange solid (0.10 g). HPLC/MS (method 1): Rt: 1.899 min; m / z = 609 (M+1)⁺; 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.03 (s, 1H), 9.93 (s, 1H), 8.58 (s, 1H), 8.13 – 8.02 (m, 2H), 7.73 (d, J = 8.8 Hz, 2H), 7.56 (dd, J = 14.1, 8.2 Hz, 4H), 5.97 (d, J = 2.2 Hz, 1H), 3.76 (t, J = 2.7 Hz, 1H), 3.61 (d, J = 25.1 Hz, 1H), 3.51 (d, J = 9.1 Hz, 1H), 3.45 (d, J = 9.5 Hz, 6H), 3.40 (s, 3H), 3.07 (t, J = 9.3 Hz, 1H), 2.29 (s, 3H), 1.19 (d, J = 6.2 Hz, 3H).

Compound: C-7

5

15

Synthesis of N-[1-[4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]pyrazol-4-yl]-4-(trifluoromethoxy)benzamide was achieved following previous method.

HPLC/MS (method 1): Rt: 2.101 min; m / z = 667 (M+1)⁺; ¹H NMR (300 MHz, DMSO- d_6) δ 11.81 (s, 1H), 10.80 (s, 1H), 10.07 (s, 1H), 8.80 (s, 1H), 8.21 – 8.07 (m, 3H), 8.03 (d, J = 8.6 Hz, 2H), 7.97 – 7.84 (m, 3H), 7.62 – 7.49 (m, 2H), 7.41 – 7.34 (m, 1H), 7.34 – 7.24 (m, 1H), 7.24 – 7.12 (m, 2H), 3.23 – 3.04 (m, 1H), 1.19 (d, J = 6.8 Hz, 6H).

Compound: C-8

Synthesis of N-[1-[4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene]hydrazono]methyl]phenyl]pyrazol-4-yl]-4-(trifluoromethoxy) benzamide was achieved following the previous method.

20 HPLC/MS (method 1): Rt: 2.176 min; m / z = 607 (M+1) $^+$.1H NMR (300 MHz, DMSO- d_6) δ 10.82 (s, 1H), 8.78 (s, 1H), 8.36 (s, 1H), 8.16 – 8.04 (m, 2H), 7.99 – 7.89 (m, 3H), 7.85 (d, J = 8.7 Hz, 2H), 7.57 (d, J = 8.3 Hz, 2H), 7.53 – 7.42 (m, 2H), 7.34 (td, J = 7.3, 6.8, 1.9 Hz, 1H), 7.27 (dd, J = 7.7, 1.5 Hz, 1H), 4.34 – 4.04 (m, 3H), 2.79 (p, J = 6.8 Hz, 1H), 1.15 (t, J = 7.3 Hz, 6H).

25 Compound: C-9

Synthesis of N-[1-[4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]-2-methyl-phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide was achieved as described before.

HPLC/MS (method 1): Rt: 2.069 min; m / z = 595 (M+1)⁺; ¹H NMR (500 MHz, DMSO- d_6) δ 11.84 (s, 1H), 10.03 (d, J = 3.6 Hz, 2H), 8.28 (s, 1H), 8.16 (s, 1H), 8.12 – 8.05 (m, 2H), 7.95 – 7.82 (m, 2H), 7.58 – 7.50 (m, 2H), 7.41 (d, J = 8.2 Hz, 1H), 7.39 – 7.35 (m, 1H), 7.31 (m, J = 7.9 Hz, 1H), 7.23 (dd, J = 5.8, 1.8 Hz, 2H), 3.20 – 3.05 (m, 1H), 2.36 (s, 3H), 2.30 (s, 3H), 1.20 (d, J = 6.9 Hz, 6H).

Compound: C-10

Synthesis of N-[1-[4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene]hydrazono]methyl]-2-methyl-phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide was achieved as described before.

HPLC/MS (method 1): Rt: 2.144 min; m / z = 635 (M+1)⁺; ¹H NMR (300 MHz, DMSO- d_6) δ 10.03 (s, 1H), 8.31 (d, J = 15.3 Hz, 2H), 8.13 – 8.02 (m, 2H), 7.70 (d, J = 7.8 Hz, 2H), 7.58 –

40 7.42 (m, 6H), 7.34 (td, J = 7.4, 6.7, 1.9 Hz, 1H), 7.27 (dd, J = 7.7, 1.4 Hz, 1H), 4.43 – 4.01 (m, 2H), 2.87 – 2.70 (m, 1H), 2.32 (d, J = 16.3 Hz, 6H), 1.15 (t, J = 7.3 Hz, 6H).

Compound: C-11

Synthesis of N-[1-[4-[(E)-[(2Z)-2-(2-isopropylphenyl)imino-4-oxo-thiazolidin-3-yl]iminomethyl]-2-methyl-phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy)benzamide was achieved as described before.

HPLC/MS (method 1): Rt: 2.176 min; m / z = 633 (M-1)*. 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.06 (s, 1H), 9.13 (s, 1H), 8.36 (s, 1H), 8.13 – 8.05 (m, 2H), 7.92 (d, J = 2.0 Hz, 1H), 7.87 (dd, J = 8.2, 2.0 Hz, 1H), 7.61 – 7.51 (m, 3H), 7.32 (dd, J = 7.7, 1.6 Hz, 1H), 7.19 (td, J = 7.5, 1.6 Hz, 1H), 7.12 (td, J = 7.5, 1.5 Hz, 1H), 6.87 (dd, J = 7.7, 1.4 Hz, 1H), 4.16 (s, 2H), 3.01 (p, J = 6.9 Hz, 1H), 2.43 (s, 3H), 2.32 (s, 3H), 1.15 (d, J = 6.9 Hz, 6H).

Compound: C-12

5

20

30

35

Synthesis of N-[1-[2-chloro-4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide was achieved as described before. HPLC/MS (method 1): Rt: 2.133 min; m / z = 615 (M+1) $^+$; 1 H NMR (500 MHz, DMSO- d_6) δ 11.93 (s, 1H), 10.17 (s, 1H), 10.08 (s, 1H), 8.47 (s, 1H), 8.38 (d, J = 1.8 Hz, 1H), 8.16 (d, J = 1.0 Hz, 1H), 8.11 – 8.02 (m, 2H), 7.90 (dd, J = 8.3, 1.9 Hz, 1H), 7.64 (d, J = 8.3 Hz, 1H), 7.59 – 7.49 (m, 2H), 7.38 (dd, J = 7.9, 1.6 Hz, 1H), 7.32 (td, J = 7.4, 1.6 Hz, 1H), 7.28 – 7.14 (m, 2H), 3.14 (p, J = 6.9 Hz, 1H), 2.32 (s, 3H), 1.20 (d, J = 6.9 Hz, 6H).

Compound: C-13

Synthesis of N-[1-[2-chloro-4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene]hydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide was achieved as described before.

HPLC/MS (method 1): Rt: 2.19 min; m / z = 654 (M-1)⁺; ¹H NMR (300 MHz, DMSO- d_6) δ 10.09 (s, 1H), 8.44 (d, J = 8.4 Hz, 2H), 8.08 (d, J = 8.6 Hz, 2H), 7.95 (d, J = 1.8 Hz, 1H), 7.85 (dd, J = 8.5, 1.7 Hz, 1H), 7.71 (d, J = 8.4 Hz, 1H), 7.59 – 7.40 (m, 4H), 7.40 – 7.20 (m, 2H), 4.37 – 4.04 (m, 2H), 2.87 – 2.66 (m, 1H), 2.31 (s, 3H), 1.15 (t, J = 7.0 Hz, 6H).

25 Compound: C-14

Synthesis of N-[1-[2-chloro-4-[(E)-[(2Z)-2-(2-isopropylphenyl)imino-4-oxo-thiazolidin-3-yl]iminomethyl]phenyl]-3-methyl-pyrazol-4-yl]-4-(trifluoromethoxy) benzamide was achieved following previous method.

HPLC/MS (method 1): Rt: 2.25 min; m / z = 654 (M-1)*. 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.21 (s, 1H), 8.49 (s, 1H), 8.39 (s, 1H), 8.13 – 8.07 (m, 2H), 7.95 (d, J = 1.8 Hz, 1H), 7.85 (dd, J = 8.4, 1.8 Hz, 1H), 7.71 (d, J = 8.4 Hz, 1H), 7.56 – 7.44 (m, 4H), 7.34 (td, J = 7.5, 1.7 Hz, 1H), 7.28 (dd, J = 7.9, 1.4 Hz, 1H), 4.28 (d, J = 17.3 Hz, 1H), 4.16 (d, J = 17.3 Hz, 1H), 2.80 (m, J = 6.9 Hz, 1H), 2.32 (s, 3H),1.16 (dd, J = 12.0, 6.8 Hz, 6H).

Compound: C-15

Synthesis of N-[1-[4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene]hydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-3-(trifluoromethoxy) benzamide was achieved following previous method.

