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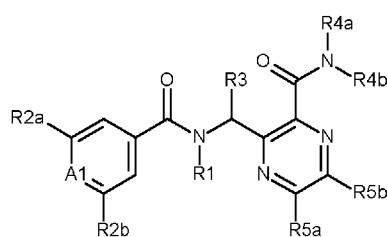
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(54) Title: PESTICIDALLY ACTIVE DIAZINE-BISAMIDE COMPOUNDS

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



(I)



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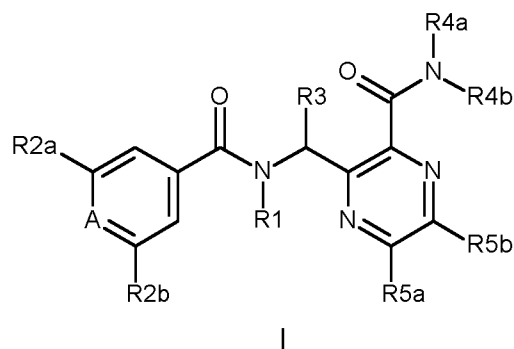
PESTICIDALLY ACTIVE DIAZINE-BISAMIDE COMPOUNDS

The present invention relates to pesticidally active, in particular insecticidally active diazine-bisamide compounds, to processes for their preparation, to compositions comprising those compounds, and to their use for controlling animal pests, including arthropods and in particular insects or representatives of the order *Acarina*.

WO2017192385 describes certain heteroaryl-1,2,4-triazole and heteroaryl-tetrazole compounds for use for controlling ectoparasites in animals (such as a mammal and a non-mammal animal).

There have now been found novel pesticidally active diazine-bisamide compounds.

The present invention accordingly relates, in a first aspect, to a compound of the formula I



wherein

R₁ is hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, aminocarbonylC₁-C₆alkyl, hydroxycarbonylC₁-C₆alkyl, C₁-C₆nitroalkyl, trimethylsilaneC₁-C₆alkyl, C₁-C₃alkoxy-C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, C₃-C₄cycloalkylC₁-C₂alkyl- wherein the C₃-C₄cycloalkyl group is substituted with 1 or 2 halogen atoms, oxetan-3-yl-CH₂-, C₁-C₆alkylcarbonyl, C₁-C₆alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, benzyl or benzyl substituted with 1 to 3 substituents independently selected from halogen, C₁-C₆alkoxy and C₁-C₆haloalkyl;

R_{2a} is hydrogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfonyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, NO₂, SF₅, CN, C(O)NH₂, C(O)OH, C(S)NH₂, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with one to three substituents independently selected from R_x, C₃-C₆cycloalkylcarbonyl, phenyl, phenyl substituted with one to three substituents independently selected from R_x, heteroaryl, heteroaryl substituted with one to three substituents independently selected from R_x; OR₆, piperidin-2-one-1-yl, piperidin-2-one-1-yl substituted with one to two substituents independently selected from R_x, pyridin-2-one-1-yl, pyridin-2-one-1-yl substituted with one to two substituents independently selected from R_x, azetidin-1-yl, azetidin-1-yl substituted with one to two substituents independently selected from R_x, pyrrolidin-1-yl, pyrrolidin-1-yl substituted with one to two substituents independently selected from R_x, C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one to two substituents independently selected from R_z; C₃-C₆cycloalkylC₁-C₃alkoxy, C₃-C₆cycloalkylC₁-C₃alkoxy substituted

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with one to two substituents independently selected from R_x , C_1 - C_5 cyanoalkyl, C_1 - C_5 cyanoalkoxy, C_1 - C_4 alkylsulfanyl, C_1 - C_4 alkylsulfanyl substituted with one to three substituents independently selected from R_x , C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylsulfonyl substituted with one to three substituents independently selected from R_x , C_1 - C_4 alkylsulfinyl, or C_1 - C_4 alkylsulfinyl substituted with one to three substituents independently selected from R_x ;

R_{2b} is hydrogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 haloalkylsulfonyl, C_1 - C_3 haloalkoxy, C_1 - C_3 haloalkoxy, halogen, NO_2 , SF_5 , CN, $C(O)NH_2$, $C(O)OH$, $C(S)NH_2$, C_3 - C_6 cycloalkyl, C_3 - C_6 cycloalkyl substituted with one to three substituents independently selected from R_x , C_3 - C_6 cycloalkylcarbonyl, phenyl, phenyl substituted with one to three substituents independently selected from R_x , heteroaryl, heteroaryl substituted with one to three substituents independently selected from R_x , OR₆, piperidin-2-one-1-yl, piperidin-2-one-1-yl substituted with one to two substituents independently selected from R_x , pyridin-2-one-1-yl, pyridin-2-one-1-yl substituted with one to two substituents independently selected from R_x , azetidin-1-yl, azetidin-1-yl substituted with one to two substituents independently selected from R_x , pyrrolidin-1-yl, pyrrolidin-1-yl substituted with one to two substituents independently selected from R_x , C_3 - C_6 cycloalkyl C_1 - C_4 alkyl, C_3 - C_6 cycloalkyl C_1 - C_4 alkyl substituted with one to two substituents independently selected from R_z , C_3 - C_6 cycloalkyl C_1 - C_3 alkoxy, C_3 - C_6 cycloalkyl C_1 - C_3 alkoxy substituted with one to two substituents independently selected from R_x , C_1 - C_5 cyanoalkyl, C_1 - C_5 cyanoalkoxy, C_1 - C_4 alkylsulfanyl, C_1 - C_4 alkylsulfanyl substituted with one to three substituents independently selected from R_x , C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylsulfonyl substituted with one to three substituents independently selected from R_x , C_1 - C_4 alkylsulfinyl, or C_1 - C_4 alkylsulfinyl substituted with one to three substituents independently selected from R_x ;

A is N or C- R_{2c} ;

R_{2c} is hydrogen, halogen, C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, or C_1 - C_3 haloalkoxy;

R_3 is C_1 - C_3 alkyl or C_1 - C_3 haloalkyl;

R_{4a} is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, and C_1 - C_6 haloalkyl;

R_{4b} is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 cycloalkyl, C_3 - C_6 cycloalkyl substituted with 1 to 3 substituents independently selected from R_6 , C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, C_1 - C_3 alkoxy C_1 - C_4 alkyl-, cyano C_1 - C_6 alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R_7 , phenyl C_1 - C_2 alkyl-, phenyl C_1 - C_2 alkyl- substituted with 1 to 3 substituents independently selected from R_8 , heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R_9 , heterocyclyl C_1 - C_2 alkyl-, heterocyclyl C_1 - C_2 alkyl- substituted with 1 to 3 substituents independently selected from R_{10} , heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R_{11} , heteroaryl C_1 - C_2 alkyl-, heteroaryl C_1 - C_2 alkyl- substituted with 1 to 3 substituents independently selected from R_{12} , and oxetanyl; or

R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from R_{13} , and r is 0, 1 or 2;

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R_{5a} and R_{5b} are, independently of each other, selected from hydrogen, halogen, CN, C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, C₁-C₃alkoxy, and C₁-C₃haloalkoxy;

R₆ is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

5 R₇ is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

R₈ is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

10 R₉, independent of the heterocyclyl group, is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

R₁₀, independent of the heterocyclylC₁-C₂alkyl- group, is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

R₁₁, independent of the heteroaryl group, is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

15 R₁₂, independent of the heteroarylC₁-C₂alkyl- group, is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

R₁₃ is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

20 R_x is independently selected from halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, NO₂, SF₅, CN, C(O)NH₂, C(S)NH₂, C₁-C₄haloalkylsulfanyl, C₁-C₄haloalkylsulfinyl, C₁-C₄haloalkylsulfonyl, C₁-C₄alkylsulfanyl, C₁-C₄alkylsulfinyl and C₁-C₄alkylsulfonyl; and

R_z is independently selected from oxo, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy and CN; or an agrochemically acceptable salt, stereoisomer, enantiomer, tautomer and N-oxide of the compound of formula I.

