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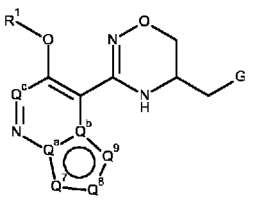

(I)

(57) **Abstract:** A compound of Formula (I) wherein Q^a or Q^b is N, the other is C, Q^c is N or CH, one or two of Q^7, Q^8, and Q^9 are N, the other or the others being CR^7, CR^8 and CR^9 respectively, and the other substituents are as defined in claim 1, useful as pesticides, especially as fungicides.

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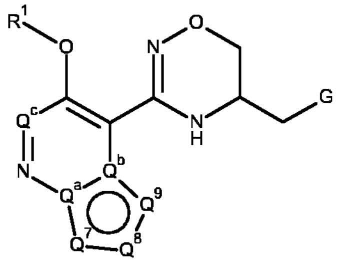
MICROBIOCIDAL HETEROBICYCLIC DIHYDROOXADIAZINE DERIVATIVES

The present invention relates to unsaturated N-bridged bicyclic heterocyclic derivatives as active ingredients, which have microbiocidal activity, and in particular, fungicidal activity. The invention also relates to agrochemical compositions which comprise at least one of the 5,6-dihydro-4H-1,2,4-oxadiazine derivatives, to processes of preparation of these compounds and to uses of the 5,6-dihydro-4H-1,2,4-oxadiazine derivatives or compositions in agriculture or horticulture for controlling or preventing infestation of plants, harvested food crops, seeds or non-living materials by phytopathogenic microorganisms, preferably fungi.

Many plant protection compounds have been developed to prevent or reduce plant disease caused by micro-organisms, for instance, by fungi. For example, WO 2020/127780 discloses azabicyclic(thio)amides as fungicidal compounds, and WO 2021/249995 discloses azabicyclyl-substituted heterocycles as fungicides. The azabicyclic compounds disclosed therein are C-bridged and the monocyclic compounds are different isomers.

The present invention therefore provides, in a first aspect, compounds of formula (I)



(I)

or an agrochemically acceptable salt, stereoisomer, enantiomer, and N-oxide of the compound of formula (I), wherein:

Q^a is N, Q^b is C, and Q^c is CH; or Q^a is C, Q^b is N, and Q^c is N or CH;

Q^7 is N or C-R^7, Q^8 is N or C-R^8, and Q^9 is N or C-R^9; wherein one or two of Q^7, Q^8 and Q^9 are N; with the proviso that when Q^a is N, Q^7 and Q^8 are not simultaneously N;

R^1 is phenyl optionally substituted with 1, 2 or 3 independently selected substituents R^{11}; or

R^1 is a 5- or 6-membered monocyclic heteroaryl ring comprising 1, 2 or 3 heteroatoms each independently selected from N, O and S, wherein said heteroaryl ring is optionally substituted with 1 or 2 independently selected substituents R^{11};

R^{11} is hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, *iso*-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, *iso*-propoxy, *tert*-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, or cyclopropyloxy;

G is selected from G-1, G-2, G-3, and G-4, wherein:

G-1 is phenyl or phenoxy, wherein said phenyl or phenoxy is optionally substituted with 1, 2 or 3 independently selected substituents R^{G1};

G-2 is a 5- or 6-membered monocyclic heteroaryl or heteroaryl-oxy; wherein said heteroaryl comprises 1, 2 or 3 heteroatoms each independently selected from N, O and S; and wherein said heteroaryl is optionally substituted with 1 or 2 independently selected substituents R^{G2};

G-3 is a 9- or 10-membered heterobicyclic ring system comprising 1, 2 or 3 heteroatoms each independently selected from N, O and S; wherein said heterobicyclic ring system is saturated, partially unsaturated, or aromatic; and wherein said heterobicyclic ring system is optionally substituted with 1 or 2 independently selected substituents R^{G3};

G-4 is a 9- or 10-membered carbobicyclic ring system; wherein said carbobicyclic ring system is saturated, partially unsaturated, or aromatic; and wherein said carbobicyclic ring system is optionally substituted with 1 or 2 independently selected substituents R^{G4};

R^{G1}, R^{G2}, R^{G3}, and R^{G4} are independently hydroxyl, halogen, mercapto, amino, cyano, $C_{1\text{-}4}$alkyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, *iso*-propoxy, *tert*-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, or cyclopropyloxy;

R^7, R^8, and R^9 are independently selected from hydrogen, fluoro, chloro, bromo, iodo, $C_{1\text{-}4}$alkyl, allyl, propargyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, $-C(=O)OCH_3$, $-C(=O)N(CH_3)_2$, 2-(dimethylamino)-2-oxo-ethyl, 2-(methylamino)-2-oxo-ethyl, difluoromethyl, trifluoromethyl, methylsulfonyl, methylsulfanyl, methoxy, ethoxy, cyano, hydroxyl, mercapto and amino.

The present invention also provides a method of preparation of compounds of formula (I) as well as intermediate compounds useful in the preparation of compounds of formula (I).

Surprisingly, it has been found that the novel compounds of Formula (I) have, for practical purposes, a very advantageous level of biological activity for protecting plants against diseases that are caused by fungi.

According to a second aspect of the invention, there is provided an agrochemical composition comprising a fungicidally effective amount of a compound of Formula (I). Such an agricultural composition may further comprise at least one additional active ingredient and/or an agrochemically-acceptable diluent or carrier.

According to a third aspect of the invention, there is provided a method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a fungicidally effective amount of a compound of Formula (I), or a composition comprising this compound as active ingredient, is applied to the plants, to parts thereof or the locus thereof.

According to a fourth aspect of the invention, there is provided the use of a compound of Formula (I) as a fungicide. According to this particular aspect of the invention, the use may exclude methods for the treatment of the human or animal body by surgery or therapy.

According to a fifth aspect, the present invention makes available a plant propagation material, such as a seed, comprising, or treated with or adhered thereto, a compound of formula (I) or a composition comprising such a compound.

As used herein, the term “hydroxyl” or “hydroxy” means an -OH group.

As used herein, the term “mercapto” means an -SH group.

As used herein, the term “cyano” means a -CN group.

As used herein, amino means an $-NH_2$ group.

As used herein, nitro means an $-NO_2$ group.

As used herein, oxo means an =O group (eg, as in a carbonyl (C=O) group).

As used herein, the term "halogen" or “halo” refers to fluorine (fluoro), chlorine (chloro), bromine (bromo) or iodine (iodo), preferably fluorine, chlorine or bromine. This also applies, correspondingly, to halogen in combination with other meanings, such as haloalkyl.

As used herein, the term "C_{1-4}alkyl" refers to a straight or branched hydrocarbon chain radical consisting solely of carbon and hydrogen atoms, containing no unsaturation, having from one to four carbon atoms, and which is attached to the rest of the molecule by a single bond. C_{1-3}alkyl should be construed accordingly. Examples of C_{1-4}alkyl include, but are not limited to, methyl, ethyl, *iso*-propyl.

As used herein, the term "C_{2-3}alkenyl" refers to a straight or branched hydrocarbon chain radical group consisting solely of carbon and hydrogen atoms, containing at least one double bond that may be of either the (*E*) or (*Z*) configuration, having two or three carbon atoms, which is attached to the rest of the molecule by a single bond. Examples of C_{2-3}alkenyl include, but are not limited to, vinyl (ethenyl), prop-1-enyl, allyl (prop-2-enyl).

As used herein, the term "C_{2-3}alkynyl" refers to a straight or branched hydrocarbon chain radical group consisting solely of carbon and hydrogen atoms, containing at least one triple bond, having from two or three carbon atoms, and which is attached to the rest of the molecule by a single bond. Examples of C_{2-3}alkynyl include, but are not limited to, prop-1-ynyl and propargyl (prop-2-ynyl).

As used herein, the terms "C_{1-4}haloalkyl", "C_{2-3}haloalkenyl", and "C_{2-3}haloalkynyl" refer respectively to a C_{1-4}alkyl, C_{2-3}alkenyl, and C_{2-3}alkynyl radical as defined above, substituted by one or more of the same or different halogen atoms. Examples of C_{1-4}haloalkyl include, but are not limited to fluoromethyl, fluoroethyl, difluoromethyl, trifluoromethyl, and 2,2,2-trifluoroethyl.

As used herein, the term "C_{1-3}fluoroalkyl" refers to a C_{1-3}alkyl radical as generally defined above substituted by one or more fluorine atoms. Examples of C_{1-3}fluoroalkyl include, but are not limited to difluoromethyl and trifluoromethyl.

As used herein, the term "C_{1-3}alkoxy" refers to a radical of the formula R_aO- where R_a is a C_{1-3}alkyl radical as generally defined above. Examples of C_{1-3}alkoxy include, but are not limited to, methoxy, ethoxy, *iso*-propoxy.

As used herein, the term "C_{1-3}fluoroalkoxy" refers to a C_{1-3}alkoxy radical as generally defined above substituted by one or more fluorine atoms. Examples of C_{1-3}fluoroalkoxy include, but are not limited to trifluoromethoxy.

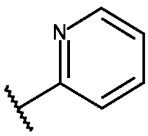
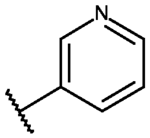
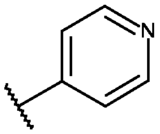
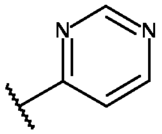
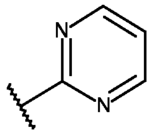
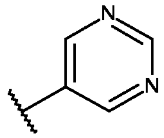
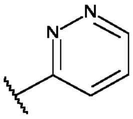
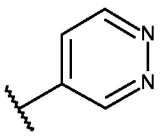
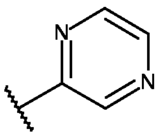
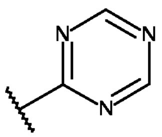
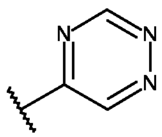
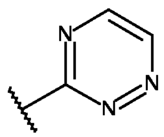
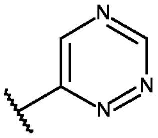
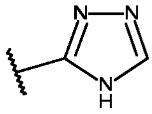
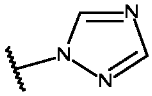
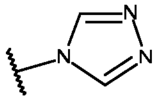
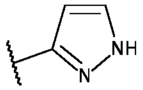
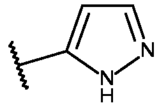
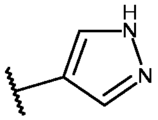
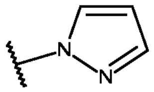
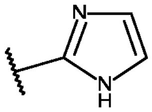
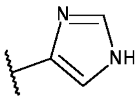
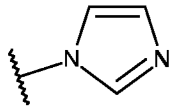
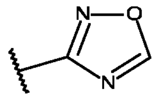
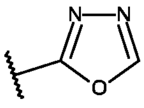
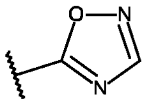
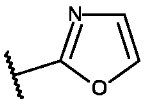
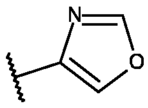
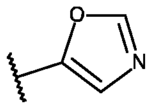
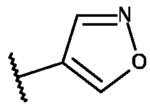
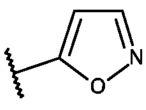
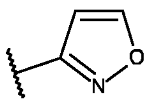
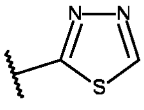
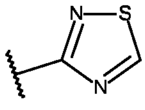
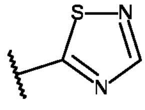
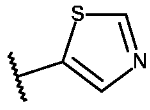
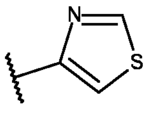
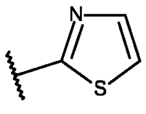
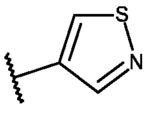
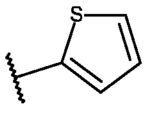
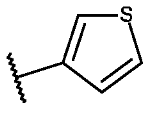
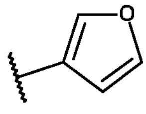
As used herein, the term "$C_{3\text{-}4}$cycloalkyl" refers to a stable, monocyclic ring radical which is saturated and contains 3 or 4 carbon atoms.

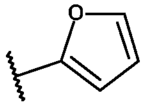
As used herein, the term “$C_{1\text{-}3}$alkylsulfanyl” refers to a radical of the formula $-SR_a$ wherein R_a is a $C_{1\text{-}3}$alkyl radical as generally defined above.

As used herein, the term “$C_{1\text{-}3}$alkylsulfonyl” refers to a radical of the formula $-S(O)_2R_a$ wherein R_a is a $C_{1\text{-}3}$alkyl radical as generally defined above.

The term “heteroaryl” as used herein refers to a 5- or 6-membered aromatic monocyclic ring having 1 to 3 heteroatoms independently selected from N, O and S. Examples of heteroaryls include J-1 to J--41 shown in Table J below. The staggered line in heteroaryls J-1 to J-43 represents the point of attachment to the rest of the compound. Preferred heteroaryls include pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, and thiazolyl; preferably pyridinyl, and thiazolyl.

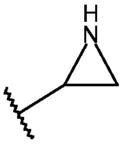
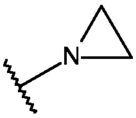
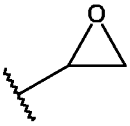
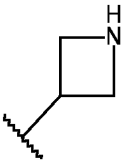
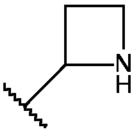
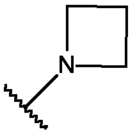
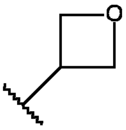
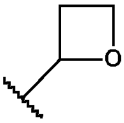
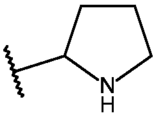
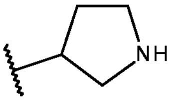
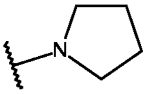
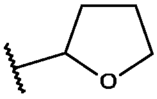
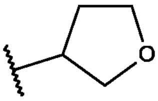
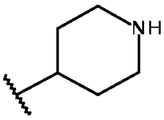
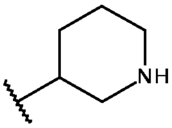
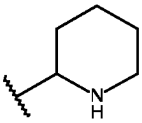
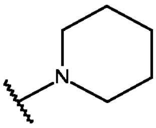
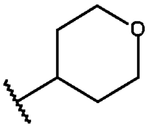
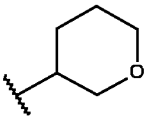
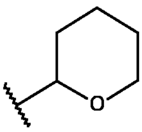
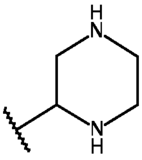
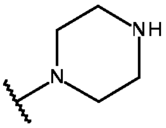
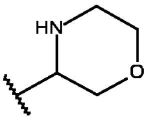
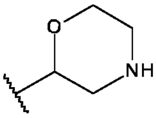
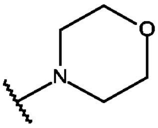
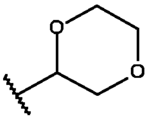
Table J: Heteroaryl J-1 to J-43:

 J-1	 J-2	 J-3	 J-4	 J-5	 J-6
 J-7	 J-8	 J-9	 J-10	 J-11	 J-12
 J-13	 J-14	 J-15	 J-16	 J-17	 J-18
 J-19	 J-20	 J-21	 J-22	 J-23	 J-24
 J-25	 J-26	 J-27	 J-28	 J-29	 J-30
 J-31	 J-32	 J-33	 J-34	 J-35	 J-36
 J-37	 J-38	 J-39	 J-40	 J-41	 J-42

 J-43					

The term “heterocyclyl” as used herein refers to a 3-, 4-, 5-, and 6-membered saturated monocyclic rings having 1 or 2 heteroatoms independently selected from nitrogen and oxygen. Examples of heterocyclyls include K-1 to K-26 shown in Table K below. The staggered line in heterocyclyls K-1 to K-26 represents the point of attachment to the rest of the compound. Some of the heterocyclyls shown below contain an asymmetric carbon, which means that compounds containing them may occur in chiral isomeric forms, i.e., enantiomeric or diastereomeric forms. Preferred heterocyclyls include pyrrolidinyl, piperidinyl, piperazinyl, and tetrahydropyranyl; preferably pyrrolidinyl, piperazinyl, and tetrahydropyranyl.

Table K: heterocyclyl K-1 to K-26:

 K-1	 K-2	 K-3	 K-4	 K-5	 K-6
 K-7	 K-8	 K-9	 K-10	 K-11	 K-12
 K-13	 K-14	 K-15	 K-16	 K-17	 K-18
 K-19	 K-20	 K-21	 K-22	 K-23	 K-24
 K-25	 K-26				

The term “optionally substituted” as used herein means that the group referenced is either unsubstituted or is substituted by a designated substituent, for example, “C_3-C_4cycloalkyl is optionally substituted with 1 or 2 halo atoms” means C_3-C_4cycloalkyl, C_3-C_4cycloalkyl substituted with 1 halo atom and C_3-C_4cycloalkyl substituted with 2 halo atoms.

The staggered line as used herein, for example example in heteroaryls shown in Table J and heterocyclyls shown in Table K, represent the point of connection / attachment to the rest of the compound.

The presence of one or more possible asymmetric carbon atoms in a compound of Formula (I) means that the compounds may occur in chiral isomeric forms, i.e., enantiomeric or diastereomeric forms. Also, atropisomers may occur as a result of restricted rotation about a single bond. Formula (I) is intended to include all those possible isomeric forms and mixtures thereof. The present invention includes all those possible isomeric forms and mixtures thereof for a compound of Formula (I). Likewise, Formula (I) is intended to include all possible tautomers (including lactam-lactim tautomerism and keto-enol tautomerism) where present. The present invention includes all possible tautomeric forms for a compound of Formula (I).

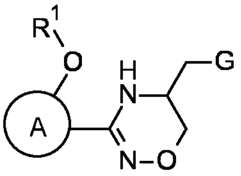
Compounds of formula (I) which have at least one basic centre can form, for example, acid addition salts, for example with strong inorganic acids such as mineral acids, for example perchloric acid, sulfuric acid, nitric acid, nitrous acid, a phosphorus acid or a hydrohalic acid, with strong organic carboxylic acids, such as C_1-C_4alkanecarboxylic acids which are unsubstituted or substituted, for example by halogen, for example acetic acid, such as saturated or unsaturated dicarboxylic acids, for example oxalic acid, malonic acid, succinic acid, maleic acid, fumaric acid or phthalic acid, such as hydroxycarboxylic acids, for example ascorbic acid, lactic acid, malic acid, tartaric acid or citric acid, or such as benzoic acid, or with organic sulfonic acids, such as C_1-C_4alkane- or arylsulfonic acids which are unsubstituted or substituted, for example by halogen, for example methane- or p-toluenesulfonic acid. Compounds of Formula (I) which have at least one acidic group can form, for example, salts with bases, for example mineral salts such as alkali metal or alkaline earth metal salts, for example sodium, potassium or magnesium salts, or salts with ammonia or an organic amine, such as morpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower-alkylamine, for example ethyl-, diethyl-, triethyl- or dimethylpropylamine, or a mono-, di- or trihydroxy-lower-alkylamine, for example mono-, di- or triethanolamine.

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

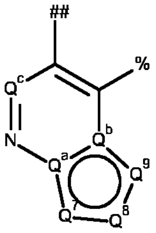
In each case, the compounds of Formula (I) according to the invention are in free form, in oxidized form as an N-oxide, in covalently hydrated form, or in salt form, e.g., an agronomically usable or agrochemically acceptable salt form.

N-oxides are oxidized forms of tertiary amines or oxidized forms of nitrogen containing heteroaromatic compounds. They are described for instance in the book “Heterocyclic N-oxides” by A. Albini and S. Pietra, CRC Press, Boca Raton 1991.

In the description, compounds of formula (I) may be represented with formula (Ia) below:



(Ia) where A represents



, and

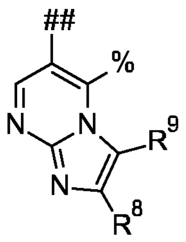
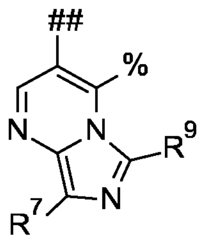
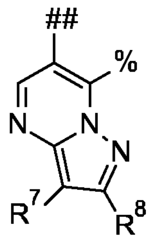
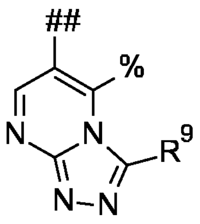
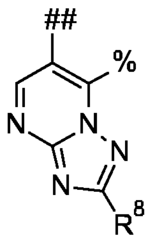
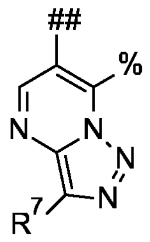
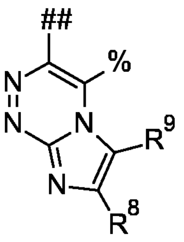
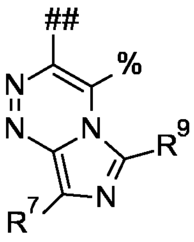
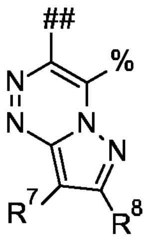
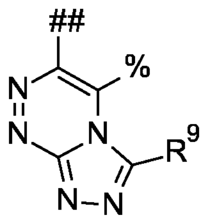
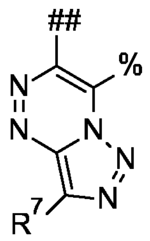
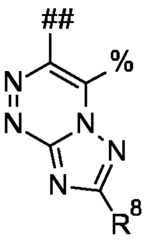
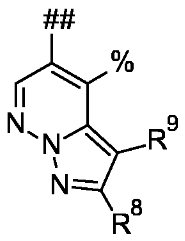
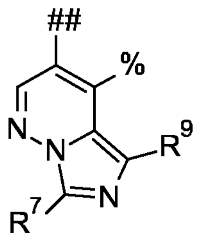
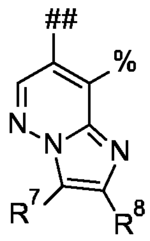
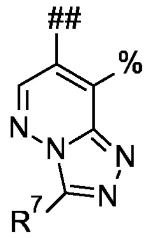
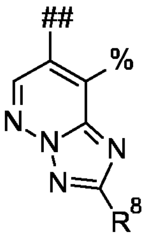
wherein ## marks the bond to -O-R^1, and % marks the bond to the -5,6-dihydro-4H-1,2,4-oxadiazin-3-yl-G moiety; and R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G have the same meaning given above for compounds of formula (I).

The definitions given for Q^a, Q^b, Q^c, Q^7, Q^8, and Q^9 lead to the following groups A-1 to A-17 defined in Table 1 and shown in Table 2:

Table 1: Groups A, wherein R^7, R^8, R^9, have the same meaning as in compounds of formula (I)

A	Q^a	Q^b	Q^c	Q^7	Q^8	Q^9
A-1	C	N	CH	N	CR^8	CR^9
A-2	C	N	CH	CR^7	N	CR^9
A-3	C	N	CH	CR^7	CR^8	N
A-4	C	N	CH	N	N	CR^9
A-5	C	N	CH	N	CR^8	N
A-6	C	N	CH	CR^7	N	N
A-7	C	N	N	N	CR^8	CR^9
A-8	C	N	N	CR^7	N	CR^9
A-9	C	N	N	CR^7	CR^8	N
A-10	C	N	N	N	N	CR^9
A-11	C	N	N	CR^7	N	N
A-12	C	N	N	N	CR^8	N
A-13	N	C	CH	N	CR^8	CR^9
A-14	N	C	CH	CR^7	N	CR^9
A-15	N	C	CH	CR^7	CR^8	N
A-16	N	C	CH	CR^7	N	N
A-17	N	C	CH	N	CR^8	N

Table 2: Groups A, wherein ## and % have the same meaning as in compounds of formula (Ia), and R^7, R^8, R^9, have the same meaning as in compounds of formula (I):

 A-1	 A-2	 A-3	 A-4	 A-5
 A-6	 A-7	 A-8	 A-9	 A-10
 A-11	 A-12	 A-13	 A-14	 A-15
 A-16	 A-17			

The following lists provide definitions, including preferred definitions, for groups A and substituents R^1, G, R^{10}, R^{10a}, R^{10b}, R^{11}, R^{12}, R^{12a}, R^{12b}, R^{G1}, R^{G2}, R^{G3}, and R^{G4} with reference to the compounds of Formula (I) of the present invention. For any one of these groups or substituents, any of the definitions given below may be combined with any definition of any other substituent given below or elsewhere in this document.

In an embodiment of each aspect of the invention, A is selected from:

A. A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16 and A-17; or
B. A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, A-11, and A-12; or
C. A-13, A-14, A-15, A-16, and A-17; or
D. A-1, A-2, A-3, A-4, A-5, and A-6; or
E. A-7, A-8, A-9, A-10, A-11, and A-12; or
F. A-13, A-14, A-15, A-16, and A-17; or

G. A-1, A-2, A-3, A-13, A-14, and A-15; or
H. A-4, A-5, A-6, A-7, A-8, A-9, A-15, A-16, and A-17; or
I. A-10, A-11, and A-12; or
J. A-1, A-2, A-3, A-4, A-5, A-7, A-8, A-9, A-10, A-12, A-14, A-15, and A-16;
K. A-1, A-2, A-3, A-4, A-5, A-7, A-8, A-9, A-14, A-15, and A-16;
L. A-1, A-2, A-3, A-7, A-8, A-9, A-13, A-14, and A-15; or
M. A-4, A-5, A-6, A-10, A-11, A-12, A-16, and A-17; or
N. A-1, A-2, A-3, A-5, A-9, A-14, and A-15; or
O. A-1, A-3, A-5, and A-15; or
P. A-1, A-3 and A-15; or
Q. A-3, A-5, and A-15.

In preferred embodiments of each aspect of the invention, A is selected from A-1, A-2, A-3, A-5, A-9, A-14, and A-15. In other words, Q^a, Q^b, Q^c, Q^7, Q^8 and Q^9 are defined as follows:
A. Q^a is C, Q^b is N, Q^c is CH, and a single one of Q^7, Q^8 and Q^9 is N; or
B. Q^a is C, Q^b is N, Q^c is CH, Q^7 is N or CR^7, Q^8 is CR^8, and Q^9 is N; or
C. Q^a is N, Q^b is C, Q^c is CH, Q^7 is CR^7, and a single one of Q^8 and Q^9 is N; or
D. Q^a is C, Q^b is N, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N; or
E. Q^a is C, Q^b is N, Q^c is CH, Q^7 is N, Q^8 is CR^8, and Q^9 is N; or
F. Q^a is N, Q^b is C, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N.

In more preferred embodiments of each aspect of the invention, A is selected from A-3, A-5, and A-15, i.e. Q^a is C, Q^b is N, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N; or Q^a is C, Q^b is N, Q^c is CH, Q^7 is N, Q^8 is CR^8, and Q^9 is N; or Q^a is N, Q^b is C, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N.

In an embodiment of each aspect of the invention, when present, R^7, R^8 and R^9 are independently from each other:

A. hydrogen, fluoro, chloro, bromo, iodo, methyl, ethyl, propyl, isopropyl, allyl, propargyl, cyclopropylmethyl, cyclopropyl, cyclopentyl, cyclohexyl, $-C(=O)OCH_3$, $-C(=O)N(CH_3)_2$, 2-(dimethylamino)-2-oxo-ethyl, 2-(methylamino)-2-oxo-ethyl, difluoromethyl, trifluoromethyl, methylsulfonyl, methylsulfanyl, thiomethoxy, methoxy, ethoxy, cyano, hydroxyl, mercapto, and amino;

B. hydrogen, fluoro, chloro, methyl, ethyl, isopropyl, cyclopropyl, $-C(=O)OCH_3$, $-C(=O)N(CH_3)_2$, 2-(dimethylamino)-2-oxo-ethyl, 2-(methylamino)-2-oxo-ethyl, difluoromethyl, trifluoromethyl, methylsulfonyl, methoxy, or cyano; or

C. hydrogen, fluoro, chloro, methyl, ethyl, cyclopropyl, 2-(methylamino)-2-oxo-ethyl, methylsulfonyl, methoxy, or cyano; or

D. hydrogen, chloro, fluoro, methyl, cyclopropyl, or cyano; or

E. hydrogen, chloro, fluoro, or methyl; or

F. hydrogen, chloro, or fluoro; or

G. R^7 is hydrogen, chloro, or methyl; R^8 is hydrogen, chloro, fluoro, or methyl; R^9 is hydrogen or methyl; with the proviso that at least one of R^7, R^8 and R^9 is hydrogen when only one of Q^7, Q^8 and Q^9 is nitrogen; or

H. R^7 is hydrogen, chloro, or methyl; R^8 is hydrogen, chloro, or fluoro; R^9 is hydrogen or methyl; with the proviso that at least one of R^7, R^8 and R^9 is hydrogen when only one of Q^7, Q^8 and Q^9 is nitrogen; or

I. where two of Q^7, Q^8 and Q^9 are nitrogen, R^7 is hydrogen, chloro or methyl; R^8 is hydrogen, chloro, fluoro, or methyl; R^9 is hydrogen or methyl;

J. where two of Q^7, Q^8 and Q^9 are nitrogen, R^7 and R^9 are hydrogen or methyl, and R^8 is hydrogen or fluoro.

In an embodiment of each aspect of the invention, R^1 is:

A. phenyl optionally substituted with a one, two or three independently selected substituents R^{11}; for instance, one or two independently selected substituents R^{11}; preferably one substituent R^{11}; wherein R^{11} is selected from hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, iso-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, iso-propoxy, tert-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, and cyclopropyloxy; or

B. phenyl substituted with one or two substituents R^{11}, for instance one substituent R^{11}; wherein R^{11} is selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl difluoromethyl, trifluoromethyl, methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

C. phenyl substituted with one or two substituents, for instance one substituent, independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

D. phenyl optionally substituted with a one or two substituents, for instance one substituent, independently selected from chloro, fluoro, cyano, methyl, methoxy, and cyclopropyl; or

E. phenyl substituted with a single substituent selected from methyl and cyclopropyl; or

F. a 5- or 6-membered monocyclic heteroaryl ring comprising 1, 2 or 3 heteroatoms which may be the same or different, independently selected from N, O and S, wherein said heteroaryl ring is optionally substituted with 1 or 2 independently selected substituents R^{11}; or

G. a 6-membered monocyclic heteroaryl ring comprising 1, 2 or 3 nitrogen atoms, wherein said heteroaryl ring is optionally substituted with 1 or 2 independently selected substituents R^{11}; or

H. pyridine, pyrimidine, pyridazine, or 1,2,4-triazine wherein said pyridine, pyrimidine, pyridazine, 1,2,4-triazine is optionally substituted with one or two substituents independently selected from hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, iso-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, iso-propoxy, tert-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, and cyclopropyloxy; or

I. pyridine substituted with a one or two substituents independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

J. pyridine substituted with a single substituent selected from chloro, fluoro, cyano, methyl, methoxy, difluoromethoxy, and cyclopropyl; or

K. pyridine substituted with a single substituent selected from chloro, cyano, and methyl; or

L. phenyl, pyridyl, pyrimidyl, or pyridazinyl, each optionally substituted with a one or two substituents R^{11} independently selected from hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, iso-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, iso-propoxy, tert-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, and cyclopropyloxy; or

M. phenyl, pyridyl, or pyrimidyl, each optionally substituted with a one or two substituents R^{11} independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

N. phenyl, or pyridyl, each optionally substituted with a one or two substituents R^{11} independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

O. phenyl, or pyridyl, each optionally substituted with a one or two substituents R^{11} independently selected from chloro, fluoro, cyano, methyl, methoxy, difluoromethoxy, and cyclopropyl; or

P. phenyl, or pyridyl, each optionally substituted with a one or two substituents R^{11} independently selected from chloro, cyano, methyl, trifluoromethyl, ethynyl, and cyclopropyl; or

Q. phenyl, or pyridyl, each optionally substituted with a one or two substituents R^{11} independently selected from chloro, methyl, cyano and cyclopropyl; or

R. phenyl, or phenyl substituted with a single substituent selected from methyl, trifluoromethyl, ethynyl, chloro and cyclopropyl; or 3-cyclopropyl-2-fluorophenyl; or pyridinyl substituted with a single substituent selected from cyano and cyclopropyl; or

S. 3-cyclopropyl-2-fluorophenyl, 3-(trifluoromethyl)phenyl, 3-ethynylphenyl, 3-chlorophenyl, 5-cyanopyridin-3-yl, 5-(cyclopropyl)pyridin-3-yl, 3-phenyl, 3-tolyl, or 3-cyclopropylphenyl; or

T. 3-cyclopropylphenyl.

In an embodiment of each aspect of the invention, R^{11} is:

A. hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, isopropyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, *iso*-propoxy, *tert*-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, and cyclopropyloxy; or

B. hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

C. hydroxyl, cyano, methyl, vinyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

D. halogen, cyano, methyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, allyloxy, difluoromethoxy, trifluoromethoxy, and cyclopropyl; or

E. cyano, methyl, methoxy, allyloxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

F. chloro, fluoro, cyano, methoxy, allyloxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

G. halogen, cyano, methoxy, allyloxy, trifluoromethoxy, and cyclopropyl; or

H. chloro, fluoro, cyano, cyclopropyl and cyclobutyl; or

I. chloro, fluoro, cyano, methyl, cyclopropyl, trifluoromethyl, ethynyl; or

I. chloro, cyano, cyclopropyl and methyl; or

J. cyclopropyl.

In an embodiment of each aspect of the invention, G is:

A. phenyl optionally substituted with a one or two substituents, independently selected from hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, *iso*-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, *iso*-propoxy, *tert*-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, or cyclopropyloxy; or

B. phenyl optionally substituted with a one or two substituents, independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

C. phenyl optionally substituted with 1, 2 or 3 substituents, for instance 2 or 3 substituents, each independently selected from chloro, fluoro, methyl, and methoxy; or

D. phenyl substituted with one or two substituents, for instance one substituent, selected from chloro and methyl; or

E. pyridine, pyrimidine, pyridazine, or 1,2,4-triazine wherein said pyridine, pyrimidine, pyridazine, 1,2,4-triazine is optionally substituted with one or two substituents, independently selected from hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, iso-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, iso-propoxy, tert-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, and cyclopropyloxy; or

F. pyridine, pyrimidine, or pyridazine, substituted with one or two substituents, independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

G. pyrimidine, or pyridazine, substituted with one or two substituents, independently selected from hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

H. pyridine substituted with 1, 2 or 3 substituents, for instance 2 or 3 substituents each independently selected from chloro, fluoro, cyano, methyl, and methoxy; or

I. pyridine substituted with one or two substituents, for instance one substituent, selected from chloro and methyl; or

J. chroman-4-yl, isochroman-4-yl, 4H-chromen-4-yl, 2,3-dihydrobenzofuran-2-yl, 2,3-dihydrobenzofuran-3-yl, 1,3-benzodioxol-5-yl, benzothiazol-2-yl, benzothiazol-5-yl, benzothiazol-6-yl, benzooxazol-2-yl, benzooxazol-5-yl, benzooxazol-6-yl, benzofuran-2-yl, benzofuran-3-yl, benzofuran-5-yl, benzofuran-6-yl, benzothiophen-2-yl, benzothiophen-3-yl, benzothiophen-5-yl, benzothiophen-6-yl optionally substituted with 1, 2 or 3 substituents, for instance one or two substituents, each independently selected from chloro, fluoro, cyano, methyl, and methoxy; or

K. chroman-4-yl, isochroman-4-yl, 4H-chromen-4-yl, 2,3-dihydrobenzofuran-2-yl, 2,3-dihydrobenzofuran-3-yl, 1,3-benzodioxol-5-yl, benzothiazol-2-yl, benzothiazol-5-yl, benzothiazol-6-yl, benzooxazol-2-yl, benzofuran-2-yl, benzofuran-3-yl, or benzothiophen-2-yl, benzothiophen-3-yl substituted with one substituent selected from chloro, fluoro, cyano, methyl, and methoxy

L. chroman-4-yl, isochroman-4-yl, 4H-chromen-4-yl, 2,3-dihydrobenzofuran-2-yl, or 2,3-dihydrobenzofuran-3-yl substituted with one substituent selected from chloro, fluoro, cyano, methyl, and methoxy; or

M. 1,3-benzodioxol-5-yl, benzothiazol-2-yl, benzothiazol-5-yl, benzothiazol-6-yl, benzooxazol-2-yl, benzofuran-2-yl, benzofuran-3-yl, benzothiophen-2-yl, or benzothiophen-3-yl; or

N. naphthalen-2-yl, tetralin-1-yl, tetralin-2-yl, tetralin-6-yl, indan-1-yl, indan-2-yl, or indan-5-yl optionally substituted with 1, 2 or 3 substituents, for instance one or two substituents, each independently selected from chloro, fluoro, cyano, methyl, and methoxy; or

O. tetralin-1-yl, tetralin-2-yl, indan-1-yl, or indan-2-yl, or optionally substituted with one or two substituents, for instance one substituent, each independently selected from chloro, fluoro, cyano, methyl, and methoxy; or

P. tetralin-1-yl or indan-1-yl substituted with one substituent selected from chloro, fluoro, cyano, methyl, and methoxy; or

Q. 2,4-dimethylphenyl, 2,4-dichlorophenyl, 4-bromo-2-methylphenyl, 4-bromo-2-chlorophenyl, 2,6-dichloro-3-pyridyl, 4,6-dichloro-3-pyridyl, 3,5-dichloro-2-pyridyl, 3,5-dichloro-thienyl, 3,5-dimethyl-thienyl, 3,4-dimethylphenyl, 2-chloro-4-methylphenyl, 2-bromo-4-chlorophenyl, 4-chloro-2-fluorophenyl, or 2-chloro-4-fluorophenyl; or

R. 2,4-dimethylphenyl, 2,4-dichlorophenyl, 4-bromo-2-methylphenyl, 4-bromo-2-chlorophenyl, 3,4-dimethylphenyl, 2-chloro-4-methylphenyl, 2-bromo-4-chlorophenyl, 4-chloro-2-fluorophenyl, or 2-chloro-4-fluorophenyl; or

S. 2,4-dichlorophenyl.

In an embodiment of each aspect of the invention, R^{G1}, R^{G2}, R^{G3}, and R^{G4} are independently selected from:

A. hydroxyl, halogen, such as chloro and fluoro, mercapto, amino, cyano, methyl, ethyl, propyl, *iso*-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, iso-propoxy, tert-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, or cyclopropyloxy; or

B. hydroxyl, halogen, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

C. hydroxyl, chloro, fluoro, cyano, methyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl methoxy, ethoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, cyclopropyl, and cyclobutyl; or

D. chloro, fluoro, cyano, methyl, and methoxy; or

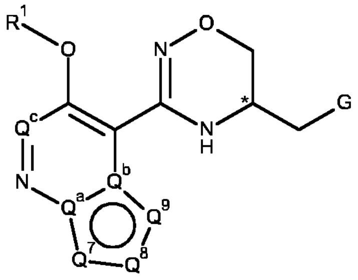
E. chloro, and methyl.

In one embodiment of the invention, the compound of formula (I) according to the invention is selected from compounds listed in any one of Tables A-1 to A-27.

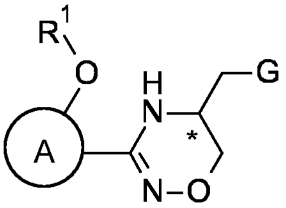
Preferably the compound of formula (I) according to the invention is selected from compounds as listed in Table T1 (below).

Even more preferably the compound of formula (I) according to the invention is selected from
3-[6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine,
3-[3-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine,
3-[6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine,
3-[2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine, or
3-[7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazin-8-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine.

The compound of the formula (I) comprise a stereogenic centre, shown with an asterisk in formula (I*) and (I*a), wherein A, G, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, R^1, R^7, R^8, R^9, and R^{11} are as defined in the first aspect, each with the corresponding embodiments as described above.

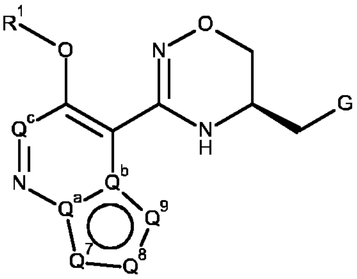


(I*)

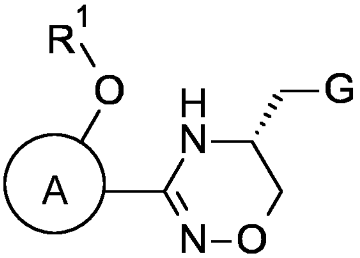


(I*a)

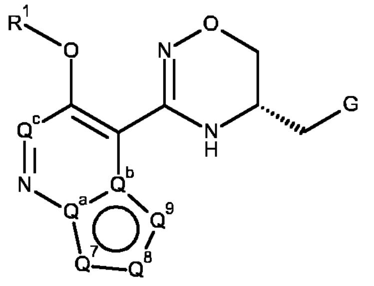
The compounds of the present invention may be enantiomers of the compound of Formula (I) or (Ia), as represented by Formula (I-R) or Formula (I-S) or Formula (Ia-R) or Formula (Ia-S), wherein wherein A, G, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, R^1, R^7, R^8, R^9, and R^{11} are as defined in the first aspect.



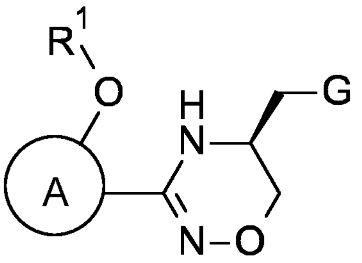
(I-R)



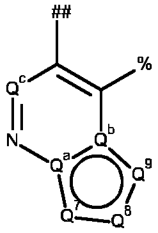
(Ia-R)



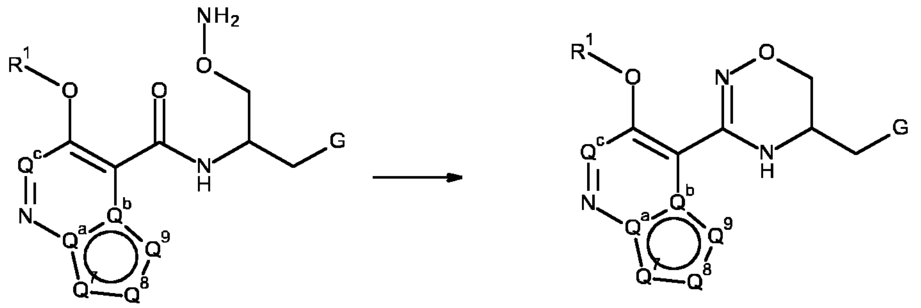
(I-S)



(Ia-S)

The compounds of formula (I) can be prepared by those skilled in the art as shown in the following schemes 1 to 46, wherein G, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, R^1, R^7, R^8, R^9, and R^{11} are as defined for a compound of formula (I), and A is as defined for a compound of formula (Ia), unless otherwise stated. For the sake of clarity, in the intermediate compounds shown in schemes 1 to 46, A represents , wherein ## marks the bond to -O-R^1, or a corresponding precursor group in the intermediate compound, and % marks the bond to the -5,6-dihydro-4H-1,2,4-oxadiazin-3-yl-G moiety, or a corresponding precursor group in the intermediate compound; and Q^a, Q^b, Q^c, Q^7, Q^8, and Q^9, have the same meaning given for compounds of formula (I). Certain stereogenic centers have been left unspecified for clarity and are not intended to limit the teaching of the schemes in any way.