HPLC/MS (method 1): Rt: 2.09 min; m / z = 619 (M-1)⁺. 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.14 (s, 1H), 8.74 (s, 1H), 8.34 (s, 1H), 8.04 (dt, J = 7.8, 1.3 Hz, 1H), 7.95 – 7.86 (m, 3H), 7.86 – 7.80 (m, 2H), 7.71 (t, J = 8.0 Hz, 1H), 7.63 (m, J = 8.2 Hz, 1H),7.51 – 7.44 (m, 2H), 7.34 (td, J = 7.5, 1.7 Hz, 1H), 7.27 (dd, J = 7.8, 1.4 Hz, 1H), 4.26 (d, J = 17.2 Hz, 1H), 4.15 (d, J = 17.2 Hz, 1H), 2.85 – 2.72 (m, 1H), 2.32 (s, 3H), 1.16 (dd, J = 12.8, 6.9 Hz, 6H).

Compound: C-16

Synthesis of 2,2-difluoro-N-[1-[4-[(E)-[(2-

isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-1,3-benzodioxole-5-carboxamide was achieved following previous method.

HPLC/MS (method 1): Rt: 2.114 min; m / z = 575 (M-1)*. 1 H NMR (300 MHz, DMSO- d_{6}) δ 11.78 (s, 1H), 10.02 (d, J = 11.3 Hz, 2H), 8.75 (s, 1H), 8.16 (s, 1H), 8.08 – 7.94 (m, 3H), 7.94 – 7.78 (m, 3H), 7.60 (d, J = 8.4 Hz, 1H), 7.41 – 7.32 (m, 1H), 7.30 (q, J = 4.8, 4.1 Hz, 1H), 7.27 – 7.16 (m, 2H), 3.14 (p, J = 6.9 Hz, 1H), 2.31 (s, 3H), 1.19 (d, J = 6.8 Hz, 6H).

Compound: C-17

5

10

15

20

25

30

35

40

Synthesis of 2,2-difluoro-N-[1-[4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene]hydrazono]methyl]phenyl]-3-methyl-pyrazol-4-yl]-1,3-benzodioxole-5-carboxamide was achieved following previous method.

HPLC/MS (method 1): Rt: 2.069 min; m / z = 615 (M-1) $^{+}$. 1 H NMR (500 MHz, DMSO- d_{6}) δ 10.02 (s, 1H), 8.73 (s, 1H), 8.34 (s, 1H), 8.00 (d, J = 1.6 Hz, 1H), 7.94 – 7.86 (m, 3H), 7.83 (d, J = 8.5 Hz, 2H), 7.60 (d, J = 8.4 Hz, 1H), 7.55 – 7.44 (m, 2H), 7.34 (td, J = 7.5, 1.7 Hz, 1H), 7.27 (dd, J = 7.9, 1.4 Hz, 1H), 4.26 (d, J = 17.3 Hz, 1H), 4.15 (d, J = 17.3 Hz, 1H), 2.80 (m, J = 7.1 Hz, 1H), 2.32 (s, 3H), 1.25 – 1.12 (m, 6H).

Compound: C-18

Synthesis of 1-[4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy) phenyl]pyrazole-4-carboxamide:

Step 1: Synthesis of 3-methyl-N-[4-(trifluoromethoxy)phenyl]-1H-pyrazole-4-carboxamide: To a stirred solution of 5-methyl-1H-pyrazole-4-carboxylic acid (1.0 g) in DMF (25 mL) were added 4-(trifluoromethoxy) aniline (1.4 g), HATU (3.9 g) and triethyl amine (1.6 g) at RT. The whole mixture was heated at 90 °C for 12 h. The progress of the reaction was monitored by LCMS. After completion of the reaction, the reaction mixture was diluted with water (150 mL) then extracted with ethyl acetate (100 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to get the product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent. HPLC/MS (method 1): Rt: 1.632 min; m / z = 284 (M-1)⁺. 1 H NMR (500 MHz, DMSO- d_6) δ 13.00 (s, 1H), 9.83 (s, 1H), 8.23 (d, J = 73.3 Hz, 1H), 7.82 (d, J = 8.7 Hz, 2H), 7.32 (d, J = 8.5 Hz, 2H), 2.45 (s, 3H).

Step 2: Synthesis of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl]pyrazole-4-carboxamide:

To a stirred solution of 3-methyl-N-[4-(trifluoromethoxy) phenyl]-1H-pyrazole-4-carboxamide (0.580 g) in dry DMF (10 mL) were added potassium carbonate (0.843 g) and 4-fluoro benzaldehyde (0.379 g) at RT. The reaction mixture was heated at 140 °C for 5 h and progress of the reaction was monitored by LCMS analysis. After completion of the reaction, the reaction mixture was poured into water (50 mL) then extracted with ethyl acetate (50 mL X 2). The combined organic layers were dried over sodium sulphate then concentrated under reduced pressure to get the crude product. The crude was purified by column chromatography using ethyl acetate and heptane as eluent to afford the desired product as off-white solid (0.425 g). HPLC/MS (method 1): Rt: 2.027 min; m / z = 388 (M-1) $^+$. 1 H NMR (500 MHz, CDCl₃) $\bar{\delta}$ 10.06 (s, 1H), 8.46 (s, 1H), 8.09 – 7.96 (m, 2H), 7.96 – 7.79 (m, 2H), 7.69 – 7.62 (m, 2H), 7.59 (s, 1H), 7.29 – 7.22 (m, 2H), 2.67 (s, 3H).

WO 2021/013561 121 PCT/EP2020/069378

Step 3: Synthesis of 1-[4-[(E)-[(2-isopropylphenyl)carbamothioylhydrazono]methyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy)phenyl]pyrazole-4-carboxamide:

To a stirred solution of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamide (0.2 g) in acetic acid (2 mL) was added 1-amino-3-(2-isopropylphenyl) thiourea (0.107 g) at RT and the reaction mixture was stirred at RT for 16 h.The progress of the reaction was monitored by LCMS analysis. The reaction mixure was diluted with water (50 mL) then neutralized with solid sodium bicarbonate, the crude product was extracted with ethyl acetate (30 mL X 2). The combined organic layers were dried over sodium sulfate and concentrated under reduced pressure to obtain the crude product. The crude product was then purified by trituration using diethyl ether and heptane as eluent to afford the desired product as white solid (0.250 g). HPLC/MS (method 1): Rt: 2.219 min; m/z = 579 (M-1) $^+$.

¹H NMR (300 MHz, DMSO- d_6) δ 11.83 (s, 1H), 10.06 (d, J = 2.6 Hz, 2H), 9.13 (s, 1H), 8.18 (s, 1H), 8.13 – 8.01 (m, 2H), 7.90 – 7.74 (m, 4H), 7.41 – 7.28 (m, 4H), 7.28 – 7.15 (m, 2H), 3.14 (p, J = 6.8 Hz, 1H), 2.49 (s, 3H), 1.20 (d, J = 6.9 Hz, 6H).

15 <u>Compound: C-19</u>

5

10

20

25

30

35

40

Step 4: Synthesis of 1-[4-[(E)-[(Z)-[3-(2-isopropylphenyl)-4-oxo-thiazolidin-2-ylidene] hydrazono]methyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy) phenyl]pyrazole-4-carboxamide To a stirred solution of 1-[4-[(E)-[(2-isopropylphenyl) carbamothioylhydrazono] methyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy) phenyl]pyrazole-4-carboxamide (0.176 g) in ethanol (5 mL) were added sodium acetate (0.1 g) and methyl bromoacetate (0.185 g) at RT. The reaction mixture was stirred at RT for 12 h. The progress of the reaction was monitored by LCMS analysis. After completion of the reaction, the reaction mixture was diluted with water (50 mL) then extracted with ethyl acetate (30 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to obtain the desired product. The crude product was purified by column chromatography using ethyl acetate and heptane as eluent to afford the desired product as white solid (0.15 g). HPLC/MS (method 1): Rt: 1.94 min; m / z = 619 (M-1)⁺. 1 H NMR (500 MHz, DMSO- d_6) $\bar{\delta}$ 10.10 (s, 1H), 9.11 (s, 1H), 8.37 (s, 1H), 7.90 (s, 4H), 7.86 – 7.74 (m, 2H), 7.54 – 7.41 (m, 2H), 7.41 – 7.30 (m, 3H), 7.27 (dd, J = 7.8, 1.4 Hz, 1H), 4.27 (d, J = 17.3 Hz, 1H), 4.15 (d, J = 17.3 Hz, 1H), 2.80 (p, J = 6.8 Hz, 1H), 2.49 (s, 3H), 1.16 (dd, J = 12.0, 6.8 Hz, 6H).