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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 C₁-C₄alkanecarboxylic 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 C₁-C₄alkane- 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

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dimethylpropylamine, or a mono-, di- or trihydroxy-lower-alkylamine, for example mono-, di- or triethanolamine.

In each case, the compounds of formula I according to the invention are in free form, in oxidized form as a N-oxide or in salt form, e.g. an agronomically usable 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.

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

The term " C_1 - C_n alkyl" as used herein refers to a saturated straight-chain or branched hydrocarbon radical attached via any of the carbon atoms having 1 to n carbon atoms, for example, any one of the radicals methyl, ethyl, n-propyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2, 2-dimethylpropyl, 1-ethylpropyl, n-hexyl, n-pentyl, n-butyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, or 1-ethyl-2-methylpropyl.

The term " C_1 - C_n haloalkyl" as used herein refers to a straight-chain or branched saturated alkyl radical attached via any of the carbon atoms having 1 to n carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these radicals may be replaced by fluorine, chlorine, bromine and/or iodine, i.e., for example, any one of chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, 2-fluoropropyl, 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 2,2,3,3,3-pentafluoropropyl, heptafluoropropyl, 1-(fluoromethyl)-2-fluoroethyl, 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl, 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl or nonafluorobutyl. According to a term " C_1 - C_2 fluoroalkyl" would refer to a C_1 - C_2 alkyl radical which carries 1, 2, 3, 4, or 5 fluorine atoms, for example, any one of difluoromethyl, trifluoromethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 1,1,2,2-tetrafluoroethyl or pentafluoroethyl.

The term " C_1 - C_n alkoxy" as used herein refers to a straight-chain or branched saturated alkyl radical having 1 to n carbon atoms (as mentioned above) which is attached via an oxygen atom, i.e., for example, any one of the radicals methoxy, ethoxy, n-propoxy, 1-methylethoxy, n-butoxy, 1-

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methylpropoxy, 2-methylpropoxy or 1,1-dimethylethoxy. The term "haloC₁-C_nalkoxy" as used herein refers to a C₁-C_nalkoxy radical where one or more hydrogen atoms on the alkyl radical is replaced by the same or different halo atom(s) - examples include trifluoromethoxy, difluoromethoxy, 2,2-difluoroethoxy, 3-fluoropropoxy, 3,3,3-trifluoropropoxy, 4-chlorobutoxy.

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The term "C₁-C_ncyanoalkyl" as used herein refers to a straight chain or branched saturated C₁-C_nalkyl radical having 1 to n carbon atoms (as mentioned above), where one of the hydrogen atoms in these radicals is replaced by a cyano group: for example, cyanomethyl, 2-cyanoethyl, 2-cyanopropyl, 3-cyanopropyl, 1-(cyanomethyl)-2-ethyl, 1-(methyl)-2-cyanoethyl, 4-cyanobutyl, and the like.

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The term "C₃-C_ncycloalkyl" as used herein refers to 3-n membered cycloalkyl groups such as cyclopropane, cyclobutane, cyclopentane and cyclohexane.

The term "C₃-C_ncycloalkylcarbonyl" as used herein refers to a 3-n membered cycloalkyl group attached to a carbonyl (C=O) group, which carbonyl group is connected to the rest of the molecule. Similarly the terms "C₁-C_nalkylcarbonyl", "C₁-C_nalkoxycarbonyl", "phenyloxycarbonyl" and "benzyloxycarbonyl" as used herein refers to an alkyl, alkoxy, phenyloxy and benzyloxy group attached to a carbonyl (C=O) group, which carbonyl group is connected to the rest of the molecule.

The term "C₃-C₄cycloalkyl-C₁-C₂alkyl-" as used herein refers to 3 or 4 membered cycloalkyl group with either a methylene or ethylene group, which methylene or ethylene group is connected to the rest of the molecule. In the instance, the C₃-C₄cycloalkyl-C₁-C₂alkyl- group is substituted, the substituent(s) can be on the cycloalkyl group and/or on the alkyl group.

The term "aminocarbonylC₁-C_nalkyl" as used herein refers to an alkyl radical where one of the hydrogen atoms in the radical is replaced by CONH₂ group.

The term "hydroxycarbonylC₁-C_nalkyl" as used herein refers to an alkyl radical where one of the hydrogen atoms in the radical is replaced by COOH group.

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The term "C₁-C_nalkylsulfanyl" as used herein refers to a C₁-C_nalkyl moiety linked through a sulfur atom. Similarly, the term "C₁-C_nhaloalkylthio" or "C₁-C_nhaloalkylsulfanyl" as used herein refers to a C₁-C_nhaloalkyl moiety linked through a sulfur atom. Similarly, the term "C₃-C_ncycloalkylsulfanyl" refers to 3-n membered cycloalkyl moiety linked through a sulfur atom.

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The term "C₁-C_nalkylsulfinyl" as used herein refers to a C₁-C_nalkyl moiety linked through the sulfur atom of the S(=O) group. Similarly, the term "C₁-C_nhaloalkylsulfinyl" or "C₁-C_nhaloalkylsulfinyl" as used herein refers to a C₁-C_nhaloalkyl moiety linked through the sulfur atom of the S(=O) group.

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Similarly, the term "C₃-C_ncycloalkylsulfonyl" refers to 3-n membered cycloalkyl moiety linked through the sulfur atom of the S(=O) group.

The term "C₁-C_nalkylsulfonyl" as used herein refers to a C₁-C_nalkyl moiety linked through the sulfur atom of the S(=O)₂ group. Similarly, the term "C₁-C_nhaloalkylsulfonyl " or "C₁-C_nhaloalkylsulfonyl" as used herein refers to a C₁-C_nhaloalkyl moiety linked through the sulfur atom of the S(=O)₂ group. Similarly, the term "C₃-C_ncycloalkylsulfonyl" refers to 3-n membered cycloalkyl moiety linked through the sulfur atom of the S(=O)₂ group

The term "trimethylsilaneC₁-C_nalkyl" as used herein refers to an alkyl radical where one of the hydrogen atoms in the radical is replaced by a -Si(CH₃)₃ group.

The term "C₂-C_nalkenyl" as used herein refers to a straight or branched alkenyl chain having from two to n carbon atoms and one or two double bonds, for example, ethenyl, prop-1-enyl, prop-2-enyl, but-2-enyl.