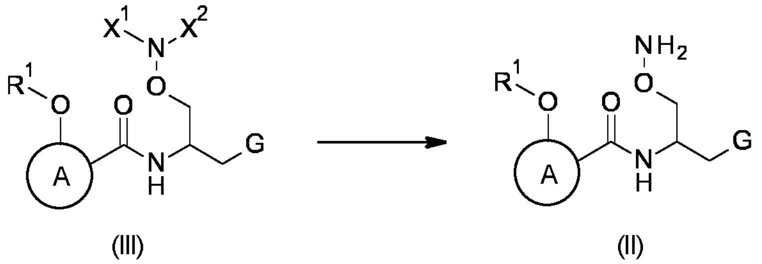
As shown in Scheme 1, compounds of formula (I) can be obtained by intramolecular cyclization of compounds of formula (II) using a chlorinating agent, for example by using $POCl_3$, PCl_5, $(COCl)_2$ or $SOCl_2$ in the optional presence of dimethylformamide, preferably at temperatures between 0 °C and 80 °C, more preferable between 25 °C and 60 °C, in an appropriate solvent or mixture of solvent (e.g. dichloromethane, dimethylformamide, 2-methyltetrahydrofuran, tetrahydrofuran, diethyl ether, toluene, ethyl acetate). For examples, see Heterocycles (2016), 92(12), 2166-2200 or CN114437077.



(II) (I)

Scheme 1

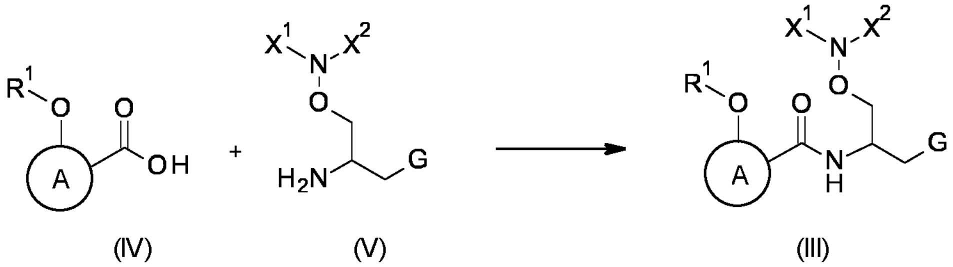
As shown in Scheme 2, compounds of formula (II) may be obtained by amine deprotection of compounds of formula (III), wherein X^1 is H and X^2 is a protective group, or X^1 and X^2 are identical or different protective groups, or X^1 and X^2 form a protective group together with the nitrogen they are attached to. Examples of protective groups include, for instance, *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl, *p*-toluenesulfonyl, phthalimide, or succinimide. Protective groups can be removed using standard techniques; see *Greene's Protective Groups in Organic Synthesis*, 4th Ed., Wiley-Interscience.



Scheme 2

As shown in Scheme 3, compounds of formula (III), wherein X^1 and X^2 are as defined for compounds of formula (III) in Scheme 2, may be obtained by amide coupling transformation with compounds of formula (IV) and amine compounds of formula (V), by activating the carboxylic acid function of the compounds of formula (IV), a process that usually takes place by converting the -OH of the carboxylic acid into a good leaving group, such as a chloride group, for example by using $(COCl)_2$ or $SOCl_2$, prior to treatment with the compounds of formula (IV), preferably in a suitable solvent (e.g., N-methylpyrrolidone, acetonitrile, dimethylacetamide, dichloromethane or tetrahydrofuran), preferably at temperatures between 25 °C and 60 °C, and optionally in the presence of a base such as triethylamine or *N,N*-diisopropylethylamine.

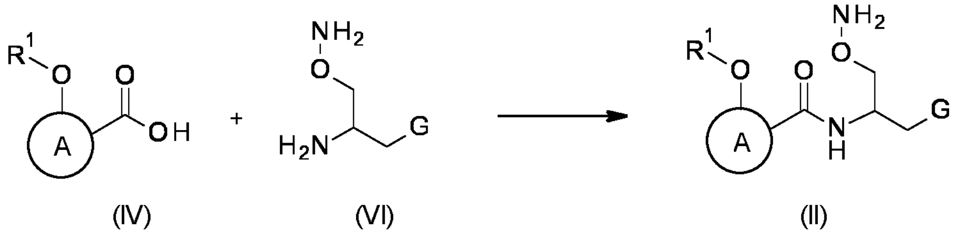
Alternatively, compounds of formula (III) may be obtained under conditions described in the literature for an amide coupling, using an amidation coupling reagent such as 1-propanephosphonic acid cyclic anhydride (T3P) in a suitable solvent (e.g., acetonitrile) optionally in the presence of a base (e.g., triethylamine or *N,N*-diisopropylethylamine). For examples, see *Chem. Soc. Rev.* **2009**, 38, 606 and *Chem. Soc. Rev.* **2011**, *40*, 5084.



Scheme 3

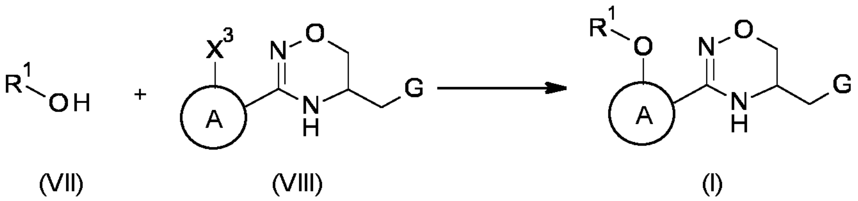
As shown in Scheme 4, compounds of formula (II) may also be obtained by amide coupling transformation with compounds of formula (IV) and amine compounds of formula (VI) by activating the carboxylic acid function of the compounds of formula (IV), a process that usually takes place by converting the -OH of the carboxylic acid into a good leaving group, such as a chloride group, for example by using $(COCl)_2$ or $SOCl_2$, prior to treatment with the compounds of formula (IV), preferably in a suitable solvent (e.g., N-methylpyrrolidone, acetonitrile, dimethylacetamide, dichloromethane or tetrahydrofuran), preferably at temperatures between 25 °C and 60 °C, and optionally in the presence of a base such as triethylamine or *N,N*-diisopropylethylamine.

Alternatively, compounds of formula (II) may be obtained under conditions described in the literature for an amide coupling, using an amidation coupling reagent such as 1-propanephosphonic acid cyclic anhydride (T3P) in suitable solvent (e.g., acetonitrile) optionally in the presence of a base (e.g., triethylamine or *N,N*-diisopropylethylamine). For examples, see *Chem. Soc. Rev.* **2009**, 38, 606 and *Chem. Soc. Rev.* **2011**, *40*, 5084.

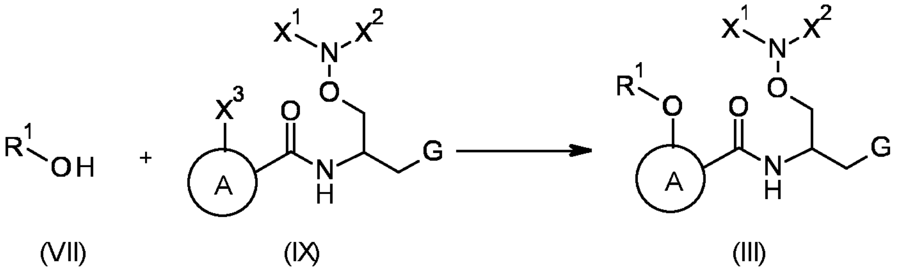


Scheme 4

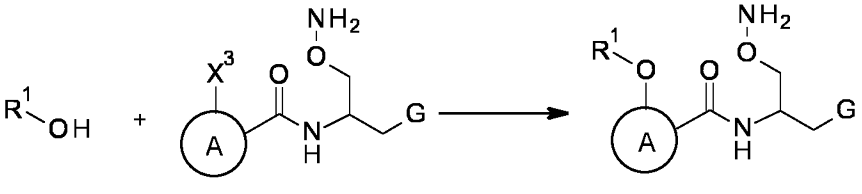
As shown in Scheme 5a, 5b and 5c respectively, compounds of formula (I), (III) or (II) may also be prepared by reacting nucleophilic compounds of formula (VII) with electrophilic compounds of formula (VIII), (IX) or (X), wherein X^1 and X^2 are as defined for compounds of formula (III) in Scheme 2, and X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in the presence of a base (e.g., KO-*t*-Bu, K_3PO_4, K_2CO_3, triethylamine, or Cs_2CO_3), in a suitable solvent (e.g., *N*-methylpyrrolidone, dimethylacetamide, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, sulfolane, or dimethylsulfoxide) at temperatures between 10 °C and 90 °C and preferably using a metal catalyst complex (e.g., Cu or Pd). For related examples, see *Eur. J. Org. Chem.* **2011**, *18*, 3353; *J. Org. Chem.* **2009**, *74*, 7951; *Tetrahedron Lett.*, **2012**, *53*, 5318. Compounds of formula (VII) are either known or commercially available.



Scheme 5a

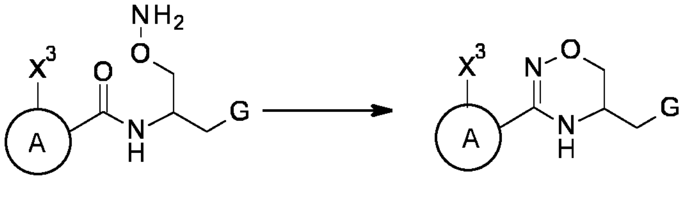


Scheme 5b



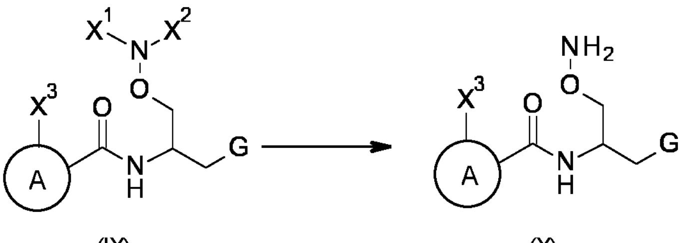
Scheme 5c

As shown in Scheme 6, compounds of formula (VIII) can be obtained by intramolecular cyclization of compounds of formula (X), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), using a chlorinating agent, for example $POCl_3$, PCl_5, $(COCl)_2$ or $SOCl_2$, optionally in the presence of dimethylformamide, preferably at temperatures between 0 °C and 80 °C, more preferably between 25 °C and 60 °C, in an appropriate solvent or mixture of solvent (e.g. dichloromethane, dimethylformamide, 2-methyltetrahydrofuran, tetrahydrofuran, diethyl ether, toluene, ethyl acetate). For examples, see *Chem. Soc. Rev.* **2009**, 38, 606 and *Chem. Soc. Rev.* **2011**, *40*, 5084.



Scheme 6

As shown in Scheme 7, compounds of formula (X) may be obtained by amine deprotection of compounds of formula (IX), wherein X^1 and X^2 are are as defined for compounds of formula (III), in connection with Scheme 2, and X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol). Protective groups can be removed using standard techniques; see *Greene's Protective Groups in Organic Synthesis*, 4th Ed., Wiley-Interscience.

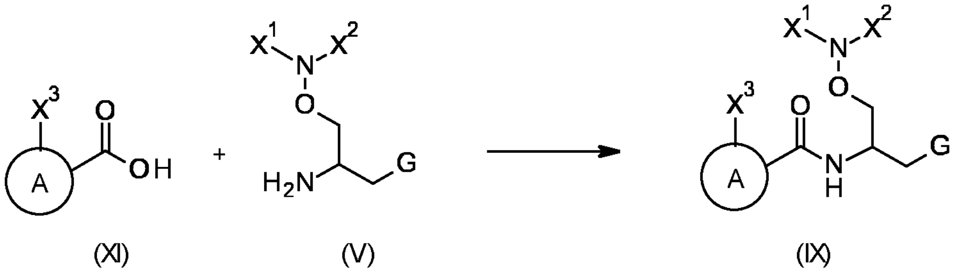


Scheme 7

As shown in Scheme 8, compounds of formula (IX), wherein X^1 and X^2 are are as defined for compounds of formula (III), in connection with Scheme 2, and X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), may be prepared by an amide coupling transformation with compounds of formula (XI) and amine compounds of formula (V), by activating the carboxylic acid function of the compounds of formula (XI), a process that usually takes place by converting the -OH of the carboxylic acid into a good leaving group, such as a chloride group, for example by using $(COCl)_2$ or $SOCl_2$, prior to treatment with the compounds of formula (V), preferably in a suitable solvent (e.g., N-methylpyrrolidone dimethylacetamide, dichloromethane or tetrahydrofuran), preferably at temperatures between 25 °C and 60 °C, and optionally in the presence of a base such as triethylamine or *N,N*-diisopropylethylamine.

Alternatively, compounds of formula (IX) may be obtained under conditions described in the literature for an amide coupling, using an amidation coupling reagent such as 1-propanephosphonic acid cyclic anhydride (T3P) in suitable solvent (e.g., acetonitrile), optionally in the presence of a base (e.g., triethylamine or *N,N*-diisopropylethylamine). For examples, see: *Chem. Soc. Rev.* **2009**, *38*, 606 and *Chem. Soc. Rev.* **2011**, *40*, 5084.

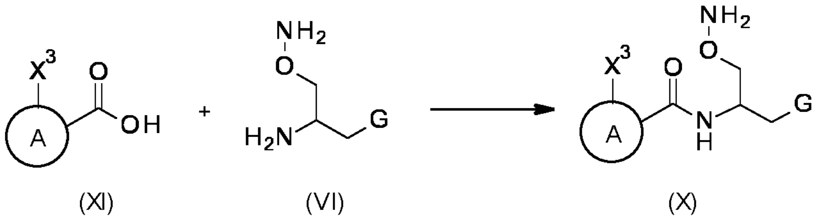
Compounds of formula (V) are either known, commercially available or readily available to experts in the field.



Scheme 8

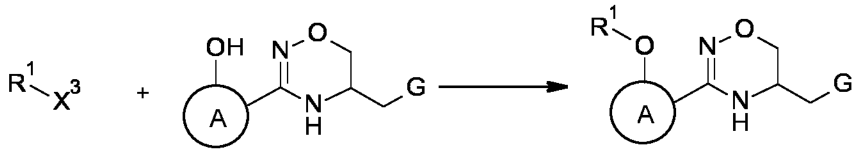
As shown in Scheme 9, compounds of formula (X), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), may also be obtained by an amide coupling transformation with compounds of formula (XI) and amine compounds of formula (VI) by activating the carboxylic acid function of the compounds of formula (XI), a process that usually takes place by converting the -OH of the carboxylic acid into a good leaving group, such as a chloride group, for example by using $(COCl)_2$ or $SOCl_2$, prior to treatment with the compounds of formula (VI), preferably in a suitable solvent (e.g., N-methylpyrrolidone dimethylacetamide, dichloromethane or tetrahydrofuran), preferably at temperatures between 25 °C and 60 °C, and optionally in the presence of a base such as triethylamine or *N,N*-diisopropylethylamine;

Alternatively, compounds of formula (X) may be obtained under conditions described in the literature for an amide coupling, using an amidation coupling reagent such as 1-propanephosphonic acid cyclic anhydride (T3P) in suitable solvent (e.g., acetonitrile), optionally in the presence of a base (e.g., triethylamine or *N,N*-diisopropylethylamine). For examples, see: *Chem. Soc. Rev.* **2009**, *38*, 606 and *Chem. Soc. Rev.* **2011**, *40*, 5084. Compounds of formula (VI) are either known or commercially available.



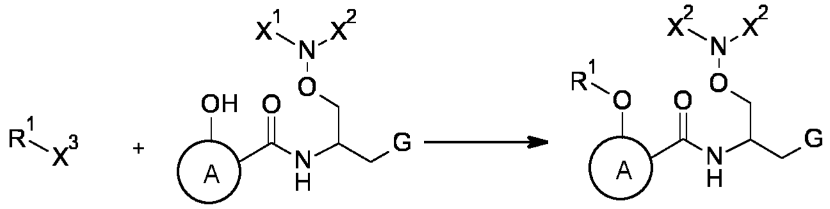
Scheme 9

As shown in Scheme 10a and 10b respectively, compounds of formula (I) and (III) may also be prepared by reacting nucleophilic compounds of formula (XIII) and (XIV) with electrophilic compounds of formula (XII), wherein X^1 and X^2 are are as defined for compounds of formula (III), in connection with Scheme 2, and X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in the presence of a base (e.g., KO-*t*-Bu, K_3PO_4, K_2CO_3, triethylamine, or Cs_2CO_3), in a suitable solvent (e.g., *N*-methylpyrrolidone, dimethylacetamide, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, sulfolane, or dimethylsulfoxide), at temperatures between 10 °C and 90 °C and preferably using a metal catalyst complex (e.g., Cu or Pd). For related examples, see *Eur. J. Org. Chem.* **2011**, *18*, 3353; *J. Org. Chem.* **2009**, *74*, 7951; *Tetrahedron Lett.*, **2012**, *53*, 5318. Compounds of formula (XII) are either known or commercially available.



(XII) (XIII) (I)

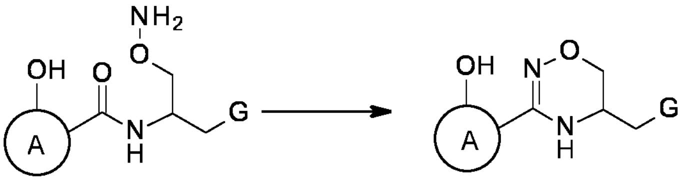
Scheme 10a



(XII) (XIV) (III)

Scheme 10b

As shown in Scheme 11, compounds of formula (XIII) can be obtained by intramolecular cyclization of compounds of formula (XV), using a chlorinating agent, for example by using $POCl_3$, PCl_5, $(COCl)_2$ or $SOCl_2$, optionally in the presence of dimethylformamide, preferably at temperatures between 0 °C and 80 °C, more preferably between 25 °C and 60 °C, in an appropriate solvent or mixture of solvent (e.g. dichloromethane, dimethylformamide, 2-methyltetrahydrofuran, tetrahydrofuran, diethyl ether, toluene, ethyl acetate). For examples, see *Chem. Soc. Rev.* **2009**, 38, 606 and *Chem. Soc. Rev.* **2011**, *40*, 5084.

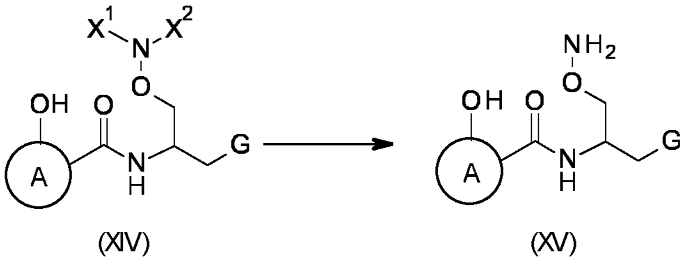


(XV) (XIII)

Scheme 11

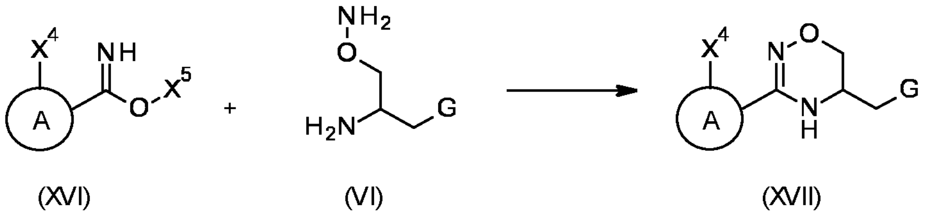
As shown in Scheme 12, compounds of formula (XV) may be obtained by amine deprotection of compounds of formula (XIV), wherein X^1 and X^2 are as defined for compounds of formula (III) in Scheme

2. Protective groups can be removed using standard techniques, see *Greene's Protective Groups in Organic Synthesis*, 4th Ed., Wiley-Interscience.



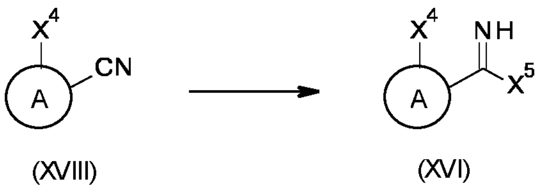
Scheme 12

As shown in Scheme 13, compounds of formula (XVII), wherein X^4 is OH or OR^1, may be obtained by coupling of compounds of formula (VI) with compounds of formula (XVI), wherein X^4 is as defined in compounds of formula (XVII), and X^5 is C_1-C_4-alkoxy, such as methoxy or ethoxy. The subsequent cyclization may be achieved using an acid, typically acetic acid at temperatures between 20 °C and 120 °C, more preferably between 80 °C and 120 °C. For related examples, see: *Heterocycles* **2016**, *92*, 2166 and WO 2017/031325. Compounds of formula (VI) are known or can be readily accessed by experts in the field.



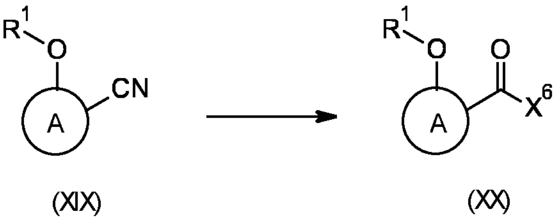
Scheme 13

As shown in Scheme 14, compounds of formula (XVI), wherein X^4 is OH or OR^1, and X^5 is C_1-C_4-alkoxy, such as methoxy or ethoxy, may be obtained by reaction of compounds of formula (XVIII) using an alkoxide, typically in solution in the corresponding alcohol (e.g. sodium methoxide, sodium methoxide or potassium *tert*-butoxide) or an excess of an alcohol such as methanol or ethanol and an alkali metal at temperature from -30 °C to 20 °C. Alternatively, compounds of formula (XVIII) can be hydrolyzed in presence of a acid, such as hydrochloric acid, in a suitable solvent or mixture of solvents such as methanol, ethanol, dichloromethane or 1,4-dioxane at temperature from -30 °C to 40 °C. For related examples, see: WO 2012/178015, JP 2014/037370 or *Angew. Chem. Int. Ed.* **2020**, *59*, 23306.



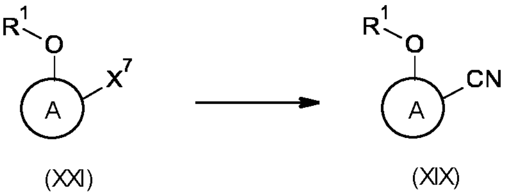
Scheme 14

As shown in Scheme 15, compounds of formula (XX), wherein X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, may also be prepared by reaction of compounds of formula (XIX) in aqueous solvent mixture such as *iso*-propanol or ethanol, optionally in an alkaline media at temperatures between 90 °C and 110 °C. For related examples, see: *J. Med. Chem.* **2012**, *55*, 10118.



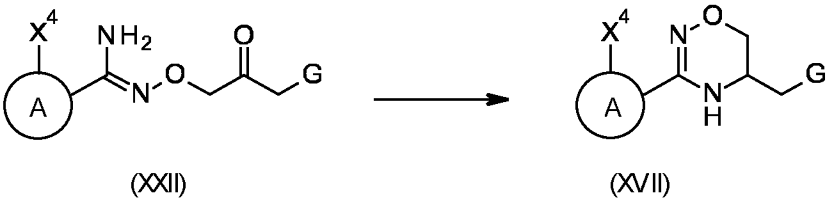
Scheme 15

Compounds of formula (XIX) are either known or, as shown in Scheme 16, may be obtained by reacting compounds of formula (XXI) wherein X^7 is chloro, bromo, iodo, or O-trifluoromethanesulfonyl, at temperatures between 50 °C and 120 °C, preferably between 80 °C and 110 °C, using a metal source, such as XPhos Pd Generation 1, in the presence of a cyanide source, such as potassium ferrocyanide, cooper cyanide, zinc cyanide or potassium cyanide, and of a base (e.g., KOAc) in a suitable solvent or a mixture of solvents (e.g., dioxane, water, toluene, tetrahydrofuran, 2-methyl-tetrahydrofuran, xylene). For related examples, see: *J. Org. Chem.* **2018**, *83*, 4922 and *Org. Let.* **2006**, *8*, 1189. Compounds of formula (XXI) are either known or can be readily prepared by experts in the field.



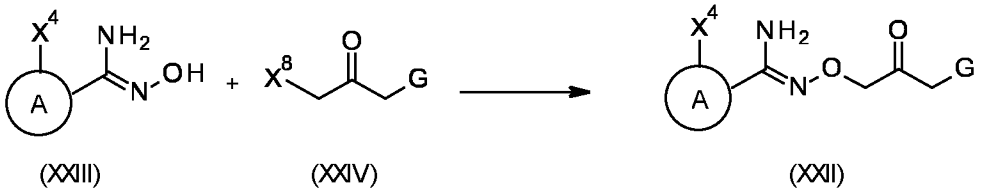
Scheme 16

As shown in Scheme 17, compounds of formula (XVII), wherein X^4 is OH or OR^1, may also be obtained by cyclization of compounds of formula (XXII), using an acid, e.g. acetic acid, either neat or in an appropriate solvent such as methanol or ethanol, at temperature from 20 °C to 75 °C, followed by a reduction in the presence of a hydride (e.g. sodium cyanoborohydride, sodium borohyride, sodium triacetoxyborohydride or lithium borohydride) in a solvent or mixture of solvents such as tetrahydrofuran, toluene or methanol. For related example, see: WO 2016/201168.



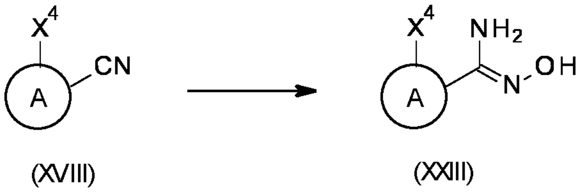
Scheme 17

As shown in Scheme 18, compounds of formula (XXII), wherein X^4 is OH or OR^1 can be obtained by reaction of compounds of formula (XXIV) wherein X^8 is a leaving group such as chloro, bromo, iodo, mesyl, tosyl or O-trifluoromethanesulfonyl, with compounds of formula (XXIII), optionally in the presence of a base (e.g. pyridine, triethylamine, potassium carbonate, sodium carbonate), in a suitable solvent or mixture of solvents, such as benzene, toluene, tetrahydrofurane, chloroform, acetonitrile or 2-methyl-tetrahydrofuran, at temperature between 0 °C and 110 °C, more preferably between 20 °C and 80 °C. For related examples, see: *J. Med. Chem.* **2011**, *54*, 8407; WO 2002/051811 or WO 2010/078867. Compounds of formula (XXIV) are either known or readily available to experts in the field.



Scheme 18

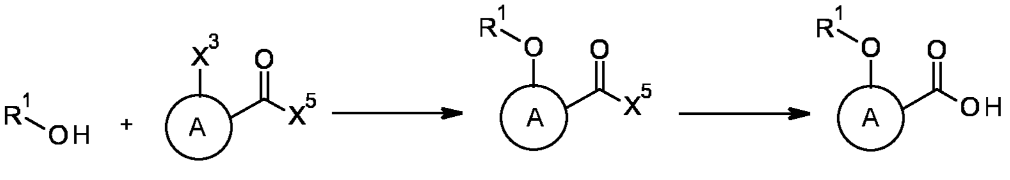
Compounds of formula (XXIII), wherein X^4 is OH or OR^1 are either known or, as shown in Scheme 19, may be prepared by reaction of compounds of formula (XVIII) using hydroxyamine or an hydroxyamine salt such as hydrochloride, optionally in the presence of a base (e.g. potassium or sodium carbonate), in a suitable solvent or mixture of solvents, for examples methanol, ethanol, 2-methyl-2-butanol, water or 1-butyl-3-methylimidazolium acetate. For related examples, see: *Org. Biomol. Chem.* **2014**, *12*, 8036; WO 2016/143655 or WO 201/9224743. Compounds of formula (XVIII) are either known, commercially available or easily obtained by experts in the field.



Scheme 19

As shown in Scheme 20, compounds of formula (XXVI), or a lithium, sodium or barium salt thereof, wherein X^5 is C_1-C_4-alkoxy, for instance methoxy or ethoxy, may be prepared by reacting nucleophilic compounds of formula (VII) with electrophilic compounds of formula (XXV), wherein X^5 is C_1-C_4-alkoxy, such as methoxy or ethoxy, and X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in the presence of a base (e.g., KO-*t*-Bu, K_3PO_4, K_2CO_3, triethylamine, or Cs_2CO_3), in a suitable solvent or mixture of solvents (e.g., N-methylpyrrolidone, dimethylacetamide, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, sulfolane, dimethylsulfoxide) at temperatures between 20 °C and 110 °C and preferably using a metal catalyst complex (e.g., Cu or Pd). For related examples, see *Eur. J. Org. Chem.* **2011**, *18*, 3353; *J. Org. Chem.* **2009**, *74*, 7951; *Tetrahedron Lett.* **2012**, *53*, 5318; WO 2008/110313 and WO 2012/136604. Compounds of formula (VII) are either known or commercially available.

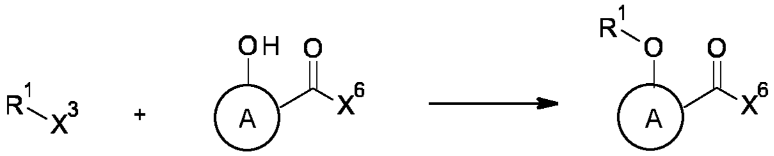
Compounds of formula (IV) can then be obtained by ester hydrolyis of compounds of formula (XXVI), wherein X^5 is C_1-C_4-alkoxy, for instance methoxy or ethoxy, using an alkali hydroxide, such as lithium, sodium, potassium or barium hydroxide, in a suitable solvent of mixture of solvents (e.g. methanol, acetonitrile, ethanol, water, tetrahydrofuran or 2-methyl-tetrahydrofuran) at temperature between 0 °C and 80 °C. Alternatively, the ester can be cleaved in acidic conditions, using for instance hydrochloric acid. For related examples, see: WO 2021/086879 or *Org. Biomol. Chem.* **2015**, *13*, 7928.



(VII) (XXV) (XXVI) (IV)

Scheme 20

As shown in Scheme 21, compounds of formula (XX), wherein X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, may also be prepared by reacting nucleophilic compounds of formula (XXVII), wherein X^6 is as defined for compounds of formula (XX), with electrophilic compounds of formula (XII), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in a suitable solvent (e.g., dichloromethane, 1,2-dichloromethane, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, N-methylpyrrolidone, dimethylacetamide, dimethyl ether or toluene) at temperatures between 20 °C and 80 °C and using a metal source (e.g., $Cu(OAc)_2$), and preferably in the presence of an oxidant such as O_2 or a suitable palladium pre-catalyst, such as RockPhos Pd generation 3 in presence of of a base (e.g., K_3PO_4). For related examples, see *Org. Lett.* **2003**, *5*, 1381; *Tetrahedron Lett.* **1998**, *39*, 2933; *Tetrahedron Lett.* **2003**, *44*, 3863 and *Org. Lett.* **2013**, *15*, 2876. Compounds of formula (XII) are either known or commercially available.

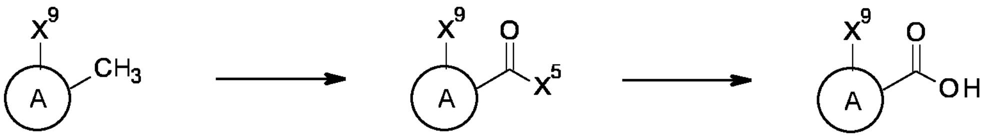


(XII) (XXVII) (XX)

Scheme 21

As shown in Scheme 22, compounds of formula (XXX), or a lithium, sodium or barium salt thereof, wherein X^9 is OH or halogen, may be obtained from compounds of formula (XXIX) by hydrolysis in a similar manner as described for the conversion of compounds of formula (XXVI) into compounds of formula (IV) in Scheme 20.

Compounds of formula (XXIX), wherein X^5 is C_1-C_4-alkoxy, such as methoxy or ethoxy, and X^9 is OH or halogen may be obtained from compounds of formula (XXVIII), wherein X^9 is OH or halogen, via an oxidation method using a suitable oxidant, such as $KMnO_4$ or a cobalt(II) salt and trihydroxyisocyanuric acid (THICA) in a suitable solvent (e.g., acetic acid) at temperatures between 25 °C and 200 °C. For related examples, see: *Can. J. Chem.* **1978**, *56*, 1273 and WO 2021/160470. Compounds of formula (XXVIII) are known or can be prepared as described in *Bulletin de la Societe Chimique de France* **1972**, *8*, 3198.

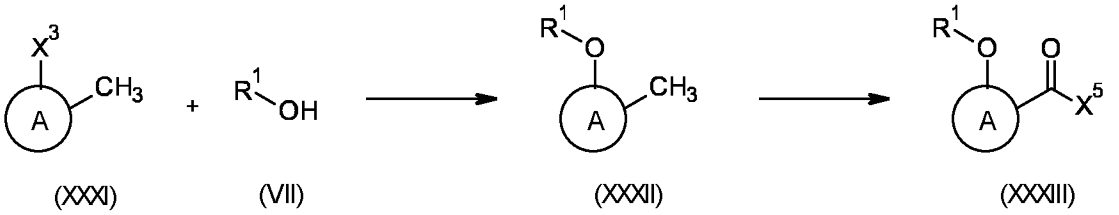


(XXVIII) (XXIX) (XXX)

Scheme 22

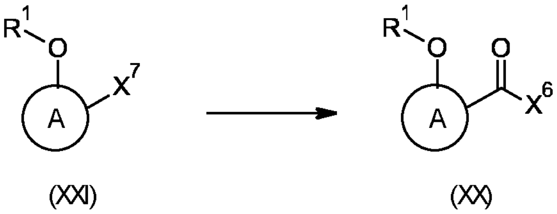
As shown in Scheme 23, compounds of formula (XXXIII), wherein X^5 is C_1-C_4-alkoxy, such as methoxy or ethoxy, may be obtained from compounds of formula (XXXII) via an oxidation method using a suitable oxidant, such as $KMnO_4$ or a suitable cobalt(II) salt and trihydroxyisocyanuric acid (THICA) in a suitable solvent (e.g., acetic acid) at temperatures between 25 °C and 200 °C. For related examples, see: *Can. J. Chem.* **1978**, *56*, 1273 and WO 2021/160470.

Furthermore, compounds of formula (XXXII), may be prepared by reacting nucleophilic compounds of formula (VII) with electrophilic compounds of formula (XXXI), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in the presence of base (e.g., KO-*t*-Bu, K_3PO_4, K_2CO_3, triethylamine, or Cs_2CO_3), in a suitable solvent (e.g., N-methylpyrrolidone, dimethylacetamide, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, sulfolane, dimethylsulfoxide) at temperatures between 25 °C and 120 °C, and reflux and optionally using a metal catalyst and ligand complex (e.g., CuI, *N,N*-dimethylglycine). For related examples, see *Eur. J. Org. Chem.* **2011**, *18*, 3353; *J. Org. Chem.* **2009**, *74*, 7951; *Tetrahedron Lett.* **2012**, *53*, 5318; WO 2008/110313 and WO 2012/136604. Compounds of formula (XXXI) are either known or commercially available.



Scheme 23

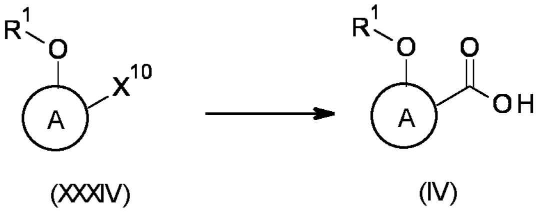
As shown in Scheme 24, compounds of formula (XX), wherein X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, may also be obtained from compounds of formula (XXI), wherein X^7 is chloro, bromo, iodo, or trifluoromethanesulfonyl-O-, at temperatures between 20 °C and 130 °C, preferably between 70 °C and 110 °C, using a metal source such as XPhos Pd G1 in a pressure vessel, typically a stainless steel autoclave, loaded with carbon monoxide, at a pressure typically between 1 to 50 bar, more preferably between 5 to 15 bar, in the presence of an organic base, for instance triethylamine or diisopropylethylamine, and an appropriate solvent (e.g., methanol or ethanol). For related examples, see: *J. Med. Chem.* **2014**, *57*, 2692 and *Adv. Synth. Catal.* **2006**, *348*, 1255.



Scheme 24

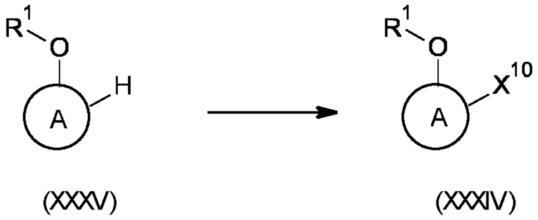
Alternatively, as shown in Scheme 25, compounds of formula (IV) may also be obtained by reacting compounds of formula (XXXIV) where X^{10} is chloro, bromo or iodo, with a lithium reagent (e.g., n-butyl lithium, *sec*-butyl lithium, *tert*-butyl lithium or lithium diisopropylamine) at temperatures between -78 °C and

-30 °C in an appropriate solvent, for instance hexane, diethyl ether or tetrahydrofuran, followed by the addition of carbon dioxide. For related examples, see: *J. Am. Chem. Soc.* **2018**, *140*, 9140 and *J. Am. Chem. Soc.* **2021**, *143*, 1539.



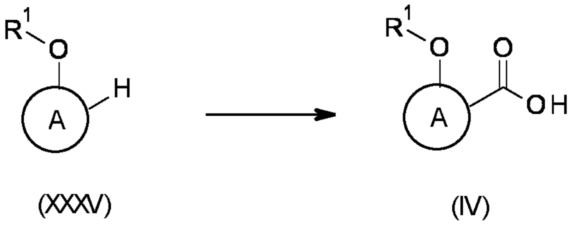
Scheme 25

Compounds of formula (XXXIV), wherein X^{10} is chloro, bromo or iodo, are either known or, as shown in Scheme 26, may be prepared by reacting compounds of formula (XXXV) with an electrophilic halogen reagent like bromine, dibromohydantoin, *N*-bromo- or *N*-chlolo-succinimide, at temperatures between -78 °C and 10 °C, optionally with a base, typically lithium diisopropylamine or *n*-butyl lithium, in a suitable solvent (e.g., chloroform, 2-methyltetrahydrofuran or dimethylformamide). Compounds of formula (XXXV) are either known, commercially available or readily prepared by experts in the field. For related examples, see: *Tetrahedron Lett.* **2003**, *44*, 823; *J. Am. Chem. Soc.* **2010**, *132*, 8858 and *Synthesis* **2005**, *16*, 2782.



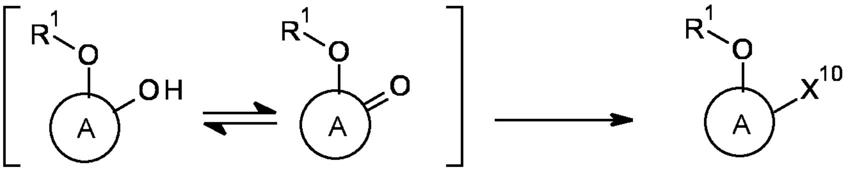
Scheme 26

As shown in Scheme 27, compounds of formula (IV) may also be prepared by reacting compounds of formula (XXXV) at temperature between -78 °C and 10 °C with a base, typically lithium diisopropylamine or *n*-butyl lithium, optionally in the presence of a catalyst (e.g., potassium tert-butoxide), in a suitable solvent (e.g., diethyl ether, cyclopentyl methyl ether, methyl tert-butyl ether or tetrahyrofuran) followed by the addition of carbon dioxide. Compounds of formula (XXXV) are either known, commercially available or readily prepared by experts in the field. For related examples, see: *Bioorg. Med. Chem.* **2004**, *12*, 5579; *J. Am. Chem. Soc.* **2010**, *132*, 8858 and *Synthesis* **2005**, *16*, 2782.



Scheme 27

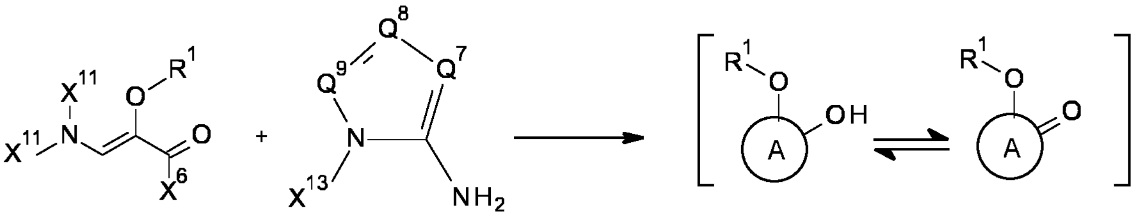
As shown in Scheme 28, compounds of formula (XXXIV), wherein X^{10} is chloro, bromo or iodo are either known or may be obtained from the tautomers of formula (XXXVI) and (XXXVII), by treatment with phosphorous compounds, for instance phophorous oxychloride, phosphorous pentachloride or phosphorous tribromide in a suitable solvent (e.g., toluene, acetonitrile, dichloromethane or chloroform) optionally in the presence of a substoichiometric amount of a catalyst (e.g., triphenylphosphine or 4-(dimethylamino)pyridine). For related examples, see: *Tetrahedron Lett.* **2012**, *53*, 674; *Synth. Commun.* **2016**, *46*, 1619 and *J. Org. Chem.* **2011**, *76*, 4149. All such tautomers of formula (XXXVI) and (XXXVII) and mixture thereof in all proportions are considered herein.