Compound: C20

Step 5: Synthesis of 1-[4-[(E)-[(2Z)-2-(2-isopropylphenyl)imino-4-oxo-thiazolidin-3-yl]iminomethyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamide

To a stirred solution of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamide (0.179 g) in ethanol (2 mL) and acetic acid (2 mL) mixture was added (2Z)-3-amino-2-(2-isopropylphenyl) imino-thiazolidin-4-one at RT. The reaction mixture was stirred at RT for 12 h. The progress of the reaction was monitored by LCMS analysis. After completion of the reaction, the reaction mixture was diluted with water (50 mL) then neutralized with solid sodium bicarbonate, the crude product was extracted with ethyl acetate (40 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to obtain the crude product. The crude product was then purified by using ethyl acetate and heptane as eluent to afford the desired product as white solid (0.2 g). HPLC/MS (method 1): Rt: 1.94 min; m / z = 621 (M+1)⁺. ¹H NMR (300 MHz, DMSO-d₆) δ 10.11 (s, 1H),

WO 2021/013561 122 PCT/EP2020/069378

9.16 (d, J = 14.3 Hz, 2H), 8.09 (d, J = 8.5 Hz, 2H), 8.00 (d, J = 8.7 Hz, 2H), 7.86 – 7.77 (m, 2H), 7.37 (d, J = 8.6 Hz, 2H), 7.31 (dd, J = 7.4, 1.7 Hz, 1H), 7.18 (td, J = 7.5, 1.7 Hz, 1H), 7.12 (td, J = 7.4, 1.6 Hz, 1H), 6.86 (dd, J = 7.6, 1.6 Hz, 1H), 4.16 (s, 2H), 3.01 (p, J = 6.9 Hz, 1H), 1.15 (d, J = 6.9 Hz, 6H).

5 Compound C-20:

10

15

20

25

30

35

40

Step 5: Synthesis of 1-[4-[(E)-[(2Z)-2-(2-isopropylphenyl)imino-4-oxo-thiazolidin-3-yl]iminomethyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamide

To a stirred solution of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamide (0.179 g) in ethanol (2 mL) and acetic acid (2 mL) mixture was added (2*Z*)-3-amino-2-(2-isopropylphenyl) imino-thiazolidin-4-one at RT. The reaction mixture was stirred at RT for 12 h. The progress of the reaction was monitored by LCMS analysis. After completion of the reaction, the reaction mixture was diluted with water (50 mL) then neutralized with solid sodium bicarbonate, the crude product was extracted with ethyl acetate (40 mL X 2). The combined organic layers were dried over sodium sulphate and concentrated under reduced pressure to obtain the crude product. The crude product was then purified by using ethyl acetate and heptane as eluent to afford the desired product as white solid (0.2 g). HPLC/MS (Method 1): Rt: 1.94 min; m / z = 621 (M+1)⁺; 1 H NMR (300 MHz, DMSO- 1 6) δ 10.11 (s, 1H), 9.16 (d, J = 14.3 Hz, 2H), 8.09 (d, J = 8.5 Hz, 2H), 8.00 (d, J = 8.7 Hz, 2H), 7.86 – 7.77 (m, 2H), 7.37 (d, J = 8.6 Hz, 2H), 7.31 (dd, J = 7.4, 1.7 Hz, 1H), 7.18 (td, J = 7.5, 1.7 Hz, 1H), 7.12 (td, J = 7.4, 1.6 Hz, 1H), 6.86 (dd, J = 7.6, 1.6 Hz, 1H), 4.16 (s, 2H), 3.01 (p, J = 6.9 Hz, 1H), 1.15 (d, J = 6.9 Hz, 6H).

Compound C-52:

Synthesis of 1-(2-isopropylphenyl)-3-[(E)-[4-[3-methyl-4-[N-[4-(trifluoromethoxy)phenyl]carbamimidoyl] pyrazol-1-yl]phenyl] methyleneamino] thiourea **Step 1**: Synthesis of ethyl 1-(4-bromophenyl)-3-methyl-pyrazole-4-carboxylate

To a stirred solution of ethyl 3-methyl-1H-pyrazole-4-carboxylate (12.0 g, 77.92 mmol) in dichloroethane (120 mL) were added copper acetate (15.58 g, 77.92 mmol), pyridine (23.676 g, 299.7 mmol), molecular sieves (10 g) and 4-bromo phenyl boronic acid (15.649 g, 77.92 mmol) at RT and the whole mixture was heated at 60 °C for 12 h. After the reaction was complete, the reaction mixture was filtered through celite bed and the filtrate was concentrated under reduced pressure to get the crude product. The crude was purified by column chromatography using ethyl acetate and heptane as eluent to isolate both, the desired and undesired products (9.8 g). HPLC/MS (Method 1): Rt: 1.63 min; m / $z = 309 \, (M+1)^+$.

Step 2: Synthesis of [1-(4-bromophenyl)-3-methyl-pyrazol-4-yl] methanol

In an oven dried three-neck flask equipped with a stir bar, a solution of ethyl 1-(4-bromophenyl)-3-methyl-pyrazole-4-carboxylate (8.80 g, 28.464 mmol) in dry THF (80 mL) was prepared. The mixture was cooled to -78 $^{\circ}$ C and DIBAL-H (150 mL, 113.9 mmol (1 M solution) was then added dropwise. The reaction mixture stirred maintaining the same temperature for 3h and then slowly allowed to come to RT. After the reaction was complete, reaction was quenched by adding saturated ammonium chloride solution. The resulting mixture was extracted with ethyl acetate (3 x 50 mL), the combined organic layer was washed with brine and dried over sodium sulphate. Solvent evaporation under reduced pressure and purification of the

WO 2021/013561 123 PCT/EP2020/069378

crude by flash chromatography (cyclohexane/AcOEt 9:1) afforded the desired product as solid (7.0 g). HPLC/MS (Method 1): Rt: 1.63 min; m / z = 269 (M+1) $^{+}$.

Step 3: Synthesis of 1-(4-bromophenyl)-3-methyl-pyrazole-4-carbaldehyde

5

10

15

20

25

30

35

40

To a solution of [1-(4-bromophenyl)-3-methyl-pyrazol-4-yl] methanol (6.4 g, 23.96 mmol) in DCM (10 mL) was added Des martin periodinane (12.194 g, 28.751 mmol) and the mixture was stirred at RT for 3h. After the reaction was complete, solvent was evaporated and the crude was purified by column chromatography (silica gel: 100-200 Up mesh, eluent: heptane:EtOAc) to afford the desired product (6.0 g).

Step 4: Synthesis of 1-(4-bromophenyl)-3-methyl-pyrazole-4-carbonitrile

To a stirred solution of 1-(4-bromophenyl)-3-methyl-pyrazole-4-carbaldehyde (5.6 g, 21.12 mmol) in acetonitrile (60 mL) were added triethyl amine (8.532 g, 84.48 mmol) and hydroxylamine hydrochloride (1.761 g, 25.348 mmol) at RT. The reaction mixture was then heated to 65°C for 2 h. After the reaction was complete (intermediate oxime formation), the mixture was cooled to 0°C and triethyl amine (12.798 g, 126.72 mmol) was added followed by drop-wise addition of trifluoroacetic anhydride (8.873 g, 42.25 mmol) at 0°C and the reaction was stirred 12 h allowing the temperature to come to RT. The reaction mixture was poured into an ice-water, solid was formed was filtered and washed with water. The solid was then dissolved in ethyl acetate and the organic layer was washed with water, brine and dried over sodium sulphate. The concentration of the solvent gave crude gummy mass. The crude was taken in cold ethanol and stirred for 20 min when solid was thrown out which was filtered, washed with cold ethanol and dried to afford white solid (5.0 g).

Step 5: Synthesis of 1-(4-bromophenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamidine

To a stirred solution of 2-(4-bromophenyl)-5-methyl-1H-pyrazole-4-carbonitrile (2.30 g, 8.741 mmol) in Dry toluene (30 mL) was added 3-(trifluoromethoxy) aniline (1.548 g, 8.741 mmol). Trimethyl aluminium (8.881 g, 12.238 mmol) was then added dropwise at RT and the whole reaction mixture was heated to 80° C for 12 h. After the reaction was complete, the reaction was quenched with aq. potassium hydroxide solution till basic (pH~10) added water (20 mL) and stirred for 10 min. The reaction mixture was then extracted with ethyl acetate (3 x 30 mL), the combined organic layer was dried over sodium sulphate and concentrated to give the crude solid which was purified by column chromatography (silica gel: 100-200 mesh, eluent: heptane:EtOAc) to get the desired product (2.3 g). HPLC/MS (Method 1): Rt: 2.05 min; m / z = 439 (M+1)⁺.

Step 6: Synthesis of 3-methyl-N-[4-(trifluoromethoxy)phenyl]-1-(4-vinylphenyl)pyrazole-4-carboxamidine

To a stirred solution of tributyl(vinyl) tin (1.993 g, 6.284 mmol) and 1-(4-bromophenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl] pyrazole-4-carboxamidine (2.30 g, 5.236 mmol) in dry dioxane (30 mL) was degassed for 15 minutes with Nitrogen. The palladium reagent [1,1'-bis(diphenylphosphino) ferrocene] dichloropalladium(II) (0.383 g, 0.524 mmol) was then added and the mixture was stirred at 110° C for 5 h. After completion of reaction, reaction mass was cooled to room temperature and diluted with water (200 mL). The reaction mixture was then extracted with ethyl acetate (2 x 100 mL) and combined organic layer was washed with brine and dried over anhydrous sodium sulphate. The solvent was concentrated under reduced

WO 2021/013561 124 PCT/EP2020/069378

pressure to afford the crude which was purified by column chromatography (silica gel: 100-200 mesh, eluent: heptane:EtOAc) to get the desired product (1.5 g). HPLC/MS (Method 1): Rt: 1.97 min; $m/z = 387 (M+1)^+$.