The term "C₂-C_nhaloalkenyl" as used herein refers to a C₂-C_nalkenyl moiety substituted with one or more halo atoms which may be the same or different.

The term "C₂-C_nalkynyl" as used herein refers to a straight or branched alkynyl chain having from two to n carbon atoms and one triple bond, for example, ethynyl, prop-2-ynyl, but-3-ynyl,

The term "C₂-C_nhaloalkynyl" as used herein refers to a C₂-C_nalkynyl moiety substituted with one or more halo atoms which may be the same or different.

Halogen is generally fluorine, chlorine, bromine or iodine. This also applies, correspondingly, to halogen in combination with other meanings, such as haloalkyl

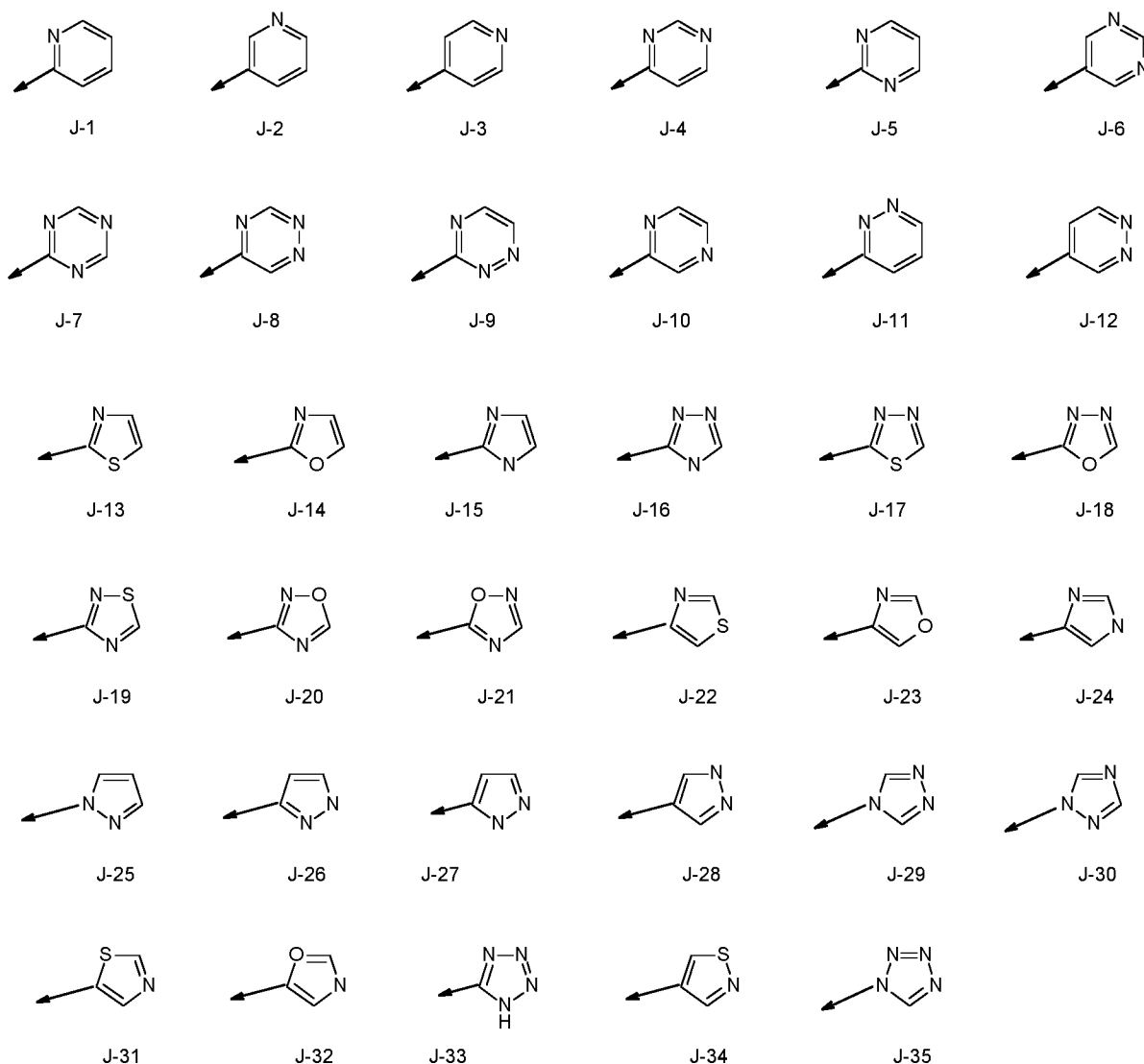
The term "heterocyclyl" as used herein refers to a 4- to 6- membered non-aromatic (i.e. saturated or partially saturated) ring having 1 to 3 heteroatoms/groups independently selected from nitrogen, oxygen, sulfur, or sulfonyl, and the ring is attached *via* a carbon, or a nitrogen atom to remainder of the compound. Examples are azetidyl, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuranyl, 2-oxopyrrolidinyl, 2-oxotetrahydrofuranyl, 1,1-dioxo-1,2-thiazolidinyl, 1,3-dioxolanyl, 1,3-dithiolanyl, 2-oxooxazolidinyl, piperidinyl, tetrahydropyranlyl, 2-oxopiperidinyl, 1,1-dioxothiazinanyl, 2-oxotetrahydropyranlyl, 1,3-dioxolanyl, 1,3-dithianyl, 2-oxo-1,3-oxazinanyl.

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 are heteroaryls J-1 to J-35

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shown in Scheme A below, where the arrow indicate the position of connection to the remainder of the compound. Preferred heteroaryl preferred is pyridyl, pyrimidyl, and pyrazolyl.

Scheme A: Heteroaryl J-1 to J-35:



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As used herein, the term "controlling" refers to reducing the number of pests, eliminating pests and/or preventing further pest damage such that damage to a plant or to a plant derived product is reduced.

- 10 The staggered line as used herein, for example, in K-1, represent the point of connection/ attachment to the rest of the compound.

As used herein, the term "pest" refers to insects, and molluscs that are found in agriculture, horticulture, forestry, the storage of products of vegetable origin (such as fruit, grain and timber); and those pests associated with the damage of man-made structures. The term pest encompasses all stages in the life cycle of the pest.

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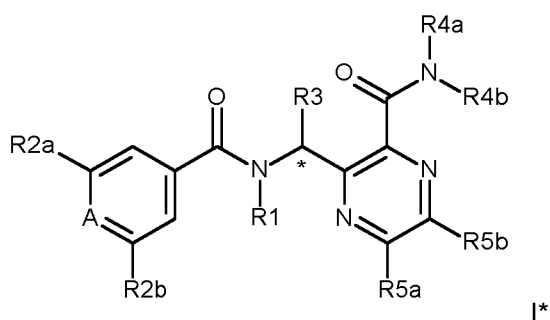
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As used herein, the term "effective amount" refers to the amount of the compound, or a salt thereof, which, upon single or multiple applications provides the desired effect.