(XXXVI) (XXXVII) (XXXIV)

Scheme 29

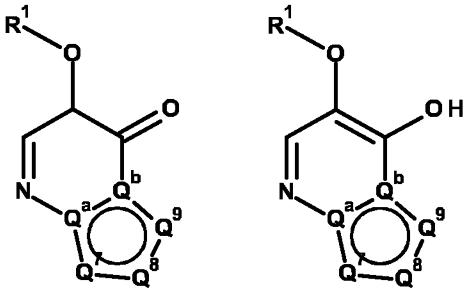
As shown in Scheme 30, tautomers of formula (XXXVI) and (XXXVII) are either known or may be prepared by one-pot nucleophilic addition followed by cyclization using compounds of formula (XXXVIII), wherein X^{11} are C_1-C_4alkyl or C_5-C_6cycloalkyl, or form together a saturated heterocycle with the nitrogen they are attached to, and X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, and compounds of formula (XXXIX), or a hydrochloride salt thereof, wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{13} is H or a protective group (e.g., tetrahydropyran, 2-(trimethylsilyl)ethoxymethyl or benzyl)), preferably in a suitable solvent, for instance ethanol, isopropanol, dimethylformamide, acetic acid or acetonitrile at temperatures between 50 °C and 110 °C, optionally in the presence of a base (e.g., potassium carbonate, triethylamine). For related examples, see: US 2018/0230157; *Synthesis* **2006**, *1*, 59 and WO 2010/016005. Compounds of formula (XXXIX), or a salt thereof, are either known or commercially avaible. All such tautomers of formula (XXXV) and (XXXVI) and mixture thereof in all proportions are considered herein.



(XXXVIII) (XXXIX) (XXXVI) (XXXVII)

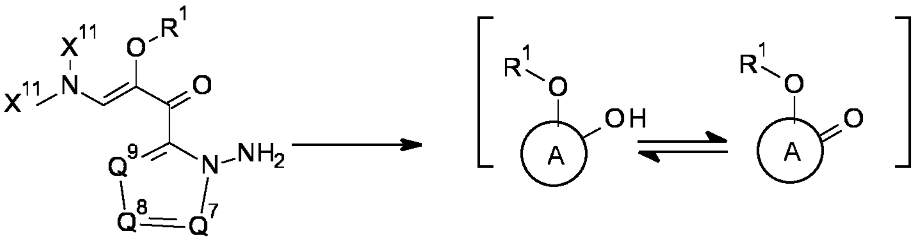
Scheme 30

Compounds of formula (XXXVI) and (XXXVII) are shown below, wherein Q^a, Q^b, Q^7, Q^8, Q^9 and R^1 are as defined for compound of formula (I):



(XXXVI) (XXXVII)

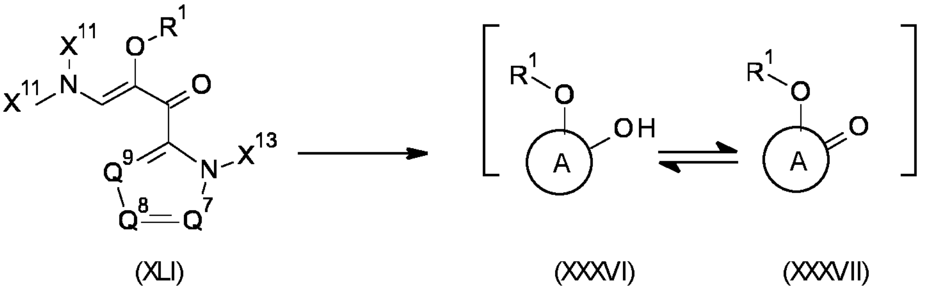
As shown in Scheme 31, tautomers of formula (XXXVI) and (XXXVII) can be prepared by intramolecular cyclisation of compounds of formula (XL), or a hydrochloride salt thereof, wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{11} are C_1-C_4alkyl or C_5-C_6cycloalkyl, or form together a saturated heterocycle with the nitrogen they are attached to, in a suitable solvent, for instance water or ethanol at temperatures between 20 °C and 100 °C. For related examples, see: WO 2005/012262. All such tautomers of formula (XXXV) and (XXXVI) and mixture thereof in all proportions are considered herein.



(XL) (XXXVI) (XXXVII)

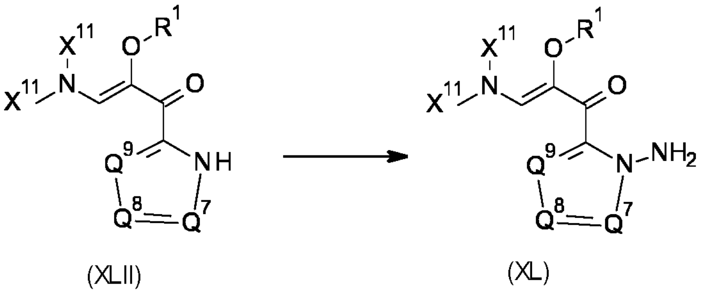
Scheme 31

As shown in Scheme 32, tautomers of respective formula (XXXVI) and (XXXVII) can be prepared by amination and direct cyclization, from compounds of formula (XLI), wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), X^{11} are C_1-C_4alkyl or C_5-C_6cycloalkyl, or form together a saturated heterocycle with the nitrogen they are attached to, and X^{13} is H or a protective group (e.g., tetrahydropyran, 2-(trimethylsilyl)ethoxymethyl or benzyl), namely by reaction with an amination reagent (e.g., amino 4-nitrobenzoate, *N*-(tert-butoxycarbonyl)-2-nitrobenzenesulfonamide, hydroxylamine-*O*-sulfonic acid or sodium diformylamide) in a suitable solvent, for instance dimethylsulfoxide, dimethylformamide, dichloromethane, *N*-methyl-2-pyrrolidone or ethanol at temperatures between 0 °C and 110 °C, more preferably between 20 °C and 50 °C, optionally in the presence of a base (e.g., KOH, NaOH). For related examples, see: *J. Med. Chem.* **1996**, *39*, 582; *Organometallics* **2014**, *33*, 4035 and *Chem. Eur. J.* **2019**, *25*, 1963. All such tautomers of formula (XXXV) and (XXXVI) and mixture thereof in all proportions are considered herein.



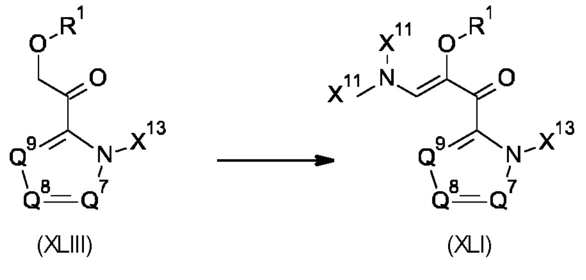
Scheme 32

As shown in Scheme 33, compounds of formula (XL), or a hydrochloride salt thereof, wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{11} are C_1-C_4alkyl or C_5-C_6cycloalkyl, or form together a saturated heterocycle with the nitrogen they are attached to, can be obtained by amination of compounds of formula (XLIII), wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{11} is as defined in compounds of formula (XL), in a suitable solvent, for instance, dimethylsulfoxide, *N*-methyl-2-pyrrolidone or dimethylacetamide, at temperatures between 20 °C and 120 °C. For related examples, see: *Dalton Trans.* **2016**, *45*, 15644, *J. Med. Chem.* **1996**, *39*, 582 or *Chem. Eur. J.* **2019**, *25*, 1963.



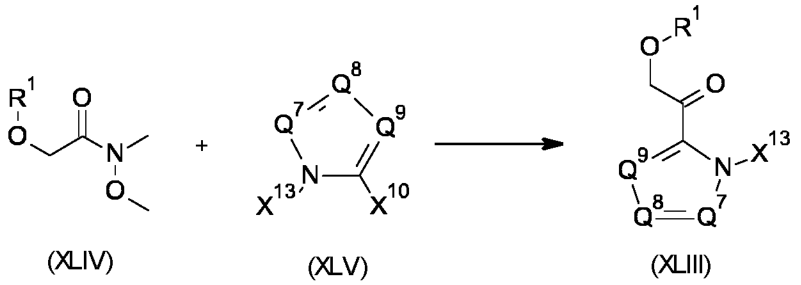
Scheme 33

As shown in Scheme 34, compounds of formula (XLI), wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{11} are C_1-C_4alkyl or C_5-C_6cycloalkyl, or form together a saturated heterocycle with the nitrogen they are attached to, and X^{13} is H or a protective group (e.g., tetrahydropyran, 2-(trimethylsilyl)ethoxymethyl or benzyl), can be obtained from compounds of formula (XLIII), wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{13} is as defined for compounds of formula (XLI), by reacting with a formamide synthetic equivalent, for instance dimethylformamide dimethyl acetal or 1-(dimethoxymethyl)pyrrolidine, neat or in a suitable solvent (e.g., toluene, dimethylformamide), at temperatures between 50 °C and 120 °C. For related examples, see: WO 2021/2296621; WO 2008/149379 and *Bioorg. Med. Chem. Lett.* **2022**, *61*, 128552.



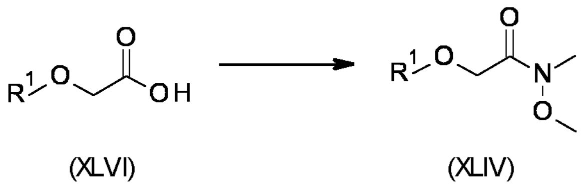
Scheme 34

As shown in Scheme 35, compounds of formula (XLIII), Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{13} is H or a protective group (e.g., tetrahydropyran, 2-(trimethylsilyl)ethoxymethyl or benzyl) can be prepared from compounds of formula (XLIV) and compounds of formula (XLV), Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), wherein X^{10} is chloro, bromo or iodo, and X^{13} is as defined for compound of formula (XLIII), using an organometallic reagent (e.g., methyl magnesium bromide, isopropylmagnesium chloride lithium chloride, butyl lithium, *tert*-butyl lithium or phenyl magnesium chloride) at temperatures between -78 °C and 15 °C, preferably between -20 °C and 10 °C in a suitable solvent (e.g., tetrahydrofuran, diethyl ether, cyclopentyl methyl ether or 2-methyl tetrahydrofuran). For related examples, see: WO 2009/130193 and WO 2015/057205.



Scheme 35

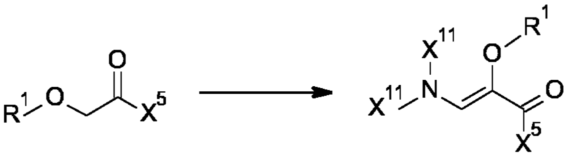
Compounds of formula (XLIV) are either known or, as shown in Scheme 36, can be obtained by reacting compounds of formula (XLVI), or a lithium, sodium or barium salt thereof, with *N*,*O*-dimethylhydroxylamine hydrochloride, optionally in the presence of a mixture of oxalyl chloride or thionyl chloride and dimethylformamide in a suitable solvent or a mixture of solvents (e.g., dimethylformamide, dichloromethane or 2-methyl tetrahydrofuran), at temperatures between -10 °C and 80 °C, more preferably between 0 °C and 30 °C, or in presence of a coupling agent (e.g., T3P, HATU, COMU) in a suitable solvent or mixture of solvents, for instance dimethylformamide, dichloromethane, trichloromethane, tetrahydrofuran, 2-methyltetrahydrofuran at temperatures between 0 °C and 80 °C. For related examples, see: WO 2011/023706 and *J. Med. Chem.* **2004**, *47*, 2405. Compounds of formula (XLVI) are either known, commercially available or easily prepared by experts in the field.



Scheme 36

As shown in Scheme 37, compounds of formula (XLVIII), wherein X^5 is OH or C_1-C_4-alkoxy, X^{11} are C_1-C_4alkyl or C_5-C_6cycloalkyl, or form together a saturated heterocycle with the nitrogen they are attached to, may be prepared by reacting compounds of formula (XLVII), wherein X^5 is as defined for compounds of formula (XLVIII), in the presence of C_1-C_6-alkoxy- or C_1-C_6-cycloalkoxy-*N*,*N*,*N'*,*N'*-tetra(C_1-C_6-alkyl or C_1-C_6-cycloalkyl)methanediamine (e.g., methoxy- or *tert*-butoxy-*N*,*N*,*N'*,*N'*-tetramethylmethanediamine) neat or in a suitable solvent or mixture of solvents (e.g., dimethylformamide, 2-methyl tetrahydrofuran) at temperatures between 25 °C and 120 °C. For related examples, see: Tetrahedron **1998**, *54*, 9799; *J. Het.*

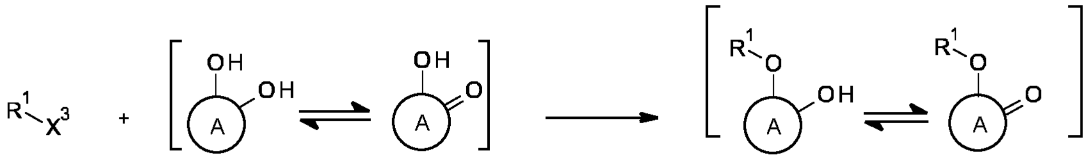
Chem. **2014**, *51*, 954 and *Synth. Commun.* **2021**, *51*, 2160). Compounds of formula (XLVII) are either known, commercially available or readily prepared by experts in the field, for instance from compounds of formula (XLV) of Scheme 36.



(XLVII) (XLVIII)

Scheme 37

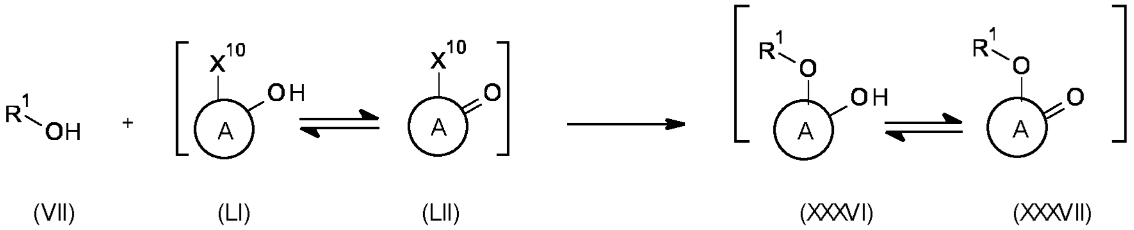
As shown in Scheme 38, tautomers of formula (XXXVI) and (XXXVII) may be prepared from reacting nucleophilic tautomeric compounds of formula (XLIX) and (L), with electrophilic compounds of formula (XII), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in a suitable solvent (e.g., dichloromethane, 1,2-dichloromethane, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, N-methylpyrrolidone, dimethylacetamide) at temperatures between 40 °C and 80 °C and using a catalyst (e.g., $Cu(OAc)_2$), and preferably in the presence of an oxidant such as O_2; alternatively using a suitable palladium pre-catalyst, such as RockPhos Pd G3, in the presence of a base (e.g., K_3PO_4) and suitable solvent (e.g., 1,2-dimethoxyethane or toluene) at temperatures between 20 °C and 80 °C. For related examples, see *Org. Lett.* **2003**, *5*, 1381; *Tetrahedron Lett.* **1998**, *39*, 2933; *Tetrahedron Lett.* **2003**, *44*, 3863 and *Org. Lett.* **2013**, *15*, 2876. Compounds of formula (XII) are either known or commercially available. All such tautomers of formula (XXXV), (XXXVI), (XLIX) and (L) and mixture thereof in all proportions are considered herein.



(XII) (XLIX) (L) (XXXVI) (XXXVII)

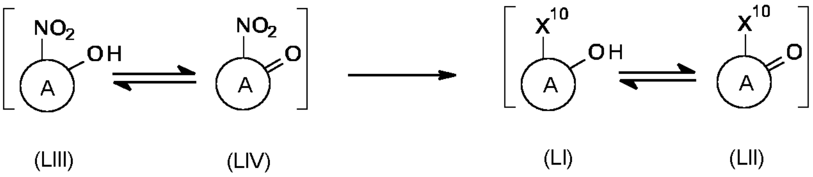
Scheme 38

Alternatively, as shown in Scheme 39, tautomers of respective formula (XXXVI) and (XXXVII) may be prepared from tautomers of formula (LI) and (LII), wherein X^{10} is chloro, bromo or iodo, by reaction with compounds of formula (VII), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), optionally in the presence of a base (e.g. KO-*t*-Bu, K_3PO_4, K_2CO_3, triethylamine, or Cs_2CO_3), in a suitable solvent or mixture of solvents (e.g., N-methylpyrrolidone, dimethylacetamide, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, sulfolane, dimethylsulfoxide) at temperatures between 50 °C and 110 °C and preferably using a metal catalyst complex (e.g., Cu or Pd). For related examples, see *Eur. J. Org. Chem.* **2011**, *18*, 3353; *J. Org. Chem.* **2009**, *74*, 7951; *Tetrahedron Lett.* **2012**, *53*, 5318; WO 2008/110313 and WO 2012/136604. Compounds of formula (VII) are either known or commercially available. All such tautomers of formula (XXXV), (XXXVI), (LI) and (LII) and mixture thereof in all proportions are considered herein.



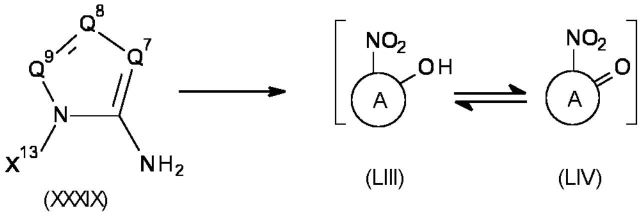
Scheme 39

As shown in Scheme 40, tautomers of formula (LI) and (LII), wherein X^{10} is chloro, bromo or iodo, may be obtained from tautomers of formula (LIII) and (LIV), by treatment with hydrochloric acid or hydrogen bromide optionally in the presence of transition metal (e.g., zinc, palladium); or by treatment with sodium nitrite followed by the addition of a copper salt, for instance bromide or chloride, in a suitable solvent or mixture of solvents (e.g., water, acetonitrile, ethanol) at temperatures between 0 °C and 50 °C. For a related example, see: *Mendeleev Commun.* **2017**, *27*, 285.



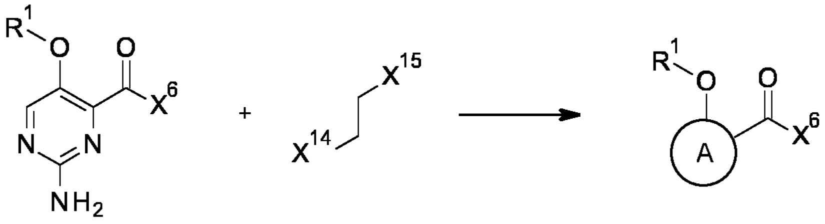
Scheme 40

As shown in Scheme 41, tautomers of formula (LIII) and (LIV) may be obtained from compounds of formula (XXXIX), or a hydrochloride salt thereof, wherein Q^7, Q^8 and Q^9 are as defined for compounds of formula (I), and X^{13} is H or a protective group (e.g., tetrahydropyran, 2-(trimethylsilyl)ethoxymethyl or benzyl), by treatment with sodium or potassium nitrite, sodium hypochloride or sodium azide in the presence of a strong acide (e.g., hydrochloric acid, trifluoroacetic acid, sulfuric acid, nitric acid) in a suitable solvent, typically water or acetonitrile at temperatures between -10 °C and 30 °C, to obtain a diazonium salt. For related examples, see: *J. Org. Chem.* **2010**, *75*, 8487; *Bioorg. Med. Chem. Lett.* **2020**, *30*, 127216 and *J. Org. Chem.* **1987**, *52*, 5538. The resulting diazonium salt may be reacted with a nitro compounds (e.g., 4-(2-nitroethenyl)morpholine, 2-nitroacetaldehyde, 2-nitropropanedial, 1,3-diethyl 2-nitropropanedioate) in a suitable solvent or a mixture of solvents, for instance, water or ethanol, at temperatures between 0 °C and 60 °C, optionally in the presence of a base (e.g., Na_2CO_3, K_2CO_3, KOH), or of a strong acid (e.g., nitric acid, hydrochloric acid). For related examples, see: *Mendeleev Commun.* **2017**, *27*, 285; WO 2017/144708 or *Khimiya Geterotsiklicheskikh Soedinenii* **1986**, *5*, 662. Compounds of formula (XXXIX), or a hydrochloride salt thereof, are either known, commercially avaible or readily available to experts in the field.



Scheme 41

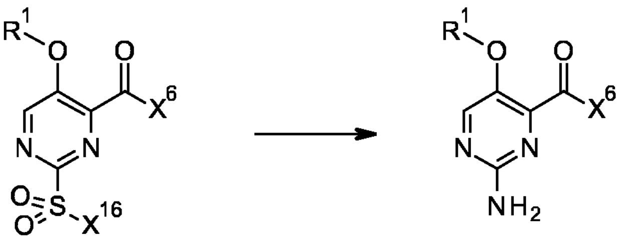
As shown in Scheme 42, compounds of formula (XX), wherein X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, may be obtained by reacting compounds of formula (LVI), or a hydrochloride salt thereof, wherein X^6 is ad defined for compounds of formula (XX), with compounds of formula (LVII), wherein X^{14} and X^{15} are identical of different, independently selected from chloro, bromo, iodo, *O*-mesyl, *O*-tosyl, *O*-trifluoromethanesulfonyl or a carbonyl or a carbonyl synthetic equivalent, for instance an aldehyde, an acetal, an acyl chloride or bromide, an ester or an orthoester, at temperatures between 30 °C and 125 °C, optionally in a microwave reactor in a suitable solvent or a mixture of solvents (e.g., dimethylformamide, dimethylsufloxide, toluene, ethanol, *iso*-propanol, water), optionally in the presence of a catalyst, for instance hydrogen bromide or sodium carbonate. For related examples, see: *J. Med. Chem.* **2021**, *64*, 1197; *Tetrahedron Lett.* **2017**, *58*, 4816 and *Chem. Pharm. Bull.* **1992**, *40,* 1170. Compounds of formula (LVII) are either known or commercially avaible.



(LVI) (LVII) (XX)

Scheme 42

As shown in Scheme 43, compounds of formula (LVI), or a hydrochloride salt thereof, wherein R^1 is as defined for compounds of formula (I), and X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, may be obtained by nucleophilic displacement of sulfones of compounds of formula (LVIII), wherein R^1 and X^6 are as defined for compounds of formula (LVI), and X^{16} is an alkyl or cycloalkyl group, for instance methyl, ethyl or cyclohexyl in the presence of an aminating reagent (e.g., NH_4OH, NH_3, NH_4OAc) and optionally in the presence of a base, for instance triethylamine, in a suitable solvent or mixture of solvents (e.g., dimethyl sulfoxide, water, tetrahydrofuran, 1,4-dioxane, *iso*-propanol, ethanol, methanol, dichloromethane). For related examples, see: *Tetrahedron* **2009**, *65*, 1697; *Heterocycles* **1977**, *8*, 299 and *Org. Biomol. Chem.* **2015**, *13*, 10620

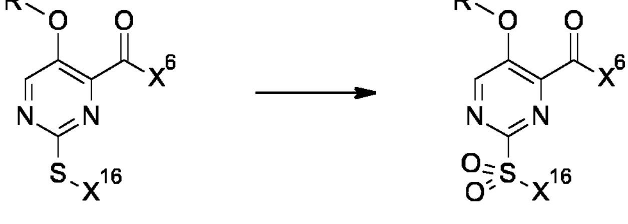


(LVIII) (LVI)

Scheme 43

As shown in Scheme 44, compounds of formula (LVIII), wherein R^1 is as defined for compounds of formula (I), X^6 is OH or C_1-C_4-alkoxy, such as methoxy or ethoxy, and X^{16} is an alkyl or cycloalkyl group, for instance methyl, ethyl or cyclohexyl, may be obtained by oxidation of compounds of formula (LIX), wherein R^1, X^6

and X^{16} are as defined for compounds of formula (LVIII), in the presence of an oxidant (e.g., 3-chloroperoxybenzoic acid, hydrogen peroxide, oxone, chlorine), in a suitable solvent or mixture of solvents, for instance dichloromethane, acetonitrile, chloroform, water, toluene or 2-methyl-tetrahydrofuran, at temperatures between -10 °C and 50 °C. For related examples, see: *Chem. Eur. J.* **2021**, *27*, 14826; *J. Org. Chem.* **2017**, *82*, 2664 and *J. Chem. Soc. (C)* Organic **1967**, *7*, 568.



(LIX) (LVIII)

Scheme 44

As shown in Scheme 45, compounds of formula (LIX), wherein R^1 is as defined for compounds of formula (I), X^6 is OH or C_1-C_4-alkoxy, for instance methoxy or ethoxy, and X^{16} is an alkyl or cycloalkyl group, for instance methyl, ethyl or cyclohexyl, may be obtained by reacting compounds of formula (LX), wherein X^6 and X^{16} are as defined for compounds of formula (LVIII), and X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), in the presence of base (e.g., KO-*t*-Bu, K_3PO_4, K_2CO_3, triethylamine, or Cs_2CO_3), in a suitable solvent (e.g., N-methylpyrrolidone, dimethylacetamide, acetonitrile, tetrahydrofuran, 2-methyl tetrahydrofuran, sulfolane, dimethylsulfoxide) at temperatures between 25 °C and 110 °C, and under reflux and optionally using a metal catalyst and ligand complex (e.g., CuI, *N,N*-dimethylglycine). For related examples, see *Eur. J. Org. Chem.* **2011**, *18*, 3353; *J. Org. Chem.* **2009**, *74*, 7951; *Tetrahedron Lett.* **2012**, *53*, 5318; WO 2008/110313 and WO 2012/136604. Compounds of formula (LX) are either known or readily available to experts in the field.

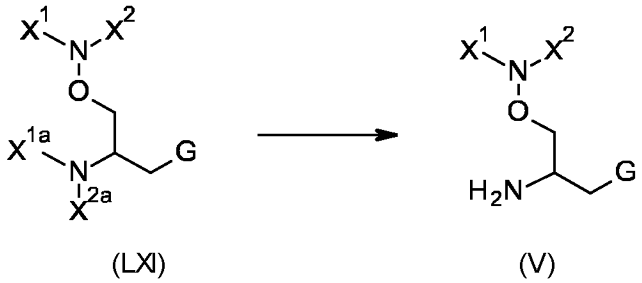
(LX) (LIX)

Scheme 45

As shown in Scheme 46, compounds of formula (V) may be obtained by treatment of compound of formula (LXI), wherein X^1 is H and X^2 is a protective group, or X^1 and X^2 are identical or different protective groups, or X^1 and X^2 form a protective group together with the nitrogen they are attached to, and wherein X^{1a} is H and X^{2a} is a protective group, or X^{1a} and X^{2a} are identical or different protective groups, or X^{1a} and X^{2a} form a protective group together with the nitrogen they are attached to; and X^2 is different from X^{2a}. X^1 and X^{1a} may both be hydrogen. Also, if X^1 and X^2 on the one hand, and X^{1a} and X^{2a} on the other hand, form a protective group together with the nitrogen they are attached to, said protective groups shall be different.

Examples of protective groups include, for instance, *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl, *p*-toluenesulfonyl, phthalimide, or succinimide.

Wherein protective groups X^1 and X^2, identical or different, optionally forming a cycle together with the nitrogen they are attached to, for instance *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, phthalyl, triphenylmethyl, benzylidenyl or *p*-toluenesulfonyl. with an acid (e.g. hydrochloric acid, trifluoroacetic acid), optionally in a suitable solvent or mixture of solvents, for instance dichloromethane, tetrahydrofuran, 2-methyltetrahydrofuran or diethyl ether at temperatures between 0 °C and 50 °C. For related examples, see: *ChemBioChem.* **2009**, *10*, 323 and *Org. Process Res. Dev.* **2002**, *6*, 520. Compounds of formula (LXI) are either known or readily available to experts in the field.



Scheme 46

As already indicated, surprisingly, it has now been found that the compounds of Formula (I) of the present invention have, for practical purposes, a very advantageous level of biological activity for protecting plants against diseases that are caused by fungi.

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

The present invention further relates to a method for controlling or preventing infestation of plants or plant propagation material and/or harvested food crops susceptible to microbial attack by treating plants or plant propagation material and/or harvested food crops wherein an effective amount a compound of Formula (I) is applied to the plants, to parts thereof or the locus thereof.

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

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

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

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

The compounds of formula (I) are for example, effective against fungi and fungal vectors of disease as well as phytopathogenic bacteria and viruses. These fungi and fungal vectors of disease as well as phytopathogenic bacteria and viruses are for example:

Absidia corymbifera, Alternaria spp, Aphanomyces spp, Ascochyta spp, Aspergillus spp. including A. flavus, A. fumigatus, A. nidulans, A. niger, A. terrus, Aureobasidium spp. including A. pullulans, Blastomyces dermatitidis, Blumeria graminis, Bremia lactucae, Botryosphaeria spp. including B. dothidea, B. obtusa, Botrytis spp. inclusing B. cinerea, Candida spp. including C. albicans, C. glabrata, C. krusei, C. lusitaniae, C. parapsilosis, C. tropicalis, Cephaloascus fragrans, Ceratocystis spp, Cercospora spp. including C. arachidicola, Cercosporidium personatum, Cladosporium spp, Claviceps purpurea, Coccidioides immitis, Cochliobolus spp, Colletotrichum spp. including C. musae, Cryptococcus neoformans, Diaporthe spp, Didymella spp, Drechslera spp, Elsinoe spp,Epidermophyton spp, Erwinia amylovora, Erysiphe spp. including E. cichoracearum, Eutypa lata, Fusarium spp. including F. culmorum, F. graminearum, F. langsethiae, F. moniliforme, F. oxysporum, F. proliferatum, F. subglutinans, F. solani, Gaeumannomyces graminis, Gibberella fujikuroi, Gloeodes pomigena, Gloeosporium musarum, Glomerella cingulate, Guignardia bidwellii, Gymnosporangium juniperi-virginianae, Helminthosporium spp, Hemileia spp, Histoplasma spp. including H. capsulatum, Laetisaria fuciformis, Leptographium lindbergi, Leveillula taurica, Lophodermium seditiosum, Microdochium nivale, Microsporum spp, Monilinia spp, Mucor spp, Mycosphaerella spp. including M. graminicola, M. pomi, Oncobasidium theobromaeon, Ophiostoma piceae, Paracoccidioides spp, Penicillium spp. including P. digitatum, P. italicum, Petriellidium spp, Peronosclerospora spp. Including P. maydis, P. philippinensis and P. sorghi, Peronospora spp, Phaeosphaeria nodorum, Phakopsora pachyrhizi, Phellinus igniarus, Phialophora spp, Phoma spp, Phomopsis viticola, Phytophthora spp. including P. infestans, Plasmopara spp. including P. halstedii, P. viticola, Pleospora spp., Podosphaera spp. including P. leucotricha, Polymyxa graminis, Polymyxa betae, Pseudocercosporella herpotrichoides, Pseudomonas spp, Pseudoperonospora spp. including P. cubensis, P. humuli, Pseudopeziza tracheiphila, Puccinia Spp. including P. hordei, P. recondita, P. striiformis, P. triticina, Pyrenopeziza spp, Pyrenophora spp, Pyricularia spp. including P. oryzae, Pythium spp. including P. ultimum, Ramularia spp, Rhizoctonia spp, Rhizomucor pusillus, Rhizopus arrhizus, Rhynchosporium spp, Scedosporium spp. including S. apiospermum and S. prolificans, Schizothyrium pomi, Sclerotinia spp, Sclerotium spp, Septoria spp, including S. nodorum, S. tritici, Sphaerotheca macularis, Sphaerotheca fusca (Sphaerotheca fuliginea), Sporothorix spp, Stagonospora nodorum, Stemphylium spp,. Stereum hirsutum, Thanatephorus cucumeris, Thielaviopsis basicola, Tilletia spp, Trichoderma spp. including T. harzianum, T. pseudokoningii, T. viride, Trichophyton spp, Typhula spp, Uncinula necator, Urocystis spp, Ustilago spp, Venturia spp. including V. inaequalis, Verticillium spp, and Xanthomonas spp.

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

Within the scope of present invention, target crops and/or useful plants to be protected typically comprise perennial and annual crops, such as berry plants for example blackberries, blueberries, cranberries, raspberries and strawberries; cereals for example barley, maize (corn), millet, oats, rice, rye, sorghum triticale and wheat; fibre plants for example cotton, flax, hemp, jute and sisal; field crops for example sugar and fodder beet, coffee, hops, mustard, oilseed rape (canola), poppy, sugar cane, sunflower, tea and tobacco; fruit trees for example apple, apricot, avocado, banana, cherry, citrus, nectarine, peach, pear and plum; grasses for example Bermuda grass, bluegrass, bentgrass, centipede grass, fescue, ryegrass, St. Augustine grass and Zoysia grass; herbs such as basil, borage, chives, coriander, lavender, lovage, mint, oregano, parsley, rosemary, sage and thyme; legumes for example beans, lentils, peas and soya beans; nuts for example almond, cashew, ground nut, hazelnut, peanut, pecan, pistachio and walnut; palms for example oil palm; ornamentals for example flowers, shrubs and trees; other trees, for example cacao, coconut, olive and rubber; vegetables for example asparagus, aubergine, broccoli, cabbage, carrot, cucumber, garlic, lettuce, marrow, melon, okra, onion, pepper, potato, pumpkin, rhubarb, spinach and tomato; and vines for example grapes.

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

The term "useful plants" is to be understood as also including useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria, especially those of the genus Bacillus.

Examples of such plants are: YieldGard® (maize variety that expresses a CryIA(b) toxin); YieldGard Rootworm® (maize variety that expresses a CryIIIB(b1) toxin); YieldGard Plus® (maize variety that expresses a CryIA(b) and a CryIIIB(b1) toxin); Starlink® (maize variety that expresses a Cry9(c) toxin); Herculex I® (maize variety that expresses a CryIF(a2) toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a CryIA(c) toxin); Bollgard I® (cotton variety that expresses a CryIA(c) toxin); Bollgard II® (cotton variety that expresses a CryIA(c) and a CryIIA(b) toxin); VIPCOT® (cotton variety that expresses a VIP toxin); NewLeaf® (potato variety that expresses a CryIIIA toxin); NatureGard® Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait), Agrisure® RW (corn rootworm trait) and Protecta®.

The term "crops" is to be understood as including also crop plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria, especially those of the genus Bacillus.

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

Further, in the context of the present invention there are to be understood by δ-endotoxins, for example Cry1Ab, Cry1Ac, Cry1F, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), for example Vip1, Vip2, Vip3 or Vip3A, expressly also hybrid toxins, truncated toxins and modified toxins. Hybrid toxins are produced recombinantly by a new combination of different domains of those proteins (see, for example, WO 02/15701). Truncated toxins, for example a truncated Cry1Ab, are known. In the case of modified toxins, one or more amino acids of the naturally occurring toxin are replaced. In such amino acid replacements, preferably non-naturally present protease recognition sequences are inserted into the toxin, such as, for example, in the case of Cry3A055, a cathepsin-G-recognition sequence is inserted into a Cry3A toxin (see WO 03/018810).

Examples of such toxins or transgenic plants capable of synthesising such toxins are disclosed, for example, in EP-A-0 374 753, WO93/07278, WO95/34656, EP-A-0 427 529, EP-A-451 878 and WO 03/052073.

The processes for the preparation of such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above. CryI-type deoxyribonucleic acids and their preparation are known, for example, from WO 95/34656, EP-A-0 367 474, EP-A-0 401 979 and WO 90/13651.

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

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

Further examples of such transgenic crops are:

1. **Bt11 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a truncated Cry1Ab toxin. Bt11 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.

2. **Bt176 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a Cry1Ab toxin. Bt176 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.

3. **MIR604 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Maize which has been rendered insect-resistant by transgenic expression of a modified Cry3A toxin. This toxin is Cry3A055 modified by insertion of a cathepsin-G-protease recognition sequence. The preparation of such transgenic maize plants is described in WO 03/018810.

4. **MON 863 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/DE/02/9. MON *863* expresses a Cry3Bb1 toxin and has resistance to certain Coleoptera insects.

5. **IPC 531 Cotton** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/ES/96/02.

6. **1507 Maize** from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. Genetically modified maize for the expression of the protein Cry1F for achieving resistance to certain Lepidoptera insects and of the PAT protein for achieving tolerance to the herbicide glufosinate ammonium.

7. **NK603 × MON 810 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/GB/02/M3/03. Consists of conventionally bred hybrid maize varieties by crossing the genetically modified varieties NK603 and MON 810. NK603 × MON 810 Maize transgenically expresses the protein CP4 EPSPS, obtained from *Agrobacterium sp.* strain CP4, which imparts tolerance to the herbicide Roundup® (contains glyphosate), and also a Cry1Ab toxin obtained from *Bacillus thuringiensis subsp. kurstaki* which brings about tolerance to certain Lepidoptera, include the European corn borer.

The compounds of Formula (I) may be used in controlling or preventing phytopathogenic diseases, especially caused by phytopathogenic fungi, such as *Botrytis cinerea* on Rosaceae, Vitaceae, Solanaceae, Cucurbitaceae, and Fabaceae; *Glomerella lagenarium* on Cucurbitaceae; *Sclerotinia sclerotiorum* on Fabaceae, Brassicaceae, and Asteraceae, such as soybean, rapeseed, and sunflower respectively; *Alternaria solani* on Solanaceae, such as tomato and potato; *Monographella nivalis* on Poaceae; *Pyrenophora teres* on Poaceae, such as barley; *Mycosphaerella graminicola* on Poaceae, such as wheat.

The term “locus” as used herein means fields in or on which plants are growing, or where seeds of cultivated plants are sown, or where seed will be placed into the soil. It includes soil, seeds, and seedlings, as well as established vegetation.

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

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

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

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

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

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

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

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

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

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

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

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

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

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

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

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

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

Pesticidal agents are referred to herein using their common name are known, for example, from "The Pesticide Manual", 15th Ed., British Crop Protection Council 2009.

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

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

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

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

The compound of Formula (I) may be the sole active ingredient of a composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may, in some cases, result in unexpected synergistic activities.

Examples of suitable additional active ingredients include the following: acycloamino acid fungicides, aliphatic nitrogen fungicides, amide fungicides, anilide fungicides, antibiotic fungicides, aromatic fungicides, arsenical fungicides, aryl phenyl ketone fungicides, benzamide fungicides, benzanilide fungicides, benzimidazole fungicides, benzothiazole fungicides, botanical fungicides, bridged diphenyl fungicides, carbamate fungicides, carbanilate fungicides, conazole fungicides, copper fungicides, dicarboximide fungicides, dinitrophenol fungicides, dithiocarbamate fungicides, dithiolane fungicides, furamide fungicides, furanilide fungicides, hydrazide fungicides, imidazole fungicides, mercury fungicides, morpholine fungicides, organophosphorous fungicides, organotin fungicides, oxathiin fungicides, oxazole fungicides, phenylsulfamide fungicides, polysulfide fungicides, pyrazole fungicides, pyridine fungicides, pyrimidine fungicides, pyrrole fungicides, quaternary ammonium fungicides, quinoline fungicides, quinone fungicides, quinoxaline fungicides, strobilurin fungicides, sulfonanilide fungicides, thiadiazole fungicides, thiazole fungicides, thiazolidine fungicides, thiocarbamate fungicides, thiophene fungicides, triazine fungicides, triazole fungicides, triazolopyrimidine fungicides, urea fungicides, valinamide fungicides, and zinc fungicides.