Step 7: Synthesis of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy) phenyl] pyrazole-4-carboxamidine

To a cooled stirred solution of 3-methyl-N-[4-(trifluoromethoxy)phenyl]-1-(4-vinylphenyl)pyrazole-4-carboxamidine (1.55 g, 4.012 mmol) in 1,4 Dioxane:water (1:1, 40 mL) at 10° C was added osmium tetroxide (0.051 g, 0.201 mmol) and stirred for 10 min. Sodium metaperiodate (1.716 g, 8.023 mmol) was then added in portions over 10 min and the reaction mixture was allowed to come to RT. After completion of reaction the reaction mass was diluted with water (100 mL) and extracted with ethyl acetate (3 x 35 mL). The combined organic layer was washed with brine and dried over anhydrous sodium sulphate. The solvent was concentrated under reduced pressure and the crude was purified by column chromatography (silica gel: 100-200 mesh, eluent: heptane:EtOAc) to afford the desired product (0.5 g). HPLC/MS (Method 1): Rt: 1.85 min; m / z = 389 (M+1)⁺.

Step 8: Synthesis of 1-(2-isopropylphenyl)-3-[(E)-[4-[3-methyl-4-[N-[4-(trifluoromethoxy)phenyl] carbamimidoyl] pyrazol-1-yl]phenyl]methyleneamino] thiourea

To a stirred solution of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl]pyrazole-4-carboxamidine (0.336 g, 0.865 mmol) in acetic acid (7 mL) was added 1-amino-3-(2-isopropylphenyl)thiourea (0.180 g, 0.865 mmol) at RT and the whole reaction mixture was stirred for 16 h. After the reaction was complete (TLC) the reaction mixture was diluted with water (60 mL) and neutralized with solid sodium bicarbonate, the crude product was extracted with ethyl acetate (2 x 30 mL). The combined organic layer was washed with brine and dried over anhydrous sodium sulphate and concentrated under reduced pressure to give the crude product which was purified by preparative HPLC to afford the pure desired product (250 mg). HPLC/MS (Method 1): Rt: 2.13 min; m / z = 578 (M-1)⁺; 1 H NMR (500 MHz, DMSO- d_6) δ 11.81 (s, 1H), 10.05 (s, 1H), 8.99 (s, 1H), 8.18 (s, 1H), 8.05 (d, J = 8.3 Hz, 2H), 7.81 (d, J = 8.3 Hz, 2H), 7.40 – 7.35 (m, 1H), 7.31 (ddd, J = 14.1, 7.6, 4.2 Hz, 2H), 7.26 (d, J = 6.8 Hz, 1H), 7.25 – 7.19 (m, 2H), 6.94 (d, J = 8.3 Hz, 2H), 6.20 (s, 1H), 3.33 (s, 3H), 3.14 (h, J = 6.8 Hz, 1H), 1.20 (d, J = 6.9 Hz, 6H).

Compound C-53:

5

10

15

20

25

30

35

40

Synthesis of 1-[4-[(E)-[(2Z)-2-(2-isopropylphenyl)imino-4-oxo-thiazolidin-3-yl]iminomethyl]phenyl]-3-methyl-N-[4-(trifluoromethoxy)phenyl]pyrazole-4-carboxamidine

To a stirred solution of 1-(4-formylphenyl)-3-methyl-N-[4-(trifluoromethoxy)phenyl]pyrazole-4-carboxamidine (0.1 g, 0.258 mmol) in acetic acid (2 mL) was added (2Z)-3-amino-2-(2-isopropylphenyl)imino-thiazolidin-4-one (0.064 g, 0.258 mmol) at RT and the whole reaction mixture was stirred at RT for 5 hours. After the reaction was complete, the reaction mixture was diluted with water (25 mL) and neutralized with solid sodium bicarbonate. The mixture was extracted with ethyl acetate (2 x 15 mL), the combined organic layers was washed with brine and dried over sodium sulphate. Solvent concentrated under reduced pressure afforded a crude which was triturated using diethyl ether and heptane to get the solid (100 mg). HPLC/MS (Method 1): Rt: 2.21 min; m / z = 620 (M+1)⁺; 1 H NMR (500 MHz, DMSO- d_6) δ 9.11 (s, 1H), 9.06 (s, 1H), 8.06 (d, J = 8.3 Hz, 2H), 7.96 (d, J = 8.4 Hz, 2H), 7.38 – 7.23 (m, 3H), 7.19 (td, J = 7.5,

1.6 Hz, 1H), 7.12 (td, J = 7.5, 1.5 Hz, 1H), 6.94 (d, J = 7.5 Hz, 2H), 6.87 (dd, J = 7.8, 1.5 Hz, 1H), 6.23 (s, 1H), 4.16 (s, 3H), 3.01 (p, J = 6.9 Hz, 1H), 1.15 (d, J = 6.9 Hz, 6H).

With appropriate modification of the starting materials, the procedures as described in the preparation examples above were used to obtain further compounds of formula I. The compounds obtained in this manner are listed in the table C, together with physical data wherein i-Pr is iso-propyl group.

Ar
$$Q$$

$$R6$$

$$N$$

$$B^{1}$$

$$B^{2}$$

$$R^{1}$$

$$R^{1}$$

$$R^{1}$$

$$R^{1}$$

$$R^{1}$$

$$R^{1}$$

$$R^{1}$$

$$R^{2}$$

$$R^{1}$$

$$R^{1}$$

$$R^{2}$$

$$R^{1}$$

$$R^{2}$$

$$R^{1}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{3}$$

10 Table C:

5

able C.					
No	Ar-Q	$\begin{array}{c} A \\ N \end{array} \begin{array}{c} B^1 - B^2 \\ B^2 - B^3 \end{array}$	R ¹	HPLC/MS	Rt min
C-1	FY O	N N N N N N N N N N N N N N N N N N N	S N-N H I-Pr	581 (method 1)	2.16
C-2	FY° TH		N-N-N-N-O	621 (Method 1)	2.25
C-3	FY N		**************************************	609 (Method 1)	1.89
C-4	FX N	Len Co	S N-N H i-Pr	567 (Method 1)	2.10
C-5	FX N	KN CM	N-N-N-N-O	609 (Method 1)	2.17
C-6	FX° N		S N-N H i-Pr	599 (Method 1)	2.10

	A O	<i>r</i>		LIDLO/MO	
No	Ar-Q	$\begin{array}{c} A \\ N \\ \end{array} \begin{array}{c} B^1 - B^2 \\ B^4 - B^3 \end{array}$	R ¹	HPLC/MS	Rt min
C-7	FY° CH		N-N-N-N-O	637 (Method 1)	2.10
C-8	FX N		i-Pr N N S	639 (Method 1)	2.14
C-9	FX N		S N-N H /i-Pr	595 (Method 1)	2.06
C-10	FY N		N-N-N-DO	635 (Method 1)	2.14
C-11	FY N		i-Pr NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	633 (Method 1)	2.17
C-12	FY O H		S N-N H i.Pr	614.6 (Method 1)	2.11
C-13	FX° N	J. C.	V _N , N _S , D _I Pr	653.6 (Method 1)	2.19
C-14	FX° NH	N CI	i-Pr N N S	653.6 (Method 1)	2.25
C-15	F F O O		N-N-N-i-Pr	619 (Method 1)	2.09
C-16	F H		S N-N H i-Pr	574.9 (Method 1)	2.11

No	Ar-Q	$\begin{array}{c} A \\ N \\ \end{array}$	R ¹	HPLC/MS	Rt min
C-17	F O O H		N-N-N-N-O	615 (Method 1)	2.07
C-18	FY° DNH	N N N N N N N N N N N N N N N N N N N	N-N-N-N-O	607 (Method 2)	1.42
C-19	F H ON H		N-N-N-N-O	591 (Method 2)	1.39
C-20	F N H		N-N-N-N-O	591 (Method 2)	1.39
C-21	F N N N	N N N N N N N N N N N N N N N N N N N	N-N-N-N-O	607 (Method 2)	1.42
C-22	F		N-N-N-N-O	605 (Method 2)	1.39
C-23	FY NH		S N-N-H H-Pr	580 (Method 1)	2.22
C-24	FY° NH		N-N-N-Pr S-D-O	619 (Method 1)	1.95
C-25	FY NH	N N N N N N N N N N N N N N N N N N N	i-Pr N. N-S	620 (Method 1)	2.30

No	Ar-Q	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	R ¹	HPLC/MS	Rt min
		R6 N B ⁴ -B ³			
C-26	F F N N N H			609 (Method 1)	2.07
C-27	FY N H			637 (Method 1)	1.71
C-28	FX N	N N N	THE OWNER OF THE PROPERTY OF T	618 (Method 2)	1.27
C-29	FY° TY	N N N	HN OO OO	645 (Method 2)	1.36
C-30	F F F	N N N N N N N N N N N N N N N N N N N	S N-N H I-Pr	579 (Method 1)	2.03
C-31	FY N	N N N	N-N H i-Pr	563 (Method 1)	1.98
C-32	FY° CO		S N-N H i-Pr	568 (Method 1)	2.35
C-33	FY°CO		S N-N H I-Pr	566 (Method 1)	2.27
C-34		N N N N N N N N N N N N N N N N N N N	N-N-N-N-O	608 (Method 1)	2.41