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

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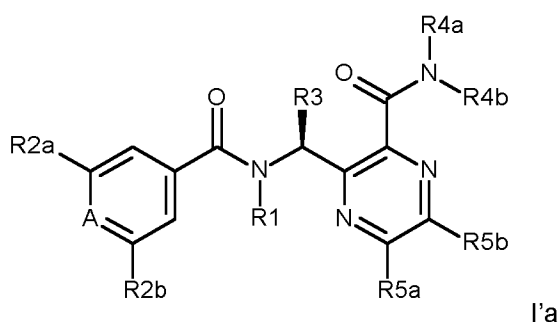
As one of ordinary skill in the art will appreciate, compounds of formula I contain a stereogenic centre which is indicated with an asterisk in the structure below:



where R₁, R_{2a}, R_{2b}, R₃, R_{4a}, R_{4b}, R_{5a}, R_{5b}, and A are as defined in the first aspect.

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The present invention contemplates both racemates and individual enantiomers. Compounds having preferred stereochemistry are set out below.



Particularly preferred compounds of the present invention are compounds of formula I'a:

- 20 where R₁, R_{2a}, R_{2b}, R₃, R_{4a}, R_{4b}, R_{5a}, R_{5b}, and A are as defined in the first aspect, and stereoisomers, enantiomers, tautomers and N-oxides of the compounds of formula (I'a), and agrochemically acceptable salts thereof.

- 25 The term "optionally substituted" as used herein means that the group referenced is either unsubstituted or is substituted by a designated substituent, for example, "C₃-C₄cycloalkyl is optionally

substituted with 1 or 2 halo atoms" means C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 halo atom and C₃-C₄cycloalkyl substituted with 2 halo atoms.

Embodiments according to the invention are provided as set out below.

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In an embodiment of each aspect of the invention, R₁ is

- A. hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, aminocarbonylC₁-C₆alkyl, hydroxycarbonylC₁-C₆alkyl, C₁-C₆nitroalkyl, trimethylsilaneC₁-C₆alkyl, C₁-C₃alkoxy-C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, C₃-C₄cycloalkylC₁-C₂alkyl- wherein the C₃-C₄cycloalkyl group is substituted with 1 or 2 halogen atoms, oxetan-3-yl-CH₂-, C₁-C₃alkylcarbonyl, C₁-C₃alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, or benzyl; or
- B. hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, aminocarbonylC₁-C₆alkyl, hydroxycarbonylC₁-C₆alkyl, C₁-C₆nitroalkyl, trimethylsilaneC₁-C₆alkyl, C₁-C₃alkoxy-C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, benzyloxycarbonyl, or benzyl; or
- C. hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, aminocarbonylC₁-C₆alkyl, hydroxycarbonylC₁-C₆alkyl, C₁-C₃alkoxy-C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, benzyloxycarbonyl, or benzyl; or
- D. hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, C₁-C₃alkoxy-C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, benzyloxycarbonyl, or benzyl; or
- E. hydrogen, C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₁-C₃alkoxy-C₁-C₃alkyl, C₁-C₃haloalkyl, C₂-C₄alkenyl, C₂-C₄haloalkenyl, C₂-C₄alkynyl, C₂-C₄haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, benzyloxycarbonyl, or benzyl; or
- F. hydrogen, C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₁-C₃alkoxy-C₁-C₃alkyl, C₁-C₃haloalkyl, C₂-C₄alkenyl, C₂-C₄haloalkenyl, C₂-C₄alkynyl, C₂-C₄haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, benzyloxycarbonyl, or benzyl; or
- G. hydrogen, methyl, ethyl, cyanomethyl, methoxymethyl, cyclopropyl-methyl, allyl, propargyl, benzyloxycarbonyl, or benzyl; or
- H. hydrogen, methyl, ethyl, allyl, propargyl or cyclopropyl-methyl; or
- I. hydrogen, methyl, propargyl or cyclopropyl-methyl;

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In an embodiment of each aspect of the invention, A is

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- A. N; or
- B. C-R_{2c}, where R_{2c} is hydrogen or halogen (such as Cl, F, Br and I); preferably R_{2c} is hydrogen.

In an embodiment of each aspect of the invention, R_{2a} is

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- 5 A. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₄cycloalkyl, C₃-C₆cycloalkylcarbonyl, phenyl, heteroaryl selected from J-1 and J-25, each of C₃-C₄cycloalkyl, phenyl or heteroaryl, independent of each other, is substituted with one to three substituents R_x, OR₆, piperidin-2-one-1-yl, pyridin-2-one-1-yl, azetidin-1-yl optionally substituted with R_x, pyrrolidin-1-yl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one or two substituents R_z, C₃-C₆cycloalkylC₁-C₃alkoxy optionally substituted with R_x, C₁-C₅cyanoalkyl, C₁-C₅cyanoalkoxy, C₁-C₄alkylsulfanyl optionally substituted by one to three substituents R_x, C₁-C₄alkylsulfonyl optionally substituted by one to three substituents R_x, or C₁-C₄alkylsulfinyl optionally substituted by one to three substituents R_x; or
- 10 B. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₄cycloalkyl, C₃-C₆cycloalkylcarbonyl, phenyl, pyrazolyl, each of C₃-C₄cycloalkyl, phenyl, pyrazolyl, independent of each other, is substituted with one to three substituents R_x, OR₆, piperidin-2-one-1-yl, pyridin-2-one-1-yl, azetidin-1-yl optionally substituted with R_x, pyrrolidin-1-yl, C₃-C₆cycloalkylC₁-C₄alkyl optionally substituted with one or two substituents R_z, C₃-C₆cycloalkylC₁-C₃alkoxy optionally substituted with R_x, C₁-C₅cyanoalkyl, C₁-C₅cyanoalkoxy, C₁-C₄alkylsulfanyl optionally substituted by one to three substituents R_x, C₁-C₄alkylsulfonyl optionally substituted by one to three substituents R_x, or C₁-C₄alkylsulfinyl optionally substituted by one to three substituents R_x; or
- 15 C. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₄cycloalkyl, C₃-C₆cycloalkylcarbonyl, phenyl or pyrazolyl, each of C₃-C₄cycloalkyl, phenyl, pyrazolyl, independent of each other, is substituted with one to two substituents R_x, OR₆, azetidin-1-yl optionally substituted with R_x, C₃-C₆cycloalkylC₁-C₄alkyl optionally substituted with one or two substituents R_z, C₃-C₆cycloalkylC₁-C₃alkoxy optionally substituted with R_x, C₁-C₄alkylsulfanyl optionally substituted by one to three substituents R_x, C₁-C₄alkylsulfonyl optionally substituted by one to three substituents R_x, or C₁-C₄alkylsulfinyl optionally substituted by one to three substituents R_x; or
- 20 D. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with one to two substituents R_x, C₃-C₆cycloalkylcarbonyl, OR₆, C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one or two substituents R_z, C₁-C₄alkylsulfanyl, C₁-C₄alkylsulfanyl substituted by one to three substituents R_x, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonyl substituted by one to three substituents R_x, C₁-C₄alkylsulfinyl, or C₁-C₄alkylsulfinyl substituted by one to three substituents R_x; or
- 25 E. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with one to two substituents independently selected from halogen, C₁-C₃alkyl and C₁-C₃haloalkyl, C₃-C₄cycloalkylcarbonyl, C₃-C₄cycloalkylmethyl, C₃-C₄cycloalkylmethyl substituted with one to two substituents independently selected from oxo, halogen, C₁-C₃alkyl, and C₁-C₃haloalkyl, C₁-C₂alkylsulfanyl substituted with one to three halogens or C₁-C₂alkylsulfonyl substituted with one to three halogens; or
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- 5 F. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, cyclopropyl, cyclopropyl substituted with one to two substituents independently selected from halogen, methyl, and trifluoromethyl, cyclopropylcarbonyl, cyclopropylmethyl substituted with one to two substituents independently selected from oxo, halogen, and trifluoromethyl, or C₁-C₂alkylsulfanyl substituted with one to three halogens or C₁-C₂alkylsulfonyl substituted with one to three halogens; or
- 10 G. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with one to three substituents independently selected from C₁-C₃alkyl, C₁-C₃haloalkyl, cyano, and halogen, cyclopropylcarbonyl, C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one to five substituents independently selected from oxo, C₁-C₃alkyl, C₁-C₃haloalkyl, cyano, and halogen, C₁-C₅cyanoalkyl, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, C₃-C₆cycloalkylsulfanyl, C₃-C₆cycloalkylsulfinyl, or C₃-C₆cycloalkylsulfonyl; or
- 15 H. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, CN, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with one or two substituents independently selected from C₁-C₃haloalkyl, cyano, and halogen, C₃-C₄cycloalkylcarbonyl, C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one to three substituents independently selected from oxo, C₁-C₃haloalkyl, cyano, and halogen, C₁-C₅cyanoalkyl, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, C₃-C₆cycloalkylsulfanyl, C₃-C₆cycloalkylsulfinyl, or C₃-C₆cycloalkylsulfonyl; or
- 20 I. hydrogen, halogen, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃haloalkoxy, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with one or two substituents independently selected from C₁-C₃haloalkyl, cyano, and halogen, C₃-C₄cycloalkylcarbonyl, C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one to three substituents independently selected from oxo, C₁-C₃haloalkyl, cyano, and halogen, C₁-C₅cyanoalkyl, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, C₃-C₆cycloalkylsulfanyl, C₃-C₆cycloalkylsulfinyl, or C₃-C₆cycloalkylsulfonyl; or
- 25 J. hydrogen, halogen, C₃-C₄cycloalkyl, C₃-C₄cycloalkylcarbonyl, C₃-C₄cycloalkyl-C₁-C₂alkyl optionally substituted with one to two substituents selected from oxo, halogen, C₁-C₃alkyl and C₁-C₃haloalkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃haloalkylsulfonyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, or CN; or
- 30 K. halogen, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃haloalkylsulfonyl, or C₁-C₃haloalkoxy; or
- L. halogen, C₁-C₂haloalkyl, C₁-C₂haloalkylsulfanyl, C₁-C₂haloalkylsulfonyl, or C₁-C₂haloalkoxy; or
- 35 M. chlorine, fluorine, bromine, iodine, difluoromethyl, trifluoromethyl, trifluoromethylsulfanyl or trifluoromethylsulfonyl; or
- N. fluorine, chlorine, bromine, iodine, trifluoromethylsulfanyl, trifluoromethylsulfonyl or trifluoromethyl; or
- O. trifluoromethyl, fluorine, bromine or chlorine.