Specific examples of suitable additional active ingredients also include a compound selected from the group of substances consisting of petroleum oils, 1,1-bis(4-chlorophenyl)-2-ethoxyethanol, 2,4-dichlorophenyl benzenesulfonate, 2-fluoro-N-methyl-N-1-naphthylacetamide, 4-chlorophenyl phenyl sulfone, acetoprole, aldoxycarb, amidithion, amidothioate, amiton, amiton hydrogen oxalate, amitraz, aramite, arsenous oxide, azobenzene, azothoate, benomyl, benoxafos, benzyl benzoate, bixafen, brofenvalerate, bromocyclen, bromophos, bromopropylate, buprofezin, butocarboxim, butoxycarboxim, butylpyridaben, calcium polysulfide, camphechlor, carbanolate, carbophenothion, cymiazole, chino-methionat, chlorbenside, chlordimeform, chlordimeform hydrochloride, chlorfenethol, chlorfenson, chlorfensulfide, chlorobenzilate, chloromebuform, chloromethiuron, chloropropylate, chlorthiophos, cinerin I, cinerin II, cinerins, closantel, coumaphos, crotamiton, crotoxyphos, cufraneb, cyanthoate, DCPM, DDT, demephion, demephion-O, demephion-S, demeton-methyl, demeton-O, demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methylsulfon, dichlofluanid, dichlorvos, dicliphos, dienochlor, dimefox, dinex, dinex-diclexine, dinocap-4, dinocap-6, dinocton, dinopenton, dinosulfon, dinoterbon, dioxathion, diphenyl sulfone, disulfiram, DNOC, dofenapyn, doramectin, endothion, eprinomectin, ethoate-methyl, etrimfos, fenazaflor, fenbutatin oxide, fenothiocarb, fenpyrad, fenpyroximate, fenpyrazamine, fenson, fentrifanil, flubenzimine, flucycloxuron, fluenetil, fluorbenside, FMC 1137, formetanate, formetanate hydrochloride, formparanate, gamma-HCH, glyodin, halfenprox, hexadecyl cyclopropanecarboxylate, isocarbophos, jasmolin I, jasmolin II, jodfenphos, lindane, malonoben, mecarbam, mephosfolan, mesulfen, methacrifos, methyl bromide, metolcarb, mexacarbate, milbemycin oxime, mipafox, monocrotophos, morphothion, moxidectin, naled, 4-chloro-2-(2-chloro-2-methyl-propyl)-5-[(6-iodo-3-pyridyl)methoxy]pyridazin-3-one, nifluridide, nikkomycins, nitrilacarb, nitrilacarb 1:1 zinc chloride complex, omethoate, oxydeprofos, oxydisulfoton, pp'-DDT, parathion, permethrin, phenkapton, phosalone, phosfolan, phosphamidon, polychloroterpenes, polynactins, proclonol, promacyl, propoxur, prothidathion, prothoate, pyrethrin I, pyrethrin II, pyrethrins, pyridaphenthion, pyrimitate, quinalphos, quintiofos, R-1492, phosglycin, rotenone, schradan, sebufos, selamectin, sophamide, SSI-121, sulfiram, sulfluramid, sulfotep, sulfur, diflovidazin, tau-fluvalinate, TEPP, terbam, tetradifon, tetrasul, thiafenox, thiocarboxime, thiofanox, thiometon, thioquinox, thuringiensin, triamiphos, triarathene, triazophos, triazuron, trifenofos, trinactin, vamidothion, vaniliprole, bethoxazin, copper dioctanoate, copper sulfate, cybutryne, dichlone, dichlorophen, endothal, fentin, hydrated lime, nabam, quinoclamine, quinonamid, simazine, triphenyltin acetate, triphenyltin hydroxide, crufomate, piperazine, thiophanate, chloralose, fenthion, pyridin-4-amine, strychnine, 1-hydroxy-1H-pyridine-2-thione, 4-(quinoxalin-2-ylamino)benzenesulfonamide, 8-hydroxyquinoline sulfate, bronopol, copper hydroxide, cresol, dipyrithione, dodicin, fenaminosulf, formaldehyde, hydrargaphen, kasugamycin, kasugamycin hydrochloride hydrate, nickel bis(dimethyldithiocarbamate), nitrapyrin, octhilinone, oxolinic acid, oxytetracycline, potassium hydroxyquinoline sulfate, probenazole, streptomycin, streptomycin sesquisulfate, tecloftalam, thiomersal, Adoxophyes orana GV, Agrobacterium radiobacter, Amblyseius spp., Anagrapha falcifera NPV, Anagrus atomus, Aphelinus abdominalis, Aphidius colemani, Aphidoletes aphidimyza, Autographa californica NPV, Bacillus sphaericus Neide, Beauveria brongniartii, Chrysoperla carnea, Cryptolaemus montrouzieri, Cydia pomonella GV, Dacnusa sibirica, Diglyphus isaea, Encarsia formosa, Eretmocerus eremicus, Heterorhabditis bacteriophora and H. megidis, Hippodamia convergens, Leptomastix dactylopii, Macrolophus caliginosus, Mamestra brassicae NPV, Metaphycus helvolus, Metarhizium anisopliae var. acridum, Metarhizium anisopliae var. anisopliae, Neodiprion sertifer NPV and N. lecontei NPV, Orius spp., Paecilomyces fumosoroseus, Phytoseiulus persimilis, Steinernema bibionis, Steinernema carpocapsae, Steinernema feltiae, Steinernema glaseri, Steinernema riobrave, Steinernema riobravis, Steinernema scapterisci, Steinernema spp., Trichogramma spp., Typhlodromus occidentalis, Verticillium lecanii, apholate, bisazir, busulfan, dimatif, hemel, hempa, metepa, methiotepa, methyl apholate, morzid, penfluron, tepa, thiohempa, thiotepa, tretamine, uredepa, (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol, (E)-tridec-4-en-1-yl acetate, (E)-6-methylhept-2-en-4-ol, (E,Z)-tetradeca-4,10-dien-1-yl acetate, (Z)-dodec-7-en-1-yl acetate, (Z)-hexadec-11-enal, (Z)-hexadec-11-en-1-yl acetate, (Z)-hexadec-13-en-11-yn-1-yl acetate, (Z)-icos-13-en-10-one, (Z)-tetradec-7-en-1-al, (Z)-tetradec-9-en-1-ol, (Z)-tetradec-9-en-1-yl acetate, (7E,9Z)-dodeca-7,9-dien-1-yl acetate, (9Z,11E)-tetradeca-9,11-dien-1-yl acetate, (9Z,12E)-tetradeca-9,12-dien-1-yl acetate, 14-methyloctadec-1-ene, 4-methylnonan-5-ol with 4-methylnonan-5-one, alpha-multistriatin, brevicomin, codlelure, codlemone, cuelure, disparlure, dodec-8-en-1-yl acetate, dodec-9-en-1-yl acetate, dodeca-8,10-dien-1-yl acetate, dominicalure, ethyl 4-methyloctanoate, eugenol, frontalin, grandlure, grandlure I, grandlure II, grandlure III, grandlure IV, hexalure, ipsdienol, ipsenol, japonilure, lineatin, litlure, looplure, medlure, megatomoic acid, methyl eugenol, muscalure, octadeca-2,13-dien-1-yl acetate, octadeca-3,13-dien-1-yl acetate, orfralure, oryctalure, ostramone, siglure, sordidin, sulcatol, tetradec-11-en-1-yl acetate, trimedlure, trimedlure A, trimedlure B1, trimedlure B2, trimedlure C, trunc-call, 2-(octylthio)ethanol, butopyronoxyl, butoxy(polypropylene glycol), dibutyl adipate, dibutyl phthalate, dibutyl succinate, diethyltoluamide, dimethyl carbate, dimethyl phthalate, ethyl hexanediol, hexamide, methoquin-butyl, methylneodecanamide, oxamate, picaridin, 1-dichloro-1-nitroethane, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane, 1,2-dichloropropane with 1,3-dichloropropene, 1-bromo-2-chloroethane, 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate, 2,2-dichlorovinyl 2-ethylsulfinylethyl methyl phosphate, 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate, 2-(2-butoxyethoxy)ethyl thiocyanate, 2-(4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate, 2-(4-chloro-3,5-xylyloxy)ethanol, 2-chlorovinyl diethyl phosphate, 2-imidazolidone, 2-isovalerylindan-1,3-dione, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate, 2-thiocyanatoethyl laurate, 3-bromo-1-chloroprop-1-ene, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate, acethion, acrylonitrile, aldrin, allosamidin, allyxycarb, alpha-ecdysone, aluminium phosphide, aminocarb, anabasine, athidathion, azamethiphos, Bacillus thuringiensis delta endotoxins, barium hexafluorosilicate, barium polysulfide, barthrin, Bayer 22/190, Bayer 22408, beta-cyfluthrin, beta-cypermethrin, bioethanomethrin, biopermethrin, bis(2-chloroethyl) ether, borax, bromfenvinfos, bromo-DDT, bufencarb, butacarb, butathiofos, butonate, calcium arsenate, calcium cyanide, carbon disulfide, carbon tetrachloride, cartap hydrochloride, cevadine, chlorbicyclen, chlordane, chlordecone, chloroform, chloropicrin, chlorphoxim, chlorprazophos, cis-resmethrin, cismethrin, clocythrin, copper acetoarsenite, copper arsenate, copper oleate, coumithoate, cryolite, CS 708, cyanofenphos, cyanophos, cyclethrin, cythioate, d-tetramethrin, DAEP, dazomet, decarbofuran, diamidafos, dicapthon, dichlofenthion, dicresyl, dicyclanil, dieldrin, diethyl 5-methylpyrazol-3-yl phosphate, dilor, dimefluthrin, dimetan, dimethrin, dimethylvinphos, dimetilan, dinoprop, dinosam, dinoseb, diofenolan, dioxabenzofos, dithicrofos, DSP, ecdysterone, EI 1642, EMPC, EPBP, etaphos, ethiofencarb, ethyl formate, ethylene dibromide, ethylene dichloride, ethylene oxide, EXD, fenchlorphos, fenethacarb, fenitrothion, fenoxacrim, fenpirithrin, fensulfothion, fenthion-ethyl, flucofuron, fosmethilan, fospirate, fosthietan, furathiocarb, furethrin, guazatine, guazatine acetates, sodium tetrathiocarbonate, halfenprox, HCH, HEOD, heptachlor, heterophos, HHDN, hydrogen cyanide, hyquincarb, IPSP, isazofos, isobenzan, isodrin, isofenphos, isolane, isoprothiolane, isoxathion, juvenile hormone I, juvenile hormone II, juvenile hormone III, kelevan, kinoprene, lead arsenate, leptophos, lirimfos, lythidathion, m-cumenyl methylcarbamate, magnesium phosphide, mazidox, mecarphon, menazon, mercurous chloride, mesulfenfos, metam, metam-potassium, metam-sodium, methanesulfonyl fluoride, methocrotophos, methoprene, methothrin, methoxychlor, methyl isothiocyanate, methylchloroform, methylene chloride, metoxadiazone, mirex, naftalofos, naphthalene, NC-170, nicotine, nicotine sulfate, nithiazine, nornicotine, O-5-dichloro-4-iodophenyl O-ethyl ethylphosphonothioate, O,O-diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate, O,O-diethyl O-6-methyl-2-propylpyrimidin-4-yl phosphorothioate, O,O,O',O'-tetrapropyl dithiopyrophosphate, oleic acid, para-dichlorobenzene, parathion-methyl, pentachlorophenol, pentachlorophenyl laurate, PH 60-38, phenkapton, phosnichlor, phosphine, phoxim-methyl, pirimetaphos, polychlorodicyclopentadiene isomers, potassium arsenite, potassium thiocyanate, precocene I, precocene II, precocene III, primidophos, profluthrin, promecarb, prothiofos, pyrazophos, pyresmethrin, quassia, quinalphos-methyl, quinothion, rafoxanide, resmethrin, rotenone, kadethrin, ryania, ryanodine, sabadilla), schradan, sebufos, SI-0009, thiapronil, sodium arsenite, sodium cyanide, sodium fluoride, sodium hexafluorosilicate, sodium pentachlorophenoxide, sodium selenate, sodium thiocyanate, sulcofuron, sulcofuron-sodium, sulfuryl fluoride, sulprofos, tar oils, tazimcarb, TDE, tebupirimfos, temephos, terallethrin, tetrachloroethane, thicrofos, thiocyclam, thiocyclam hydrogen oxalate, thionazin, thiosultap, thiosultap-sodium, tralomethrin, transpermethrin, triazamate, trichlormetaphos-3, trichloronat, trimethacarb, tolprocarb, triclopyricarb, triprene, veratridine, veratrine, XMC, zetamethrin, zinc phosphide, zolaprofos, and meperfluthrin, tetramethylfluthrin, bis(tributyltin) oxide, bromoacetamide, ferric phosphate, niclosamide-olamine, tributyltin oxide, pyrimorph, trifenmorph, 1,2-dibromo-3-chloropropane, 1,3-dichloropropene, 3,4-dichlorotetrahydrothiophene 1,1-dioxide, 3-(4-chlorophenyl)-5-methylrhodanine, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid, 6-isopentenylaminopurine, anisiflupurin, benclothiaz, cytokinins, DCIP, furfural, isamidofos, kinetin, Myrothecium verrucaria composition, tetrachlorothiophene, xylenols, zeatin, potassium ethylxanthate, acibenzolar, acibenzolar-S-methyl, Reynoutria sachalinensis extract, alpha-chlorohydrin, antu, barium carbonate, bisthiosemi, brodifacoum, bromadiolone, bromethalin, chlorophacinone, cholecalciferol, coumachlor, coumafuryl, coumatetralyl, crimidine, difenacoum, difethialone, diphacinone, ergocalciferol, flocoumafen, fluoroacetamide, flupropadine, flupropadine hydrochloride, norbormide, phosacetim, phosphorus, pindone, pyrinuron, scilliroside, sodium fluoroacetate, thallium sulfate, warfarin, 2-(2-butoxyethoxy)ethyl piperonylate, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone, farnesol with nerolidol, verbutin, MGK 264, piperonyl butoxide, piprotal, propyl isomer, S421, sesamex, sesasmolin, sulfoxide, anthraquinone, copper naphthenate, copper oxychloride, dicyclopentadiene, thiram, zinc naphthenate, ziram, imanin, ribavirin, chloroinconazide, mercuric oxide, thiophanate-methyl, azaconazole, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, furametpyr, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, paclobutrazole, pefurazoate, penconazole, prothioconazole, pyrifenox, prochloraz, propiconazole, pyrisoxazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triflumizole, triticonazole, ancymidol, fenarimol, nuarimol, bupirimate, dimethirimol, ethirimol, dodemorph, fenpropidin, fenpropimorph, spiroxamine, tridemorph, cyprodinil, mepanipyrim, pyrimethanil, fenpiclonil, fludioxonil, benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace, oxadixyl, carbendazim, debacarb, fuberidazole, thiabendazole, chlozolinate, dichlozoline, myclozoline, procymidone, vinclozoline, boscalid, carboxin, fenfuram, flutolanil, mepronil, oxycarboxin, penthiopyrad, thifluzamide, dodine, iminoctadine, azoxystrobin, dimoxystrobin, enestroburin, fenaminstrobin, flufenoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, trifloxystrobin, orysastrobin, picoxystrobin, pyraclostrobin, pyrametostrobin, pyraoxystrobin, ferbam, mancozeb, maneb, metiram, propineb, zineb, captafol, captan, fluoroimide, folpet, tolylfluanid, bordeaux mixture, copper oxide, mancopper, oxine-copper, nitrothal-isopropyl, edifenphos, iprobenphos, phosdiphen, tolclofos-methyl, anilazine, benthiavalicarb, blasticidin-S, chloroneb, chlorothalonil, cyflufenamid, cymoxanil, cyclobutrifluram, diclocymet, diclomezine, dicloran, diethofencarb, dimethomorph, flumorph, dithianon, ethaboxam, etridiazole, famoxadone, fenamidone, fenoxanil, ferimzone, fluazinam, flumetylsulforim, fluopicolide, fluoxytioconazole, flusulfamide, fluxapyroxad, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, cyazofamid, methasulfocarb, metrafenone, pencycuron, phthalide, polyoxins, propamocarb, pyribencarb, proquinazid, pyroquilon, pyriofenone, quinoxyfen, quintozene, tiadinil, triazoxide, tricyclazole, triforine, validamycin, valifenalate, zoxamide, mandipropamid, flubeneteram, isopyrazam, sedaxane, benzovindiflupyr, pydiflumetofen, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (3',4',5'-trifluoro-biphenyl-2-yl)-amide, isoflucypram, isotianil, dipymetitrone, 6-ethyl-5,7-dioxo-pyrrolo[4,5][1,4]dithiino[1,2-c]isothiazole-3-carbonitrile, 2-(difluoromethyl)-N-[3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide, 4-(2,6-difluorophenyl)-6-methyl-5-phenyl-pyridazine-3-carbonitrile, (R)-3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide, 4-(2-bromo-4-fluoro-phenyl)-N-(2-chloro-6-fluoro-phenyl)-2,5-dimethyl-pyrazol-3-amine, 4- (2- bromo- 4- fluorophenyl) - N- (2- chloro- 6- fluorophenyl) - 1, 3- dimethyl- 1H- pyrazol- 5- amine, fluindapyr, coumethoxystrobin (jiaxiangjunzhi), lvbenmixianan, dichlobentiazox, mandestrobin, 3-(4,4-difluoro-3,4-dihydro-3,3-dimethylisoquinolin-1-yl)quinolone, 2-[2-fluoro-6-[(8-fluoro-2-methyl-3-quinolyl)oxy]phenyl]propan-2-ol, oxathiapiprolin, tert-butyl N-[6-[[[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate, pyraziflumid, inpyrfluxam, trolprocarb, mefentrifluconazole, ipfentrifluconazole, 2-(difluoromethyl)-N-[(3R)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide, N'-(2,5-dimethyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine, N'-[4-(4,5-dichlorothiazol-2-yl)oxy-2,5-dimethyl-phenyl]-N-ethyl-N-methyl-formamidine, [2-[3-[2-[1-[2-[3,5-bis(difluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]thiazol-4-yl]-4,5-dihydroisoxazol-5-yl]-3-chloro-phenyl] methanesulfonate, but-3-ynyl N-[6-[[(Z)-[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate, methyl N-[[5-[4-(2,4-dimethylphenyl)triazol-2-yl]-2-methyl-phenyl]methyl]carbamate, 3-chloro-6-methyl-5-phenyl-4-(2,4,6-trifluorophenyl)pyridazine, pyridachlometyl, 3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide, 1-[2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]-3-methyl-phenyl]-4-methyl-tetrazol-5-one, 1-methyl-4-[3-methyl-2-[[2-methyl-4-(3,4,5-trimethylpyrazol-1-yl)phenoxy]methyl]phenyl]tetrazol-5-one, aminopyrifen, ametoctradin, amisulbrom, penflufen, (Z,2E)-5-[1-(4-chlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide, florylpicoxamid, fenpicoxamid, tebufloquin, ipflufenoquin, quinofumelin, isofetamid, N-[2-[2,4-dichloro-phenoxy]phenyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide, N-[2-[2-chloro-4-(trifluoromethyl)phenoxy]phenyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide, benzothiostrobin, phenamacril, 5-amino-1,3,4-thiadiazole-2-thiol zinc salt (2:1), fluopyram, flutianil, fluopimomide, pyrapropoyne, picarbutrazox, 2-(difluoromethyl)-N-(3-ethyl-1,1-dimethyl-indan-4-yl)pyridine-3-carboxamide, 2- (difluoromethyl) - N- ((3R) - 1, 1, 3- trimethylindan- 4- yl) pyridine- 3- carboxamide, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy]benzonitrile, metyltetraprole, 2- (difluoromethyl) - N- ((3R) - 1, 1, 3- trimethylindan- 4- yl) pyridine- 3- carboxamide, α- (1, 1- dimethylethyl) - α- [4'- (trifluoromethoxy) [1, 1'-biphenyl] - 4- yl] -5- pyrimidinemethanol, fluoxapiprolin, enoxastrobin, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-sulfanyl-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile, trinexapac, coumoxystrobin, zhongshengmycin, thiodiazole copper, zinc thiazole, amectotractin, iprodione, seboctylamine, N'-[5-bromo-2-methyl-6-[(1S)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2-methyl-6-[(1R)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-chloro-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described in WO 2015/155075); N'-[5-bromo-2-methyl-6-(2-propoxypropoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in IPCOM000249876D); N-isopropyl-N'-[5-methoxy-2-methyl-4-

(2,2,2-trifluoro-1-hydroxy-1-phenyl-ethyl)phenyl]-N-methyl-formamidine, N'-[4-(1-cyclopropyl-2,2,2-trifluoro-1-hydroxy-ethyl)-5-methoxy-2-methyl-phenyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described in WO 2018/228896); N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifluoromethyl)oxetan-2-yl]phenyl]-N-methyl-formamidine, N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifuoromethyl)tetrahydrofuran-2-yl]phenyl]-N-methyl-formamidine (these compounds may be prepared from the methods described in WO 2019/110427); N-[(1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide, N-[(1R)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide, 8-fluoro-N-[(1R)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide, 8-fluoro-N-[(1S)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide, N-((1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide, N-((1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide (these compounds may be prepared from the methods described in WO 2017/153380); 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline, 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,6-trifluoro-3,3-dimethyl-isoquinoline, 4,4-difluoro-3,3-dimethyl-1-(6-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline, 4,4-difluoro-3,3-dimethyl-1-(7-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline, 1-(6-chloro-7-methyl-pyrazolo[1,5-a]pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline (these compounds may be prepared from the methods described in WO 2017/025510); 1-(4,5-dimethylbenzimidazol-1-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline, 1-(4,5-dimethylbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline, 6-chloro-4,4-difluoro-3,3-dimethyl-1-(4-methylbenzimidazol-1-yl)isoquinoline, 4,4-difluoro-1-(5-fluoro-4-methyl-benzimidazol-1-yl)-3,3-dimethyl-isoquinoline, 3-(4,4-difluoro-3,3-dimethyl-1-isoquinolyl)-7,8-dihydro-6H-cyclopenta[e]benzimidazole (these compounds may be prepared from the methods described in WO 2016/156085); N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]cyclopropanecarboxamide, N,2-dimethoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, 3-ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, 4,4-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, 5,5-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, ethyl 1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrazole-4-carboxylate, *N,N*-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]-1,2,4-triazol-3-amine (these compounds may be prepared from the methods described in WO 2017/055473, WO 2017/055469, WO 2017/093348 and WO 2017/118689); 2-[6-(4-chlorophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods described in WO 2017/029179); 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods described in WO 2017/029179); 3-[2-(1-chlorocyclopropyl)-3-(2-fluorophenyl)-2-hydroxy-propyl]imidazole-4-

carbonitrile (this compound may be prepared from the methods described in WO 2016/156290); 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO 2016/156290); (4-phenoxyphenyl)methyl 2-amino-6-methyl-pyridine-3-carboxylate (this compound may be prepared from the methods described in WO 2014/006945); 2,6-Dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2H,6H)-tetrone (this compound may be prepared from the methods described in WO 2011/138281) N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide; N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide; (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (this compound may be prepared from the methods described in WO 2018/153707); N'-(2-chloro-5-methyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine; N'-[2-chloro-4-(2-fluorophenoxy)-5-methyl-phenyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in WO 2016/202742); 2-(difluoromethyl)-N-[(3S)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide (this compound may be prepared from the methods described in WO 2014/095675); (5-methyl-2-pyridyl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone, (3-methylisoxazol-5-yl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone (these compounds may be prepared from the methods described in WO 2017/220485); 2-oxo-N-propyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide (this compound may be prepared from the methods described in WO 2018/065414); ethyl 1-[[5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl]methyl]pyrazole-4-carboxylate (this compound may be prepared from the methods described in WO 2018/158365); 2,2-difluoro-N-methyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide, N-[(E)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, N-[(Z)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, N-[N-methoxy-C-methyl-carbonimidoyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide (these compounds may be prepared from the methods described in WO 2018/202428), fluoxapiprolin, enoxastrobin, trinexapac, coumoxystrobin, N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]cyclopropane- carboxamide, N,2-dimethoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, 3-ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, 4,4-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, 5,5-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one, ethyl 1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrazole-4-carboxylate, *N,N*-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]-1,2,4-triazol-3-amine. The compounds in this paragraph may be prepared from the methods described in WO 2017/055473, WO 2017/055469, WO 2017/093348 and WO 2017/118689, 2-[6-(4-chlorophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods described in WO 2017/029179), 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods described in WO 2017/029179), 3-[2-(1-chlorocyclopropyl)-3-(2-fluorophenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO 2016/156290), 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO 2016/156290), (4-phenoxyphenyl)methyl 2-amino-6-methyl-pyridine-3-carboxylate (this compound may be prepared from the methods described in WO 2014/006945), 2,6-Dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2H,6H)-tetrone (this compound may be prepared from the methods described in WO 2011/138281), N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide, N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (this compound may be prepared from the methods described in WO 2018/153707), N'-(2-chloro-5-methyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine, N'-[2-chloro-4-(2-fluorophenoxy)-5-methyl-phenyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in WO 2016/202742), 2-(difluoromethyl)-N-[(3S)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide (this compound may be prepared from the methods described in WO 2014/095675), zhongshengmycin, thiodiazole copper, zinc thiazole, amectotractin, iprodione, cyclobutrifluram, flubeneteram, anisiflupurin, N-[(1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide, N-[(1R)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide, 8-fluoro-N-[(1R)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide, 8-fluoro-N-[(1S)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide, N-((1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide, N-((1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide (these compounds may be prepared from the methods described in WO 2017/153380), 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline, 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,6-trifluoro-3,3-dimethyl-isoquinoline, 4,4-difluoro-3,3-dimethyl-1-(6-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline, 4,4-difluoro-3,3-dimethyl-1-(7-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline, 1-(6-chloro-7-methyl-pyrazolo[1,5-a]pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline (these compounds may be prepared from the methods described in WO 2017/025510); 1-(4,5-dimethylbenzimidazol-1-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline, 1-(4,5-dimethylbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline, 6-chloro-4,4-difluoro-3,3-dimethyl-1-(4-methylbenzimidazol-1-yl)isoquinoline, 4,4-difluoro-1-(5-fluoro-4-methyl-benzimidazol-1-yl)-3,3-dimethyl-isoquinoline, 3-(4,4-difluoro-3,3-dimethyl-1-isoquinolyl)-7,8-dihydro-6H cyclopenta[e]benzimidazole (these compounds may be prepared from the methods described in WO 2016/156085, N'-[5-bromo-2-methyl-6-[(1S)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2-methyl-6-[(1R)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-chloro-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described in WO 2015/155075); N'-[5-bromo-2-methyl-6-(2-propoxypropoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in IPCOM000249876D); N-isopropyl-N'-[5-methoxy-2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenyl-ethyl)phenyl]-N-methyl-formamidine, N'-[4-(1-

cyclopropyl-2,2,2-trifluoro-1-hydroxy-ethyl)-5-methoxy-2-methyl-phenyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described in WO 2018/228896); N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifluoromethyl)oxetan-2-yl]phenyl]-N-methyl-formamidine, N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifuoromethyl)tetrahydrofuran-2-yl]phenyl]-N-methyl-formamidine (these compounds may be prepared from the methods described in WO 2019/110427), (5-methyl-2-pyridyl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone, (3-methylisoxazol-5-yl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone (these compounds may be prepared from the methods described in WO 2017/220485); 2-oxo-N-propyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide (this compound may be prepared from the methods described in WO 2018/065414); ethyl 1-[[5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl]methyl]pyrazole-4-carboxylate (this compound may be prepared from the methods described in WO 2018/158365); 2,2-difluoro-N-methyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide, N-[(E)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, N-[(Z)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, N-[N-methoxy-C-methyl-carbonimidoyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide (these compounds may be prepared from the methods described in WO 2018/202428); N-(2-fluorophenyl)-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, [(1S,2S)-1-methyl-2-(o-tolyl)propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate, seboctylamine, chloroinconazide, flumetylsulforim, fluoxytioconazole.

The following mixtures of the compounds of formula (I) with active ingredients are preferred (where the abbreviation "TX" means "one compound selected from the compounds defined in the Tables A-1 to A-17 and their subtables, and compounds 1.1 to 1.5 of Table T1"): a compound selected from the group of substances consisting of petroleum oils + TX, 1,1-bis(4-chlorophenyl)-2-ethoxyethanol + TX, 2,4-dichlorophenyl benzenesulfonate + TX, 2-fluoro-N-methyl-N-1-naphthylacetamide + TX, 4-chlorophenyl phenyl sulfone + TX, acetoprole + TX, aldoxycarb + TX, amidithion + TX, amidothioate + TX, amiton + TX, amiton hydrogen oxalate + TX, amitraz + TX, aramite + TX, arsenous oxide + TX, azobenzene + TX, azothoate + TX, benomyl + TX, benoxafos + TX, benzyl benzoate + TX, bixafen + TX, brofenvalerate + TX, bromocyclen + TX, bromophos + TX, bromopropylate + TX, buprofezin + TX, butocarboxim + TX, butoxycarboxim + TX, butylpyridaben + TX, calcium polysulfide + TX, camphechlor + TX, carbanolate + TX, carbophenothion + TX, cymiazole + TX, chinomethionat + TX, chlorbenside + TX, chlordimeform + TX, chlordimeform hydrochloride + TX, chlorfenethol + TX, chlorfenson + TX, chlorfensulfide + TX, chlorobenzilate + TX, chloromebuform + TX, chloromethiuron + TX, chloropropylate + TX, chlorthiophos + TX, cinerin I + TX, cinerin II + TX, cinerins + TX, closantel + TX, coumaphos + TX, crotamiton + TX, crotoxyphos + TX, cufraneb + TX, cyanthoate + TX, DCPM + TX, DDT + TX, demephion + TX, demephion-O + TX, demephion-S + TX, demeton-methyl + TX, demeton-O + TX, demeton-O-methyl + TX, demeton-S + TX, demeton-S-methyl + TX, demeton-S-methylsulfon + TX, dichlofluanid + TX, dichlorvos + TX, dicliphos + TX, dienochlor + TX, dimefox + TX, dinex + TX, dinex-diclexine + TX, dinocap-4 + TX, dinocap-6 + TX, dinocton + TX, dinopenton + TX, dinosulfon + TX, dinoterbon + TX, dioxathion + TX, diphenyl sulfone + TX, disulfiram + TX, DNOC + TX, dofenapyn + TX, doramectin + TX, endothion + TX, eprinomectin + TX, ethoate-methyl + TX, etrimfos + TX, fenazaflor + TX, fenbutatin oxide + TX, fenothiocarb + TX, fenpyrad + TX, fenpyroximate + TX, fenpyrazamine + TX, fenson + TX, fentrifanil + TX, flubenzimine + TX, flucycloxuron + TX, fluenetil + TX, fluorbenside + TX, FMC 1137 + TX, formetanate +

TX, formetanate hydrochloride + TX, formparanate + TX, gamma-HCH + TX, glyodin + TX, halfenprox + TX, hexadecyl cyclopropanecarboxylate + TX, isocarbophos + TX, jasmolin I + TX, jasmolin II + TX, jodfenphos + TX, lindane + TX, malonoben + TX, mecarbam + TX, mephosfolan + TX, mesulfen + TX, methacrifos + TX, methyl bromide + TX, metolcarb + TX, mexacarbate + TX, milbemycin oxime + TX, mipafox + TX, monocrotophos + TX, morphothion + TX, moxidectin + TX, naled + TX, 4-chloro-2-(2-chloro-2-methyl-propyl)-5-[(6-iodo-3-pyridyl)methoxy]pyridazin-3-one + TX, nifluridide + TX, nikkomycins + TX, nitrilacarb + TX, nitrilacarb 1:1 zinc chloride complex + TX, omethoate + TX, oxydeprofos + TX, oxydisulfoton + TX, pp'-DDT + TX, parathion + TX, permethrin + TX, phenkapton + TX, phosalone + TX, phosfolan + TX, phosphamidon + TX, polychloroterpenes + TX, polynactins + TX, proclonol + TX, promacyl + TX, propoxur + TX, prothidathion + TX, prothoate + TX, pyrethrin I + TX, pyrethrin II + TX, pyrethrins + TX, pyridaphenthion + TX, pyrimitate + TX, quinalphos + TX, quintiofos + TX, R-1492 + TX, phosglycin + TX, rotenone + TX, schradan + TX, sebufos + TX, selamectin + TX, sophamide + TX, SSI-121 + TX, sulfiram + TX, sulfluramid + TX, sulfotep + TX, sulfur + TX, diflovidazin + TX, tau-fluvalinate + TX, TEPP + TX, terbam + TX, tetradifon + TX, tetrasul + TX, thiafenox + TX, thiocarboxime + TX, thiofanox + TX, thiometon + TX, thioquinox + TX, thuringiensin + TX, triamiphos + TX, triarathene + TX, triazophos + TX, triazuron + TX, trifenofos + TX, trinactin + TX, vamidothion + TX, vaniliprole + TX, bethoxazin + TX, copper dioctanoate + TX, copper sulfate + TX, cybutryne + TX, dichlone + TX, dichlorophen + TX, endothal + TX, fentin + TX, hydrated lime + TX, nabam + TX, quinoclamine + TX, quinonamid + TX, simazine + TX, triphenyltin acetate + TX, triphenyltin hydroxide + TX, crufomate + TX, piperazine + TX, thiophanate + TX, chloralose + TX, fenthion + TX, pyridin-4-amine + TX, strychnine + TX, 1-hydroxy-1H-pyridine-2-thione + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide + TX, 8-hydroxyquinoline sulfate + TX, bronopol + TX, copper hydroxide + TX, cresol + TX, dipyrithione + TX, dodicin + TX, fenaminosulf + TX, formaldehyde + TX, hydrargaphen + TX, kasugamycin + TX, kasugamycin hydrochloride hydrate + TX, nickel bis(dimethyldithiocarbamate) + TX, nitrapyrin + TX, octhilinone + TX, oxolinic acid + TX, oxytetracycline + TX, potassium hydroxyquinoline sulfate + TX, probenazole + TX, streptomycin + TX, streptomycin sesquisulfate + TX, tecloftalam + TX, thiomersal + TX, Adoxophyes orana GV + TX, Agrobacterium radiobacter + TX, Amblyseius spp. + TX, Anagrapha falcifera NPV + TX, Anagrus atomus + TX, Aphelinus abdominalis + TX, Aphidius colemani + TX, Aphidoletes aphidimyza + TX, Autographa californica NPV + TX, Bacillus sphaericus Neide + TX, Beauveria brongniartii + TX, Chrysoperla carnea + TX, Cryptolaemus montrouzieri + TX, Cydia pomonella GV + TX, Dacnusa sibirica + TX, Diglyphus isaea + TX, Encarsia formosa + TX, Eretmocerus eremicus + TX, Heterorhabditis bacteriophora and H. megidis + TX, Hippodamia convergens + TX, Leptomastix dactylopii + TX, Macrolophus caliginosus + TX, Mamestra brassicae NPV + TX, Metaphycus helvolus + TX, Metarhizium anisopliae var. acridum + TX, Metarhizium anisopliae var. anisopliae + TX, Neodiprion sertifer NPV and N. lecontei NPV + TX, Orius spp. + TX, Paecilomyces fumosoroseus + TX, Phytoseiulus persimilis + TX, Steinernema bibionis + TX, Steinernema carpocapsae + TX, Steinernema feltiae + TX, Steinernema glaseri + TX, Steinernema riobrave + TX, Steinernema riobravis + TX, Steinernema scapterisci + TX, Steinernema spp. + TX, Trichogramma spp. + TX, Typhlodromus occidentalis + TX , Verticillium lecanii + TX, apholate + TX, bisazir + TX, busulfan + TX, dimatif + TX, hemel + TX, hempa + TX, metepa + TX, methiotepa + TX, methyl apholate + TX, morzid + TX, penfluron + TX, tepa + TX, thiohempa + TX, thiotepa + TX, tretamine + TX, uredepa + TX, (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol + TX, (E)-tridec-4-en-1-yl acetate + TX, (E)-6-methylhept-2-en-4-ol

+ TX, (E,Z)-tetradeca-4,10-dien-1-yl acetate + TX, (Z)-dodec-7-en-1-yl acetate + TX, (Z)-hexadec-11-enal + TX, (Z)-hexadec-11-en-1-yl acetate + TX, (Z)-hexadec-13-en-11-yn-1-yl acetate + TX, (Z)-icos-13-en-10-one + TX, (Z)-tetradec-7-en-1-al + TX, (Z)-tetradec-9-en-1-ol + TX, (Z)-tetradec-9-en-1-yl acetate + TX, (7E,9Z)-dodeca-7,9-dien-1-yl acetate + TX, (9Z,11E)-tetradeca-9,11-dien-1-yl acetate + TX, (9Z,12E)-tetradeca-9,12-dien-1-yl acetate + TX, 14-methyloctadec-1-ene + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one + TX, alpha-multistriatin + TX, brevicomin + TX, codlelure + TX, codlemone + TX, cuelure + TX, disparlure + TX, dodec-8-en-1-yl acetate + TX, dodec-9-en-1-yl acetate + TX, dodeca-8, 10-dien-1-yl acetate + TX, dominicalure + TX, ethyl 4-methyloctanoate + TX, eugenol + TX, frontalin + TX, grandlure + TX, grandlure I + TX, grandlure II + TX, grandlure III + TX, grandlure IV + TX, hexalure + TX, ipsdienol + TX, ipsenol + TX, japonilure + TX, lineatin + TX, litlure + TX, looplure + TX, medlure + TX, megatomoic acid + TX, methyl eugenol + TX, muscalure + TX, octadeca-2,13-dien-1-yl acetate + TX, octadeca-3,13-dien-1-yl acetate + TX, orfralure + TX, oryctalure + TX, ostramone + TX, siglure + TX, sordidin + TX, sulcatol + TX, tetradec-11-en-1-yl acetate + TX, trimedlure + TX, trimedlure A + TX, trimedlure B_1 + TX, trimedlure B_2 + TX, trimedlure C + TX, trunc-call + TX, 2-(octylthio)ethanol + TX, butopyronoxyl + TX, butoxy(polypropylene glycol) + TX, dibutyl adipate + TX, dibutyl phthalate + TX, dibutyl succinate + TX, diethyltoluamide + TX, dimethyl carbate + TX, dimethyl phthalate + TX, ethyl hexanediol + TX, hexamide + TX, methoquin-butyl + TX, methylneodecanamide + TX, oxamate + TX, picaridin + TX, 1-dichloro-1-nitroethane + TX, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane + TX, 1,2-dichloropropane with 1,3-dichloropropene + TX, 1-bromo-2-chloroethane + TX, 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate + TX, 2,2-dichlorovinyl 2-ethylsulfinylethyl methyl phosphate + TX, 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate + TX, 2-(2-butoxyethoxy)ethyl thiocyanate + TX, 2-(4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate + TX, 2-(4-chloro-3,5-xylyloxy)ethanol + TX, 2-chlorovinyl diethyl phosphate + TX, 2-imidazolidone + TX, 2-isovalerylindan-1,3-dione + TX, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate + TX, 2-thiocyanatoethyl laurate + TX, 3-bromo-1-chloroprop-1-ene + TX, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate + TX, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate + TX, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate + TX, acethion + TX, acrylonitrile + TX, aldrin + TX, allosamidin + TX, allyxycarb + TX, alpha-ecdysone + TX, aluminium phosphide + TX, aminocarb + TX, anabasine + TX, athidathion + TX, azamethiphos + TX, Bacillus thuringiensis delta endotoxins + TX, barium hexafluorosilicate + TX, barium polysulfide + TX, barthrin + TX, Bayer 22/190 + TX, Bayer 22408 + TX, beta-cyfluthrin + TX, beta-cypermethrin + TX, bioethanomethrin + TX, biopermethrin + TX, bis(2-chloroethyl) ether + TX, borax + TX, bromfenvinfos + TX, bromo-DDT + TX, bufencarb + TX, butacarb + TX, butathiofos + TX, butonate + TX, calcium arsenate + TX, calcium cyanide + TX, carbon disulfide + TX, carbon tetrachloride + TX, cartap hydrochloride + TX, cevadine + TX, chlorbicyclen + TX, chlordane + TX, chlordecone + TX, chloroform + TX, chloropicrin + TX, chlorphoxim + TX, chlorprazophos + TX, cis-resmethrin + TX, cismethrin + TX, clocythrin + TX, copper acetoarsenite + TX, copper arsenate + TX, copper oleate + TX, coumithoate + TX, cryolite + TX, CS 708 + TX, cyanofenphos + TX, cyanophos + TX, cyclethrin + TX, cythioate + TX, d-tetramethrin + TX, DAEP + TX, dazomet + TX, decarbofuran + TX, diamidafos + TX, dicapthon + TX, dichlofenthion + TX, dicresyl + TX, dicyclanil + TX, dieldrin + TX, diethyl 5-methylpyrazol-3-yl phosphate + TX, dilor + TX, dimefluthrin + TX, dimetan + TX, dimethrin + TX, dimethylvinphos + TX, dimetilan + TX, dinoprop + TX, dinosam + TX, dinoseb + TX, diofenolan + TX, dioxabenzofos + TX, dithicrofos + TX, DSP + TX, ecdysterone + TX, EI 1642 + TX, EMPC + TX, EPBP +

TX, etaphos + TX, ethiofencarb + TX, ethyl formate + TX, ethylene dibromide + TX, ethylene dichloride + TX, ethylene oxide + TX, EXD + TX, fenchlorphos + TX, fenethacarb + TX, fenitrothion + TX, fenoxacrim + TX, fenpirithrin + TX, fensulfothion + TX, fenthion-ethyl + TX, flucofuron + TX, fosmethilan + TX, fospirate + TX, fosthietan + TX, furathiocarb + TX, furethrin + TX, guazatine + TX, guazatine acetates + TX, sodium tetrathiocarbonate + TX, halfenprox + TX, HCH + TX, HEOD + TX, heptachlor + TX, heterophos + TX, HHDN + TX, hydrogen cyanide + TX, hyquincarb + TX, IPSP + TX, isazofos + TX, isobenzan + TX, isodrin + TX, isofenphos + TX, isolane + TX, isoprothiolane + TX, isoxathion + TX, juvenile hormone I + TX, juvenile hormone II + TX, juvenile hormone III + TX, kelevan + TX, kinoprene + TX, lead arsenate + TX, leptophos + TX, lirimfos + TX, lythidathion + TX, m-cumenyl methylcarbamate + TX, magnesium phosphide + TX, mazidox + TX, mecarphon + TX, menazon + TX, mercurous chloride + TX, mesulfenfos + TX, metam + TX, metam-potassium + TX, metam-sodium + TX, methanesulfonyl fluoride + TX, methocrotophos + TX, methoprene + TX, methothrin + TX, methoxychlor + TX, methyl isothiocyanate + TX, methylchloroform + TX, methylene chloride + TX, metoxadiazone + TX, mirex + TX, naftalofos + TX, naphthalene + TX, NC-170 + TX, nicotine + TX, nicotine sulfate + TX, nithiazine + TX, nornicotine + TX, O-5-dichloro-4-iodophenyl O-ethyl ethylphosphonothioate + TX, O,O-diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate + TX, O,O-diethyl O-6-methyl-2-propylpyrimidin-4-yl phosphorothioate + TX, O,O,O',O'-tetrapropyl dithiopyrophosphate + TX, oleic acid + TX, para-dichlorobenzene + TX, parathion-methyl + TX, pentachlorophenol + TX, pentachlorophenyl laurate + TX, PH 60-38 + TX, phenkapton + TX, phosnichlor + TX, phosphine + TX, phoxim-methyl + TX, pirimetaphos + TX, polychlorodicyclopentadiene isomers + TX, potassium arsenite + TX, potassium thiocyanate + TX, precocene I + TX, precocene II + TX, precocene III + TX, primidophos + TX, profluthrin + TX, promecarb + TX, prothiofos + TX, pyrazophos + TX, pyresmethrin + TX, quassia + TX, quinalphos-methyl + TX, quinothion + TX, rafoxanide + TX, resmethrin + TX, rotenone + TX, kadethrin + TX, ryania + TX, ryanodine + TX, sabadilla + TX, schradan + TX, sebufos + TX, SI-0009 + TX, thiapronil + TX, sodium arsenite + TX, sodium cyanide + TX, sodium fluoride + TX, sodium hexafluorosilicate + TX, sodium pentachlorophenoxide + TX, sodium selenate + TX, sodium thiocyanate + TX, sulcofuron + TX, sulcofuron-sodium + TX, sulfuryl fluoride + TX, sulprofos + TX, tar oils + TX, tazimcarb + TX, TDE + TX, tebupirimfos + TX, temephos + TX, terallethrin + TX, tetrachloroethane + TX, thicrofos + TX, thiocyclam + TX, thiocyclam hydrogen oxalate + TX, thionazin + TX, thiosultap + TX, thiosultap-sodium + TX, tralomethrin + TX, transpermethrin + TX, triazamate + TX, trichlormetaphos-3 + TX, trichloronat + TX, trimethacarb + TX, tolprocarb + TX, triclopyricarb + TX, triprene + TX, veratridine + TX, veratrine + TX, XMC + TX, zetamethrin + TX, zinc phosphide + TX, zolaprofos + TX, meperfluthrin + TX, tetramethylfluthrin + TX, bis(tributyltin) oxide + TX, bromoacetamide + TX, ferric phosphate + TX, niclosamide-olamine + TX, tributyltin oxide + TX, pyrimorph + TX, trifenmorph + TX, 1,2-dibromo-3-chloropropane + TX, 1,3-dichloropropene + TX, 3,4-dichlorotetrahydrothiophene 1,1-dioxide + TX, 3-(4-chlorophenyl)-5-methylrhodanine + TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid + TX, 6-isopentenylaminopurine + TX, anisiflupurin + TX, benclothiaz + TX, cytokinins + TX, DCIP + TX, furfural + TX, isamidofos + TX, kinetin + TX, Myrothecium verrucaria composition + TX, tetrachlorothiophene + TX, xylenols + TX, zeatin + TX, potassium ethylxanthate + TX ,acibenzolar + TX, acibenzolar-S-methyl + TX, Reynoutria sachalinensis extract + TX, alpha-chlorohydrin + TX, antu + TX, barium carbonate + TX, bisthiosemi + TX, brodifacoum + TX, bromadiolone + TX, bromethalin + TX, chlorophacinone + TX, cholecalciferol + TX, coumachlor + TX, coumafuryl + TX, coumatetralyl + TX, crimidine + TX, difenacoum