No	Ar-Q	$\begin{array}{c} A \\ N \\ \end{array}$	R ¹	HPLC/MS	Rt min
C-35	FY N		S N-N-Pr	605 (Method 1)	2.24
C-36	FY° C		N-N H i-Pr	552 (Method 1)	2.20
C-37	FY N H	N N N N N N N N N N N N N N N N N N N	N-N-N-N-Pr S-DO	605 (Method 1)	2.30
C-38	FX° C		i-Pr N, N	608 (Method 1)	2.29
C-39		N N N N N N N N N N N N N N N N N N N	S N-N H L-Pr	608 (Method 1)	2.28
C-40	FY° NH		N-N H i-Pr	549 (Method 1)	2.21
C-41		N N N N N N N N N N N N N N N N N N N	N-N-N-N-O	607 (Method 1)	2.33
C-42	FY° NH		i-Pr N N S	607 (Method 1)	2.38
C-43		N N N N N N N N N N N N N N N N N N N	YN O O	595 (Method 1)	1.98

No	Ar-Q	$\begin{array}{c} A \\ N \\ \end{array} \begin{array}{c} A \\ B \\ B \\ \end{array} \begin{array}{c} A \\ B \\ \end{array} $	R ¹	HPLC/MS	Rt min
C-44	F F N H		H N O O O O	623 (Method 1)	2.10
C-45	FY O H	N N N N N N N N N N N N N N N N N N N	S N-N H i-Pr	593 (Method 1)	2.20
C-46	FY H		N-N H i-Pr	579 (Method 1)	1.96
C-47	FY H		N-N-N-N-O	635 (Method 1)	2.05
C-48	FY H		i-Pr N.N.S	635 (Method 1)	2.14
C-49	FY H		THE COLUMN TO TH	623 (Method 1)	1.17
C-50	FF NH ₂		N-N-N-N-O	620 (Method 1)	2.16
C-51	F F NH ₂	N N N N N N N N N N N N N N N N N N N	i-Pr N.N.S	620 (Method 1)	2.20
C-52	FFO NH2N		S N-N H i-Pr	578 (Method 1)	2.13

No	Ar-Q	<i></i>	R ¹	HPLC/MS	Rt
NO	Al-Q	$\begin{array}{c} A \\ N \end{array} \begin{array}{c} B^1 - B^2 \\ B^2 - B^3 \end{array}$, ,	HPLO/IVIS	min
C-53	FYO NH2N		i-Pr N. N. S	620 (Method 1)	2.21
C-54	FF NH ₂		N-N-N-Pr S-Pr	620 (Method 1)	2.16
C-55	FF NH ₂	N N N N N N N N N N N N N N N N N N N	i-Pr N N S	620 (Method 1)	2.20
C-56	FY H		S N-N-N-H-Pr	595 (Method 1)	2.20
C-57	FY H	AN AN	N-N H i-Pr	577 (Method 1)	2.19
C-58	FY SHAPE		N-N-N-N-O	635 (Method 1)	2.30
C-59	FY O H		i-Pr N N S	633 (Method 1)	2.29
C-60	FY H		N-N H Pr	563 (Method 1)	2.10
C-61	FYF		i-Pr N N S	619 (Method 1)	2.23

	A = O	<i>y</i>	R ¹	HDLC/MS	
No	Ar-Q	$\begin{array}{c} A \\ N \\ \end{array} \begin{array}{c} A \\ B^{1} \\ B^{2} \\ \end{array} \begin{array}{c} B^{1} \\ B^{2} \\ \end{array}$	K.	HPLC/MS	Rt min
C-62	F F		N-N-N-N-O	587.9 (Method 2)	1.29
C-63	F N H N M		N-N-N-N-O	588.2 (Method 2)	1.28
C-64	F		N-N-N-N-O	604.1 (Method 2)	1.33
C-65	F F		N-N-N-Pr S-D-O	586.9 (Method 2)	1.35
C-66	F N H		N-N-N-Pr S-Pr	602.1 (Method 2)	1.32
C-67	F C		N-N-N-Pr S-Pr	587 (Method 2)	1.35
C-68	F N H		N-N-N-Pr S-Pr	573.2 (Method 2)	1.37
C-69	F F F		N-N-N-N-O	617.1 (Method 2)	1.44
C-70	F S S		N-N-N-N-O	618.9 (Method 2)	1.29

No	Ar-Q	$A B^{1} B^{2}$	R ¹	HPLC/MS	Rt min
		R6 N B ⁴ =B ³			
C-71	F H		N-N-N-N-O	573 (Method 2)	1.38
C-72	F O H N N N N N N N N N N N N N N N N N N		N-N-N-N-O	603 (Method 2)	1.36
C-73	F T C T T T T T T T T T T T T T T T T T		N-N-N-N-O	639 (Method 2)	1.43
C-74	E T Z		N-N-N-Pr S-D=0	636.1 (Method 2)	1.35
C-75	F H N H		N-N-N-N-O	620.2 (Method 2)	1.37
C-76	F N N N N N N N N N N N N N N N N N N N		N-N-N-Pr S-Po	606.1 (Method 2)	1.34
C-77	FL		N-N-N-Pr S-Pr	635.1 (Method 2)	1.39
C-78	CI CI		N-N-N-N-O	639.1 (Method 2)	1.41
C-79	F NH		N-N-N-N-O	619.1 (Method 2)	1.39

NI-	A - O	r	D1		
No	Ar-Q	$\begin{array}{c} A \\ N \\ \end{array}$	R ¹	HPLC/MS	Rt min
C-80	CI FF		N-N-N-N-O	639.1 (Method 2)	1.41
C-81	F H N O		N-N-N-N-O	619.9 (Method 2)	1.50
C-82	F H		N-N-N-N-0	618.9 (Method 2)	1.42
C-83	F CI H		N-N-N-Pr S-D-O	639.8 (Method 2)	1.43
C-84	CI HNN		N-N-N-Pr S-D-O	638.9 (Method 2)	1.46
C-85	F N H		N-N-N-Pr S-Pr	607.1 (Method 2)	1.39
C-86	F CI H		N-N-N-Pr S-Pr	639 (Method 2)	1.43
C-87	F F F F F F F F F F F F F F F F F F F		N-N-N-N-O	606.1 (Method 2)	1.30
C-88	F C HN		N-N-N-N-O	603 (Method 2)	1.37

No	Ar-Q	χ , ,	R ¹	HPLC/MS	Rt
		$\begin{array}{c} A \\ N \end{array} \begin{array}{c} B - B^2 \\ B - B^3 \end{array}$			min
C-89	F HN S		N-N-N-N-O	603.1 (Method 2)	1.40
C-90	F F CI		N-N-N-N-O	655 (Method 2)	1.46
C-91	CI N F F F F F F F F F F F F F F F F F F		N-N-N-N-O	640 (Method 2)	1.39
C-92	F _E		N-N-N-N-O	606 (Method 2)	1.29
C-93	F F F F F F F F F F F F F F F F F F F		N-N-N-N-O	606 (Method 2)	1.29
C-94	F H H		N-N-N-N-O	640 (Method 2)	1.43
C-95	F N N N N N N N N N N N N N N N N N N N		i-Pr S O	620 (Method 2)	1.38
C-96	F F F N N N N N N N N N N N N N N N N N		N-N-N-N-O	619.1 (Method 2)	1.45

No	Ar-Q	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	R ¹	HPLC/MS	Rt min
C-97	F N N N N N N N N N N N N N N N N N N N		N-N-N-N-O	607.1 (Method 2)	1.43
C-98	F H		N-N-N-N-O	606 (Method 2)	1.38
C-99	F _F		N-N-N-N-O	621 (Method 2)	1.42
C-100	F F F N H		N-N-N-Pr S-D-O	619.1 (Method 2)	1.48
C-101	F F H		N-N-N-N-O	591 (Method 2)	1.41
C-102	F H N N N N N N N N N N N N N N N N N N		N-N-N-N-O	605.1 (Method 2)	1.43
C-103	F S S		i-Pr S O	637 (Method 2)	1.48
C-104	F F CO		i-Pr S O	640 (Method 2)	1.41
C-105	F S S		N-N-N-N-O	671 (Method 2)	1.49

	A - O	<i>r</i>	D1	LIDLOWA	- D1
No	Ar-Q	$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	R ¹	HPLC/MS	Rt min
C-106	F F CI		N-N-N-N-O	639 (Method 2)	1.43
C-107	F F F F F F F F F F F F F F F F F F F		N-N-N-N-O	605.1 (Method 2)	1.37
C-108	CI NY		N-N-N-N-O	607 (Method 1)	2.29
C-109	FY H		N-N-N-H-I-Pr	595 (Method 1)	2.18
C-110	FY H		N-N-N-N-O	635 (Method 1)	2.26
C-111	FY° CHI		i-Pr N, N	635 (Method 1)	2.30
C-112	FY H		i-Pr N. N-S S F	637 (Method 1)	2.22
C-113	FY H		i-Pr NN-S	621 (Method 1)	2.29
C-114	FY° CHI		N-N-N-N-Pr	621 (Method 1)	2.35