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In an embodiment of each aspect of the invention, R_{2b} is

- A. hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, cyclopropylcarbonyl, C₃-C₆cycloalkylC₁-C₄alkyl optionally substituted with one or two substituents R_Z, C₁-C₃alkoxy, C₁-C₃haloalkoxy, or CN, C₁-C₄alkylsulfanyl optionally substituted by one to three substituents R_X, C₁-C₄alkylsulfonyl optionally substituted by one to three substituents R_X, or C₁-C₄alkylsulfinyl optionally substituted by one to three substituents R_X; or
- B. hydrogen, halogen, C₃-C₄cycloalkyl, cyclopropylcarbonyl, C₃-C₄cycloalkyl-C₁-C₂alkyl optionally substituted with one to two substituents selected from oxo, halogen, C₁-C₃alkyl and C₁-C₃haloalkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃haloalkylsulfonyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, or CN; or
- C. halogen, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃haloalkylsulfonyl, or C₁-C₃haloalkoxy; or
- D. halogen, C₁-C₂haloalkyl, C₁-C₂haloalkylsulfanyl, C₁-C₂haloalkylsulfonyl, or C₁-C₂haloalkoxy; or
- E. chlorine, fluorine, bromine, iodine, difluoromethyl, trifluoromethyl, trifluoromethylsulfanyl, trifluoromethylsulfonyl; or
- F. fluorine, chlorine, bromine, iodine, trifluoromethylsulfanyl, trifluoromethylsulfonyl or trifluoromethyl; or
- G. trifluoromethyl, fluorine, bromine or chlorine.

In an embodiment of each aspect of the invention, R₃ is

- A. C₁-C₃alkyl or C₁-C₃haloalkyl; or
- B. methyl.

In an embodiment of each aspect of the invention, R_{4a} is

- A. hydrogen, C₁-C₃alkyl, or C₁-C₃haloalkyl; or
- B. hydrogen, methyl, ethyl, trifluoromethyl, difluoromethyl, , 2,2,2-trifluoroethyl or 2,2-difluoroethyl; or
- C. hydrogen, methyl, or ethyl; or
- D. hydrogen.

In an embodiment of each aspect of the invention, R_{4b} is

- A. hydrogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 to 3 substituents independently selected from R₆, C₂-C₄alkenyl, C₂-C₆haloalkenyl, C₂-C₄alkynyl, C₁-C₃alkoxyC₁-C₄alkyl-, cyanoC₁-C₃alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R₇, phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₈, heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R₉, heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₀, heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, heteroarylC₁-C₂alkyl-,

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heteroarylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₂, or oxetanyl; or

B. C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 to 3 substituents independently selected from R₆, C₂-C₄alkenyl, C₂-C₆haloalkenyl, C₂-C₄alkynyl, C₁-C₃alkoxyC₁-C₄alkyl-, cyanoC₁-C₃alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R₇, phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₈, heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R₉, heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₀, heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, heteroarylC₁-C₂alkyl-, or heteroarylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₂, or oxetanyl; or

C. C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 to 3 substituents independently selected from R₆, C₂-C₄alkenyl, C₁-C₃alkoxyC₁-C₄alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R₇, phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₈, heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R₉, heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₀, heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, heteroarylC₁-C₂alkyl-, or heteroarylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₂, or oxetanyl; or

D. C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₃-C₄cycloalkyl, C₃-C₄cyanocycloalkyl C₂-C₄alkenyl, C₁-C₃alkoxyC₁-C₄alkyl-, heteroaryl, or heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, or oxetanyl; or

E. methyl, ethyl, propyl, cyanoethyl, cyanopropyl, cyano-1-methylethyl, methoxypropyl, methoxybutyl, methoxy-1-methyl-ethyl, methoxy-1-methyl-ethyl, methoxy-1,1-dimethyl-ethyl, cyclopropyl, cyanocyclopropyl, propylene, methoxyethyl, pyridinyl, pyridinyl substituted with 1 to 3 substituents independently selected from R₁₁, pyrimidinyl, pyrimidinyl substituted with 1 to 3 substituents independently selected from R₁₁, or oxetanyl; or

F. methyl, ethyl, propyl, cyanoethyl, cyano-1-methylethyl, methoxy-1-methyl-ethyl, methoxy-1,1-dimethyl-ethyl, cyclopropyl, 1-cyanocyclopropyl, propylene, methoxyethyl, pyridinyl, pyridinyl substituted with 1 to 3 substituents independently selected from cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl. C₁-C₃alkoxy and C₁-C₃haloalkoxy, pyrimidinyl, pyrimidinyl substituted with 1 to 3 substituents independently selected from cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl. C₁-C₃alkoxy and C₁-C₃haloalkoxy, or oxetan-3-yl;.