+ TX, difethialone + TX, diphacinone + TX, ergocalciferol + TX, flocoumafen + TX, fluoroacetamide + TX, flupropadine + TX, flupropadine hydrochloride + TX, norbormide + TX, phosacetim + TX, phosphorus + TX, pindone + TX, pyrinuron + TX, scilliroside + TX, sodium fluoroacetate + TX, thallium sulfate + TX, warfarin + TX, 2-(2-butoxyethoxy)ethyl piperonylate + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone + TX, farnesol with nerolidol + TX, verbutin + TX, MGK 264 + TX, piperonyl butoxide + TX, piprotal + TX, propyl isomer + TX, S421 + TX, sesamex + TX, sesasmolin + TX, sulfoxide + TX, anthraquinone + TX, copper naphthenate + TX, copper oxychloride + TX, dicyclopentadiene + TX, thiram + TX, zinc naphthenate + TX, ziram + TX, imanin + TX, ribavirin + TX, chloroinconazide + TX, mercuric oxide + TX, thiophanate-methyl + TX, azaconazole + TX, bitertanol + TX, bromuconazole + TX, cyproconazole + TX, difenoconazole + TX, diniconazole + TX, epoxiconazole + TX, fenbuconazole + TX, fluquinconazole + TX, flusilazole + TX, flutriafol + TX, furametpyr + TX, hexaconazole + TX, imazalil + TX, imibenconazole + TX, ipconazole + TX, metconazole + TX, myclobutanil + TX, paclobutrazole + TX, pefurazoate + TX, penconazole + TX, prothioconazole + TX, pyrifenox + TX, prochloraz + TX, propiconazole + TX, pyrisoxazole + TX, simeconazole + TX, tebuconazole + TX, tetraconazole + TX, triadimefon + TX, triadimenol + TX, triflumizole + TX, triticonazole + TX, ancymidol + TX, fenarimol + TX, nuarimol + TX, bupirimate + TX, dimethirimol + TX, ethirimol + TX, dodemorph + TX, fenpropidin + TX, fenpropimorph + TX, spiroxamine + TX, tridemorph + TX, cyprodinil + TX, mepanipyrim + TX, pyrimethanil + TX, fenpiclonil + TX, fludioxonil + TX, benalaxyl + TX, furalaxyl + TX, metalaxyl + TX, R-metalaxyl + TX, ofurace + TX, oxadixyl + TX, carbendazim + TX, debacarb + TX, fuberidazole + TX, thiabendazole + TX, chlozolinate + TX, dichlozoline + TX, myclozoline + TX, procymidone + TX, vinclozoline + TX, boscalid + TX, carboxin + TX, fenfuram + TX, flutolanil + TX, mepronil + TX, oxycarboxin + TX, penthiopyrad + TX, thifluzamide + TX, dodine + TX, iminoctadine + TX, azoxystrobin + TX, dimoxystrobin + TX, enestroburin + TX, fenaminstrobin + TX, flufenoxystrobin + TX, fluoxastrobin + TX, kresoxim-methyl + TX, metominostrobin + TX, trifloxystrobin + TX, orysastrobin + TX, picoxystrobin + TX, pyraclostrobin + TX, pyrametostrobin + TX, pyraoxystrobin + TX, ferbam + TX, mancozeb + TX, maneb + TX, metiram + TX, propineb + TX, zineb + TX, captafol + TX, captan + TX, fluoroimide + TX, folpet + TX, tolylfluanid + TX, bordeaux mixture + TX, copper oxide + TX, mancopper + TX, oxine-copper + TX, nitrothal-isopropyl + TX, edifenphos + TX, iprobenphos + TX, phosdiphen + TX, tolclofos-methyl + TX, anilazine + TX, benthiavalicarb + TX, blasticidin-S + TX, chloroneb + TX, chlorothalonil + TX, cyflufenamid + TX, cymoxanil + TX, cyclobutrifluram + TX, diclocymet + TX, diclomezine + TX, dicloran + TX, diethofencarb + TX, dimethomorph + TX, flumorph + TX, dithianon + TX, ethaboxam + TX, etridiazole + TX, famoxadone + TX, fenamidone + TX, fenoxanil + TX, ferimzone + TX, fluazinam + TX, flumetylsulforim + TX, fluopicolide + TX, fluoxytioconazole + TX, flusulfamide + TX, fluxapyroxad + TX, fenhexamid + TX, fosetyl-aluminium + TX, hymexazol + TX, iprovalicarb + TX, cyazofamid + TX, methasulfocarb + TX, metrafenone + TX, pencycuron + TX, phthalide + TX, polyoxins + TX, propamocarb + TX, pyribencarb + TX, proquinazid + TX, pyroquilon + TX, pyriofenone + TX, quinoxyfen + TX, quintozene + TX, tiadinil + TX, triazoxide + TX, tricyclazole + TX, triforine + TX, validamycin + TX, valifenalate + TX, zoxamide + TX, mandipropamid + TX, flubeneteram + TX, isopyrazam + TX, sedaxane + TX, benzovindiflupyr + TX, pydiflumetofen + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (3',4',5'-trifluoro-biphenyl-2-yl)-amide + TX, isoflucypram + TX, isotianil + TX, dipymetitrone + TX, 6-ethyl-5,7-dioxo-pyrrolo[4,5][1,4]dithiino[1,2-c]isothiazole-3-carbonitrile + TX, 2-(difluoromethyl)-N-[3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide + TX, 4-(2,6-

difluorophenyl)-6-methyl-5-phenyl-pyridazine-3-carbonitrile + TX, (R)-3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide + TX, 4-(2-bromo-4-fluoro-phenyl)-N-(2-chloro-6-fluoro-phenyl)-2,5-dimethyl-pyrazol-3-amine + TX, 4- (2- bromo- 4- fluorophenyl) - N- (2- chloro- 6- fluorophenyl) - 1, 3- dimethyl- 1H- pyrazol- 5- amine + TX, fluindapyr + TX, coumethoxystrobin (jiaxiangjunzhi) + TX, lvbenmixianan + TX, dichlobentiazox + TX, mandestrobin + TX, 3-(4,4-difluoro-3,4-dihydro-3,3-dimethylisoquinolin-1-yl)quinolone + TX, 2-[2-fluoro-6-[(8-fluoro-2-methyl-3-quinolyl)oxy]phenyl]propan-2-ol + TX, oxathiapiprolin + TX, tert-butyl N-[6-[[[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate + TX, pyraziflumid + TX, inpyrfluxam + TX, trolprocarb + TX, mefentrifluconazole + TX, ipfentrifluconazole+ TX, 2-(difluoromethyl)-N-[(3R)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide + TX, N'-(2,5-dimethyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine + TX, N'-[4-(4,5-dichlorothiazol-2-yl)oxy-2,5-dimethyl-phenyl]-N-ethyl-N-methyl-formamidine + TX, [2-[3-[2-[1-[2-[3,5-bis(difluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]thiazol-4-yl]-4,5-dihydroisoxazol-5-yl]-3-chloro-phenyl] methanesulfonate + TX, but-3-ynyl N-[6-[[(Z)-[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate + TX, methyl N-[[5-[4-(2,4-dimethylphenyl)triazol-2-yl]-2-methyl-phenyl]methyl]carbamate + TX, 3-chloro-6-methyl-5-phenyl-4-(2,4,6-trifluorophenyl)pyridazine + TX, pyridachlometyl + TX, 3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide + TX, 1-[2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]-3-methyl-phenyl]-4-methyl-tetrazol-5-one + TX, 1-methyl-4-[3-methyl-2-[[2-methyl-4-(3,4,5-trimethylpyrazol-1-yl)phenoxy]methyl]phenyl]tetrazol-5-one + TX, aminopyrifen + TX, ametoctradin + TX, amisulbrom + TX, penflufen + TX, (Z,2E)-5-[1-(4-chlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide + TX, florylpicoxamid + TX, fenpicoxamid + TX, metarylpicoxamid + TX, tebufloquin + TX, ipflufenoquin + TX, quinofumelin + TX, isofetamid + TX, ethyl 1-[[4-[[2-(trifluoromethyl)-1,3-dioxolan-2-yl]methoxy]phenyl]methyl]pyrazole-3-carboxylate + TX (may be prepared from the methods described in WO 2020/056090), ethyl 1-[[4-[(Z)-2-ethoxy-3,3,3-trifluoro-prop-1-enoxy]phenyl]methyl]pyrazole-3-carboxylate + TX (may be prepared from the methods described in WO 2020/056090), methyl N-[[4-[1-(4-cyclopropyl-2,6-difluoro-phenyl)pyrazol-4-yl]-2-methyl-phenyl]methyl]carbamate + TX (may be prepared from the methods described in WO 2020/097012), methyl N-[[4-[1-(2,6-difluoro-4-isopropyl-phenyl)pyrazol-4-yl]-2-methyl-phenyl]methyl]carbamate + TX (may be prepared from the methods described in WO 2020/097012), 6-chloro-3-(3-cyclopropyl-2-fluoro-phenoxy)-N-[2-(2,4-dimethylphenyl)-2,2-difluoro-ethyl]-5-methyl-pyridazine-4-carboxamide + TX (may be prepared from the methods described in WO 2020/109391), 6-chloro-N-[2-(2-chloro-4-methyl-phenyl)-2,2-difluoro-ethyl]-3-(3-cyclopropyl-2-fluoro-phenoxy)-5-methyl-pyridazine-4-carboxamide + TX (may be prepared from the methods described in WO 2020/109391), 6-chloro-3-(3-cyclopropyl-2-fluoro-phenoxy)-N-[2-(3,4-dimethylphenyl)-2,2-difluoro-ethyl]-5-methyl-pyridazine-4-carboxamide + TX (may be prepared from the methods described in WO 2020/109391), N-[2-[2,4-dichloro-phenoxy]phenyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide + TX, N-[2-[2-chloro-4-(trifluoromethyl)phenoxy]phenyl]-3-(difluoromethyl)-1-methyl-pyrazole-4-carboxamide + TX, benzothiostrobin + TX, phenamacril + TX, 5-amino-1,3,4-thiadiazole-2-thiol zinc salt (2:1) + TX, fluopyram + TX, flufenoxadiazam + TX, flutianil + TX, fluopimomide + TX, pyrapropoyne + TX, picarbutrazox + TX, 2-(difluoromethyl)-N-(3-ethyl-1,1-dimethyl-indan-4-yl)pyridine-3-carboxamide + TX, 2- (difluoromethyl) - N- ((3R) - 1, 1, 3- trimethylindan- 4- yl) pyridine- 3- carboxamide + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy]benzonitrile + TX, metyltetraprole + TX, 2-

(difluoromethyl)-N-((3R)-1,1,3-trimethylindan-4-yl)pyridine-3-carboxamide + TX, α-(1,1-dimethylethyl)-α-[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]-5-pyrimidinemethanol + TX, fluoxapiprolin + TX, enoxastrobin + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-sulfanyl-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-thioxo-4H-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy]benzonitrile + TX, trinexapac + TX, coumoxystrobin + TX, zhongshengmycin + TX, thiodiazole copper + TX, zinc thiazole + TX, amectotractin + TX, iprodione + TX, seboctylamine + TX; N'-[5-bromo-2-methyl-6-[(1S)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-[(1R)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-chloro-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-isopropyl-N-methyl-formamidine + TX (these compounds may be prepared from the methods described in WO 2015/155075); N'-[5-bromo-2-methyl-6-(2-propoxypropoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX (this compound may be prepared from the methods described in IPCOM000249876D); N-isopropyl-N’-[5-methoxy-2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenyl-ethyl)phenyl]-N-methyl-formamidine+ TX, N’-[4-(1-cyclopropyl-2,2,2-trifluoro-1-hydroxy-ethyl)-5-methoxy-2-methyl-phenyl]-N-isopropyl-N-methyl-formamidine + TX (these compounds may be prepared from the methods described in WO 2018/228896); N-ethyl-N’-[5-methoxy-2-methyl-4-[(2-trifluoromethyl)oxetan-2-yl]phenyl]-N-methyl-formamidine + TX, N-ethyl-N’-[5-methoxy-2-methyl-4-[(2-trifuoromethyl)tetrahydrofuran-2-yl]phenyl]-N-methyl-formamidine + TX (these compounds may be prepared from the methods described in WO 2019/110427); N-[(1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1R)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide + TX, 8-fluoro-N-[(1R)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide + TX, 8-fluoro-N-[(1S)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3-carboxamide + TX, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide + TX, N-((1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide + TX, N-((1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide + TX (these compounds may be prepared from the methods described in WO 2017/153380); 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline + TX, 1-(6,7-dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,6-trifluoro-3,3-dimethyl-isoquinoline + TX, 4,4-difluoro-3,3-dimethyl-1-(6-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline + TX, 4,4-difluoro-3,3-dimethyl-1-(7-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline + TX, 1-(6-chloro-7-methyl-pyrazolo[1,5-a]pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline + TX (these compounds may be prepared from the methods described in WO 2017/025510); 1-(4,5-dimethylbenzimidazol-1-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline + TX, 1-(4,5-dimethylbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline + TX, 6-chloro-4,4-difluoro-3,3-dimethyl-1-(4-methylbenzimidazol-1-yl)isoquinoline + TX, 4,4-difluoro-1-(5-fluoro-4-methyl-benzimidazol-1-yl)-3,3-dimethyl-isoquinoline + TX, 3-(4,4-difluoro-3,3-dimethyl-1-isoquinolyl)-7,8-dihydro-6H-cyclopenta[e]benzimidazole + TX (these compounds may be prepared from the methods described in WO 2016/156085); N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]cyclopropanecarboxamide + TX, N,2-dimethoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide + TX, N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide + TX, 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, 3-ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide + TX, 4,4-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one + TX, 5,5-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one + TX, ethyl 1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]pyrazole-4-carboxylate + TX, *N,N*-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]-1,2,4-triazol-3-amine + TX. The compounds in this paragraph may be prepared from the methods described in WO 2017/055473, WO 2017/055469, WO 2017/093348 and WO 2017/118689; 2-[6-(4-chlorophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol + TX (this compound may be prepared from the methods described in WO 2017/029179); 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol + TX (this compound may be prepared from the methods described in WO 2017/029179); 3-[2-(1-chlorocyclopropyl)-3-(2-fluorophenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile + TX (this compound may be prepared from the methods described in WO 2016/156290); 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile + TX (this compound may be prepared from the methods described in WO 2016/156290); (4-phenoxyphenyl)methyl 2-amino-6-methyl-pyridine-3-carboxylate + TX (this compound may be prepared from the methods described in WO 2014/006945); 2,6-Dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2H,6H)-tetrone + TX (this compound may be prepared from the methods described in WO 2011/138281); N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide + TX; N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX; (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide + TX (this compound may be prepared from the methods described in WO 2018/153707); N'-(2-chloro-5-methyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine + TX; N'-[2-chloro-4-(2-fluorophenoxy)-5-methyl-phenyl]-N-ethyl-N-methyl-formamidine + TX (this compound may be prepared from the methods described in WO 2016/202742); 2-(difluoromethyl)-N-[(3S)-3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide + TX (this compound may be prepared from the methods described in WO 2014/095675); (5-methyl-2-pyridyl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone + TX, (3-methylisoxazol-5-yl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone + TX (these compounds may be prepared from the methods described in WO 2017/220485); 2-oxo-N-propyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide + TX (this compound may be prepared from the methods described in WO 2018/065414); ethyl 1-[[5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl]methyl]pyrazole-4-carboxylate + TX (this compound may be prepared from the methods described in WO 2018/158365); 2,2-difluoro-N-methyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide + TX, N-[(E)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX, N-[(Z)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX, N-[N-methoxy-C-methyl-carbonimidoyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX (these compounds may be prepared from the methods described in WO 2018/202428).

The references in brackets behind the active ingredients, e.g. *[3878-19-1]* refer to the Chemical Abstracts Registry number. The above described mixing partners are known. Where the active ingredients are included in "The Pesticide Manual" [The Pesticide Manual - A World Compendium; Thirteenth Edition; Editor: C. D. S. TomLin; The British Crop Protection Council], they are described therein under the entry number given in round brackets hereinabove for the particular compound; for example, the compound "abamectin" is described under entry number (1). Where "[CCN]" is added hereinabove to the particular compound, the compound in question is included in the "Compendium of Pesticide Common Names", which is accessible on the internet [A. Wood; Compendium of Pesticide Common Names, Copyright © 1995-2004]; for example, the compound "acetoprole" is described under the internet address http://www.alanwood.net/pesticides/acetoprole.html.

Most of the active ingredients described above are referred to hereinabove by a so-called "common name", the relevant "ISO common name" or another "common name" being used in individual cases. If the designation is not a "common name", the nature of the designation used instead is given in round brackets for the particular compound; in that case, the IUPAC name, the IUPAC/Chemical Abstracts name, a "chemical name", a "traditional name", a "compound name" or a "development code" is used or, if neither one of those designations nor a "common name" is used, an "alternative name" is employed. “CAS Reg. No” means the Chemical Abstracts Registry Number.

The active ingredient mixture of the compounds of formula (I) selected from one compound as represented in Tables A-1 to A-17, and their subtables (below), or a compound 1.1 to 1.5 listed in Table T1 (below), is preferably in a mixing ratio of from 100:1 to 1:6000, especially from 50:1 to 1:50, more especially in a ratio of from 20:1 to 1:20, even more especially from 10:1 to 1:10, very especially from 5:1 and 1:5, special preference being given to a ratio of from 2:1 to 1:2, and a ratio of from 4:1 to 2:1 being likewise preferred, above all in a ratio of 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:75, or 2:75, or 4:75, or 1:6000, or 1:3000, or 1:1500, or 1:350, or 2:350, or 4:350, or 1:750, or 2:750, or 4:750. Those mixing ratios are by weight.

The mixtures as described above can be used in a method for controlling pests, which comprises applying a composition comprising a mixture as described above to the pests or their environment, with the exception of a method for treatment of the human or animal body by surgery or therapy and diagnostic methods practised on the human or animal body.

The mixtures comprising a compound as represented in Tables A-1 to A-17, and their subtables (below), or a compound 1.1 to 1.5 listed in Table T1 (below), and one or more active ingredients as described above can be applied, for example, in a single “ready-mix” form, in a combined spray mixture composed from separate formulations of the single active ingredient components, such as a “tank-mix”, and in a combined use of the single active ingredients when applied in a sequential manner, i.e. one after the other with a reasonably short period, such as a few hours or days. The order of applying a compound as represented in Tables A-1 to A-17, and their subtables (below), or a compound 1.1 to 1.5 listed in Table T1 (below) and the active ingredient(s) as described above, is not essential for working the present invention.

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

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

The compounds of the invention may be used in combination with derivatives and analogues of the general class of dioxomorpholine antiparasitic agents as described in WO 96/15121 and also with anthelmintic active cyclic depsipeptides such as those described in WO 96/11945, WO 93/19053, WO 93/25543, EP 0 626 375, EP 0 382 173, WO 94/19334, EP 0 382 173, and EP 0 503 538.

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

The compounds of the invention may be used in combination with terpene alkaloids, for example those described in International Patent Application Publication Numbers WO 95/19363 or WO 04/72086, particularly the compounds disclosed therein.

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

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

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

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

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

Other antiparasitics: acequinocyl, amitraz, AKD-1022, ANS-118, azadirachtin, Bacillus thuringiensis, bensultap, bifenazate, binapacryl, bromopropylate, BTG-504, BTG-505, camphechlor, cartap, chlorobenzilate, chlordimeform, chlorfenapyr, chromafenozide, clothianidine, cyromazine, diacloden, diafenthiuron, DBI-3204, dinactin, dihydroxymethyldihydroxypyrrolidine, dinobuton, dinocap, endosulfan, ethiprole, ethofenprox, fenazaquin, flumite, MTI- 800, fenpyroximate, fluacrypyrim, flubenzimine, flubrocythrinate, flufenzine, flufenprox, fluproxyfen, halofenprox, hydramethylnon, IKI-220, kanemite, NC-196, neem guard, nidinorterfuran, nitenpyram, SD-35651, WL-108477, pirydaryl, propargite, protrifenbute, pymethrozine, pyridaben, pyrimidifen, NC-1111, R-195, RH-0345, RH-2485, RYI-210, S-1283, S-1833, SI-8601, silafluofen, silomadine, spinosad, tebufenpyrad, tetradifon, tetranactin, thiacloprid, thiocyclam, thiamethoxam, tolfenpyrad, triazamate, triethoxyspinosyn, trinactin, verbutin, vertalec, YI-5301.

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

Bactericides: chlortetracycline, oxytetracycline, streptomycin.

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

The compositions according to the invention can also comprise further solid or liquid auxiliaries, such as stabilizers, for example unepoxidized or epoxidized vegetable oils (for example epoxidized coconut oil, rapeseed oil or soya oil), antifoams, for example silicone oil, preservatives, viscosity regulators, binders and/or tackifiers, fertilizers or other active ingredients for achieving specific effects, for example bactericides, fungicides, nematocides, plant activators, molluscicides or herbicides.

The compositions according to the invention are prepared in a manner known per se, in the absence of auxiliaries for example by grinding, screening and/or compressing a solid active ingredient and in the presence of at least one auxiliary for example by intimately mixing and/or grinding the active ingredient with the auxiliary (auxiliaries). These processes for the preparation of the compositions and the use of the compounds (I) for the preparation of these compositions are also a subject of the invention.

Another aspect of the invention is related to the use of a compound of Formula (I) or of a preferred individual compound as defined herein, of a composition comprising at least one compound of Formula (I) or at least one preferred individual compound as above-defined, or of a fungicidal or insecticidal mixture comprising at least one compound of Formula (I) or at least one preferred individual compound as above-defined, in admixture with other fungicides or insecticides as described above, for controlling or preventing infestation of plants, e.g. useful plants such as crop plants, propagation material thereof, e.g. seeds, harvested crops, e.g. harvested food crops, or non-living materials by insects or by phytopathogenic microorganisms, preferably fungal organisms.

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

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

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

A formulation, e.g. a composition containing the compound of Formula (I), and, if desired, a solid or liquid adjuvant or monomers for encapsulating the compound of Formula (I), may be prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface active compounds (surfactants).

Advantageous rates of application are normally from 5g to 2kg of active ingredient (a.i.) per hectare (ha), preferably from 10g to 1kg a.i./ha, most preferably from 20g to 600g a.i./ha. When used as seed drenching agent, convenient dosages are from 10mg to 1g of active substance per kg of seeds.

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

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

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

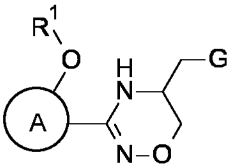
Such compositions may be produced in conventional manner, e.g. by mixing the active ingredients with appropriate formulation inerts (diluents, solvents, fillers and optionally other formulating ingredients such as surfactants, biocides, anti-freeze, stickers, thickeners and compounds that provide adjuvancy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

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

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

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

The compounds according to the following Tables A-1 to A-17 and their subtables below can be prepared following the methods described above. The examples which follow are intended to illustrate the invention and show preferred compounds of formula (Ia).



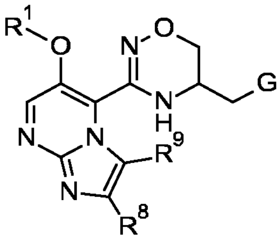
(Ia)

Table A: Compounds of the formula (Ia) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-1 to A-17, and G is as defined in Table Z below:

Table Z

	G		G
1	2,4-dimethylphenyl	7	3,5-dichloro-2-pyridyl
2	2,4-dichlorophenyl	8	3,5-dichloro-thienyl
3	4-bromo-2-methylphenyl	9	3,5-dimethyl-thienyl
4	4-bromo-2-chlorophenyl	10	3,4-dimethylphenyl
5	2,6-dichloro-3-pyridyl	11	2-chloro-4-methylphenyl
6	4,6-dichloro-3-pyridyl	12	2-methyl-4-bromophenyl

Table A-1: Compounds of the formula (Ia-A-1) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-1a to A-1k, and G is as defined in Table Z above:



(Ia-A-1)

Table A-1a: This subtable provides 9 compounds A-1a.01 to A-1a.12 of formula (Ia-A-1) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^8 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-1b: This subtable provides 9 compounds A-1b.01 and A-1b.12 of formula (Ia-A-1) wherein R^1 is 3-(trifluoromethyl)phenyl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1c: This subtable provides 9 compounds A-1c.01 and A-1c.12 of formula (Ia-A-1) wherein R^1 is 3-ethynylphenyl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1d: This subtable provides 9 compounds A-1d.01 and A-1d.12 of formula (Ia-A-1) wherein R^1 is 3-chlorophenyl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1e: This subtable provides 9 compounds A-1e.01 and A-1e.12 of formula (Ia-A-1) wherein R^1 is 5-cyanopyridin-3-yl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1f: This subtable provides 9 compounds A-1f.01 and A-1f.12 of formula (Ia-A-1) wherein R^1 is 3-cyclopropylphenyl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1g: This subtable provides 9 compounds A-1g.01 and A-1g.12 of formula (Ia-A-1) wherein R^1 is 3-phenyl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1h: This subtable provides 9 compounds A-1h.01 and A-1h.12 of formula (Ia-A-1) wherein R^1 is 3-tolyl, R^8 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1i: This subtable provides 9 compounds A-1i.01 to A-1i.12 of formula (Ia-A-1) wherein R^1 is 3-cyclopropylphenyl, R^8 is methyl and R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

As an example, compound A-1i.01 is:

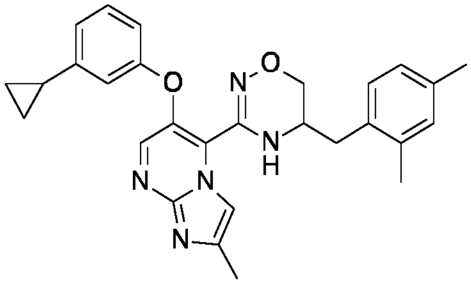
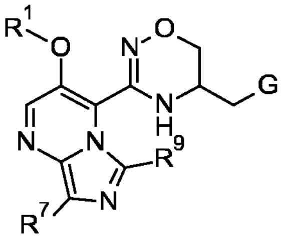


Table A-1j: This subtable provides 9 compounds A-1j.01 and A-1j.12 of formula (Ia-A-1) wherein R^1 is 3-(trifluoromethyl)phenyl, R^8 is chloro and R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-1k: This subtable provides 9 compounds A-1k.01 and A-1k.12 of formula (Ia-A-1) wherein R^1 is 5-cyanopyridin-3-yl, R^8 is hydrogen and R^9 is chloro and substituent G is substituent G is as defined in Table Z above.

Table A-2: Compounds of the formula (Ia-A-2) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-2a to A-2i, and G is as defined in Table Z above:



(Ia-A-2)

Table A-2a: This subtable provides 9 compounds A-2a.01 and A-2a.12 of formula (Ia-A-2) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-2b: This subtable provides 9 compounds A-2b.01 and A-2b.12 of formula (Ia-A-2) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-2c: This subtable provides 23 compounds A-2c.01 and A-2c.12 of formula (Ia-A-2) wherein R^1 is 3-ethynylphenyl, R^7 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-2d: This subtable provides 9 compounds A-2d.01 and A-2d.12 of formula (Ia-A-2) wherein R^1 is 3-chlorophenyl, R^7 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-2e: This subtable provides 9 compounds A-2e.01 and A-2e.12 of formula (Ia-A-2) wherein R^1 is 5-cyanopyridin-3-yl, R^7 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

As an example, compound A-2e.09 is:

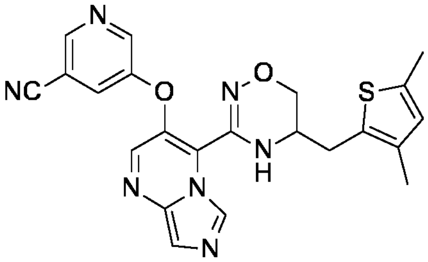


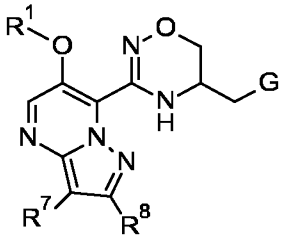
Table A-2f: This subtable provides 9 compounds A-2g.01 and A-2g.9 of formula (Ia-A-2) wherein R^1 is 3-cyclopropylphenyl, R^7 and R^9 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-2g: This subtable provides 9 compounds A-2h.01 and A-2h.9 of formula (Ia-A-2) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 is hydrogen R^9 is methyl and substituent G is substituent G is as defined in Table Z above.

Table A-2h: This subtable provides 9 compounds A-2i.01 and A-2i.9 of formula (Ia-A-2) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 is hydrogen R^9 is methyl and substituent G is substituent G is as defined in Table Z above.

Table A-2i: This subtable provides 9 compounds A-2j.01 and A-2j.9 of formula (Ia-A-2) wherein R^1 is 5-cyanopyridin-3-yl, R^7 is methyl R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3: Compounds of the formula (Ia-A-3) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-3a to A-3m, and G is as defined in Table Z above:



(Ia-A-3)

Table A-3a: This subtable provides 9 compounds A-3a.01 and A-3a.12 of formula (Ia-A-3) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3b: This subtable provides 9 compounds A-3b.01 and A-3b.12 of formula (Ia-A-3) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3c: This subtable provides 9 compounds A-3c.01 and A-3c.12 of formula (Ia-A-3) wherein R^1 is 3-ethynylphenyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3d: This subtable provides 9 compounds A-3d.01 and A-3d.12 of formula (Ia-A-3) wherein R^1 is 3-chlorophenyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3e: This subtable provides 9 compounds A-3e.01 and A-3e.12 of formula (Ia-A-3) wherein R^1 is 5-cyanopyridin-3-yl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3f: This subtable provides 9 compounds A-3f.01 and A-3f.12 of formula (Ia-A-3) wherein R^1 is 3-tolyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3g: This subtable provides 9 compounds A-3g.01 and A-3g.12 of formula (Ia-A-3) wherein R^1 is 3-phenyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3h: This subtable provides 9 compounds A-3h.01 and A-3h.12 of formula (Ia-A-3) wherein R^1 is 3-cyclopropylphenyl, R^7 and R^8 are hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3i: This subtable provides 9 compounds A-3i.01 and A-3i.12 of formula (Ia-A-3) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 is methyl and R^8 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3j: This subtable provides 9 compounds A-3j.01 and A-3j.12 of formula (Ia-A-3) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 is chloro and R^8 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-3k: This subtable provides 9 compounds A-3k.01 and A-3k.12 of formula (Ia-A-3) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 is hydrogen and R^8 is fluoro and substituent G is substituent G is as defined in Table Z above.

As an example, compound A-3k.02 is:

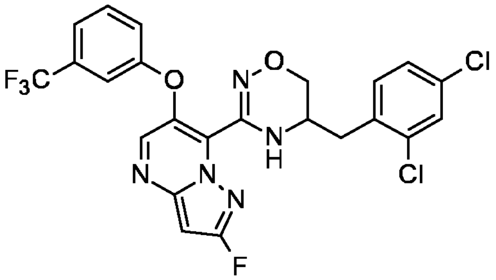
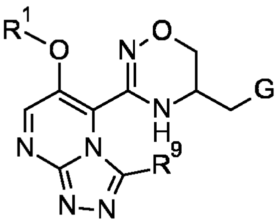


Table A-3l: This subtable provides 9 compounds A-3l.01 and A-3l.12 of formula (Ia-A-3) wherein R^1 is 5-cyanopyridin-3-yl, R^7 hydrogen and R^8 is chloro and substituent G is substituent G is as defined in Table Z above.

Table A-3m: This subtable provides 9 compounds A-3m.01 and A-3m.12 of formula (Ia-A-3) wherein R^1 is 3-cyclopropylphenyl, R^7 hydrogen and R^8 is fluoro and substituent G is substituent G is as defined in Table Z above.

Table A-4: Compounds of the formula (Ia-A-4) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-4a to A-4e, and G is as defined in Table Z above:



(Ia-A-4)

Table A-4a: This subtable provides 9 compounds A-4a.01 and A-4a.12 of formula (Ia-A-4) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

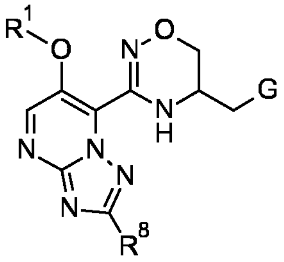
Table A-4b: This subtable provides 9 compounds A-4b.01 and A-4b.12 of formula (Ia-A-4) wherein R^1 is 3-(trifluoromethyl)phenyl, R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-4c: This subtable provides 9 compounds A-4c.01 and A-4c.12 of formula (Ia-A-4) wherein R^1 is 5-cyanopyridin-3-yl, R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-4d: This subtable provides 9 compounds A-4d.01 and A-4d.12 of formula (Ia-A-4) wherein R^1 is 3-cyclopropylphenyl, R^9 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-4e: This subtable provides 9 compounds A-4e.01 and A-4e.12 of formula (Ia-A-4) wherein R^1 is 3-phenyl, R^9 is methyl and substituent G is substituent G is as defined in Table Z above.

Table A-5: Compounds of the formula (Ia-A-5) wherein R1, R7, R8, and R9 are defined in Tables A-5a to A-5g, and G is as defined in Table Z above:



(Ia-A-5)

Table A-5a: This subtable provides 9 compounds A-5a.01 and A-5a.12 of formula (Ia-A-5) wherein R1 is 3-cyclopropyl-2-fluorophenyl, R8 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-5b: This subtable provides 9 compounds A-5b.01 and A-5b.12 of formula (Ia-A-5) wherein R1 is 3-(trifluoromethyl)phenyl, R8 is hydrogen and substituent G is substituent G is as defined in Table Z above.

Table A-5c: This subtable provides 9 compounds A-5c.01 and A-5c.12 of formula (Ia-A-5) wherein R1 is 5-(cyclopropyl)pyridin-3-yl, R8 is hydrogen and substituent G is as defined in Table Z above.

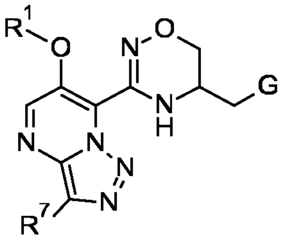
Table A-5d: This subtable provides 9 compounds A-5d.01 and A-5d.12 of formula (Ia-A-5) wherein R1 is 3-cyclopropylphenyl, R8 is hydrogen and substituent G is as defined in Table Z above.

Table A-5e: This subtable provides 9 compounds A-5e.01 and A-5e.12 of formula (Ia-A-5) wherein R1 is 3-cyclopropyl-2-fluoro-phenyl, R8 is methyl and substituent G is as defined in Table Z above.

Table A-5f: This subtable provides 9 compounds A-5f.01 and A-5f.12 of formula (Ia-A-5) wherein R1 is 3-phenyl, R8 is chloro and substituent G is as defined in Table Z above.

Table A-5g: This subtable provides 9 compounds A-5g.01 and A-5g.12 of formula (Ia-A-5) wherein R1 is 3-cyclopropylphenyl, R8 is fluoro and substituent G is as defined in Table Z above.

Table A-6: Compounds of the formula (Ia-A-6) wherein R1, R7, R8, and R9 are defined in Tables A-6a to A-6c, and G is as defined in Table Z above:



(Ia-A-6)

Table A-6a: This subtable provides 9 compounds A-6a.01 and A-6a.12 of formula (Ia-A-6) wherein R1 is 3-cyclopropyl-2-fluorophenyl, R7 is hydrogen and substituent G is as defined in Table Z above.

Table A-6b: This subtable provides 9 compounds A-6b.01 and A-6b.12 of formula (Ia-A-6) wherein R1 is 3-(trifluoromethyl)phenyl, R7 is hydrogen and substituent G is as defined in Table Z above.

Table A-6c: This subtable provides 9 compounds A-6c.01 and A-6c.12 of formula (Ia-A-6) wherein R^1 is 3-cyclopropylphenyl, R^7 is hydrogen and substituent G is as defined in Table Z above.

As an example, compound A-6c.06 is:

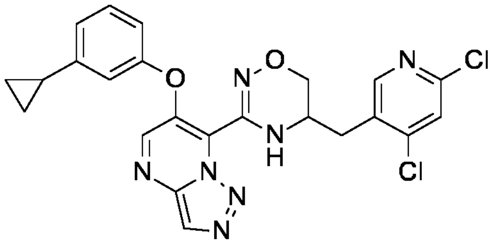
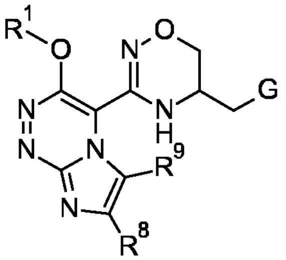


Table A-7: Compounds of the formula (Ia-A-7) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-7a to A-7d, and G is as defined in Table Z above:



(Ia-A-7)

Table A-7a: This subtable provides 9 compounds A-7a.01 and A-7a.12 of formula (Ia-A-7) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^8 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-7b: This subtable provides 9 compounds A-7b.01 and A-7b.12 of formula (Ia-A-7) wherein R^1 is 3-(trifluoromethyl)phenyl, R^8 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-7c: This subtable provides 9 compounds A-7c.01 and A-7c.12 of formula (Ia-A-7) wherein R^1 is 3-cyclopropylphenyl, R^8 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-7d: This subtable provides 9 compounds A-7d.01 and A-7d.12 of formula (Ia-A-7) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^8 is chloro and R^9 is hydrogen and substituent G is as defined in Table Z above.

As an example, compound A-7d.04 is:

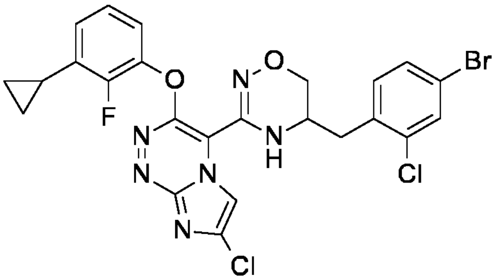
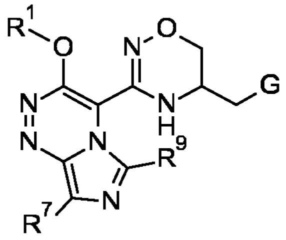


Table A-7e: This subtable provides 9 compounds A-7e.01 and A-7e.12 of formula (Ia-A-7) wherein R^1 is 3-cyclopropylphenyl, R^8 is fluoro and R^9 is hydrogen and substituent G is as defined in Table Z above.

Table A-8: Compounds of the formula (Ia-A-8) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-8a to A-8f, and G is as defined in Table Z above:



(Ia-A-8)

Table A-8a: This subtable provides 9 compounds A-8a.01 and A-8a.12 of formula (Ia-A-8) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-8b: This subtable provides 9 compounds A-8b.01 and A-8b.12 of formula (Ia-A-8) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-8c: This subtable provides 9 compounds A-8c.01 and A-8c.12 of formula (Ia-A-8) wherein R^1 is 5-cyanopyridin-3-yl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-8d: This subtable provides 9 compounds A-8d.01 and A-8d.12 of formula (Ia-A-8) wherein R^1 is 3-tolyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-8e: This subtable provides 9 compounds A-8e.01 and A-8e.12 of formula (Ia-A-8) wherein R^1 is 3-cyclopropylphenyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-8f: This subtable provides 9 compounds A-8f.01 and A-8f.12 of formula (Ia-A-8) wherein R^1 is 3-cyclopropylphenyl, R^7 is hydrogen R^9 is methyl and substituent G is as defined in Table Z above.

As an example, compound A-8f.5 is:

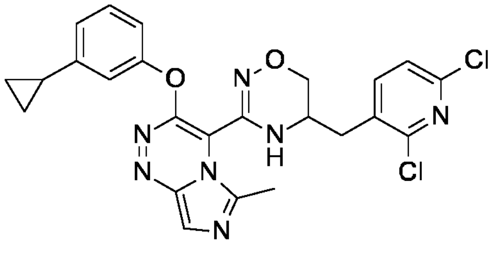
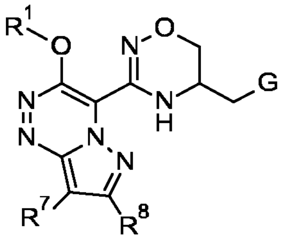


Table A-9: Compounds of the formula (Ia-A-9) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-9a to A-9d, and G is as defined in Table Z above:



(Ia-A-9)

Table A-9a: This subtable provides 9 compounds A-9a.01 and A-9a.12 of formula (Ia-A-9) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-9b: This subtable provides 9 compounds A-9b.01 and A-9b.12 of formula (Ia-A-9) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-9c: This subtable provides 9 compounds A-9c.01 and A-9c.12 of formula (Ia-A-9) wherein R^1 is 3-phenyl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

As an example, compound A-9c.7 is:

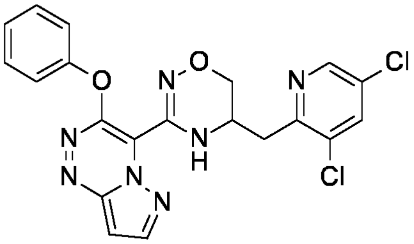
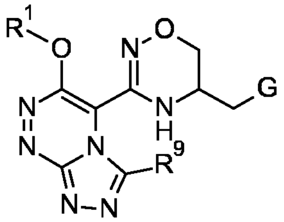


Table A-9d: This subtable provides 9 compounds A-9d.01 and A-9d.12 of formula (Ia-A-9) wherein R^1 is 3-cyclopropylphenyl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-10: Compounds of the formula (Ia-A-10) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-10a to A-10c, and G is as defined in Table Z above:



(Ia-A-10)

Table A-10a: This subtable provides 9 compounds A-10a.01 and A-10a.12 of formula (Ia-A-10) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^9 is hydrogen and substituent G is as defined in Table Z above.

Table A-10b: This subtable provides 9 compounds A-10b.01 and A-10b.12 of formula (Ia-A-10) wherein R^1 is 3-(trifluoromethyl)phenyl, R^9 is hydrogen and substituent G is as defined in Table Z above.

As an example, compound A-10b.4 is:

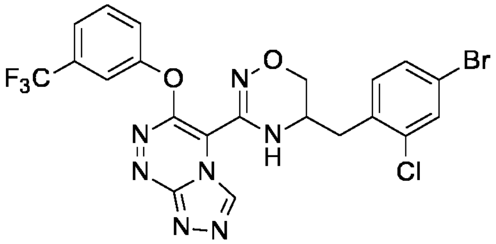
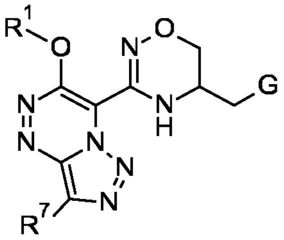


Table A-10c: This subtable provides 9 compounds A-10c.01 and A-10c.12 of formula (Ia-A-10) wherein R^1 is 3-cyclopropylphenyl, R^9 is hydrogen and substituent G is as defined in Table Z above.