No	Ar-Q	<i></i>	R ¹	HPLC/MS	Rt
140	AI-Q	$\begin{array}{c} A \\ N \end{array} \begin{array}{c} B^1 - B^2 \\ B^2 - B^3 \end{array}$	IX.	TH LO/MIO	min
C-115	F F O N		S N-N H iPr	593 (Method 1)	1.39
C-116	F F F O		N-N H i-Pr	577 (Method 1)	2.07
C-117	FY NN		N-N-N-N-O	635 (Method 1)	2.17
C-118	FY N		i-Pr N.N.S	633 (Method 1)	2.21
C-119	FY N		i-Pr N. N	649 (Method 1)	2.14
C-120	FY SH	F N N N N N N N N N N N N N N N N N N N	i-Pr N N S	651.7 (Method 1)	2.3
C-121	FY H		i-Pr N N S	607 (Method 1)	2.27
C-122	FY O H		N-N H HPF	609 (Method 1)	2.10
C-123	FY H		N-N-N-N-O	649 (Method 1)	2.16

No	Ar-Q	$\begin{array}{c} A \\ B^1 - B^2 \\ B^2 - B^3 \end{array}$	R ¹	HPLC/MS	Rt min
C-124	FX°C>°		N-N H Pr	552 (Method 1)	2.30
C-125	FX°C		N-N-N-N-O	608 (Method 1)	2.35
C-126	FX° C		i-Pr N.N.N.S	608 (Method 1)	2.41

Biological examples:

10

25

Example B1: Action on Yellow fever mosquito (Aedes aegypti)

For evaluating control of yellow fever mosquito (*Aedes aegypti*) the test unit consisted of 96-well-microtiter plates containing 200µl of tap water per well and 5-15 freshly hatched *A. aegypti* larvae.

The active compounds or mixtures were formulated using a solution containing 75% (v/v) water and 25% (v/v) DMSO. Different concentrations of formulated compounds or mixtures were sprayed onto the insect diet at $2.5\mu l$, using a custom built micro atomizer, at two replications.

For experimental mixtures in these tests identical volumes of both mixing partners at the desired concentrations respectively, were mixed together.

After application, microtiter plates were incubated at 28 \pm 1°C, 80 \pm 5 % RH for 2 days. Larval mortality was then visually assessed.

In this test, compounds C-1, C-2, C-4, C-5, C-6, C-7, C-8, C-10, C-11, C-15, C-16, C-17, C-18, C-19, C-22, C-29, C-33, C-36, C-41, C-46, C-47, C-48, C-51, C-52, C-53, C-54, C-56, C-57, C-58, C-60, C-61, C-62, C-63, C-64, C-65, C-66, C-67, C-68, C-71, C-72, C-73, C-74, C-75, C-76, C-80, C-82, C-83, C-84, C-85, C-86, C-87, C-88, C-91, C-93, C-95, C-98, C-100, C-101, C-102, C-103, C-104, C-106, C-107, C-111, C-112, C-116, C-117, C-118, C-119, C-120 at 800 ppm
showed at least 50% mortality in comparison with untreated controls.

Example B2: Action on Orchid thrips (Dichromothrips corbetti)

Dichromothrips corbetti adults used for bioassay were obtained from a colony maintained continuously under laboratory conditions. For testing purposes, the test compound is diluted in a 1:1 mixture of acetone:water (vol:vol), plus Kinetic® HV at a rate of 0.01% v/v.

Thrips potency of each compound was evaluated by using a floral-immersion technique. All petals of individual, intact orchid flowers were dipped into treatment solution and allowed to dryin

WO 2021/013561 140 PCT/EP2020/069378

Petri dishes. Treated petals were placed into individual re-sealable plastic along with about 20 adult thrips. All test arenas were held under continuous light and a temperature of about 28°C for duration of the assay. After 3 days, the numbers of live thrips were counted on each petal. The percent mortality was recorded 72 hours after treatment.

In this test, compounds C-1, C-2, C-5, C-6, C-7, C-8, C-9, C-15, C-16, C-22, C-45, C-47, C-48, C-52, C-56, C-58, C-61, C-108, C-111, C-112, C-115, C-116, C-118, C-119, C-120 at 500 ppm showed at least 75% mortality in comparision with untreated controls.

Example B3: Action on Boll weevil (Anthonomus grandis)

For evaluating control of boll weevil (Anthonomus grandis) the test unit consisted of 96-well-microtiter plates containing an insect diet and 5-10 A. grandis eggs.

The compounds were formulated using a solution containing 75% (v/v) water and 25% (v/v) DMSO. Different concentrations of formulated compounds were sprayed onto the insect diet at 5 μ l, using a custom built micro atomizer, at two replications.

After application, microtiter plates were incubated at about 25 + 1 °C and about 75 + 5 % relative humidity for 5 days. Egg and larval mortality were then visually assessed.

In this test, compounds C-1, C-2, C-3, C-4, C-5, C-6, C-7, C-8, C-9, C-10, C-11, C-15, C-16, C-17, C-22, C-26, C-27, C-28, C-29, C-30, C-31, C-32, C-33, C-35, C-36, C-37, C-38, C-41, C-42, C-43, C-45, C-46, C-47, C-48, C-51, C-52, C-53, C-54, C-56, C-57, C-58, C-60, C-61, C-62, C-63, C-64, C-67, C-68, C-71, C-72, C-74, C-75, C-76, C-82, C-84, C-86, C-87, C-88, C-90, C-92, C-93, C-95, C-98, C-100, C-101, C-102, C-103, C-104, C-105, C-106, C-111, C-112, C-115, C-116, C-117, C-118, C-119, C-120 at 800 ppm showed at least 75 % mortality in comparison with untreated controls.

25 Example B4: Action on Silverleaf whitefly (*Bemisia argentifolii*) (adults)

20

30

35

40

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 5 or 10ml glass vials. A non-ionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Cotton plants at the cotyledon stage (one plant per pot) were sprayed by an automated electrostatic plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood and then removed from the sprayer. Each pot was placed into a plastic cup and about 10 to 12 whitefly adults (approximately 3-5 days old) were introduced. The insects were collected using an aspirator and a nontoxic Tygon® tubing connected to a barrier pipette tip. The tip, containing the collected insects, was then gently inserted into the soil containing the treated plant, allowing insects to crawl out of the tip to reach the foliage for feeding. Cups were covered with a reusable screened lid. Test plants were maintained in a growth room at about 25°C and about 20-40% relative humidity for 3 days, avoiding direct exposure to fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the cup. Mortality was assessed 3 days after treatment, compared to untreated control plants.

WO 2021/013561 141 PCT/EP2020/069378

In this test, compounds C-1, C-2, C-33, C-111, C-119, C-120 at 300 ppm showed at least 75 % mortality in comparison with untreated controls.

Example B5: Action on Tobacco budworm (Heliothis virescens)

For evaluating control of tobacco budworm (*Heliothis virescens*) the test unit consisted of 96-well-microtiter plates containing an insect diet and 15-25 H. virescens eggs.

The compounds were formulated using a solution containing 75% v/v water and 25% v/v DMSO. Different concentrations of formulated compounds were sprayed onto the insect diet at 10 µl, using a custom built micro atomizer, at two replications.

After application, microtiter plates were incubated at about 28 + 1°C and about 80 + 5 % relative humidity for 5 days. Egg and larval mortality were then visually assessed.

In this test, compounds C-1, C-2, C-4, C-5, C-6, C-7, C-8, C-9, C-10, C-11, C-15, C-16, C-17, C-18, C-19, C-20, C-21, C-22, C-29, C-30, C-32, C-33, C-35, C-36, C-37, C-40, C-41, C-42, C-45, C-46, C-47, C-48, C-51, C-52, C-53, C-54, C-56, C-57, C-58, C-60, C-61, C-62, C-63, C-64, C-65, C-66, C-67, C-68, C-69, C-70, C-71, C-72, C-73, C-74, C-75, C-76, C-77, C-78, C-79, C-80, C-81, C-82, C-83, C-84, C-85, C-86, C-87, C-88, C-89, C-90, C-91, C-92, C-93, C-94, C-95, C-96, C-97, C-98, C-99, C-100, C-101, C-102, C-103, C-104, C-105, C-106, C-107, C-108, C-111, C-112, C-115, C-116, C-117, C-118, C-119, C-120 at 800 ppm showed at least 75 % mortality in comparison with untreated controls.

Example B6: Action on Diamond back moth (*Plutella xylostella*)

15

20

30

The active compound is dissolved at the desired concentration in a mixture of 1:1 (v/v) distilled water:acetone. Surfactant (Kinetic® HV) is added at a rate of 0.01% (v/v). The test solution is prepared at the day of use.

Leaves of cabbage were dipped in test solution and air-dried. Treated leaves were placed in petri dishes lined with moist filter paper and inoculated with ten 3rd instar larvae. Mortality was recorded 72 hours after treatment. Feeding damages were also recorded using a scale of 0-100%.

In this test, compounds C-1, C-2, C-4, C-5, C-6, C-7, C-8, C-9, C-10, C-11, C-15, C-16, C-17, C-18, C-22, C-29, C-30, C-33, C-35, C-36, C-37, C-38, C-40, C-41, C-42, C-45, C-46, C-47, C-48, C-51, C-52, C-53, C-54, C-56, C-58, C-60, C-61, C-108, C-111, C-112, C-115, C-116, C-118, C-119, C-120 at 500 ppm showed at least 75 % mortality in comparison with untreated controls.