In an embodiment of each aspect of the invention, R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form

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- A. a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from R₁₃, and r is 0, 1 or 2; or
- 5 B. a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy, and r is 0, 1 or 2; or
- 10 C. 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy, and r is 0, 1 or 2.

In an embodiment of each aspect of the invention, R_{5a} and R_{5b}, independent of each other, are

- 15 A. selected from hydrogen, halogen C₁-C₃alkyl, C₁-C₃alkoxy, and C₁-C₃haloalkoxy; or
- B. selected from hydrogen, halogen, methyl, methoxy, and halomethoxy; or
- C. selected from hydrogen, Cl, methyl, methoxy, and OCF₂H; or
- D. selected from methyl and hydrogen.

20 In an embodiment of each aspect of the invention, R_{5a} is methyl and R_{5b} is hydrogen.

In an embodiment of each aspect of the invention, R_{5a} is hydrogen and R_{5b} is hydrogen.

In an embodiment of each aspect of the invention, R₆ is

- 25 A. cyano, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, or C₁-C₃alkoxy; or
- B. cyano, bromine, chlorine, fluorine, oxo (=O), methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, or methoxy; or
- C. cyano, chlorine, fluorine, oxo (=O), methyl, trifluoromethyl, or difluoromethyl.

In an embodiment of each aspect of the invention, R₇ is

- 30 A. cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy or C₁-C₃haloalkoxy; or
- B. cyano, bromine, chlorine, fluorine, methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, methoxy, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy; or
- C. cyano, chlorine, fluorine, methyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy.

35 In an embodiment of each aspect of the invention, R₈ is

- A. cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy or C₁-C₃haloalkoxy; or
- B. cyano, bromine, chlorine, fluorine, methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, methoxy, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy; or

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- C. cyano, chlorine, fluorine, methyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy.

In an embodiment of each aspect of the invention, R₉ is

- 5 A. cyano, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, or C₁-C₃alkoxy; or
 B. cyano, bromine, chlorine, fluorine, oxo (=O), methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, or methoxy; or
 C. cyano, chlorine, fluorine, oxo (=O), methyl, trifluoromethyl, or difluoromethyl.

10 In an embodiment of each aspect of the invention, R₁₀ is

- A. cyano, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, or C₁-C₃alkoxy; or
 B. cyano, bromine, chlorine, fluorine, oxo (=O), methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, or methoxy; or
 C. cyano, chlorine, fluorine, oxo (=O), methyl, trifluoromethyl, or difluoromethyl.

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In an embodiment of each aspect of the invention, R₁₁ is

- A. cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy or C₁-C₃haloalkoxy; or
 B. cyano, bromine, chlorine, fluorine, methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, methoxy, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy; or
 C. cyano, chlorine, fluorine, methyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy.

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In an embodiment of each aspect of the invention, R₁₂ is

- A. cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy or C₁-C₃haloalkoxy; or
 B. cyano, bromine, chlorine, fluorine, methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, methoxy, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy; or
 C. cyano, chlorine, fluorine, methyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy.

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30 In an embodiment of each aspect of the invention, R₁₃ is

- A. cyano, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, or C₁-C₃alkoxy; or
 B. cyano, bromine, chlorine, fluorine, oxo (=O), methyl, ethyl, propyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethyl, 2,2-difluoroethyl, or methoxy; or
 C. cyano, chlorine, fluorine, oxo (=O), methyl, trifluoromethyl, or difluoromethyl.

35

In an embodiment of each aspect of the invention, R_x is independently selected from

- A. halogen, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy or CN; or
 B. F, Cl, Br, OCF₂H, OCH₃ or CN.

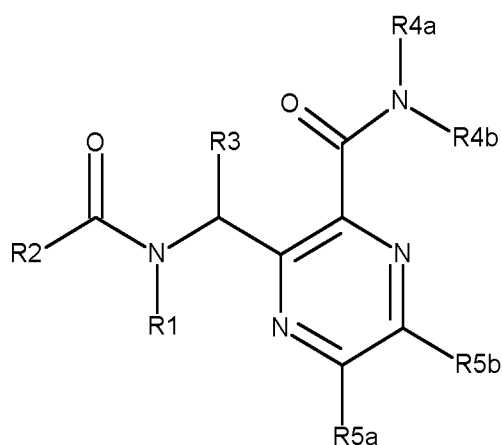
-16-

In an embodiment of each aspect of the invention, R_z is independently selected from

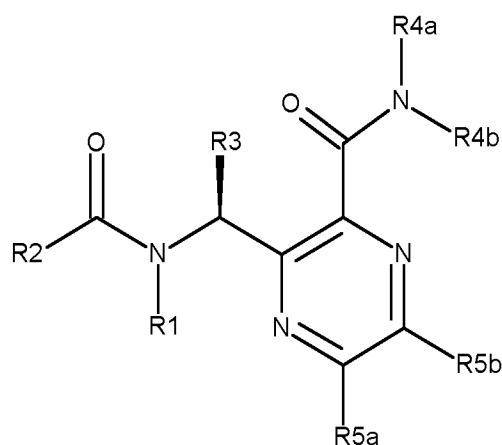
- A. oxo, halogen, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy or CN; or
- B. oxo, F, Cl, Br, OCF₂H, OCH₃ or CN.

- 5 The present invention, accordingly, makes available a compound of formula I having the substituents R₁, R_{2a}, R_{2b}, R₃, R_{4a}, R_{4b}, R_{5a}, R_{5b}, and A as defined above in all combinations / each permutation. Accordingly, made available, for example, is a compound of formula I with A being of the first aspect (i.e. A is N or C-R_{2c}, where R_{2c} is H, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, or C₁-C₃haloalkoxy); R₁ being embodiment G (i.e. hydrogen, methyl, ethyl, cyanomethyl, methoxymethyl, cyclopropyl-methyl, allyl, propargyl, benzyloxycarbonyl, or benzyl); R_{2a} being an embodiment J (i.e. hydrogen, halogen, C₃-C₄cycloalkyl, C₃-C₄cycloalkylcarbonyl, C₃-C₄cycloalkyl-C₁-C₂alkyl optionally substituted with one to two substituents selected from oxo, halogen, C₁-C₃alkyl and C₁-C₃haloalkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfanyl, C₁-C₃haloalkylsulfonyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, or CN); R_{2b} being embodiment F (i.e. fluorine, chlorine, bromine, iodine, trifluoromethylsulfanyl, trifluoromethylsulfonyl or trifluoromethyl); R₃ being embodiment B (i.e. methyl); R_{4a} being embodiment C (i.e. hydrogen, methyl, or ethyl); R_{4b} being embodiment E (i.e. methyl, ethyl, propyl, cyclopropyl, propylene, methoxyethyl, pyridinyl, pyridinyl substituted with 1 to 3 substituents independently selected from R₁₁, pyrimidinyl or pyrimidinyl substituted with 1 to 3 substituents independently selected from R₁₁, where R₁₁, independent of the heteroaryl group, is embodiment C (i.e. cyano, chlorine, fluorine, methyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethoxy, or 2,2-difluoroethoxy); R_{5a} being embodiment A (i.e. selected from hydrogen, halogen C₁-C₃alkyl, C₁-C₃alkoxy, and C₁-C₃haloalkoxy); and R_{5b} being embodiment C (i.e. selected from hydrogen, Cl, methyl, methoxy, and OCF₂H).