Table A-11: Compounds of the formula (Ia-A-11) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-11a to A-11c, and G is as defined in Table Z above:



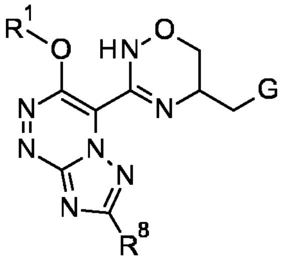
(Ia-A-11)

Table A-11a: This subtable provides 9 compounds A-11a.01 and A-11a.12 of formula (Ia-A-11) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 is hydrogen and substituent G is as defined in Table Z above.

Table A-11b: This subtable provides 9 compounds A-11b.01 and A-11b.12 of formula (Ia-A-11) wherein R^1 is 3-(trifluoromethoxy)phenyl, R^7 is hydrogen and substituent G is as defined in Table Z above.

Table A-11c: This subtable provides 293 compounds A-11c.01 and A-11c.12 of formula (Ia-A-11) wherein R^1 is 3-cyclopropylphenyl, R^7 is hydrogen and substituent G is as defined in Table Z above.

Table A-12: Compounds of the formula (Ia-A-12) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-12a to A-12c, and G is as defined in Table Z above:



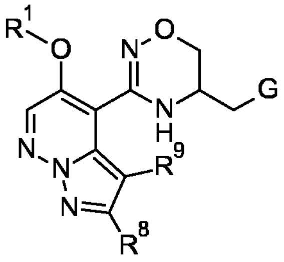
(Ia-A-12)

Table A-12a: This subtable provides 9 compounds A-12a.01 and A-12a.12 of formula (Ia-A-12) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^8 is hydrogen and substituent G is as defined in Table Z above.

Table A-12b: This subtable provides 9 compounds A-12b.01 and A-12b.12 of formula (Ia-A-12) wherein R^1 is 3-tolyl, R^8 is hydrogen and substituent G is as defined in Table Z above.

Table A-12c: This subtable provides 9 compounds A-12c.01 and A-12c.12 of formula (Ia-A-12) wherein R^1 is 3-cyclopropylphenyl, R^8 is chloro and substituent G is as defined in Table Z above.

Table A-13: Compounds of the formula (Ia-A-13) wherein R^1, R^7, R^8, and R^9 are defined in Table A-13a to A-13b and G is as defined in Table Z above:

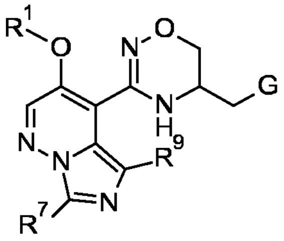


(Ia-A-13)

Table A-13a: This subtable provides 9 compounds A-13a.01 and A-13a.12 of formula (Ia-A-13) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^8 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-13b: This subtable provides 9 compounds A-13b.01 and A-13b.12 of formula (Ia-A-13) wherein R^1 is 3-phenyl, R^8 is methyl and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-14: Compounds of the formula (Ia-A-14) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-14a to A-14f, and G is as defined in Table Z above:



(Ia-A-14)

Table A-14a: This subtable provides 9 compounds A-14a.01 and A-14a.12 of formula (Ia-A-14) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-14b: This subtable provides 9 compounds A-14b.01 and A-14b.12 of formula (Ia-A-14) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

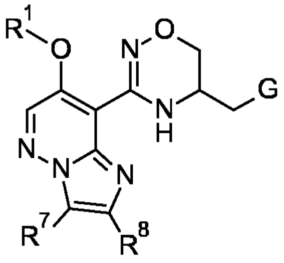
Table A-14c: This subtable provides 9 compounds A-14c.01 and A-14c.12 of formula (Ia-A-14) wherein R^1 is 5-cyanopyridin-3-yl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-14d: This subtable provides 9 compounds A-14d.01 and A-14d.12 of formula (Ia-A-14) wherein R^1 is 3-cyclopropylphenyl, R^7 and R^9 are hydrogen and substituent G is as defined in Table Z above.

Table A-14e: This subtable provides 9 compounds A-14e.01 and A-14e.12 of formula (Ia-A-14) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 is methyl R^9 is methyl and substituent G is as defined in Table Z above.

Table A-14f: This subtable provides 9 compounds A-14f.01 and A-14f.12 of formula (Ia-A-14) wherein R^1 is 3-phenyl, R^7 is hydrogen R^9 is methyl and substituent G is as defined in Table Z above.

Table A-15: Compounds of the formula (Ia-A-15) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-15a to A-15f, and G is as defined in Table Z above:



(Ia-A-15)

Table A-15a: This subtable provides 9 compounds A-15a.01 and A-15a.12 of formula (Ia-A-15) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-15b: This subtable provides 9 compounds A-15b.01 and A-15b.12 of formula (Ia-A-15) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

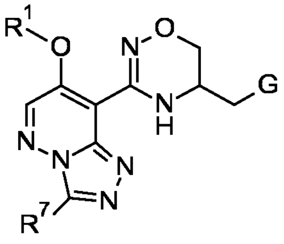
Table A-15c: This subtable provides 9 compounds A-15c.01 and A-15c.12 of formula (Ia-A-15) wherein R^1 is 5-cyanopyridin-3-yl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-15d: This subtable provides 9 compounds A-15d.01 and A-15d.12 of formula (Ia-A-15) wherein R^1 is 5-(cyclopropyl)pyridin-3-yl, R^7 and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-15e: This subtable provides 9 compounds A-15e.01 and A-15e.12 of formula (Ia-A-15) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 is hydrogen and R^8 are fluoro and substituent G is as defined in Table Z above.

Table A-15f: This subtable provides 9 compounds A-15f.01 and A-15f.12 of formula (Ia-A-15) wherein R^1 is 3-cyclopropylphenyl, R^7 is methyl and R^8 are hydrogen and substituent G is as defined in Table Z above.

Table A-16: Compounds of the formula (Ia-A-16) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-16a to A-16c, and G is as defined in Table Z above:



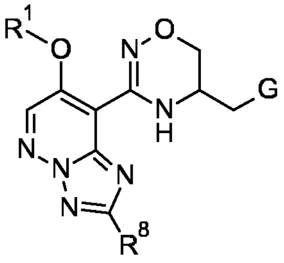
(Ia-A-16)

Table A-16a: This subtable provides 9 compounds A-16a.01 and A-16a.12 of formula (Ia-A-16) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^7 is hydrogen and substituent G is as defined in Table Z above.

Table A-16b: This subtable provides 9 compounds A-16b.01 and A-16b.12 of formula (Ia-A-16) wherein R^1 is 3-(trifluoromethyl)phenyl, R^7 is hydrogen and substituent G is as defined in Table Z above.

Table A-16c: This subtable provides 9 compounds A-16c.01 and A-16c.12 of formula (Ia-A-16) wherein R^1 is 5-cyanopyridin-3-yl, R^7 is hydrogen and substituent G is as defined in Table Z above.

Table A-17: Compounds of the formula (Ia-A-17) wherein R^1, R^7, R^8, and R^9 are defined in Tables A-17a to A-17c, and G is as defined in Table Z above:



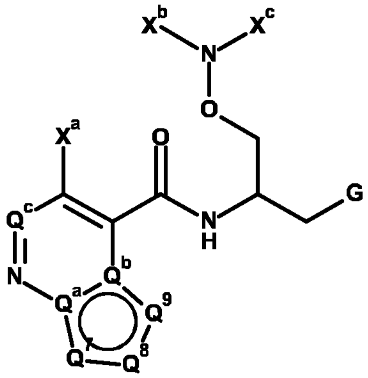
(Ia-A-17)

Table A-17a: This subtable provides 9 compounds A-17a.01 and A-17a.12 of formula (Ia-A-17) wherein R^1 is 3-cyclopropyl-2-fluorophenyl, R^8 is hydrogen and substituent G is as defined in Table Z above.

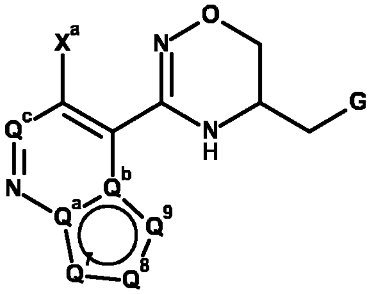
Table A-17b: This subtable provides 9 compounds A-17b.01 and A-17b.12 of formula (Ia-A-17) wherein R^1 is 3-(trifluoromethyl)phenyl, R^8 is hydrogen and substituent G is as defined in Table Z above.

Table A-17c: This subtable provides 9 compounds A-17c.01 and A-17c.12 of formula (Ia-A-17) wherein R^1 is 3-tolyl, R^8 is hydrogen and substituent G is as defined in Table Z above.

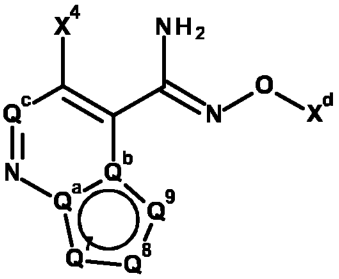
Also made available are certain intermediate compounds of formulae (IC-1), (IC-2) and (IC-3), some of which are novel:



(IC-1)



(IC-2)



(IC-3)

wherein R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G are as defined for compounds of formula (I);

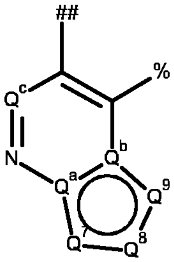
X^a is X^3 or X^4;

X^b and X^c are both hydrogen, or X^b is hydrogen and X^c is a protective group selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl, and *p*-toluenesulfonyl, or X^b and X^c are identical or different protective groups, or X^b and X^c form a protective group together with the nitrogen they are attached to, wherein said protective group is selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl, *p*-toluenesulfonyl, phthalimide or succinimide, or ;

X^d is H or $-CH_2-C(O)-CH_2-G$;

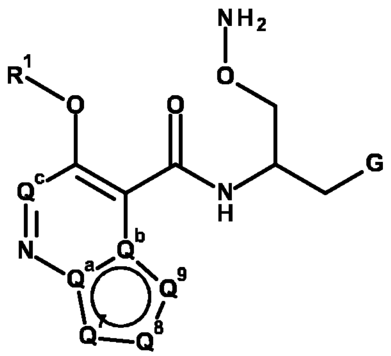
X^3 is a leaving group selected from fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ and B(pinacol);

X^4 is OH or $-O-R^1$.

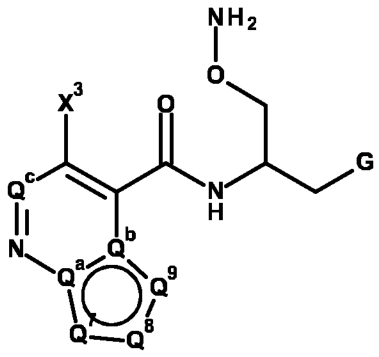
As can be seen from the formulae of intermediate compounds of formulae (IC-1), (IC-2) and (IC-3) above, the heterobicyclic group  corresponds to group A as defined for compounds of formula (Ia), wherein ## marks the bond to X^a in intermediate compounds of formulae (IC-1) and (IC-2), or to X^4 in intermediate compounds of formula (IC-3), and % marks the bond to the amide group in intermediate compound of formula (IC-1), to the -5,6-dihydro-4H-1,2,4-oxadiazin-3-yl-G moiety in intermediate compound of formula (IC-2), or to the amidine group in intermediate compound of formula (IC-3).

In intermediate compounds of formulae (IC-1), (IC-2) and (IC-3), group A may be as defined in Table 1 and Table 2. Preferably, A is A-1, A-2, A-3, A-5, A-14 or A-15. More preferably A is A-3, A-5 or A-15. In particular, A and R^1 are as defined in Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z. Preferably, A and R^1 are as defined in Tables A-1, A-2, A-3, A-5, A-14 or A-15, and their respective subtables, and G is as defined in Table Z. More preferably A and R^1 as defined in Tables A-3, A-5 or A-15, and their respective subtables, and G is as defined in Table Z.

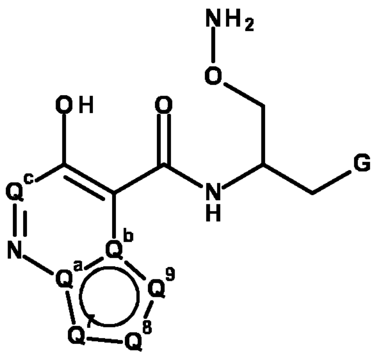
For instance, certain intermediate compounds of formulae (II), (X) and (XV) are made available. They correspond to intermediate compounds of formulae (IC-1), wherein X^b and X^c are both hydrogen, and X^a is O-R^1 in compounds of formula (II), X^3 in compounds of formula (X), or OH in compounds of formula (XV):



(II)



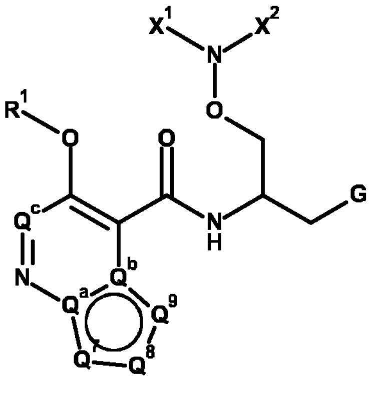
(X)



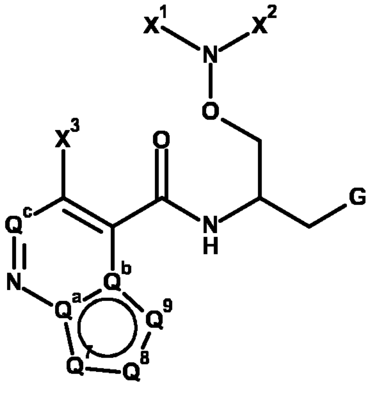
(XV)

wherein R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G are as defined for compounds of formula (I), and X^3 is a leaving group selected from fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ and B(pinacol). In particular, G is as defined in Table Z.

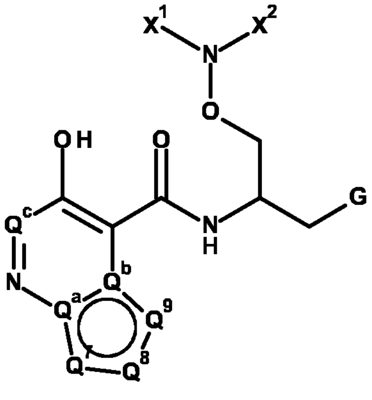
Certain other intermediate compounds of formulae (III), (IX) and (XIV) are also made available. They correspond to intermediate compounds of formulae (IC-1), wherein X^a is O-R^1 in compounds of formula (III), X^3 in compounds of formula (IX), or OH in compounds of formula (XIV), and wherein X^b and X^c are X^1 and X^2 respectively:



(III)



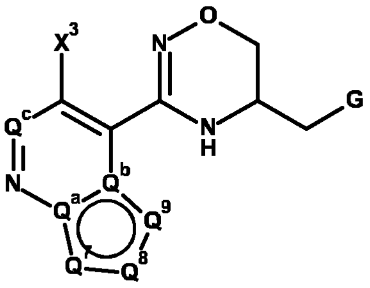
(IX)



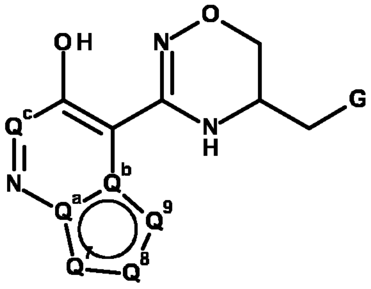
(XIV)

wherein R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G are as defined for compounds of formula (I), in particular, G is as defined in Table Z; X^1 is H and X^2 is a protective group, or X^1 and X^2 are identical or different protective groups, or X^1 and X^2 form a protective group together with the nitrogen they are attached to. Examples of protective groups include, for instance, *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl, *p*-toluenesulfonyl, phthalimide, or succinimide.

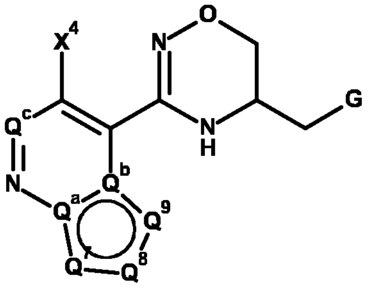
Certain other intermediate compounds of formulae (VIII), (XIII) and (XVII) are also made available. They correspond to intermediate compounds of formulae (IC-2), wherein X^a is X^3 in compounds of formula (VIII), OH in compounds of formula (XIII), or X^4 in compounds of formula (XVII):



(VIII)



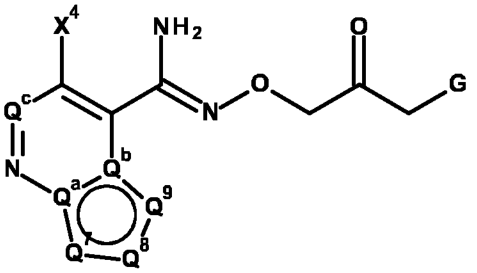
(XIII)

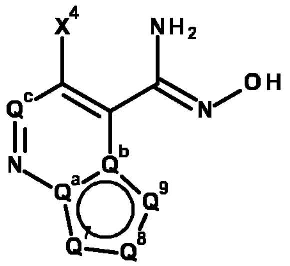


(XVII)

wherein R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G are as defined for compounds of formula (I), in particular, G is as defined in Table Z; X^3 is a leaving group selected from fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ and B(pinacol); and X^4 is OH or -O-R^1.

Certain other intermediate compounds of formulae (XXII) and (XXIII) are also made available. They correspond to intermediate compounds of formulae (IC-3), wherein X^d is -CH_2-C(O)-CH_2-G in compounds of formula (XXII), or H in compounds of formula (XXIII):


(XXII)

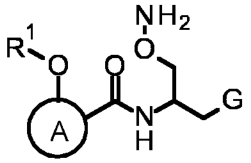

(XXIII)

wherein R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G are as defined for compounds of formula (I); in particular, G is as defined in Table Z; and X^4 is OH or $-O-R^1$.

In intermediate compounds of formulae (II), (III), (VIII), (IX), (X), (XIII), (XIV), (XV), (XVII), (XXII) and (XXIII), group A may be as defined in Table 1 and Table 2. Preferably, A is A-1, A-2, A-3, A-5, A-14 or A-15. More preferably A is A-3, A-5 or A-15. In particular, A and R^1 are as defined in Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z. Preferably, A and R^1 are as defined in Tables A-1, A-2, A-3, A-5, A-14 or A-15, and their respective subtables, and G is as defined in TableZ. More preferably A and R^1 as defined in Tables A-3, A-5 or A-15, and their respective subtables, and G is as defined in Table Z. X^a, X^b, X^c, X^d, X^3 and X^4 are as defined in compounds of formulae (IC-1), (IC-2), and (IC-3) above.

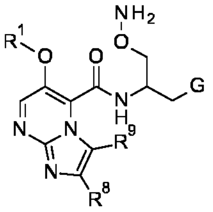
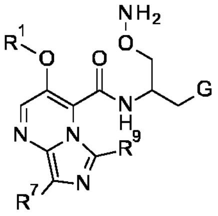
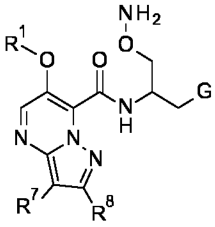
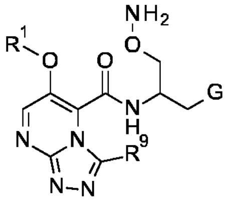
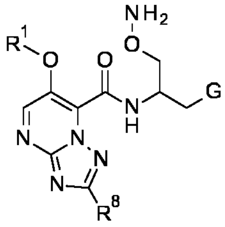
For instance, the following intermediate compounds are made available, some of which are novel:

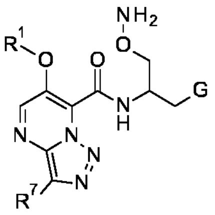
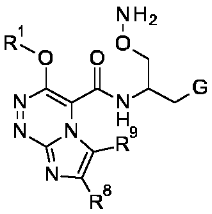
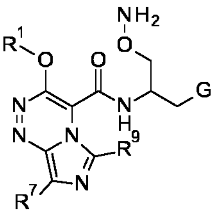
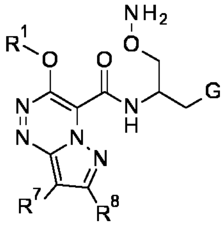
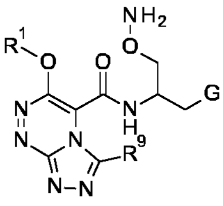
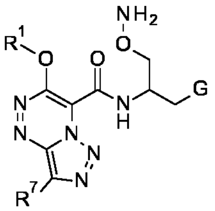
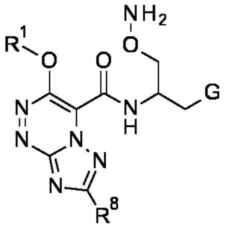
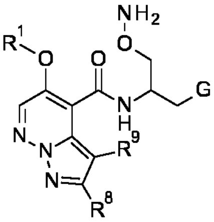
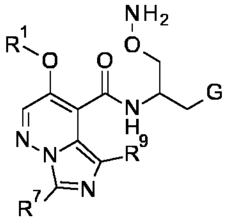
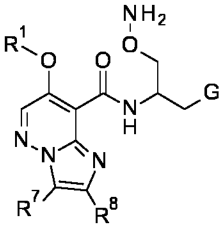
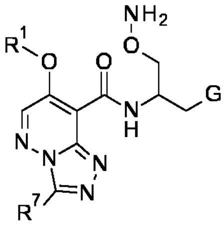
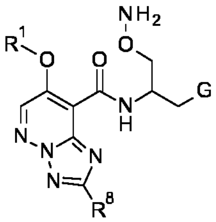
- A compound of formula (II), wherein R^1 and A are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:


(II)

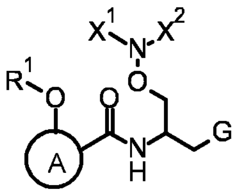
and more specifically, compounds of formula (II-A-1i) to (II-A-17i), as shown in Table II below, wherein R^1, R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table II

 II-A-1i	 II-A-2i	 II-A-3i	 II-A-4i	 II-A-5i

 II-A-6i	 II-A-7i	 II-A-8i	 II-A-9i	 II-A-10i
 II-A-11i	 II-A-12i	 II-A-13i	 II-A-14i	 II-A-15i
 II-A-16i	 II-A-17i			

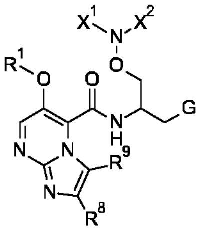
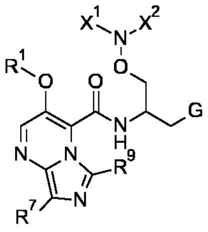
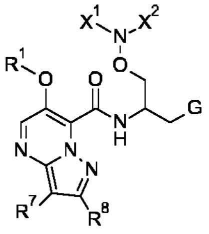
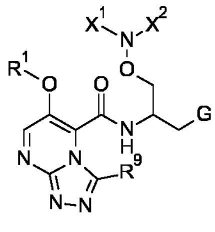
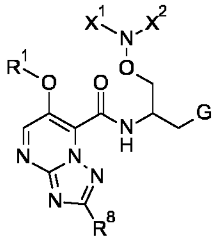
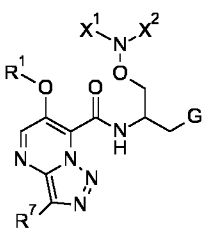
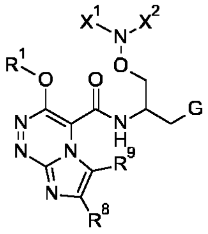
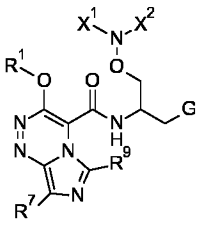
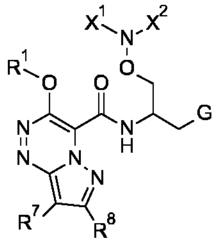
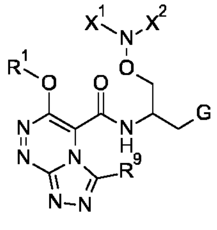
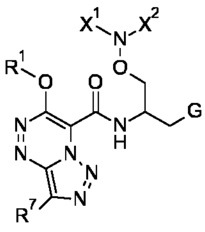
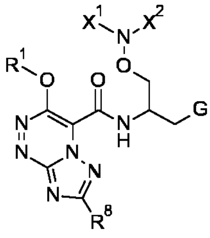
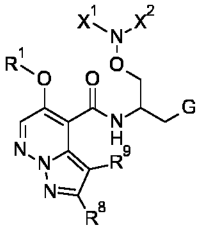
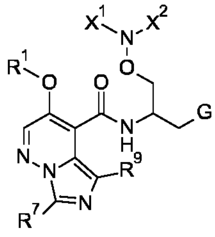
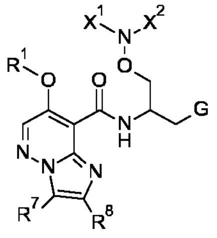
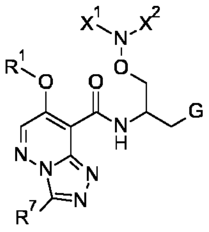
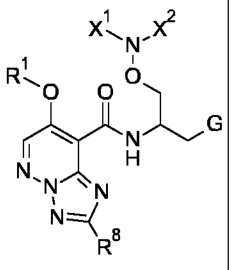
- A compound of formula (III), wherein X^1 and X^2 are identical or different protective groups selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, phthalyl, triphenylmethyl, benzylidenyl and *p*-toluenesulfonyl, or X^1 and X^2 form a protective cycle together with the nitrogen they are attached to; and wherein R^1 and A are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



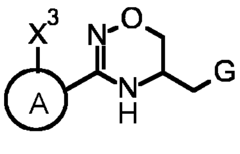
(III)

and more specifically, compounds of formula (III-A-1i) to (III-A-17i), as shown in Table III below, wherein R^1, R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table III

 III-A-1i	 III-A-2i	 III-A-3i	 III-A-4i	 III-A-5i
 III-A-6i	 III-A-7i	 III-A-8i	 III-A-9i	 III-A-10i
 III-A-11i	 III-A-12i	 III-A-13i	 III-A-14i	 III-A-15i
 III-A-16i	 III-A-17i			

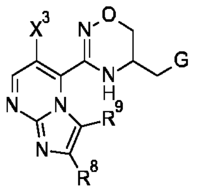
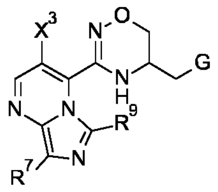
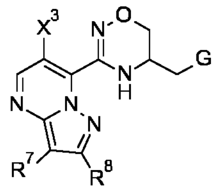
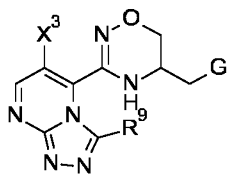
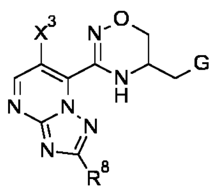
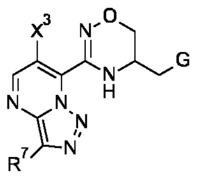
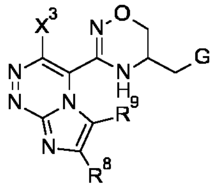
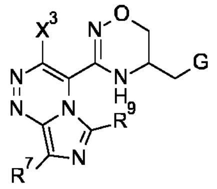
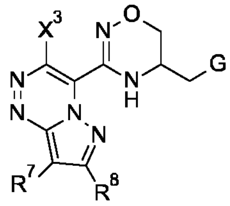
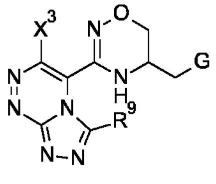
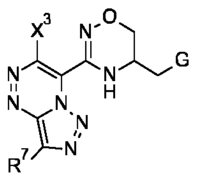
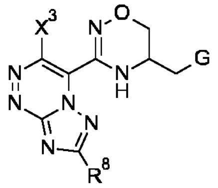
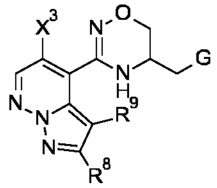
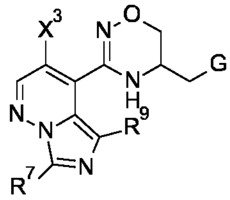
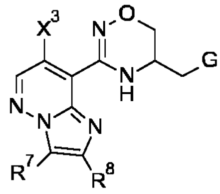
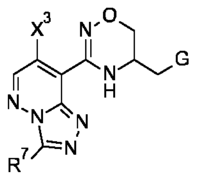
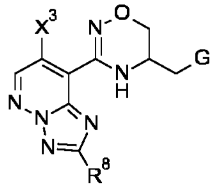
- A compound of formula (VIII), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), and wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



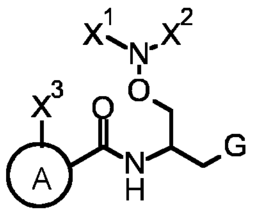
(VIII)

and more specifically, compounds of formula (VIII-A-1i) to (VIII-A-17i), as shown in Table VIII below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table VIII

 VIII-A-1i	 VIII-A-2i	 VIII-A-3i	 VIII-A-4i	 VIII-A-5i
 VIII-A-6i	 VIII-A-7i	 VIII-A-8i	 VIII-A-9i	 VIII-A-10i
 VIII-A-11i	 VIII-A-12i	 VIII-A-13i	 VIII-A-14i	 VIII-A-15i
 VIII-A-16i	 VIII-A-17i			

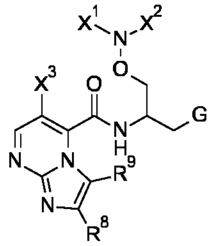
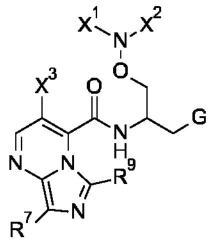
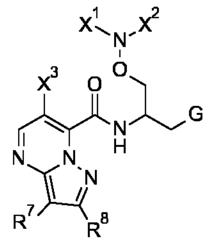
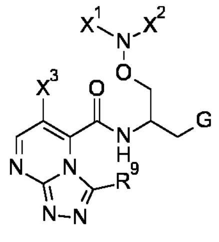
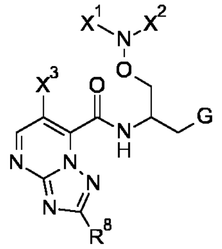
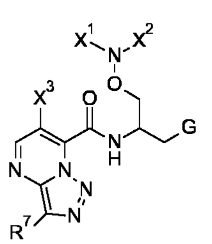
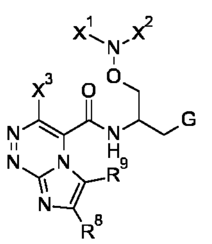
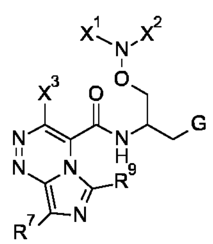
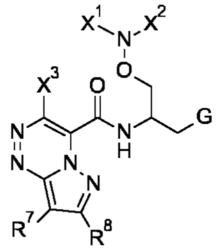
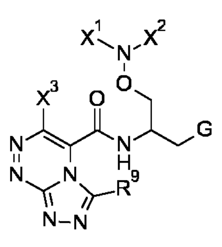
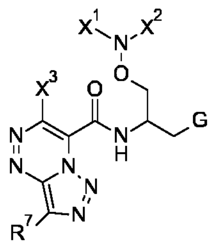
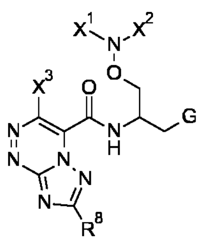
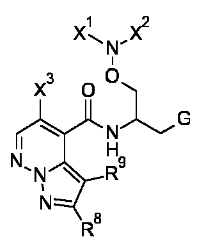
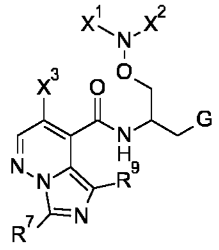
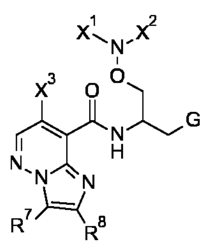
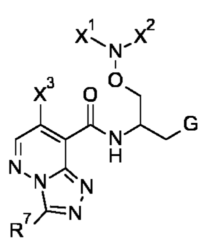
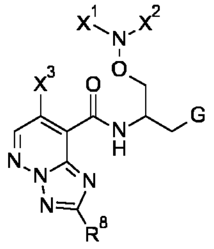
- A compound of formula (IX), wherein X^1 and X^2 are identical or different protective groups selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, phthalyl, triphenylmethyl, benzylidenyl and *p*-toluenesulfonyl, or X^1 and X^2 form a protective cycle together with the nitrogen they are attached to; X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), and wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



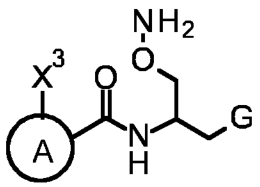
(IX)

and more specifically, compounds of formula (IX-A-1i) to (IX-A-17i), as shown in Table IX below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table IX

 IX-A-1i	 IX-A-2i	 IX-A-3i	 IX-A-4i	 IX-A-5i
 IX-A-6i	 IX-A-7i	 IX-A-8i	 IX-A-9i	 IX-A-10i
 IX-A-11i	 IX-A-12i	 IX-A-13i	 IX-A-14i	 IX-A-15i
 IX-A-16i	 IX-A-17i			

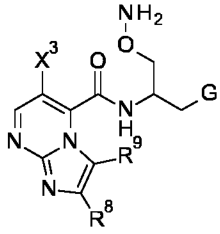
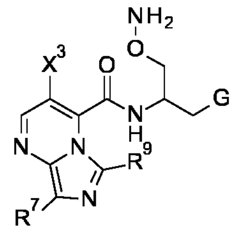
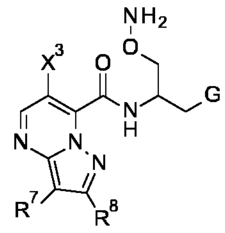
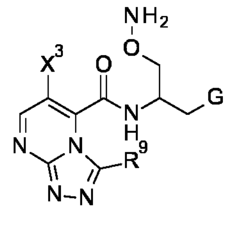
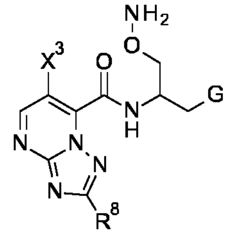
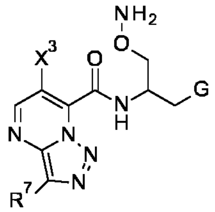
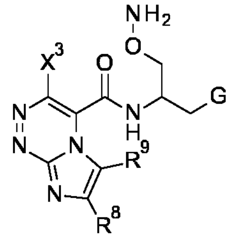
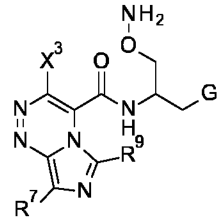
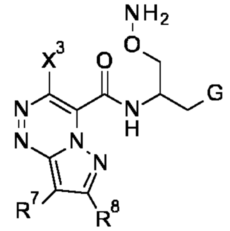
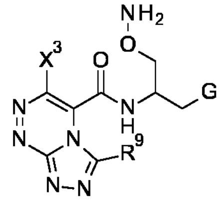
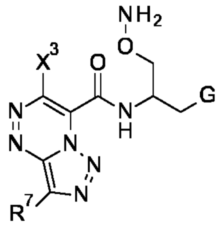
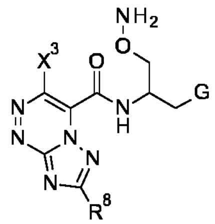
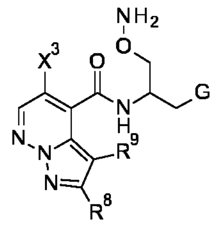
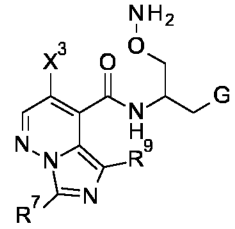
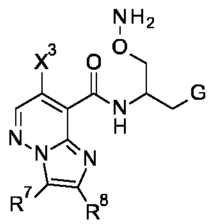
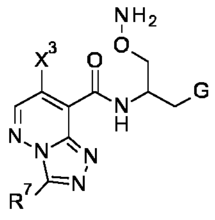
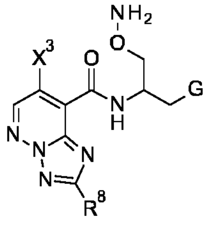
- A compound of formula (X), wherein X^3 is a suitable leaving group such as fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ or B(pinacol), and wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



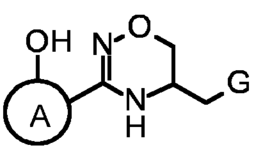
(X)

and more specifically, compounds of formula (X-A-1i) to (X-A-17i), as shown in Table X below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table X

 X-A-1i	 X-A-2i	 X-A-3i	 X-A-4i	 X-A-5i
 X-A-6i	 X-A-7i	 X-A-8i	 X-A-9i	 X-A-10i
 X-A-11i	 X-A-12i	 X-A-13i	 X-A-14i	 X-A-15i
 X-A-16i	 X-A-17i			

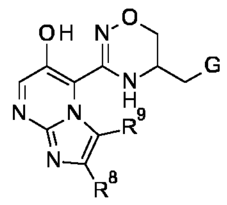
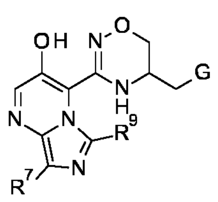
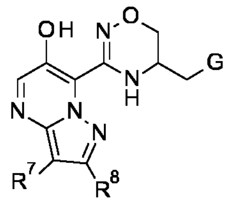
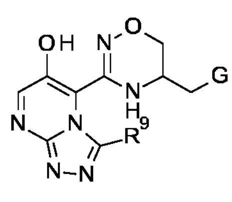
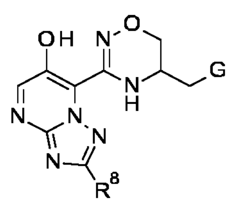
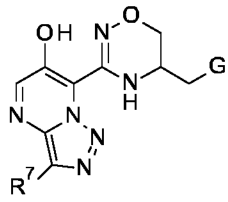
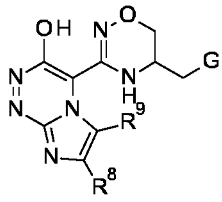
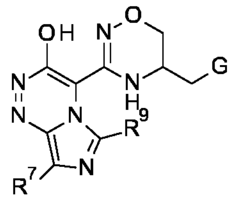
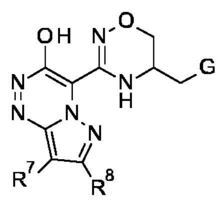
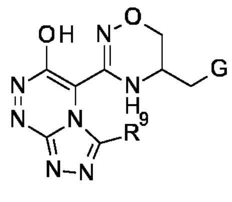
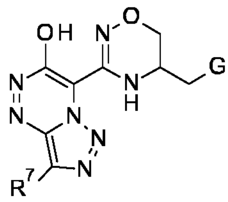
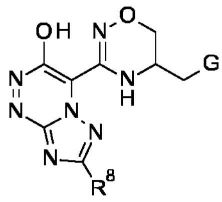
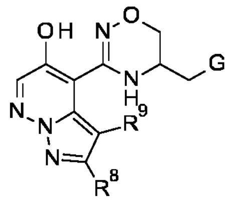
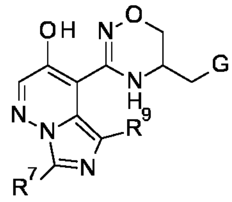
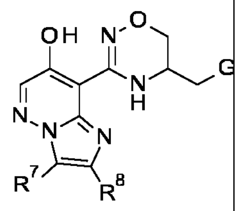
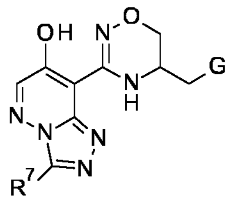
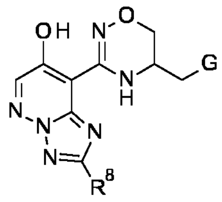
- A compound of formula (XIII), wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



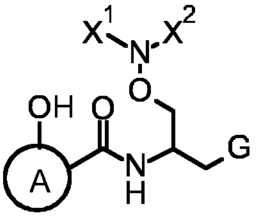
(XIII)

and more specifically, compounds of formula (XIII-A-1i) to (XIII-A-17i), as shown in Table XIII below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table XIII

 XIII-A-1i	 XIII-A-2i	 XIII-A-3i	 XIII-A-4i	 XIII-A-5i
 XIII-A-6i	 XIII-A-7i	 XIII-A-8i	 XIII-A-9i	 XIII-A-10i
 XIII-A-11i	 XIII-A-12i	 XIII-A-13i	 XIII-A-14i	 XIII-A-15i
 XIII-A-16i	 XIII-A-17i			