Example B7: Action on Southern armyworm (Spodoptera eridania), 2nd instar larvae

The active compounds were formulated by a Tecan liquid handler in 100% cyclohexanone as a 10,000 ppm solution supplied in tubes. The 10,000 ppm solution was serially diluted in 100% cyclohexanone to make interim solutions. These served as stock solutions for which final dilutions were made by the Tecan in 50% acetone:50% water (v/v) into 10 or 20 ml glass vials. A nonionic surfactant (Kinetic®) was included in the solution at a volume of 0.01% (v/v). The vials were then inserted into an automated electrostatic sprayer equipped with an atomizing nozzle for application to plants/insects.

Lima bean plants (variety Sieva) were grown 2 plants to a pot and selected for treatment at the 1st true leaf stage. Test solutions were sprayed onto the foliage by an automated electrostatic

WO 2021/013561 142 PCT/EP2020/069378

plant sprayer equipped with an atomizing spray nozzle. The plants were dried in the sprayer fume hood and then removed from the sprayer. Each pot was placed into perforated plastic bags with a zip closure. About 10 to 11 armyworm larvae were placed into the bag and the bags zipped closed. Test plants were maintained in a growth room at about 25°C and about 20-40% relative humidity for 4 days, avoiding direct exposure to fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the bags. Mortality and reduced feeding were assessed 4 days after treatment, compared to untreated control plants.

In this test, compounds C-1, C-2, C-4, C-5, C-6, C-7, C-8, C-10, C-11, C-15, C-16, C-17, C-18, C-19, C-22, C-33, C-34, C-37, C-41, C-45, C-47, C-48, C-51, C-52, C-53, C-54, C-48, C-56, C-61, C-62, C-63, C-65, C-67, C-69, C-71, C-72, C-73, C-75, C-76, C-77, C-78, C-79, C-80, C-81, C-82, C-83, C-84, C-86, C-87, C-88, C-89, C-90, C-91, C-92, C-94, C-95, C-98, C-99, C-101, C-102, C-103, C-104, C-105, C-106, C-107, C-108, C-111, C-112, C-115, C-116, C-117, C-118, C-119, C-120 at 300 ppm showed at least 75 % mortality in comparison with untreated controls.

10

5

We claim:

1. Compounds of the formula I

5 wherein

A is N or CR^A ;

B¹ is N or CR^{B1};

 B^2 is N or CR^{B2} ;

 B^3 is N or CR^{B3} ;

10 B^4 is CR^{B4} ;

15

is H, halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, O-C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e, phenyl, phenoxy, phenylcarbonyl, phenylthio, or -CH₂-phenyl, wherein the phenyl

20 R^{B1}, R^{B2}, R^{B3}, and R^{B4} independently of each other are H, halogen, N₃, OH, CN, NO₂, - SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

rings are unsubstituted or substituted with Rf;

C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, O-C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, or S(=O)_mR^e, phenyl, phenoxy, phenylcarbonyl, phenylthio, or —CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

30 Q is $-C(R^4R^5)-O-$, -C(=O)-O-, $-S(=O)_m-C(R^7R^8)-$, $-N(R^2)-S(=O)_{m^-}$, $-N(R^2)-C(R^9R^{10})-$, $-C(=O)-C(R^{19}R^{20})-$, $-N(R^2)-C(=O)-$, $-N(R^2)-C(=S)-$, $-C(R^{13}R^{14})-C(R^{15}R^{16})-$, -N=C(X)-, $-N(R^2)-C(=NR)-$, or $-C(R^{17})=C(R^{18})-$; wherein Ar is bound to either side of Q;

m is 0, 1, or 2;

X is H, halogen, SR⁷, OR⁸, or N(R³)₂;

35 R is H, CN, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, or C₃-C₆-cycloalkyl, wherein the alkyl, alkenyl, and cycloalkyl moieties are unsubstituted or substituted with halogen, OR⁸, N(R³)₂;

 R^3 is H, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl;

$$\label{eq:R2} \begin{split} \text{R2} &\quad \text{is H, C}_1\text{--}C_6\text{-alkyl, C}_2\text{--}C_6\text{-alkenyl, C}_2\text{--}C_6\text{-alkynyl, C}_1\text{--}C_6\text{-alkoxy-C}_1\text{--}C_4\text{-alkyl, C}_3\text{--}C_6\text{-cycloalkyl, C}_3\text{--}C_6\text{-cycloalkoxy-C}_1\text{--}C_4\text{-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, \\ &\quad \text{C(=O)-ORa, C}_1\text{--}C_6\text{-alkylene-NRbRc, C}_1\text{--}C_6\text{-alkylene-CN, C(=O)-NRbRc, C(=O)-Rd, \\ &\quad \text{SO}_2\text{NRbRc, S(=O)_m$Re, phenyl, or $-\text{CH}_2$-phenyl, wherein the phenyl rings are} \end{split}$$

unsubstituted or substituted with Rf;

R⁴, R⁵, R⁷, R⁸, R⁹, R¹⁰, R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰ are, identical or different, H, halogen, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)-OR^a, C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, SO₂NR^bR^c, S(=O)_mR^e, phenyl, or -CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

R⁶ is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,

or R⁶ is –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

Ar is phenyl or 5- or 6-membered hetaryl or 1,3-benzodioxole, which are unsubstituted or substituted with R^{Ar}, wherein

 R^Ar is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri- C_1 - C_6 -alkylsilyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_4 alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkoxy, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and moieties unsubstituted substituted cycloalkoxy are or with C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, O-C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN. NH-C₁-C₆-alkylene-NR^bR^c. C(=O)-NR^bR^c. C(=O)-R^d. SO₂NR^bR^c. or S(=O)_mR^e. phenyl, phenoxy, phenylcarbonyl, phenylthio or -CH₂-phenyl, wherein phenyl rings are unsubstituted or substituted with Rf:

R¹ is a moiety of formula Y-Z-T-R¹¹ or Y-Z-T-R¹²; wherein

Y is -CR^{ya}=N-, wherein the N is bound to Z; -NR^{yc}-C(=O)-, wherein C(=O) is bound to Z; or -NR^{yc}-C(=S)-, wherein C(=S) is bound to Z;

Z is a single bond;

5

10

15

20

25

30

35

40

 $-NR^{zc}$ -C(=O)-, wherein C(=O) is bound to T;

 $-NR^{zc}-C(=S)-$, wherein C(=S) is bound to T;

 $-N=C(S-R^{za})$ —, wherein T is bound to the carbon atom;

-O-C(=O)-, wherein T is bound to the carbon atom; or

 $-NR^{zc}-C(S-R^{za})=$, wherein T is bound to the carbon atom;

T is O, N or $N-R^T$;

R¹¹ is C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, aryl, aryl-carbonyl, aryl-C₁-C₄-alkyl, aryloxy-C₁-C₄-alkyl, hetaryl, carbonyl-hetaryl, hetaryl-C₁-C₄-alkyl or hetaryloxy-C₁-C₄-alkyl, wherein the phenyl rings are unsubstituted or substituted with R^g and wherein the hetaryl is a 5- or 6-membered monocyclic hetaryl or a 8-, 9- or 10-membered bicyclic hetaryl; provided that when T is O, R¹¹ is other than C₁-C₆-alkyl;

R¹² is a radical of the formula A¹;

$$R^{121}$$
 R^{122}
 R^{123}
 R^{124}
 R^{123}
 R^{124}

wherein # indicates the point of attachment to T;

R¹²¹, R¹²², R¹²³ are, identical or different, H, halogen, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyloxy, C₂-C₆-alkynyloxy, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₁-C₆-alkylcarbonlyoxy, C₁-C₆-alkenylcarbonlyoxy, C₃-C₆-cycloalkylcarbonlyoxy, wherein the alkyl, alkoxy, alkenyl, alkenyloxy, alkynyl, alkynyloxy and cycloalkyl moieties are unsubstituted or substituted with halogen, or NR^bR^c, or one of R¹²¹, R¹²², R¹²³ may also be oxo;

R¹²⁴ is H, C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy, or C₂-C₆-alkenyloxy, wherein the alkyl, alkoxy, alkenyl and alkenyloxy moieties are unsubstituted or substituted with halogen;

and where

5

10

15

20

25

30

35

 R^{ya} is H, halogen, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, which are unsubstituted or substituted with halogen, phenyl, or $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f ;

Ryc, Rzc are, identical or different, H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkyl- C_1 - C_6 -alkoxy, C_3 - C_6 -cycloalkyl, C_1 - C_4 -alkyl- C_3 - C_6 -cycloalkoxy, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen;

is H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkyl- C_1 - C_6 -alkoxy, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkoxy- C_1 - C_4 -alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)- OR^a , C_1 - C_6 -alkylene- NR^bR^c , C_1 - C_6 -alkylene-CN, C(=O)- NR^bR^c ,

WO 2021/013561 146 PCT/EP2020/069378

5

10

15

20

25

30

35

C(=O)-R^d, SO₂NR^bR^c, S(=O)_mR^e, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;