In an embodiment, the compound of formula I can be represented as



I-A or



I'-A

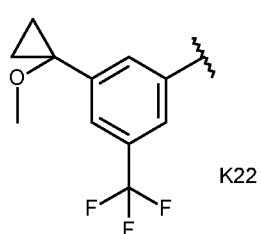
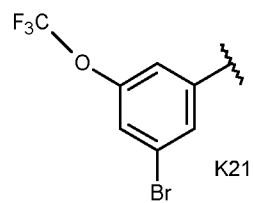
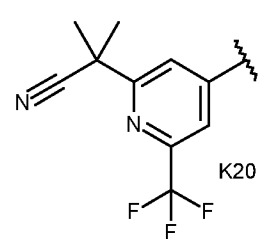
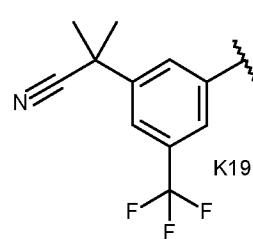
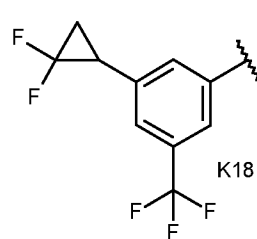
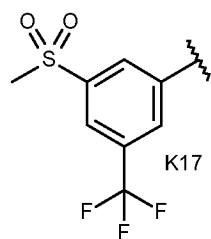
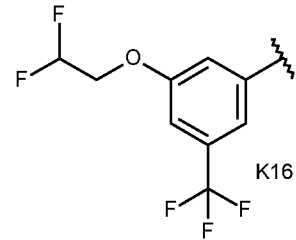
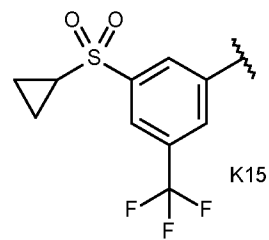
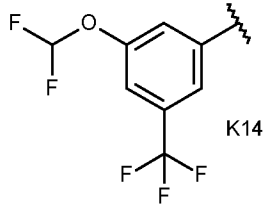
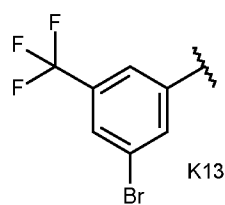
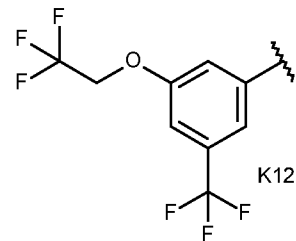
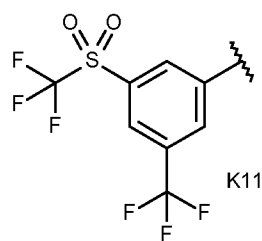
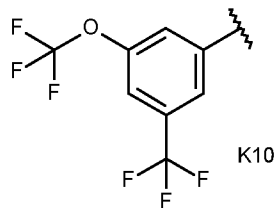
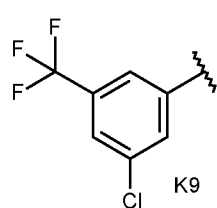
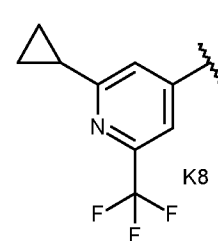
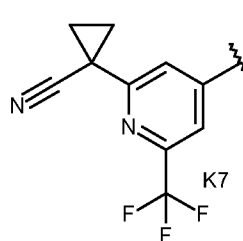
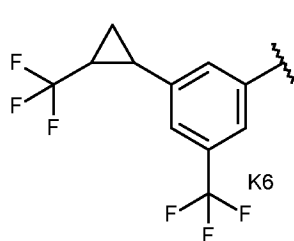
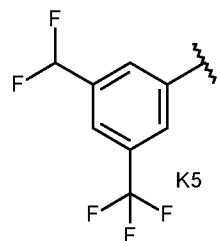
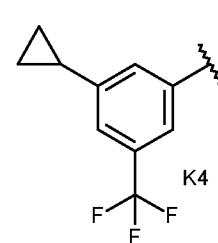
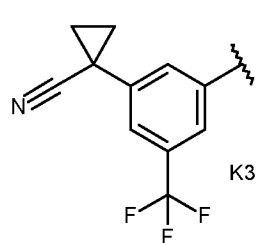
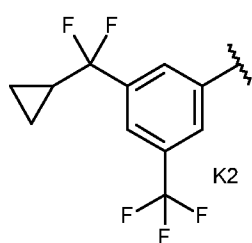
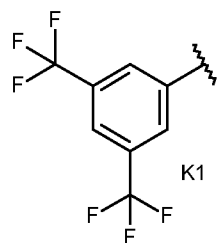
wherein R₁, R₃, R_{4a}, R_{4b}, R_{5a}, and R_{5b} are as defined in the first aspect, and R₂ is the the cyclic group containing A and the substituents R_{2a} and R_{2b} as defined in the first aspect.

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In an embodiment of each aspect of the invention, the R_2 (the cyclic group containing A and the substituents R_{2a} and R_{2b}) is

A. selected from K-1 to K-22

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B. selected from K-1, K-2, K-3, K-5, K-6, K-7, K-9, K-10, K-11, K-12, K-13, K-14, K-16, K-18, K-21 and K-22; or

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C. selected from K-1, K-2, K-5, K-7, K-9, K-10, K-11, K-12, K-13, K-14, K-16, K-18, and K-21; or