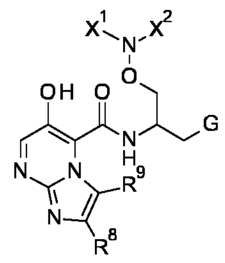
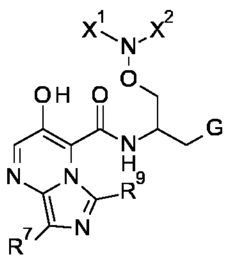
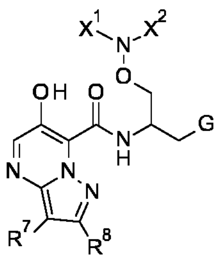
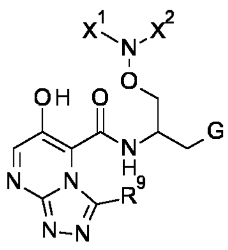
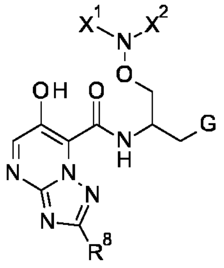
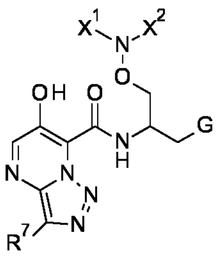
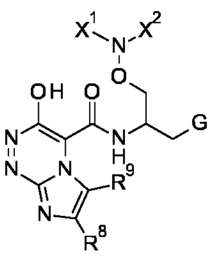
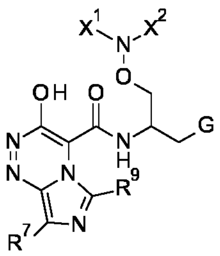
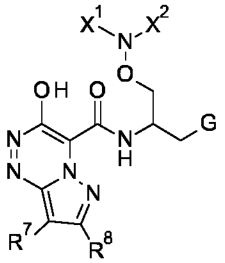
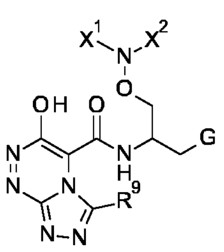
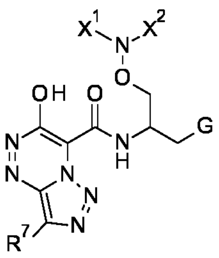
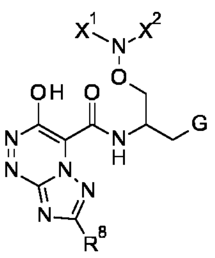
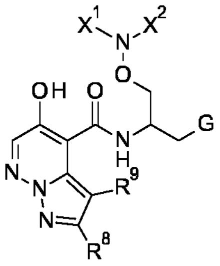
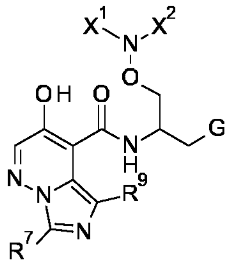
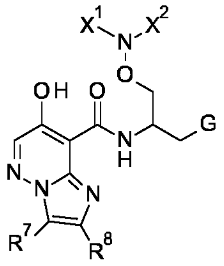
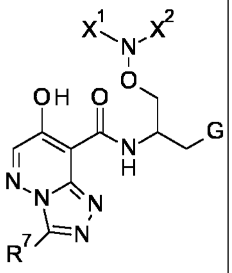
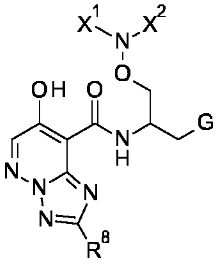
- A compound of formula (XIV), wherein X^1 and X^2 are identical or different protective groups selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, phthalyl, triphenylmethyl, benzylidenyl and *p*-toluenesulfonyl, or X^1 and X^2 form a protective cycle together with the nitrogen they are attached to; and A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



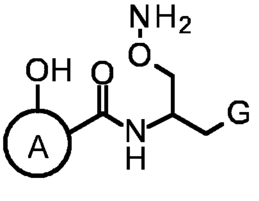
(XIV)

and more specifically, compounds of formula (XIV-A-1i) to (XIV-A-17i), as shown in Table XIV below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table XIV

 XIV-A-1i	 XIV-A-2i	 XIV-A-3i	 XIV-A-4i	 XIV-A-5i
 XIV-A-6i	 XIV-A-7i	 XIV-A-8i	 XIV-A-9i	 XIV-A-10i
 XIV-A-11i	 XIV-A-12i	 XIV-A-13i	 XIV-A-14i	 XIV-A-15i
 XIV-A-16i	 XIV-A-17i			

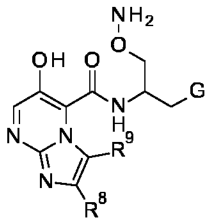
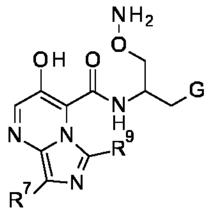
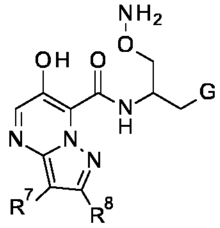
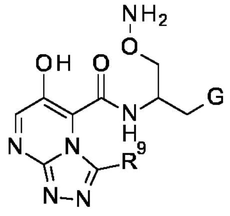
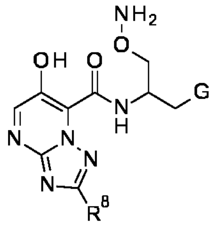
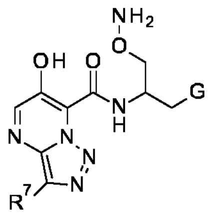
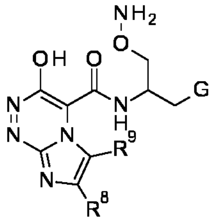
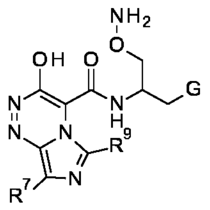
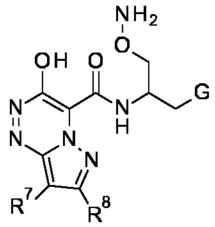
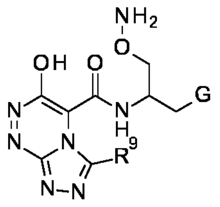
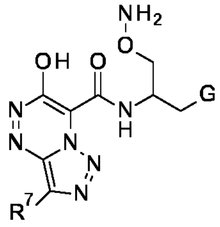
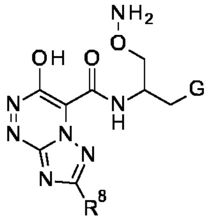
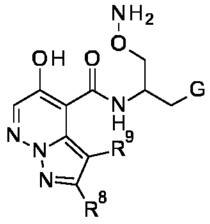
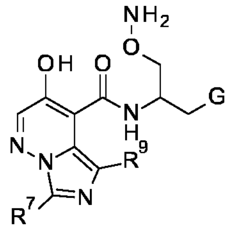
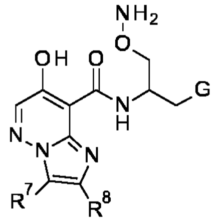
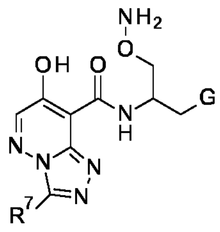
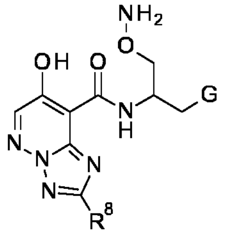
- A compound of formula (XV), wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



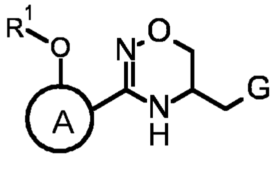
(XV)

and more specifically, compounds of formula (XV-A-1i) to (XV-A-17i), as shown in Table XV below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table XV

 XV-A-1i	 XV-A-2i	 XV-A-3i	 XV-A-4i	 XV-A-5i
 XV-A-6i	 XV-A-7i	 XV-A-8i	 XV-A-9i	 XV-A-10i
 XV-A-11i	 XV-A-12i	 XV-A-13i	 XV-A-14i	 XV-A-15i
 XV-A-16i	 XV-A-17i			

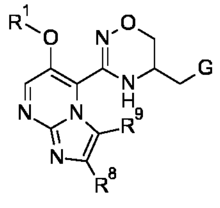
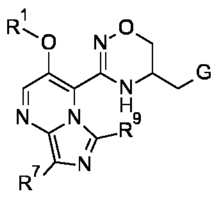
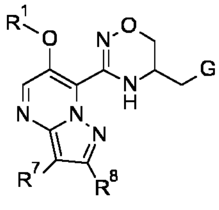
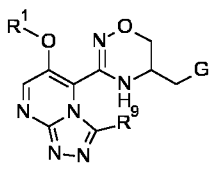
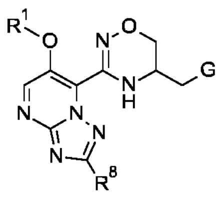
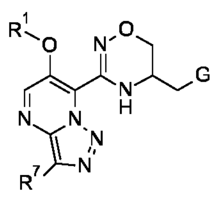
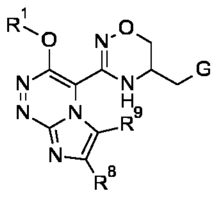
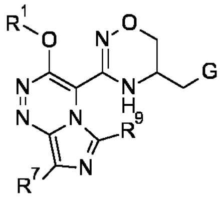
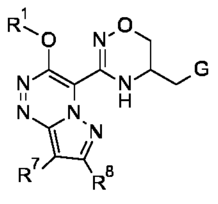
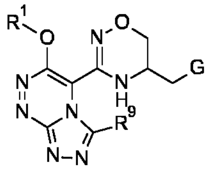
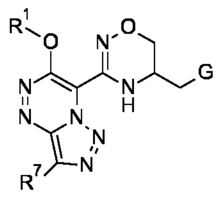
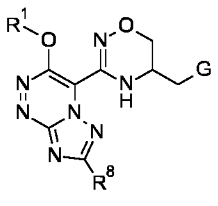
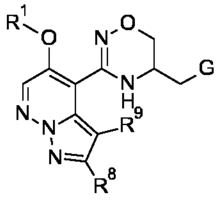
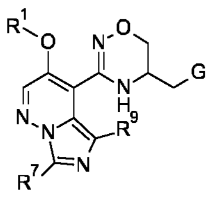
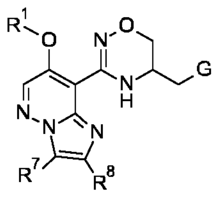
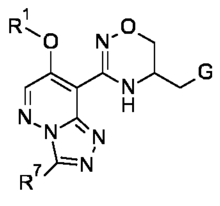
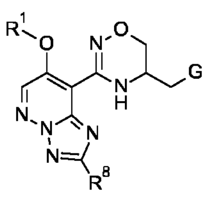
- A compound of formula (XVII), wherein A and R^1 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



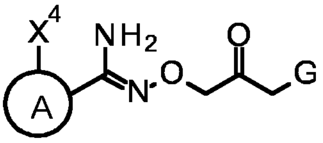
(XVII)

and more specifically, compounds of formula (XVII-A-1i) to (XVII-A-17i), as shown in Table XVII below, wherein R^1, R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table XVII

 XVII-A-1i	 XVII-A-2i	 XVII-A-3i	 XVII-A-4i	 XVII-A-5i
 XVII-A-6i	 XVII-A-7i	 XVII-A-8i	 XVII-A-9i	 XVII-A-10i
 XVII-A-11i	 XVII-A-12i	 XVII-A-13i	 XVII-A-14i	 XVII-A-15i
 XVII-A-16i	 XVII-A-17i			

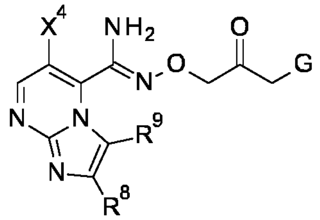
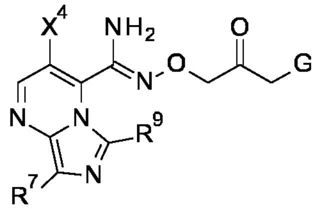
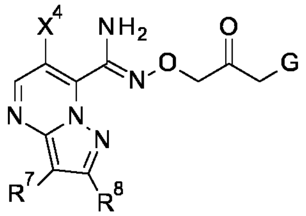
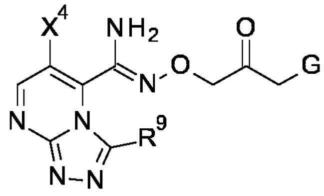
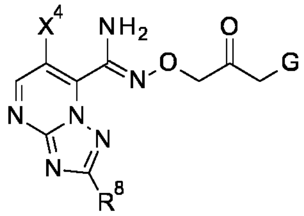
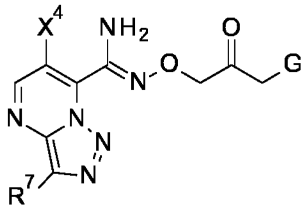
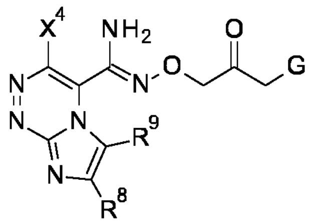
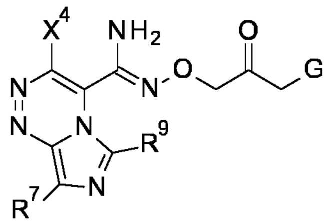
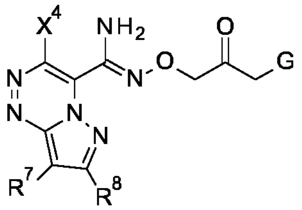
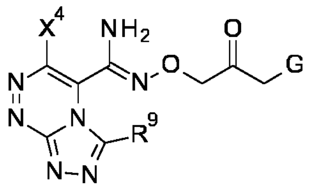
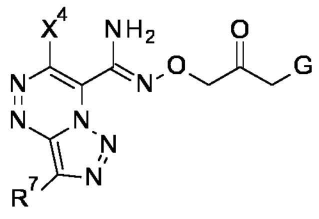
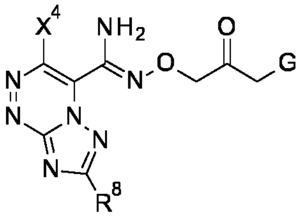
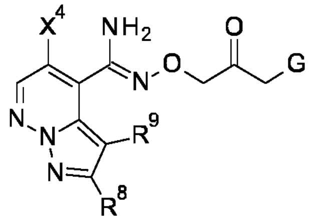
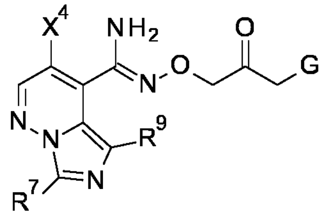
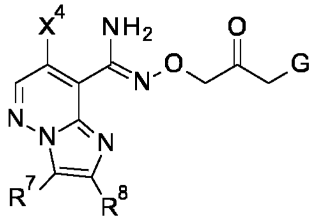
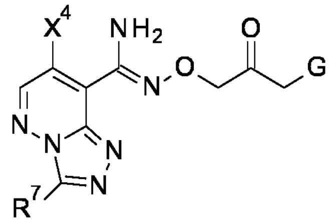
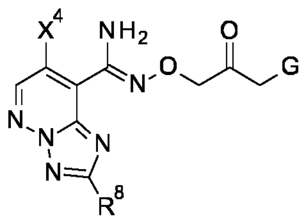
- A compound of formula (XXII), wherein X^4 is OH or $-O-R^1$, and wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



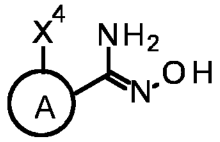
(XXII)

and more specifically, compounds of formula (XXII-A-1i) to (XXII-A-17i), as shown in Table XXII below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table XXII

 XXII-A-1i	 XXII-A-2i	 XXII-A-3i
 XXII-A-4i	 XXII-A-5i	 XXII-A-6i
 XXII-A-7i	 XXII-A-8i	 XXII-A-9i
 XXII-A-10i	 XXII-A-11i	 XXII-A-12i
 XXII-A-13i	 XXII-A-14i	 XXII-A-15i
 XXII-A-16i	 XXII-A-17i	

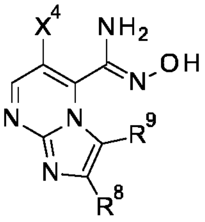
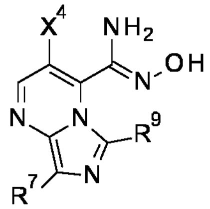
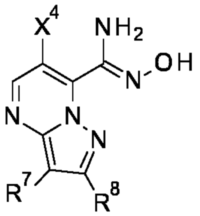
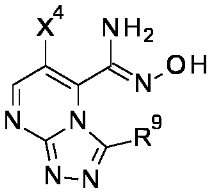
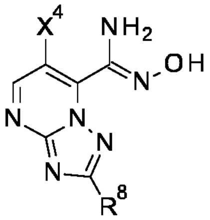
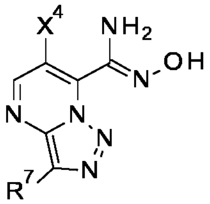
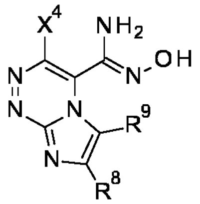
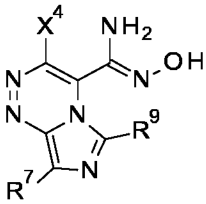
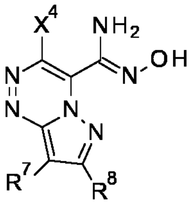
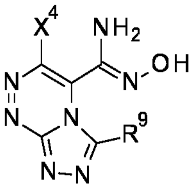
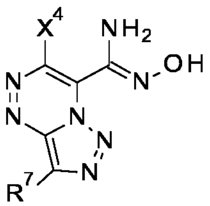
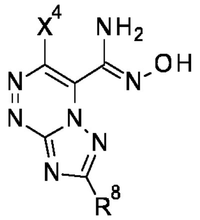
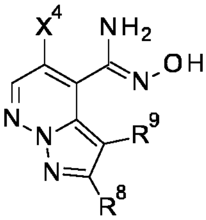
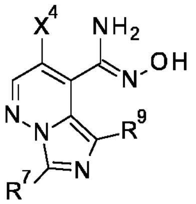
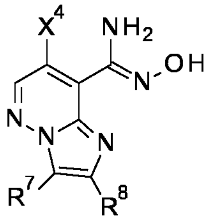
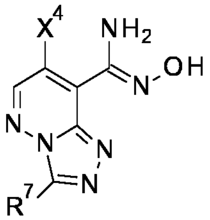
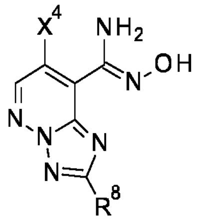
- A compound of formula (XXIII), wherein X^4 is OH or -O-R^1, and wherein A is as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:



(XXIII)

and more specifically, compounds of formula (XXIII-A-1i) to (XXIII-A-17i), as shown in Table XXIII below, wherein R^7, R^8, and R^9 are as defined in any one of Tables A-1 to A-17, and their respective subtables, and G is as defined in Table Z:

Table XXIII

 XXIII-A-1i	 XXIII-A-2i	 XXIII-A-3i	 XXIII-A-4i	 XXIII-A-5i
 XXIII-A-6i	 XXIII-A-7i	 XXIII-A-8i	 XXIII-A-9i	 XXIII-A-10i
 XXIII-A-11i	 XXIII-A-12i	 XXIII-A-13i	 XXIII-A-14i	 XXIII-A-15i
 XXIII-A-16i	 XXIII-A-17i			

In a further aspect, the present invention accordingly makes available intermediate compounds of formulae (IC-1), (IC-2), and (IC-3), such as compound of formulae (II), (III), (VIII), (IX), (X), (XIII), (XIV), (XV), (XVII), (XXII) and (XXIII), wherein in each case, R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G, including R^7, R^8, and R^9, are as defined for compounds of formula (I) in the first aspect; or any ot the embodiments described above; and X^a is X^3 or X^4; X^b and X^c are both hydrogen, or X^b is hydrogen and X^c is a protective group, or X^b and X^c are identical or different protective groups, or X^b and X^c form a protective group together with the nitrogen they are attached to, where said protective group or groups are selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl, *p*-toluenesulfonyl, phthalimide, and succinimide; X^d is H or -CH_2-C(O)-CH_2-G; X^3 is a leaving group selected from fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ and B(pinacol); and X^4 is OH or -O-R^1. In particular, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9 are as defined in Table 1 or Table 2, preferably Q^a, Q^b, Q^c, Q^7, Q^8, Q^9 have the definitions given for A-1, A-2, A-3, A-5, A-14 or A-15, more preferably Q^a, Q^b, Q^c, Q^7, Q^8, Q^9 have the definitions given for A-3, A-5 or A-15.

EXAMPLES

The Examples which follow serve to illustrate the invention and are not meant in any way to limit the invention.

The compounds of the invention can be distinguished from known compounds by virtue of greater efficacy at low application rates, which can be verified by a person skilled in the art using the experimental procedures outlined in the Examples, using lower application rates if necessary, for example 60 ppm, 20 ppm or 2 ppm.

Compounds of formula (I) may possess any number of benefits including, *inter alia*, advantageous levels of biological activity for protecting plants against diseases that are caused by fungi or superior properties for use as agrochemical active ingredients (for example, greater biological activity, an advantageous spectrum of activity, an increased safety profile (including improved crop tolerance), improved physico-chemical properties, or increased biodegradability).

Throughout this description, temperatures are given in degrees Celsius and “m.p.” means melting point. LC/MS means Liquid Chromatography Mass Spectroscopy and the description of the apparatus, and the methods is as follows.

^1H NMR and ^{19}F NMR measurements were recorded on a Bruker 400MHz spectrometer, chemical shifts are given in ppm relevant to a TMS (^1H) and CFCl3 (^{19}F) standard. Spectra measured in deuterated solvents as indicated. Either one of the LC-MS methods below was used to characterize the compounds. The characteristic LC-MS values obtained for each compound were the retention time (“Rt”, recorded in minutes) and the measured molecular ion $(M+H)^+$ or $(M-H)^-$.

FORMULATION EXAMPLES

Wettable powders	a)	b)	c)
active ingredients	25 %	50 %	75 %
sodium lignosulfonate	5 %	5 %	-
sodium lauryl sulfate	3 %	-	5 %
sodium diisobutylnaphthalenesulfonate	-	6 %	10 %
phenol polyethylene glycol ether (7-8 mol of ethylene oxide)	-	2 %	-
highly dispersed silicic acid	5 %	10 %	10 %
Kaolin	62 %	27 %	-

The combination is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording wettable powders that can be diluted with water to give suspensions of the desired concentration.

Powders for dry seed treatment	a)	b)	c)
active ingredients	25 %	50 %	75 %
light mineral oil	5 %	5 %	5 %
highly dispersed silicic acid	5 %	5 %	-
Kaolin	65 %	40 %	-
Talcum	-	-	20 %

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

Emulsifiable concentrate	
active ingredients	10 %
octylphenol polyethylene glycol ether (4-5 mol of ethylene oxide)	3 %
calcium dodecylbenzene sulfonate	3 %
castor oil polyglycol ether (35 mol of ethylene oxide)	4 %
Cyclohexanone	30 %
xylene mixture	50 %

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

Dusts	a)	b)	c)
Active ingredients	5 %	6 %	4 %
Talcum	95 %	-	-
Kaolin	-	94 %	-
mineral filler	-	-	96 %

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

Extruder granules	
Active ingredients	15 %
sodium lignosulfonate	2 %
carboxymethylcellulose	1 %
Kaolin	82 %

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

Coated granules	
Active ingredients	8%
polyethylene glycol (mol. wt. 200)	3 %
Kaolin	89 %

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

Suspension concentrate

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

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

Flowable concentrate for seed treatment

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

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

Slow Release Capsule Suspension

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

Formulation types include an emulsion concentrate (EC), a suspension concentrate (SC), a suspo-emulsion (SE), a capsule suspension (CS), a water dispersible granule (WG), an emulsifiable granule (EG), an emulsion, water in oil (EO), an emulsion, oil in water (EW), a micro-emulsion (ME), an oil dispersion (OD), an oil miscible flowable (OF), an oil miscible liquid (OL), a soluble concentrate (SL), an ultra-low volume suspension (SU), an ultra-low volume liquid (UL), a technical concentrate (TK), a dispersible concentrate (DC), a wettable powder (WP), a soluble granule (SG) or any technically feasible formulation in combination with agriculturally acceptable adjuvants.

ABBREVIATIONS

Abbreviations used in synthesis schemes and preparatory examples

ACN	Acetonitrile (AcN or MeCN)
aq.	aqueous
Boc	t-butoxycarbonyl
$CDCl_3$	deuterated chloroform
DBU	1,8-diazabicyclo[5.4.0]undec-7-ene
DCM	dichloromethane
DDQ	2,3-dichloro-5,6-dicyano-1,4-benzoquinone
DMSO	dimethyl sulfoxide
DMSO-d6	deuterated dimethylsulfoxide
DPEN	diphenylethylenediamine
Et_3N	triethylamine
EtOAc	ethyl acetate
HATU	1-[bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium-3-oxide-hexafluoro phosphate
HCl	hydrochloric acid
h/hrs	hour/hours
MeCN	acetonitrile
MeOH	ethanol
Ms	methanesulfonyl (mesyl)
n-Bu	n-butyl
NHC	N-heterocyclic carbene
NPhth	phthalimide-1-yl
OMs	mesylate group
OTf	triflate group
OTs	tosylate group
PdCl2dppf	1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloride
rt	Room temeparture
T3P	propanephosphonic acid anhydride, also called 2,4,6-tripropyl-1,3,5,2,4,6-trioxatriphosphorinane-2,4,6-trioxide
TBME	tert-butyl methyl ether
TEA	triethylamine
TEMPO	(2,2,6,6-tetramethylpiperidin-1-yl)oxidanyl
Tf	trifluoromethanesulfonyl (triflyl)

TFA	trifluoroacetic acid
THF	tetrahydrofuran
TLC	thin layer chromatography
Ts	p-toluenesulfonyl (tosyl)
X-Phos	2-dicyclohexylphosphino-2′,4′,6′-triisopropylbiphenyl

PREPARATION EXAMPLES

The compounds of formula (I) according to the invention may be prepared using the synthetic techniques described both above and below.

“Mp” means melting point in °C. Free radicals represent methyl groups. ^{1}H NMR and ^{19}F NMR measurements were recorded on a Bruker 400MHz spectrometer (or 600MHz as indicated), chemical shifts are given in ppm relevant to a TMS (^{1}H) and $CFCl_3$ (^{19}F) standard. Spectra measured in deuterated solvents as indicated. Either one of the LC-MS methods below was used to characterize the compounds. The characteristic LC-MS values obtained for each compound were the retention time (“Rt”, recorded in minutes) and the measured molecular ion $(M+H)^+$ or $(M-H)^-$.

Unless indicated otherwise, ^{1}H NMR spectra are recorded at 400 MHz and ^{19}F NMR spectra are recorded at 377 MHz, and chemical shifts are recorded in ppm. The following abbreviations are used: s = singlet; br s = broad singlet; d = doublet; br d = broad doublet; dd = double doublet; dt = double triplet; t = triplet, tt = triple triplet, q = quartet, quin = quintuplet, sept = septet; m = multiplet.

Throughout this description, temperatures are given in degrees Celsius (°C). “MP” means melting point. “Rt” means retention time. LC/MS means Liquid Chromatography Mass Spectrometry. LC/MS apparatus and methods are:

LC-MS Method A: Spectra were recorded on a Mass Spectrometer 6410 Triple Quadruple Mass Spectrometer from Agilent Technologies equipped with an electrospray source (Positive and Negative Polarity Switch, Capillary (kV) 4.00, Scan Type MS2 Scan, Fragmentor (V) 100.00, Gas Temperature (°C) 350, Gas Flow (L/min) 11, Nebulizer Gas (psi) 45, Mass range : 110 to 1000 Da) and an Agilent 1200 Series HPLC: DAD Wavelength range : 210 to 400 nm, Column : KINETEX EVO C18, Column length : 50 mm, Internal diameter of column : 4.6 mm, Particle Size : 2.6 µm, Column oven temperature : 40 °C

Gradient conditions:

Solvent A: Water with 0.1% formic acid : Acetonitrile : 95 : 5 v/v

Solvent B: Acetonitrile with 0.1% formic acid

Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	90	10	1.8
0.9	0	100	1.8
1.8	0	100	1.8
2.2	90	10	1.8

Where necessary, enantiomerically pure final compounds may be obtained from racemic materials as appropriate via standard physical separation techniques, such as reverse phase chiral chromatography, or through stereoselective synthetic techniques, eg, by using chiral starting materials.

LC-MS Method B: Spectra were recorded on a Mass Spectrometer 6410 Triple Quadruple Mass Spectrometer from Agilent Technologies equipped with an electrospray source (Positive and Negative Polarity Switch, Capillary (kV) 7.00, Scan Type MS2 Scan, Fragmentor (V) 120.00, Gas Temperature (°C) 350, Gas Flow (L/min) 11, Nebulizer Gas (psi) 40, Mass range : 110 to 650 Da) and an Agilent 1200 Series HPLC: DAD Wavelength: 254 nm, Column : KINETEX EVO C18, Column length : 50 mm, Internal diameter of column : 4.6 mm, Particle Size : 2.6 µm, Column oven temperature : 40 °C

Gradient conditions:

Solvent A: Water with 0.1% formic acid : Acetonitrile : 95 : 5 v/v
Solvent B: Acetonitrile with 0.1% formic acid

Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	90	10	1.8
0.9	0	100	1.8
1.8	0	100	1.8
2.2	90	10	1.8
2.5	90	10	1.8

Where necessary, enantiomerically pure final compounds may be obtained from racemic materials as appropriate via standard physical separation techniques, such as reverse phase chiral chromatography, or through stereoselective synthetic techniques, eg, by using chiral starting materials.

LC-MS Method C: Spectra were recorded on a Mass Spectrometer Acquity QDA Mass Spectrometer from Waters equipped with an electrospray source (Positive and Negative Polarity Switch, Capillary (kV) 0.8, Cone Voltage (V) 25.00, Full Scan, Source Temperature (°C) 120, Desolvatation Gas Flow (L/Hr) 1000, Desolvation Temperature (°C) 600, Gas Flow @ Cone (L/Hr) 50, Mass range : 110 to 850 Da) and HPLC: DAD Wavelength range: 230 to 400 nm, a column Acquity UPLC HSS T3 C18 Column length : 30 mm, Internal diameter of column : 2.1 mm, Particle Size : 1.8 µm, Column oven temperature : 40 °C

Gradient conditions:

Solvent A: Water with 0.1% formic acid: Acetonitrile: 95: 5 v/v
Solvent B: Acetonitrile with 0.05% formic acid

Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	90	10	0.6
0.2	90	10	0.6
0.3	50	50	0.6
0.6	0	100	0.6
1.3	0	100	0.6
1.4	90	10	0.6
1.6	90	10	0.6

Where necessary, enantiomerically pure final compounds may be obtained from racemic materials as appropriate via standard physical separation techniques, such as reverse phase chiral chromatography, or through stereoselective synthetic techniques, eg, by using chiral starting materials.

LC-MS Method D: Spectra were recorded on a Mass Spectrometer Acquity SDQ Mass Spectrometer from Waters equipped with an electrospray source (Positive and Negative Polarity Switch, Capillary (kV) 3.0, Full Scan, Cone voltage (V) 41.0, Source Temperature (°C) 150, Desolvation Temperature (°C) 500, Desolvatation Temperature (°C) 500, Gas Flow @ Cone (L/Hr) 50, Mass range : 110 to 800 Da) and HPLC 'H' class: DAD Wavelength range: 210 to 400 nm, a column Acquity UPLC HSS T3 C18 Column length : 30 mm, Internal diameter of column : 2.1 mm, Particle Size : 1.8 µm, Column oven temperature : 40 °C

Gradient conditions:

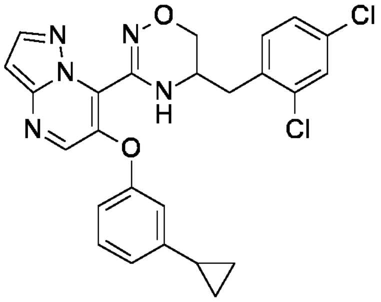
Solvent A: Water with 0.1% formic acid: Acetonitrile: 95: 5 v/v

Solvent B: Acetonitrile with 0.05% formic acid

Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	90	10	0.6
0.2	90	10	0.6
0.3	50	50	0.6
0.6	0	100	0.6
1.3	0	100	0.6
1.4	90	10	0.6
1.6	90	10	0.6

Where necessary, enantiomerically pure final compounds may be obtained from racemic materials as appropriate via standard physical separation techniques, such as reverse phase chiral chromatography, or through stereoselective synthetic techniques, eg, by using chiral starting materials.

Example P1: Preparation of 3-[6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (Compound 1.1 of Table T1)



(Compound 1.1 of Table T1)

a) Preparation of ethyl 2-(3-cyclopropylphenoxy)acetate

In a single-neck round-bottom flask, cesium carbonate (5.82 g, 17.8 mmol) was added to a solution of 3-cyclopropylphenol (2.0 g, 14.9 mmol) in acetonitrile (22 mL). To this, ethyl 2-bromoacetate (2.98 g, 17.8 mmol) was added and the resulting reaction mixture was stirred at room temperature for 4 hours. The progress of the reaction was monitored by LCMS. The reaction mixture was then diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford ethyl 2-(3-cyclopropylphenoxy)acetate (1.7 g, 41%).

LCMS (Method B): retention time 1.48 min, 221 (M+H)

b) Preparation of ethyl 2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-enoate

In a sealed glass reactor, ethyl 2-(3-cyclopropylphenoxy)acetate (1.5 g, 6.8 mmol) and 1-*tert*-butoxy-*N*,*N*,*N'*,*N'*-tetramethyl-methanediamine (9.2 g, 48.0 mmol) were heated to 90 °C for 2 hours. The progress of the reaction was monitored by LCMS. The reaction mixture was then diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford ethyl 2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-enoate (1.47 g, 78%).

LCMS (Method B): retention time 1.52 min, 276 (M+H)

c) Preparation of 6-(3-cyclopropylphenoxy)-4H-pyrazolo[1,5-a]pyrimidin-7-one

In a single-neck round-bottom flask, a mixture of ethyl 2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-enoate (1.0 g, 3.63 mmol), 3H-pyrazol-3-amine (0.30 g, 3.63 mmol) and sodium acetate (0.30 g, 3.63 mmol) was stirred in acetic acid (2 mL) at 90 °C for 24 hours. The progress of the reaction was monitored by LCMS. After completion of the reaction, the reaction mixture was concentrated under reduced pressure, co-distilled twice with toluene under reduced pressure to obtain a crude mixture which was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 6-(3-cyclopropylphenoxy)-4H-pyrazolo[1,5-a]pyrimidin-7-one (0.58 g, 59%) as a brown solid.

LCMS (Method B): retention time 1.24 min, 268 (M+H)

d) Preparation of 7-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine

N,N-dimethylaniline (1.95 g, 15.3 mmol) was added at 0 °C to a single-neck round-bottom flask containing a mixture of 6-(3-cyclopropylphenoxy)-4H-pyrazolo[1,5-a]pyrimidin-7-one (1.0 g, 3.74 mmol) and phosphorus(V) oxychloride (26.5 mL 284 mmol). The reaction mixture was stirred at 85 °C for 12 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mixture was evaporated to the miminal volume, diluted with ice water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 7-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine (0.6 g, 53%)

LCMS (Method B): retention time 1.52 min, 286 (M+H)

e) Preparation of methyl 6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate

An autoclave vessel was charged with 7-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine (0.2 g, 0.70 mmol), triethylamine (0.2 mL, 0.56 mmol), Pd(dppf)$Cl_2CH_2Cl_2$ (0.14 g, 0.17 mmol) and methanol (20 mL). The reactor was then flushed with carbon monoxide three times and loaded with carbon monoxide under a pressure of 10 bar. The reaction mixture was heated to 80 °C for 5 hours. The progress of the reaction was monitored by LCMS. After completion of the reaction, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford methyl 6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (0.13 g, 60%) as a yellow solid.

LCMS (Method D): retention time 1.19 min, 310 (M+H)

f) Preparation of lithium 6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate

To a solution of methyl 6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (100 mg, 0.32 mmol) in tetrahydrofuran (4 mL) and water (2 mL) was added lithium hydroxide (0.024 g, 0.96 mmol). The reaction mass was stirred at room temperature for 1 hour. The progress of the reaction was monitored by TLC and LCMS. After completion of the reaction, the reaction mixture was concentrated under reduced pressure to obtain lithium 6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (95 mg, 97%) as an off-white solid, which was used as such in the next step.

LCMS (Method C): retention time 0.96 min, 296 (M+H)

g) Preparation of 6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2- yl)oxy-ethyl]pyrazolo[1,5-a]pyrimidine-7-carboxamide

To lithium 6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (0.10 g, 0.33 mmol) in anhydrous *N,N*-dimethylformamide (4 mL), 1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]ammonium;2,2,2-trifluoroacetate (0.19 g, 0.39 mmol) was added followed by addition of HATU (0.26 g, 0.66 mmol). The reaction mixture was stirred at room temperature for 12 hours. The reaction was monitored by TLC and LCMS. After completion, the reaction mixture was diluted with water to precipitate a solid from the reaction mass, filtered and washed with water and methyl tert-butyl ether and finally dried under vacuum to afford 6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]pyrazolo[1,5-a]pyrimidine-7-carboxamide (0.15 g, 66%).

LCMS (Method D): retention time 1.22 min, 640.0 (M-H)

h) Preparation of N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide

To 6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]pyrazolo[1,5-a]pyrimidine-7-carboxamide (100 mg, 0.15 mmol) in tetrahydrofuran (6 mL), was added hydrazine monohydrate (46.7 µl, 0.93 mmol). The reaction mixture was stirred at room temperature for 1 hour. Over the course of the reaction, a precipate formed. After completion, the reaction mixture was diluted with methyl tert-butyl ether and ethyl acetate (8:2, 15 mL) and filtered through a funnel. The filtrate was washed with water, dried over sodium sulphate, and concentrated under reduced pressure to afford N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide (80 mg, 90%) which was directly used in the next step.

LCMS (Method D): retention time 1.39 min, 512.0 (M+H)

i) Preparation of 3-[6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine

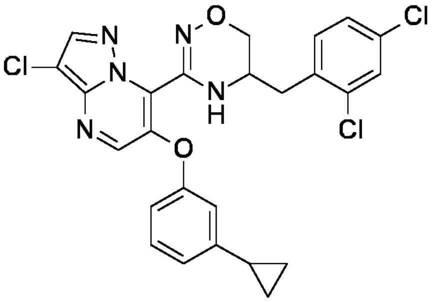
N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide (350 mg, 0.68 mmol) was dissolved in dichloromethane (5 mL). To this solution, phosphorus pentachloride (213 mg, 1.02 mmol) was added and the mixture was stirred at room temperature for 1.5 hours. The reaction was monitored by TLC and LCMS. After completion, reaction mixture was quenched with a saturated sodium bicarbonate solution and diluted with water and extracted with ethyl acetate (15 mL × 2). The organic layers were combined and dried over sodium sulfate, filtered and concentrated under reduced pressure. The resultant crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 3-[6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (55 mg, 16%).

^{1}H NMR (400 MHz, ACETONITRILE-*d*3) δ ppm 8.32 (s, 1 H), 8.08 (d, *J*=2.5 Hz, 1 H), 7.35 (d, *J*=2.3 Hz, 1 H), 7.10 - 7.20 (m, 2 H), 7.06 (dd, *J*=8.3, 2.1Hz, 1 H), 6.77 (d, *J*=7.4 Hz, 1 H), 6.73 (dd, *J*=2.6, 0.9 Hz, 1 H), 6.70 - 6.72 (m, 1 H), 6.68 (br s, 1 H), 5.84 (br d, *J*=3.4 Hz, 1 H), 3.73 - 3.84 (m, 1 H), 3.66 - 3.73 (m, 2 H), 2.78 - 2.91 (m, 2 H), 1.74 - 1.84 (m, 1 H), 0.80 - 0.93 (m, 2 H), 0.50 - 0.65 (m, 2 H)

LCMS (Method B): retention time 2.98 min, 494 (M+H)

Example P2: Preparation of 3-[3-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (Compound 1.2, Table T1)

Note: N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide was prepared as mentioned in example 1 (steps a to h).



(Compound 1.2 of Table T1)

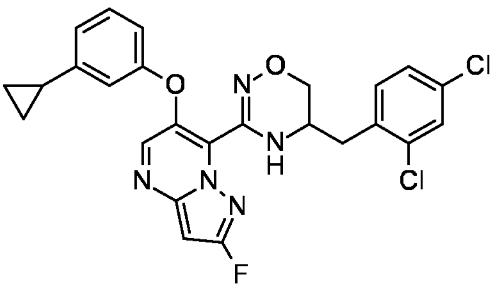
a) Preparation of 3-[3-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine

N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide (80 mg, 0.15 mmol) was dissolved in dichloromethane (3 mL). To this solution, phosphorus pentachloride (97.5 mg, 0.46 mmol) was added and the mixture was stirred at room temperature for 12 hours. The reaction was monitored by TLC and LCMS. After completion, reaction mixture was quenched with a saturated sodium bicarbonate solution, diluted with water, and extracted with ethyl acetate (15 mL × 2). The organic layers were combined and dried over sodium sulfate, filtered and concentrated under reduced pressure. The resultant crude residue was purified by reverse phase column chromatography (70% acetonitrile/water) to afford 3-[3-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (16 mg, 19%)

^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.46 (s, 1 H), 8.08 (s, 1 H), 7.38 (s, 1 H), 7.21 (t, J=7.0 Hz, 1 H), 7.08 - 7.16 (m, 2 H), 6.84 (br d, J=7.8 Hz, 1 H), 6.71 - 6.80 (m, 2 H), 5.71 (br s, 1 H), 3.84 - 4.00 (m, 3 H), 2.91 - 3.08 (m, 2 H), 1.81 - 1.92 (m, 1 H), 0.92 - 1.04 (m, 2 H), 0.61 - 0.77 (m, 2 H)

LCMS (Method D): retention time 1.24 min, 528 (M+H)

Example P3: Preparation of 3-[6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (Compound 1.3 of Table T1)



(Compound 1.3 of Table T1)

a) Preparation of 6-(3-cyclopropylphenoxy)-2-fluoro-4H-pyrazolo[1,5-a]pyrimidin-7-one

In a single-neck round-bottom flask, a mixture of ethyl 2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-enoate (0.5 g, 1.73 mmol), prepared as in Example 1, steps a) and b) (see above), 5-fluoro-1H-pyrazol-3-amine (0.17 g, 1.73 mmol) and sodium acetate (0.14 g, 1.73 mmol) in acetic acid (5 mL) was stirred at 100 °C for 16 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mass was cooled to room temperature, diluted with ice-cold water to precipitate an off-white solid which was filtered on a Buchner funnel, washed with cold water and dried to afford 6-(3-cyclopropylphenoxy)-2-fluoro-4H-pyrazolo[1,5-a]pyrimidin-7-one (0.45 g, 82%) as an off-white solid.

LCMS (Method B): retention time 1.32 min, 284 (M-H)

b) Preparation of 7-chloro-6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine

In a single-neck round-bottom flask, a mixture of 6-(3-cyclopropylphenoxy)-2-fluoro-4H-pyrazolo[1,5-a]pyrimidin-7-one (1.5 g, 5.25 mmol) and phosphorus(V) oxychloride (37.3 mL 399 mmol), pyridine (1.71 mL, 21.0 mmol) was added at 0 °C. The reaction mixture was stirred at 85 °C for 12 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mixture was concentrated to the minimum volume and diluted with ice water. The desired material was extracted with ethyl acetate and the organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 7-chloro-6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine (0.9 g, 53%) as a pale yellow solid.

LCMS (Method B): retention time 1.70 min, 304 (M+H)

c) Preparation of methyl 6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxylate

An autoclave vessel was charged with 7-chloro-6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine (0.7 g, 2.30 mmol), triethylamine (0.65 mL, 4.61 mmol), Pd(dppf)$Cl_2.CH_2Cl_2$ (0.47 g, 0.57 mmol) in methanol (69.1 mL). The reactor was flushed with carbon monoxide gas three times and then loaded with carbon monoxide under a pressure of 10 bar. The reaction mixture was heated to 80 °C for 3 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mixture was diluted with water and the desired material was extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to afford a crude residue which was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford methyl 6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxylate (0.40 g, 50%) as a yellow gummy mass.