- R^zc together with R^T if present, may form $\mathsf{C}_1\text{-}\mathsf{C}_6\text{-}$ alkylene or a linear $\mathsf{C}_2\text{-}\mathsf{C}_6\text{-}$ alkenylene group, where in the linear $\mathsf{C}_1\text{-}\mathsf{C}_6\text{-}$ alkylene and the linear $\mathsf{C}_2\text{-}\mathsf{C}_6\text{-}$ alkenylene a CH_2 moiety may be replaced by a carbonyl or a $\mathsf{C}=\mathsf{N}\text{-}\mathsf{R}'$ and/or wherein 1 or 2 CH_2 moieties may be replaced by O or S and/or wherein the linear $\mathsf{C}_1\text{-}\mathsf{C}_6\text{-}$ alkylene and the linear $\mathsf{C}_2\text{-}\mathsf{C}_6\text{-}$ alkenylene may be unsubstituted or substituted with R^h :
- is H, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₄-alkyl-C₁-C₆-alkoxy, C₃-C₆-cycloalkyl, C₁-C₄-alkyl-C₃-C₆-cycloalkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C₁-C₆-alkylene-NR^bR^c, C₁-C₆-alkylene-CN, C(=O)-NR^bR^c, C(=O)-R^d, phenyl, phenylcarbonyl, or -CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;
- R^{za} together with R^{T} if present, may form C_1 - C_6 -alkylene or a linear C_2 - C_6 -alkenylene group, where in the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene a CH_2 moiety may be replaced by a carbonyl or a C=N-R' and/or wherein 1 or 2 CH_2 moieties may be replaced by O or S and/or wherein the linear C_1 - C_6 -alkylene and the linear C_2 - C_6 -alkenylene may be unsubstituted or substituted with R^h ;
- R^a , R^b and R^c are, identical or different, H, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkoxy- C_1 - C_4 -alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen,
 - C_1 - C_6 -alkylene-CN, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f ;
- is H, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, phenyl, or –CH₂-phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f;
- Re is C_1 - C_6 -alkyl, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkyl- C_1 - C_4 -alkyl, wherein the alkyl, cycloalkyl moieties are unsubstituted or substituted with halogen, phenyl and $-CH_2$ -phenyl, wherein the phenyl rings are unsubstituted or substituted with R^f ;
- 40 Rf is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂- C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C₁-C₆-alkoxy-C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxyx-C₁-C₄-alkyl, wherein the alkyl,

WO 2021/013561	147	PCT/EP2020/069378

5

10

15

25

 R^g

 R^h

salts thereof.

alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, O-C₁-C₆-alkylene-NR^bR^c, C_1 - C_6 -alkylene-CN, NH- C_1 - C_6 -alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d, $SO_2NR^bR^c$, or $S(=O)_mR^e$; is halogen, N₃, OH, CN, NO₂, -SCN, -SF₅, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₂-C₆-alkenyl, tri-C₁-C₆-alkylsilyl, C₂-C₆-alkynyl, C₁-C₆-alkoxy-C₁-C₄-alkyl, C_1 - C_6 -alkoxy- C_1 - C_4 -alkoxy, C_3 - C_6 -cycloalkyl, C_3 - C_6 -cycloalkoxy, C_3 - C_6 cycloalkyl-C₁-C₄-alkyl, C₃-C₆-cycloalkoxy-C₁-C₄-alkyl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl and cycloalkoxy moieties are unsubstituted or substituted with halogen, C(=O)-OR^a, NR^bR^c, C₁-C₆-alkylene-NR^bR^c, O-C₁-C₆-alkylene-NR^bR^c, C_1 - C_6 -alkylene-CN, NH- C_1 - C_6 -alkylene-NR^bR^c, C(=O)-NR^bR^c, C(=O)-R^d.

 $SO_2NR^bR^c$, or $S(=O)_mR^e$; is halogen, OH, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, or CN; and the N-oxides, stereoisomers, tautomers and agriculturally or veterinarily acceptable

- The compounds of formula I according to claim 1, wherein A is CRA, B1 is CRB1, B2 is 2. CRB2, B3 is CRB3, and B4 is CRB4. 20
 - The compounds of formula I according to claim 1, wherein A is N, B¹ is CR^{B1}, B² is CR^{B2}, 3. B³ is CR^{B3}, and B⁴ is CR^{B4}.
 - The compounds of formula I according to claim 1, wherein A is N, B¹ is CR^{B1}, B² is N, B³ is 4. CRB3, B4 is CRB4.
- The compounds of formula I according to claim 1, wherein A is CRA, B1 is CRB1, B2 is N, 5. B³ is CR^{B3}, and B⁴ is CR^{B4}. 30
 - The compounds of formula I according to claim 1, wherein A is CRA, B1 is CRB1, B2 is N, 6. B³ is N, and B⁴ is CR^{B4}.
- 35 7. The compounds of formula I according to claim 1, wherein A is N, B¹ is N, B² is CR^{B2}, B³ is CRB3, B4 is CRB4.
- The compounds of formula I according to any of claim 1 to claim 7, wherein R¹ are 8. $^{\nwarrow}$ denotes attachment to the remaining part of the formulas YZT-1 to YZT-9, wherein 40 compound;

wherein R^{11} , R^{12} , R^T , R^{ya} , R^{yc} , R^{za} and R^{zc} are as defined in claim 1.

5

10

15

20

25

30

- 9. The compounds of formula I according to any of claim 1 to claim 8, wherein
 - Ar is phenyl, pyrimidinyl, pyridazinyl, or pyridyl, which are unsubstituted or substituted with R^{Ar};
 - R^{Ar} is halogen, OH, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, or S- R^e ;
 - R^e is C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, wherein the alkyl, cycloalkyl moieties are unsubstituted or substituted with halogen.
- 10. A composition, comprising one compound of formula I according to any of claims 1 to 9, an N-oxide or an agriculturally acceptable salt thereof, and a further active substance.
- 11. A method for combating or controlling invertebrate pests, which method comprises contacting said pest or its food supply, habitat or breeding grounds with a pesticidally effective amount of at least one compound according to any of claims 1 to 9 or the composition according to claim 10.
- 12. A method for protecting growing plants from attack or infestation by invertebrate pests, which method comprises contacting a plant, or soil or water wherein the plant is growing, with a pesticidally effective amount of at least one compound according to any of claims 1 to 9 or the composition according to claim 10.
- 13. Seed comprising a compound according to any of claims 1 to 9, or the enantiomers, diastereomers or salts thereof or comprising a composition according to claim 10, in an amount of from 0.1 g to 10 kg per 100 kg of seed.

WO 2021/013561 149 PCT/EP2020/069378

14. A use of a compound of the formula I according to any of claims 1 to 9, and of an agriculturally acceptable salt thereof or of the compositions according to claim 10, for protecting growing plants from attack or infestation by invertebrate pests.

5 15. A method for treating or protecting an animal from infestation or infection by invertebrate pests which comprises bringing the animal in contact with a pesticidally effective amount of at least one compound of the formula I according to any of claims 1 to 9, a stereoisomer thereof and/or at least one veterinarily acceptable salt thereof.

INTERNATIONAL SEARCH REPORT

International application No PCT/EP2020/069378

A. CLASSI INV. ADD.	FICATION OF SUBJECT MATTER C07D417/12 A01N43/78		
According to	o International Patent Classification (IPC) or to both national classifica	ation and IPC	
	SEARCHED		
	ocumentation searched (classification system followed by classificatio ${\sf A01N}$	n symbols)	
Documentat	tion searched other than minimum documentation to the extent that su	uch documents are included in the fields sea	arched
Electronic d	ata base consulted during the international search (name of data bas	se and, where practicable, search terms use	ed)
	ternal, CHEM ABS Data		
C. DOCUME	ENTS CONSIDERED TO BE RELEVANT		Г
Category*	Citation of document, with indication, where appropriate, of the rele	evant passages	Relevant to claim No.
А	WO 2015/140222 A1 (SYNGENTA PART) AG [CH]) 24 September 2015 (2015- see compounds according to clair table 2 as pesticides	-09-24)	1-15
A	WO 2016/156076 A1 (SYNGENTA PART: AG [CH]) 6 October 2016 (2016-10- see the compounds of claim 1 as p	-06) pesticides	1-15
Furth	her documents are listed in the continuation of Box C.	X See patent family annex.	
"A" docume to be control to be	ent which may throw doubts on priority claim(s) or which is o establish the publication date of another citation or other al reason (as specified) ent referring to an oral disclosure, use, exhibition or other	"T" later document published after the inter date and not in conflict with the application the principle or theory underlying the i "X" document of particular relevance; the considered novel or cannot be considered to involve an inventive step combined with one or more other such being obvious to a person skilled in the "&" document member of the same patent to the patent of the same patent of the facility of the international searches."	ation but cited to understand nvention laimed invention cannot be ered to involve an inventive le laimed invention cannot be p when the document is n documents, such combination e art
3	0 October 2020	10/11/2020	
Name and n	nailing 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 Traegler-Goeldel,	

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2020/069378

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 2015140222	A1	24-09-2015	EP US WO	3119758 A1 2017107200 A1 2015140222 A1	20-04-2017
WO 2016156076	A1	06-10-2016	BR CN EP JP US WO	112017020495 A2 107428699 A 3274337 A1 2018515433 A 2018093951 A1 2016156076 A1	01-12-2017 31-01-2018 14-06-2018 05-04-2018