LCMS (Method B): retention time 1.65 min, 328 (M+H)

d) Preparation of lithium 6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxylate

To a solution of methyl 6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxylate (250 mg, 0.76 mmol) in tetrahydrofuran (3 mL) and water (1 mL) was added lithium hydroxide (57.7 mg, 2.29 mmol). The reaction mass was stirred at room temperature for 2 hours. The progress of the reaction was monitored by TLC and LCMS. After completion of the reaction, the reaction mixture was concentrated under reduced pressure, followed by co-distillation with toluene (10 mL) twice to obtain lithium 6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxylate (225 mg, 92%) as a solid which was used directly in the next step.

LCMS (Method B): retention time 1.47 min, 314 (M+H)

e) Preparation of 6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxamide

To lithium 6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxylate (225 mg, 0.70 mmol) in anhydrous *N,N*-dimethylformamide (4.5 mL), [1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]ammonium;2,2,2-trifluoroacetate (405 mg, 0.84 mmol) was added followed by addition of 1-[bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxide hexafluorophosphate (HATU) (0.56 g, 1.41 mmol). The reaction mixture was stirred at room temperature for 12 hours. The reaction was monitored by TLC and LCMS. After completion, the reaction mixture was diluted with water to precipitate a solid from the reaction mass. The precipitate was filtered out, washed with water and methyl tert-butyl ether and dried under vacuum to afford 6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxamide (0.37 g, 75%) as a white solid.

LCMS (Method D): retention time1.28 min, 660 (M+H)

f) Preparation of N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxamide

To 6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxamide (200 mg, 0.30 mmol) in tetrahydrofuran (6 mL), hydrazine monohydrate (90.8 µl, 1.81 mmol) was added. The reaction mixture was stirred at room temperature for 2 hours. The progress of the reaction was monitored by TLC and LCMS. After completion, a solid precipitated and was filtered through a Buchner funnel. The filtrate was diluted with ethyl acetate (15 mL) and washed with water, dried over sodium sulphate, and concentrated under reduced pressure to afford N-[1-

(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxamide (150 mg, 84%) which was directly used in the next step.

LCMS (Method xy/ QDA): retention time 1.31 min, 530 (M+H)

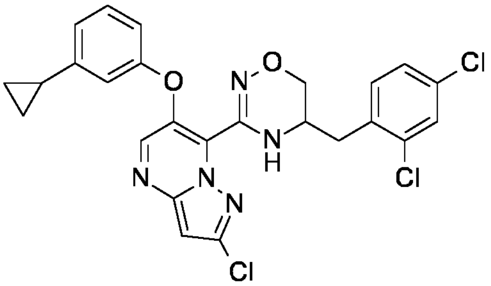
g) Preparation of 3-[6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine

N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidine-7-carboxamide (0.15 g, 0.28 mmol) was dissolved in dichloromethane (4.5 mL), phosphorus pentachloride (88.3 mg, 0.42 mmol) was added and the mixture was stirred at room temperature for 2 hours. The reaction was monitored by TLC and LCMS. The reaction mixture was then quenched with a saturated sodium bicarbonate solution, diluted with water and extracted twice with ethyl acetate (30 mL). The combined organic layers were dried over sodium sulfate, filtered and concentrated under reduced pressure. The resultant crude residue was purified by reverse phase chromatography (water/acetonitrile) to afford 3-[6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (26 mg, 18%).

^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.45 (s, 1 H), 7.40 (d, J=1.83 Hz, 1 H), 7.15 - 7.25 (m, 3 H), 6.85 (d, J=7.95 Hz, 1 H), 6.73 - 6.80 (m, 2 H), 6.33 (d, J=5.14 Hz, 1 H), 5.55 (br d, J=3.18 Hz, 1 H), 3.88 - 3.99 (m, 3 H), 2.95 - 3.08 (m, 2 H), 1.84 - 1.92 (m, 1 H), 0.97 - 1.03 (m, 2 H), 0.66 - 0.76 (m, 2 H)

LCMS (Method B): retention time 1.80 min, 512 (M+H)

Example P4: Preparation of 3-[2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (Compound 1.4 of Table T1)



(Compound 1.4 of Table T1)

a) Preparation of 2-chloro-6-(3-cyclopropylphenoxy)-4H-pyrazolo[1,5-a]pyrimidin-7-one

In a single-neck round-bottom flask, a mixture of ethyl 2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-enoate (0.5 g, 2.00 mmol) prepared as in Example 1, steps a) and b) (see above), 3-chloro-1H-pyrazol-5-amine (0.2 g, 2.00 mmol) and sodium acetate (0.2 g, 2.00 mmol) in acetic acid (10 mL) was stirred at 110 °C for 4 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mass was cooled to room temperature, diluted with ice-cold water to precipitate an off-white solid which was filtered on a Buchner funnel, washed with cold water and dried to afford 2-chloro-6-(3-cyclopropylphenoxy)-4H-pyrazolo[1,5-a]pyrimidin-7-one (0.49 g, 90 %) as an off-white solid.

LCMS (Method B): retention time 1.38 min, 302 (M+H)

b) Preparation of 2,7-dichloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine

In a single-neck round-bottom flask, a mixture of 2-chloro-6-(3-cyclopropylphenoxy)-4H-pyrazolo[1,5-a]pyrimidin-7-one (0.2 g, 0.66 mmol) and phosphorus(V) oxychloride (4.70 mL 50.4 mmol), pyridine (0.21 mL, 2.65 mmol) was added at 0 °C. The reaction mixture was stirred at 90 °C for 4 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mixture was concentrated to the minimum volume and diluted with ice water. The desired material was extracted with ethyl acetate and the organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 2,7-dichloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine (0.22 g, 74%) as a yellow solid.

LCMS (Method B): retention time 1.77 min, 320 (M+H)

c) <u>Preparation of methyl 2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate</u>

An autoclave vessel was charged with 2,7-dichloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine (0.5 g, 1.56 mmol), triethylamine (0.44 mL, 3.12 mmol), Pd(dppf)$Cl_2.CH_2Cl_2$ (0.32 g, 0.39 mmol) in methanol (20 mL). The reactor was flushed with carbon monoxide three times and then loaded with carbon monoxide under a pressure of 10 bar. The reaction mixture was heated to 80 °C for 2 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mixture was diluted with water and the desired material was extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to afford a crude residue which was purified by silica gel chromatography (cyclohexane/ethyl acetate 0-50%) to afford methyl 2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (0.38 g, 71 %) as a yellow gummy mass.

LCMS (Method B): retention time 1.70 min, 344 (M+H)

d) <u>Preparation of lithium 2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate</u>

To a solution of methyl 2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (260 mg, 0.76 mmol) in tetrahydrofuran (1 mL) and water (0.5 mL) was added lithium hydroxide (97.1 mg, 2.27 mmol). The reaction mass was stirred at room temperature for 6 hours. The progress of the reaction was monitored by TLC and LCMS. After completion of the reaction, the reaction mixture was concentrated under reduced pressure, followed by two successive co-distillations with toluene (2x10 mL) to obtain lithium 2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (362 mg, 96%) as a solid which was used directly in the next step.

LCMS (Method B): retention time 1.57 min, 330 (M+H)

e) <u>Preparation of 2-chloro-6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]pyrazolo[1,5-a]pyrimidine-7-carboxamide</u>

To lithium 2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxylate (0.35 g, 0.73 mmol) in anhydrous *N,N*-dimethylformamide (2.19 mL), [1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]ammonium;2,2,2-trifluoroacetate (0.41 g, 0.87 mmol) was added followed by addition of 1-[bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxide hexafluorophosphate (HATU) (0.33 g, 0.87 mmol). The reaction mixture was stirred at room temperature for 1 hour. The reaction was monitored by TLC and LCMS. After completion of the reaction, the reaction mixture was diluted with water to precipitate a solid from the reaction mass. The precipitate was filtered out, washed with water and methyl tert-butyl ether and dried under vacuum to afford 2-chloro-6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]pyrazolo[1,5-a]pyrimidine-7-carboxamide (0.5 g, 81%) as a yellow solid.

LCMS (Method B): retention time 1.91 min, 676 (M+H)

f) Preparation of N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide

To 2-chloro-6-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]pyrazolo[1,5-a]pyrimidine-7-carboxamide (100 mg, 0.14 mmol) in tetrahydrofuran (2 mL), hydrazine monohydrate (11 µl, 0.22 mmol) was added. The reaction mixture was stirred at room temperature for 2 hours. The progress of the reaction was monitored by TLC and LCMS. After completion, a solid precipitated and it was filtered through a Buchner funnel. The filtrate was diluted in ethyl acetate (15 mL) and washed with water, dried over sodium sulphate, and concentrated under reduced pressure to afford N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide (80 mg, 79%) which was directly used in the next step.

LCMS (Method B): retention time 1.69 min, 546 (M+H)

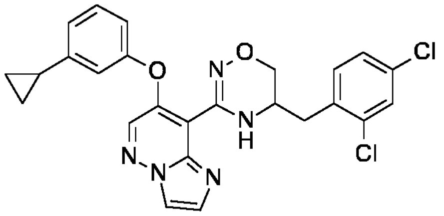
g) Preparation of 3-[2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine

N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidine-7-carboxamide (80.0 mg, 0.15 mmol) was dissolved in dichloromethane (5 mL), phosphorus pentachloride (46 mg, 0.22 mmol) was added and the mixture was stirred at room temperature for 1 hour. The reaction was monitored by TLC and LCMS. The reaction mixture was then quenched with a saturated sodium bicarbonate solution, then diluted with water and extracted twice with ethyl acetate (30 mL). The combined organic layers were dried over sodium sulfate, filtered and concentrated under reduced pressure. The resultant crude residue was purified by reverse phase chromatography (water/acetonitrile) to afford 3-[2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (15 mg, 77%).

^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.43 (s, 1 H), 7.39 (d, J=2.08 Hz, 1 H), 7.24 - 7.30 (m, 2 H), 7.16 - 7.23 (m, 2 H), 6.83 (d, J=7.70 Hz, 1 H), 6.76 (d, J=2.57 Hz, 1 H), 6.73 (s, 1 H), 5.68 (br d, J=3.42 Hz, 1 H), 3.95 (m, 3 H), 2.95 - 3.09 (m, 2 H), 1.82 - 1.94 (m, 1 H), 0.93 - 1.02 (m, 2 H), 0.65 - 0.73 (m, 2 H)

LCMS (Method B): retention time 1.85 min, 528 (M+H)

Example P5: Preparation of 3-[7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazin-8-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (Compound 1.5 of Table T1)



(Compound 1.5 of Table T1)

a) Preparation of 2-[(2-bromoimidazol-1-yl)methoxy]ethyl-trimethyl-silane

To a solution of 2-bromo-1H-imidazole (2.0 g, 14 mmol) in tetrahydrofuran (5 mL) at 0 °C was added sodium hydride (0.65 g, 16 mmol, 60 mass%) and the reaction mixture was stirred for 30 minutes. Then 2-(trimethylsilyl)ethoxymethyl chloride (2.8 mL, 15 mmol) was added at 0 °C and the resulting reaction mixture was stirred at room temperature for 2 hours. The reaction was monitored by TLC and LCMS. After completion, the reaction mixture was diluted with water, extracted with ethyl acetate and the organic layer was washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 2-[(2-bromoimidazol-1-yl)methoxy]ethyl-trimethyl-silane (2.6 g, 69%) as a pale yellow liquid.

^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.11 (d, J=1.63 Hz, 1 H), 7.05 (d, J=1.38 Hz, 1 H), 5.27 (s, 2 H), 3.53 (dd, J=8.69, 7.69 Hz, 2 H), 0.87 - 0.99 (m, 2 H), -0.02 (s, 9 H)

b) Preparation of 2-(3-cyclopropylphenoxy)-1-[1-(2-trimethylsilylethoxymethyl)imidazol-2-yl]ethenone

In a two-neck round-bottom flask, 2-[(2-bromoimidazol-1-yl)methoxy]ethyl-trimethyl-silane (2.35 g., 8.50 mmol) was dissolved in tetrahydrofuran (42 mL) and cooled to 0 °C. To this, an isopropylmagnesium chloride lithium chloride complex solution (1.3 mol/L) in THF (6.5 mL, 8.50 mmol) was added dropwise and stirred for 30 minutes. After that, 2-(3-cyclopropylphenoxy)-N-methoxy-N-methyl-acetamide (prepared separately as described in the three steps below) (1.0 g, 4.25 mmol) was dissolved in tetrahydrofuran. The reaction mixture was stirred at room temprature for 1 hour. The reaction was monitored by TLC and LCMS. After completion of the reaction, the reaction mixture was quenched with a saturated ammonium chloride solution, diluted with water, extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate and concentrated under reduced pressure. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 2-(3-cyclopropylphenoxy)-1-[1-(2-trimethylsilylethoxymethyl)imidazol-2-yl]ethenone (1.63 g, 92%) as a pale yellow liquid.

LCMS (Method B): retention time 1.69 min, 373 (M+H)

c) Preparation of 2-(3-cyclopropylphenoxy)-1-(1H-imidazol-2-yl)ethanone

In a round-bottom flask, 2-(3-cyclopropylphenoxy)-1-[1-(2-trimethylsilylethoxymethyl)imidazol-2-yl]ethanone (0.25 g, 0.47 mmol) was dissolved in methanol (2 mL) and to this hydrochloric acid (1.4 mL, 5.63 mmol) was added. The reaction mixture was stirred at 80 °C for 3 hours. The progress of the reaction was monitored by TLC and LCMS. After the completion, the reaction mixture was concentrated under reduced pressure, diluted with water, and extracted with ethyl acetate. The organic layer was washed with sodium bicarbonate. The combined organic layers were dried under reduced pressure to afford 2-(3-cyclopropylphenoxy)-1-(1H-imidazol-2-yl)ethanone (0.06 g, 50%) crude was used as such for the next step.

LCMS (Method C): retention time 1.03 min, 243 (M+H)

d) Preparation of 2-(3-cyclopropylphenoxy)-3-(dimethylamino)-1-(1H-imidazol-2-yl)prop-2-en-1-one

In a sealed glass reactor, 2-(3-cyclopropylphenoxy)-1-(1H-imidazol-2-yl)ethanone (0.60 g, 2.0 mmol) and 1-tert-butoxy-*N,N,N',N'*-tetramethyl-methanediamine (5.0 g, 20.0 mmol) were heated to 90 °C for 2 hours. The progress of the reaction was monitored by LCMS. After the completion, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 2-(3-cyclopropylphenoxy)-3-(dimethylamino)-1-(1H-imidazol-2-yl)prop-2-en-1-one (0.3 g, 40%).

LCMS (Method B): retention time 1.05 min, 298 (M+H)

e) Preparation of 7-(3-cyclopropylphenoxy)-5H-imidazo[1,2-b]pyridazin-8-one

In a round-bottom flask, 2-(3-cyclopropylphenoxy)-3-(dimethylamino)-1-(1H-imidazol-2-yl)prop-2-en-1-one (0.03 g, 0.10 mmol) was dissolved in N-methyl-2-pyrrolidone (1 mL) and to this, potassium tert-butoxide (0.012 g, 0.10 mmol) was added as a solution in N-methyl-2-pyrrolidone (0.5 mL). The resulting reaction mixture was stirred at room temperature for 30 minutes. To this, amino 4-nitrobenzoate (0.022 g, 0.12 mmol) in solution in N-methyl-2-pyrrolidone (1 mL) was added and the reaction mixture was stirred at room temperature for 16 hours. The progress of the reaction was monitored by LCMS. After completion, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford mixture of 1-(1-aminoimidazol-2-yl)-2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-en-1-one and 7-(3-cyclopropylphenoxy)-5H-imidazo[1,2-b]pyridazin-8-one (60 mg). The mixture was taken as such for the next step.

LCMS (Method B): retention time 0.28 min, 313 (M+H) and 1.9 min, 268 (M+H)

f) Preparation of 8-chloro-7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine

A mixture of 1-(1-aminoimidazol-2-yl)-2-(3-cyclopropylphenoxy)-3-(dimethylamino)prop-2-en-1-one and 7-(3-cyclopropylphenoxy)-5H-imidazo[1,2-b]pyridazin-8-one (0.4 g), *N,N*-dimethylaniline (0.7 mL, 5 mmol) and Phosphorus(V) oxychloride (8 mL 90 mmol) was stirred at 90 °C for 12 hours. The progress of the reaction was monitored by LCMS. After the completion, the reaction mixture was diluted with ice water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford 8-chloro-7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine (0.3 g, 30%) as a brown gummy mass.

LCMS (Method B): retention time 1.47 min, 286 (M+H)

g) Preparation of methyl 7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxylate

An autoclave vessel charged with 8-chloro-7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine (0.13 g, 0.45 mmol), triethylamine (0.13 mL, 0.91 mmol), Pd(dppf)Cl_2.CH_2Cl_2 (0.093 g, 0.11 mmol) in methanol (20 mL) and the reactor flushed with carbon monoxide three times and loaded with carbon monoxide under a pressure of 10 bar. The reaction mixture was heated to 80°C for 5 hours. The progress of the reaction was monitored by LCMS. After the completion of the reaction, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue. The resulting crude residue was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford methyl 7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxylate (0.075 g, 53%) as a yellow solid.

LCMS (Method B): retention time 2.03 min, 310 (M+H)

h) Preparation of lithium 7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxylate

To a solution of methyl 7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxylate (0.05 g, 0.16 mmol) in tetrahydrofuran (1 mL) and water (0.05 mL) was added lithium hydroxide monohydrate (20 mg, 0.48 mmol). The reaction mass was stirred at room temperature for 16 hours. The progress of the reaction was monitored by TLC and LCMS. After completion, the reaction mixture was concentrated under reduced pressure at 30 °C followed by two successive co-distillations with toluene (2x10 mL) to afford lithium 7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxylate (50 mg crude) which was used as such for the next step.

LCMS (Method B): retention time 0.51 min, 296 (M+H)

i) Preparation of 7-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]imidazo[1,2-b]pyridazine-8-carboxamide

To lithium 7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxylate (0.24 g, 0.71 mmol) in anhydrous *N,N*-dimethylformamide (2.14 mL), [1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]ammonium 2,2,2-trifluoroacetate (0.41 g, 0.86 mmol) was added followed by addition of 1-[bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxide hexafluorophosphate (HATU) (0.32 g, 0.86 mmol). The reaction mixture was stirred at room temperature for 1 hour. The progress of the reaction was monitored by TLC and LCMS. After completion of the reaction, the reaction mixture was diluted with water to precipitate a solid from the reaction mass. The precipitate was filtered out and washed with water and methyl tert-butyl ether and dried under vacuum to afford 7-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]imidazo[1,2-b]pyridazine-8-carboxamide (0.47 g, 82%) as an off-white solid.

LCMS (Method B): retention time1.68 min, 642 (M+H)

j) Preparation of N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxamide

To 7-(3-cyclopropylphenoxy)-N-[1-[(2,4-dichlorophenyl)methyl]-2-(1,3-dioxoisoindolin-2-yl)oxy-ethyl]imidazo[1,2-b]pyridazine-8-carboxamide (460 mg, 0.71 mmol) in tetrahydrofuran (2 mL), hydrazine monohydrate (52.7 µl, 0.22 mmol) was added. The reaction mixture was stirred at room temperature for 2 hours. The progress of the reaction was monitored by TLC and LCMS. After completion, a solid precipitated which was filtered through a Buchner funnel. The filtrate was diluted in ethyl acetate (15 mL) and washed with water, dried over sodium sulphate, and concentrated under reduced pressure to afford N-[1-

(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxamide (370 mg, 91 %) which was directly used in the next step.

LCMS (Method B): retention time 1.37 min, 512 (M+H)

k) Preparation of 3-[7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazin-8-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine

N-[1-(aminooxymethyl)-2-(2,4-dichlorophenyl)ethyl]-7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazine-8-carboxamde (190 mg, 0.37 mmol) was dissolved in dichloromethane (5 mL), phosphorus pentachloride (116 mg, 0.55 mmol) was added and the mixture was stirred at room temperature for 1 hour. The progress of the reaction was monitored by TLC and LCMS. After completion, the reaction mixture was then quenched with a saturated sodium bicarbonate solution and diluted with water and extracted twice with ethyl acetate (30 mL). The combined organic layers were dried over sodium sulfate filtered and concentrated under reduced pressure. The resulting crude residue was purified by reverse phase chromatography (water/acetonitrile) to afford 3-[7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazin-8-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine (27 mg, 14%)

^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.14 (s, 1 H), 7.89 (d, J=1.38 Hz, 1 H), 7.65 (d, J=1.25 Hz, 1 H), 7.50 (br s, 1 H), 7.40 (d, J=2.00 Hz, 1 H), 7.21 - 7.25 (m, 2 H), 7.17 (d, J=2.13 Hz, 1 H), 6.87 (d, J=7.75 Hz, 1 H), 6.78 (br d, J=1.63 Hz, 2 H), 3.91 - 4.04 (m, 2 H), 3.77 - 3.89 (m, 1 H), 3.00- 3.10 (m, 2 H), 1.85-1.90 (m, 1 H), 0.94- 0.98 (m, 2 H), 0.66 - 0.74 (m, 2 H)

LCMS (Method B): retention time 1.48 min, 494 (M+H)

Example P6: Preparation of 2-(3-Cyclopropylphenoxy)-N-methoxy-N-methyl-acetamide

Step 1: Preparation of methyl 2-(3-cyclopropylphenoxy)acetate

In a single-neck round-bottom flask, cesium carbonate (2.76 g, 8.50 mmol) was added to 3-cyclopropylphenol (1.0 g, 7.08 mmol) in acetonitrile (10 mL). To this reaction mixture methyl 2-bromoacetate (1.29 g, 8.50 mmol) was added and the resulting reaction mixture was stirred at room temperature for 12 h. The progress of the reaction was monitored by LCMS. After completion of the reaction, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate, and concentrated under reduced pressure to obtain a crude residue which was purified by silica gel chromatography (cyclohexane/ethyl acetate) to afford methyl 2-(3-cyclopropylphenoxy)acetate (1.6 g, 98%).

LCMS (Method B): retention time 1.41 min, 207 (M+H)

Step 2: Preparation of 2-(3-cyclopropylphenoxy)acetic acid

To a solution of methyl 2-(3-cyclopropylphenoxy)acetate (1.48 g, 6.46 mmol) in tetrahydrofuran (9 mL) and water (4.5 mL) was added lithium hydroxide (0.83 g, 19.40 mmol). The reaction mass was stirred at room temperature for 1 hour. The progress of the reaction was monitored by TLC and LCMS. After completion of the reaction, the mixture was diluted with water and washed with ethyl actetate. The aqueous layer was then acidified with 2N HCl and extracted with ethyl acetate. The organic layer was dried over sodium sulfate and concentrated in vaccuo to obtain 2-(3-cyclopropylphenoxy)acetic acid (1.30 g, 99%) as a beige solid.

^{1}H NMR (400 MHz, CDCl$_3$) δ ppm 7.19 (t, J=7.73 Hz, 1 H), 6.76 (d, J=7.63 Hz, 1 H), 6.64 - 6.73 (m, 2 H), 4.68 (s, 2 H), 1.84 - 1.92 (m, 1 H), 0.92 -1.02 (m, 2 H), 0.64 - 0.76 (m, 2 H).

LCMS (Method B): retention time 1.24 min, 190.8 (M-H)

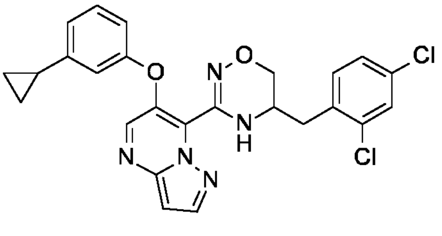
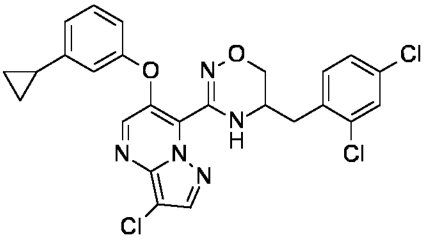
Step 3: Preparation of 2-(3-cyclopropylphenoxy)-N-methoxy-N-methyl-acetamide

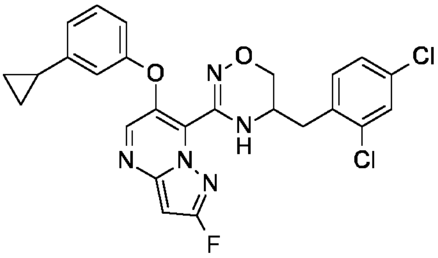
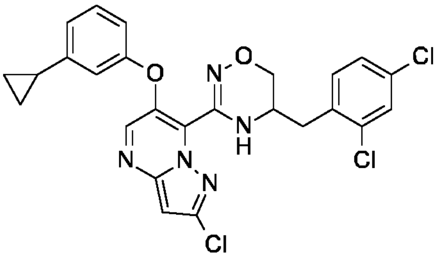
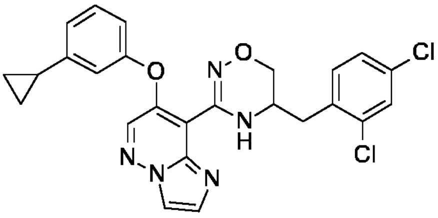
To 2-(3-cyclopropylphenoxy)acetic acid (1.34 g, 6.62 mmol) in ethyl acetate (27 mL), methoxy(methyl)ammonium chloride (0.97 g, 9.93 mmol) was added followed by addition of a 1-propanephosphonic anhydride solution (T3P, 50% in ethyl acetate, 4.64 g, 7.29 mmol) and *N,N*-diisopropylethylamine (2.59 g, 19.9 mmol). The reaction mixture was stirred at room temperature for 12 hours. The progress of the reaction was monitored by TLC and LCMS. After completion, the reaction mixture was diluted with ethyl acetate and poured into water and the desired material was extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure. The resulting crude residue was purified by silica gel chromatography (ethyl acetate/cyclohexane) to afford 2-(3-cyclopropylphenoxy)-N-methoxy-N-methyl-acetamide (1.26 g, 76%) as a gummy mass.

^{1}H NMR (400 MHz, CDCl$_3$) δ ppm 7.16 (t, J=7.74 Hz, 1 H), 6.69 - 6.73 (m, 3 H), 4.79 (s, 2 H), 3.76 (s, 3 H), 3.25 (s, 3 H), 1.82 - 1.92 (m, 1 H), 1.67 - 1.67 (m, 1 H), 0.88 - 1.02 (m, 2 H), 0.65 - 0.74 (m, 2 H).

LCMS (Method B): retention time 1.32 min, 236 (M+H)

Table T1: Physical data of compounds of formula (I)

Entry	IUPAC name	Structure	Rt (min)	Mass charge [M+H]	Method used
1.1	3-[6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine		1.55	485	B
1.2	3-[3-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine		1.22	486	C

Entry	IUPAC name	Structure	Rt (min)	Mass charge [M+H]	Method used
1.3	3-[6-(3-cyclopropylphenoxy)-2-fluoro-pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine		1.24	468	C
1.4	3-[2-chloro-6-(3-cyclopropylphenoxy)pyrazolo[1,5-a]pyrimidin-7-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine		1.85	528	D
1.5	3-[7-(3-cyclopropylphenoxy)imidazo[1,2-b]pyridazin-8-yl]-5-[(2,4-dichlorophenyl)methyl]-5,6-dihydro-4H-1,2,4-oxadiazine		1.48	494	B

BIOLOGICAL EXAMPLES AND TEST METHODS

General description of test methods

Leaf disks or leaf segments of various plant species are cut from plants grown in a greenhouse. The cut leaf disks or segments are placed in multiwell plates (24-well format) onto water agar. The leaf disks are sprayed with a test solution before (preventative) or after (curative) inoculation. Compounds to be tested are prepared as DMSO solutions (max. 10 mg/mL) which are diluted to the appropriate concentration with 0.025% Tween20 just before spraying. The inoculated leaf disks or segments are incubated under defined conditions (temperature, relative humidity, light, etc.) according to the respective test system. A single evaluation of disease level is carried out 3 to 14 days after inoculation, depending on the pathosystem. Percent disease control relative to the untreated check leaf disks or segments is then calculated.

Mycelia fragments or conidia suspensions of a fungus prepared either freshly from liquid cultures of the fungus or from cryogenic storage, are directly mixed into nutrient broth. DMSO solutions of the test compound (max. 10 mg/mL) are diluted with 0.025% Tween20 by a factor of 50 and 10 µL of this solution is pipetted into a microtiter plate (96-well format). The nutrient broth containing the fungal spores/mycelia fragments is then added to give an end concentration of the tested compound. The test plates are incubated in the dark at 24 °C and 96% relative humidity. The inhibition of fungal growth is determined photometrically after 2 to 7 days, depending on the pathosystem, and percent antifungal activity relative to the untreated check is calculated.

Example B1: *Alternaria solani* (early blight of tomato)

Tomato leaf disks cv. Baby are placed on agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water. The leaf disks are inoculated with a spore suspension of the fungus 2 days after application. The inoculated leaf disks are incubated at 23°C / 21°C (day/night) and 80% rh under a light regime of 12/12 h (light/dark) in a climate cabinet and the activity of a compound is assessed as percent disease control compared to untreated when an appropriate level of disease damage appears on untreated check disk leaf disks (5 - 7 days after application).

The following compounds from Table T1 gave at least 80% control of *Alternaria solani* at 200 ppm when compared to untreated control under the same conditions, which showed extensive disease development:

1.1

Example B2: *Botryotinia fuckeliana* syn. *Botrytis cinerea* (Gray mould of grapevine)

Conidia of the fungus from cryogenic storage are directly mixed into nutrient broth (Vogels broth). After placing a (DMSO) solution of test compound into a microtiter plate (96-well format), the nutrient broth containing the fungal spores is added. The test plates are incubated at 24°C and the inhibition of growth is determined photometrically 3-4 days after application.

The following compounds from Table T1 gave at least 80% control of *Botryotinia fuckeliana* at 20 ppm when compared to untreated control under the same conditions, which showed extensive disease development: 1.1, 1.2, 1.3, 1.4, 1.5

Example B3: *Glomerella lagenarium* syn *Colletotrichum lagenarium* (Anthracnose of cucurbits)

Conidia of the fungus from cryogenic storage are directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of test compound into a microtiter plate (96-well format), the nutrient broth containing the fungal spores is added. The test plates are incubated at 24°C and the inhibition of growth is measured photometrically 3-4 days after application.

The following compounds from Table T1 gave at least 80% control of *Glomerella lagenarium* at 20 ppm when compared to untreated control under the same conditions, which showed extensive disease development: 1.1, 1.5

Example B4: *Monographella nivalis* syn. *Microdochium nivale*, *Fusarium nivale* (Snow mould, foot rot of cereals):

Conidia of the fungus from cryogenic storage are directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of test compound into a microtiter plate (96-well format), the nutrient broth containing the fungal spores is added. The test plates are incubated at 24°C and the inhibition of growth is determined photometrically 4-5 days after application.

The following compounds from Table T1 gave at least 80% control of *Monographella nivalis* at 20 ppm when compared to untreated control under the same conditions, which showed extensive disease development: 1.5

Example B5: *Pyrenophora teres* (Net blotch of barley)

Barley leaf segments cv. Hasso are placed on agar in a multiwell plate (24-well format) and sprayed with the formulated test compound diluted in water. The leaf segmens are inoculated with a spore suspension of the fungus 2 days after application. The inoculated leaf segments are incubated at 20°C and 65% rh under a light regime of 12 h light / 12 h darkness in a climate cabinet and the activity of a compound is assessed as disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf segments (5 - 7 days after application).

The following compounds from Table T1 gave at least 80% control of *Pyrenophora teres* at 200 ppm when compared to untreated control under the same conditions, which showed extensive disease development:

1.1

Example B6: *Sclerotinia sclerotiorum* (Cottony rot of oilseed rape)

Mycelia fragments of a newly grown liquid culture of the fungus are directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of test compound into a microtiter plate (96-well format) the nutrient broth containing the fungal material is added. The test plates are incubated at 24°C and the inhibition of growth is determined photometrically 3-4 days after application.

The following compounds from Table T1 gave at least 80% control of *Sclerotinia sclerotiorum* at 20 ppm when compared to untreated control under the same conditions, which showed extensive disease development: 1.1, 1.5

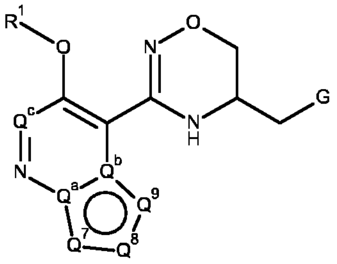
Example B7: *Example Mycosphaerella graminicola* (*Septoria tritici*) (Septoria blotch):

Conidia of the fungus from cryogenic storage are directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of test compound into a microtiter plate (96-well format), the nutrient broth containing the fungal spores is added. The test plates are incubated at 24°C and the inhibition of growth is determined photometrically 4-5 days after application.

The following compounds from Table T1 gave at least 80% control of *Mycosphaerella gramminicola* at 20 ppm when compared to untreated control under the same conditions, which showed extensive disease development: 1.5.

CLAIMS

1. A compound of formula (I)



(I)

wherein:

Q^a is N, Q^b is C, and Q^c is CH; or Q^a is C, Q^b is N, and Q^c is N or CH;

Q^7 is N or C-R^7, Q^8 is N or C-R^8, and Q^9 is N or C-R^9; wherein one or two of Q^7, Q^8 and Q^9 are N; with the proviso that when Q^a is N, Q^7 and Q^8 are not simultaneously N;

R^1 is phenyl optionally substituted with 1, 2 or 3 independently selected substituents R^{11}; or

R^1 is a 5- or 6-membered monocyclic heteroaryl ring comprising 1, 2 or 3 heteroatoms each independently selected from N, O and S, wherein said heteroaryl ring is optionally substituted with 1 or 2 independently selected substituents R^{11};

R^{11} is hydroxyl, halogen, mercapto, amino, cyano, methyl, ethyl, propyl, *iso*-propyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, *iso*-propoxy, *tert*-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, or cyclopropyloxy;

G is selected from G-1, G-2, G-3, and G-4, wherein:

G-1 is phenyl or phenoxy, wherein said phenyl or phenoxy is optionally substituted with 1, 2 or 3 independently selected substituents R^{G1};

G-2 is a 5- or 6-membered monocyclic heteroaryl or heteroaryl-oxy; wherein said heteroaryl comprises 1, 2 or 3 heteroatoms each independently selected from N, O and S; and wherein said heteroaryl is optionally substituted with 1 or 2 independently selected substituents R^{G2};

G-3 is a 9- or 10-membered heterobicyclic ring system comprising 1, 2 or 3 heteroatoms each independently selected from N, O and S; wherein said heterobicyclic ring system is saturated, partially unsaturated, or aromatic; and wherein said heterobicyclic ring system is optionally substituted with 1 or 2 independently selected substituents R^{G3};

G-4 is a 9- or 10-membered carbobicyclic ring system; wherein said carbobicyclic ring system is saturated, partially unsaturated, or aromatic; and wherein said carbobicyclic ring system is optionally substituted with 1 or 2 independently selected substituents R^{G4};

R^{G1}, R^{G2}, R^{G3}, and R^{G4} are independently hydroxyl, halogen, mercapto, amino, cyano, $C_{1\text{-}4}$alkyl, vinyl, ethynyl, difluoromethyl, trifluoromethyl, methoxy, ethoxy, propoxy, *iso*-propoxy, *tert*-butoxy, allyloxy, prop-2-ynoxy, prop-1-ynoxy,, methylsulfanyl, methylsulfonyl, difluoromethoxy, trifluoromethoxy, cyclopropyl, cyclobutyl, or cyclopropyloxy;

R^7, R^8, and R^9 are independently selected from hydrogen, fluoro, chloro, bromo, iodo, $C_{1\text{-}4}$alkyl, allyl, propargyl, cyclopropylmethyl, cyclopentyl, cyclohexyl, $-C(=O)OCH_3$, $-C(=O)N(CH_3)_2$, 2-(dimethylamino)-2-oxo-ethyl, 2-(methylamino)-2-oxo-ethyl, difluoromethyl, trifluoromethyl, methylsulfonyl, methylsulfanyl, methoxy, ethoxy, cyano, hydroxyl, mercapto and amino;

or an agrochemically acceptable salt, stereoisomer, enantiomer, and N-oxide of the compound of formula (I).

2. The compound according to claim 1, wherein Q^a, Q^b, Q^c, Q^7, Q^8 and Q^9 are as follows:

a) Q^a is C, Q^b is N, Q^c is CH, and a single one of Q^7, Q^8 and Q^9 is N; or
b) Q^a is C, Q^b is N, Q^c is CH, Q^7 is N or CR^7, Q^8 is CR^8, and Q^9 is N; or
c) Q^a is N, Q^b is C, Q^c is CH, Q^7 is CR^7, and a single one of Q^8 and Q^9 is N; or
d) Q^a is C, Q^b is N, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N; or
e) Q^a is C, Q^b is N, Q^c is CH, Q^7 is N, Q^8 is CR^8, and Q^9 is N; or
f) Q^a is N, Q^b is C, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N.

3. The compound according to claim 1 or claim 2, wherein:

a) Q^a is C, Q^b is N, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N; or
b) Q^a is C, Q^b is N, Q^c is CH, Q^7 is N, Q^8 is CR^8, and Q^9 is N; or
c) Q^a is N, Q^b is C, Q^c is CH, Q^7 is CR^7, Q^8 is CR^8, and Q^9 is N.

4. The compound according to any one of claims 1 to 3, wherein R^1 is phenyl, or phenyl substituted with a single substituent selected from methyl, trifluoromethyl, ethynyl, chloro and cyclopropyl; or 3-cyclopropyl-2-fluorophenyl; or pyridinyl substituted with a single substituent selected from cyano and cyclopropyl.

5. The compound according to any one of claims 1 to 4, wherein G is 2,4-dimethylphenyl, 2,4-dichlorophenyl, 4-bromo-2-methylphenyl, 4-bromo-2-chlorophenyl, 2,6-dichloro-3-pyridyl, 4,6-dichloro-3-pyridyl, 3,5-dichloro-2-pyridyl, 3,5-dichloro-thienyl, 3,5-dimethyl-thienyl, 3,4-dimethylphenyl, 2-chloro-4-methylphenyl 2-bromo-4-chlorophenyl, 4-chloro-2-fluorophenyl, or 2-chloro-4-fluorophenyl.

6. The compound according to any one of claims 1 to 5, wherein, independently from each other, R^7 is hydrogen, chloro, or methyl; R^8 is hydrogen, chloro, fluoro, or methyl; R^9 is hydrogen or methyl; with the proviso that at least one of R^7, R^8 and R^9 is hydrogen when only one of Q^7, Q^8 and Q^9 is N.

7. The compound according to any one of claims 1 to 5, wherein only one of Q^7, Q^8 and Q^9 is N; and when present, R^7 is hydrogen, chloro, or methyl; R^8 is hydrogen, chloro, or fluoro; R^9 is hydrogen or methyl; with the proviso that at least one of R^7, R^8 and R^9 is hydrogen.

8. The compound according to any one of claims 1 to 5, wherein two of Q^7, Q^8 and Q^9 are nitrogen, R^7 and R^9 are hydrogen or methyl, and R^8 is hydrogen or fluoro.

9. An agrochemical composition comprising a fungicidally effective amount of a compound according to any one of claims 1 to 8.

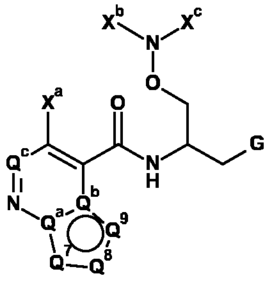
10. The composition according to claim 9, further comprising at least one additional active ingredient and/or an agrochemically-acceptable diluent or carrier.

11. A method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a fungicidally effective amount of a compound according to any of claims 1 to 8, or a composition comprising this compound as active ingredient, is applied to the plants, to parts thereof or the locus thereof.

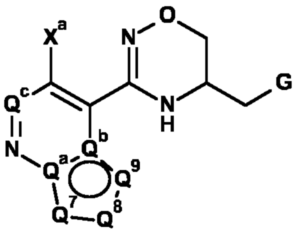
12. Use of a compound according to any one of claims 1 to 8 as a fungicide.

13. A plant propagation material, such as a seed, comprising, or treated with or adhered thereto, a compound as defined in any one of claims 1 to 8, or a composition comprising this compound as active ingredient.

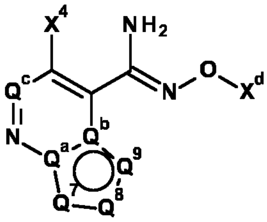
14. A compound of the formula (IC-1), (IC-2), or (IC-3):



(IC-1)



(IC-2)



(IC-3)

wherein X^a is X^3 or X^4;

X^b and X^c are both hydrogen, or X^b is hydrogen and X^c is a protective group , or X^b and X^c are identical or different protective groups, or X^b and X^c form a protective group together with the nitrogen they are attached to, where said protective group or groups are selected from *tert*-butyloxycarbonyl, benzylcarbonyl, 9-fluorenylmethylcarbonyl, trifluoroacetyl, benzyl, triphenylmethyl, benzylidenyl and *p*-toluenesulfonyl, phthalimide, and succinimide;

X^d is H or $-CH_2-C(O)-CH_2-G$;

X^3 is a leaving group selected from fluoro, chloro, bromo, iodo, BF_3K, $B(OH)_2$ and B(pinacol);

X^4 is OH or $-O-R^1$; and

wherein R^1, Q^a, Q^b, Q^c, Q^7, Q^8, Q^9, and G are as defined for compounds of formula (I) in any one of claims 1 to 8.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2023/079963

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D487/04 A01N43/90
ADD.

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D A01N

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

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2021/249995 A1 (BAYER AG [DE]) 16 December 2021 (2021-12-16) cited in the application Whole document , particularly claim 1, page 1, lines 2-4, examples and Tables 5-7 and 10 -----	1-14
A	WO 2021/233861 A1 (BAYER AG [DE]) 25 November 2021 (2021-11-25) Whole document, particularly claim 1 and examples -----	1-14
E	WO 2023/247552 A1 (SYNGENTA CROP PROTECTION AG [CH]) 28 December 2023 (2023-12-28) Whole document, particularly claim 1 -----	1-14

☐ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance;; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance;; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search 12 January 2024	Date of mailing of the international search report 26/01/2024
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer Sahagún Krause, H

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2023/079963

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