D. selected from K-1, K-2, K-5, K-7, K-9, K-10, K-11, K-12, K-14, K-16, K-18, and K-21; or

E. selected from K-1, K-2, K-7, K-9, K-10, K-11, K-18 and K-21; or

F. selected from K-1, K-2, K-7, K-9, K-10, K-11 and K-21; or

5 G. selected from K-1, K-2, K-7, K-9, K-10, and K-11; or

H. K-1; or

I. K-2; or

J. K-7; or

K. K-9; or

10 L. K-10; or

M. K-11.

In an embodiment of each aspect of the invention, the compound of formula I-A or I'-A has as R₁ hydrogen, methyl, propargyl or cyclopropylmethyl; as R₂ one of K-1 to K-22; as R₃ methyl; as R_{5a} and
 15 R_{5b}, independently selected from hydrogen, OMe, OCHF₂, Me, and Cl; as R_{4a} selected from the group consisting of hydrogen, C₁-C₆alkyl, and C₁-C₆haloalkyl; and as R_{4b} selected from the group consisting of hydrogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 to 3
 substituents independently selected from R₆, C₂-C₄alkenyl, C₂-C₆haloalkenyl, C₂-C₄alkynyl, C₁-
 C₃alkoxyC₁-C₄alkyl-, cyanoC₁-C₃alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently
 20 selected from R₇, phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with 1 to 3 substituents independently
 selected from R₈, heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected
 from R₉, heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents
 independently selected from R₁₀, heteroaryl, heteroaryl substituted with 1 to 3 substituents
 independently selected from R₁₁, heteroarylC₁-C₂alkyl-, heteroarylC₁-C₂alkyl- substituted with 1 to 3
 25 substituents independently selected from R₁₂, or oxetanyl; or R_{4a} and R_{4b} together with the nitrogen atom
 to which they are attached form a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2
 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl
 moiety is optionally substituted by 1 or 2 substituents independently selected from R₁₃, and r is 0, 1 or
 2; wherein R₆ and R₁₃ are independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-
 C₃haloalkyl, and C₁-C₃alkoxy; R₇ and R₈ are independently selected from cyano, OH, halogen, C₁-
 C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy; R₉, independent of the heterocyclyl group,
 and R₁₀, independent of the heterocyclylC₁-C₂alkyl- group, are independent of each other selected from
 cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy; and R₁₁, independent of the
 heteroaryl group, and R₁₂, independent of the heteroarylC₁-C₂alkyl- group, are independent of each
 35 other selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy.

In an embodiment of each aspect of the invention, the compound of formula I-A or I'-A has as R₁ hydrogen, methyl, propargyl or cyclopropyl-methyl; as R₂ one of K-1 to K-22; as R₃ methyl; as R_{5a} and
 R_{5b} each hydrogen; as R_{4a} selected from the group consisting of hydrogen, C₁-C₆alkyl, and C₁-

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C₆haloalkyl; and as R_{4b} selected from the group consisting of hydrogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 to 3 substituents independently selected from R₆, C₂-C₄alkenyl, C₂-C₆haloalkenyl, C₂-C₄alkynyl, C₁-C₃alkoxyC₁-C₄alkyl-, cyanoC₁-C₃alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R₇, phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₈, heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R₉, heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₀, heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, heteroarylC₁-C₂alkyl-, heteroarylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₂, or oxetanyl; or R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from R₁₃, and r is 0, 1 or 2; wherein R₆ and R₁₃ are independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy; R₇ and R₈ are independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy; R₉, independent of the heterocyclyl group, and R₁₀, independent of the heterocyclylC₁-C₂alkyl- group, are independent of each other selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy; and R₁₁, independent of the heteroaryl group, and R₁₂, independent of the heteroarylC₁-C₂alkyl- group, are independent of each other selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy.

In an embodiment of each aspect of the invention, the compound of formula I-A or I'-A has as R₁ hydrogen, methyl, propargyl or cyclopropyl-methyl; as R₂ one of K-1 to K-22; as R₃ methyl; as R_{5a} hydrogen; as R_{5b} hydrogen or methyl; as R_{4a} selected from the group consisting of hydrogen, C₁-C₃alkyl, or C₁-C₃haloalkyl; and as R_{4b} selected from C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₃-C₄cycloalkyl, C₃-C₄cycloalkyl substituted with 1 to 3 substituents independently selected from R₆, C₂-C₄alkenyl, C₁-C₃alkoxyC₁-C₄alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R₇, phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₈, heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R₉, heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₀, heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, heteroarylC₁-C₂alkyl-, or heteroarylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R₁₂, or oxetanyl; or R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from R₁₃, and r is 0, 1 or 2; wherein R₆ and R₁₃ are independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy; R₇ and R₈ are independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy; R₉, independent of the heterocyclyl group,

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and R₁₀, independent of the heterocyclylC₁-C₂alkyl- group, are independent of each other selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy; and R₁₁, independent of the heteroaryl group, and R₁₂, independent of the heteroarylC₁-C₂alkyl- group, are independent of each other selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy.

In an embodiment of each aspect of the invention, the compound of formula I-A or I'-A has as R₁ hydrogen, methyl, propargyl or cyclopropyl-methyl; as R₂ one of K-1 to K-22; as R₃ methyl; as R_{5a} hydrogen; as R_{5b} hydrogen or methyl; as R_{4a} hydrogen, C₁-C₃alkyl, or C₁-C₃haloalkyl; and as R_{4b} selected from C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₃-C₄cycloalkyl, C₃-C₄cyanocycloalkyl, C₂-C₄alkenyl, C₁-C₃alkoxyC₁-C₄alkyl-, heteroaryl, or heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, or oxetanyl; or R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy, and r is 0, 1 or 2; wherein R₁₁, independent of the heteroaryl group, is selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy.

In an embodiment of each aspect of the invention, the compound of formula I-A or I'-A has as R₁ hydrogen, methyl, propargyl or cyclopropyl-methyl; as R₂ one of K-1, K-2, K-7, K-9, K-19 or K-11; as R₃ methyl; as R_{5a} hydrogen; as R_{5b} hydrogen or methyl; as R_{4a} hydrogen, C₁-C₃alkyl, or C₁-C₃haloalkyl; and as R_{4b} selected from C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₃-C₄cycloalkyl, C₃-C₄cyanocycloalkyl, C₂-C₄alkenyl, C₁-C₃alkoxyC₁-C₄alkyl-, oxetanyl, heteroaryl, or heteroaryl substituted with 1 to 3 substituents independently selected from cyano, chlorine, fluorine, methyl, trifluoromethyl, difluoromethyl, 2,2,2-trifluoroethoxy and 2,2-difluoroethoxy; or R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy, and r is 0, 1 or 2.

In an embodiment of each aspect of the invention, the compound of formula I-A or I'-A has as R₁ hydrogen, methyl, propargyl or cyclopropyl-methyl; as R₂ one of K-1, K-2, K-7, K-9, K-19 or K-11; as R₃ methyl; as R_{5a} hydrogen; as R_{5b} hydrogen or methyl; as R_{4a} hydrogen; and as R_{4b} selected from C₁-C₃alkyl, C₁-C₃cyanoalkyl, C₃-C₄cycloalkyl, C₃-C₄cyanocycloalkyl, and C₁-C₃alkoxyC₁-C₄alkyl.

In a second aspect, the present invention makes available a composition comprising a compound of formula I as defined in the first aspect, one or more auxiliaries and diluent, and optionally one or more other active ingredient.

In a third aspect, the present invention makes available a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound as defined in the first aspect or a composition as defined in the second aspect.

In a fourth aspect, the present invention makes available a method for the protection of plant propagation material from the attack by insects, acarines, nematodes or molluscs, which comprises treating the propagation material or the site, where the propagation material is planted, with an effective amount of a compound of formula I as defined in the first aspect or a composition as defined in the second aspect.

In 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 as defined in the first aspect or a composition as defined in the second aspect.

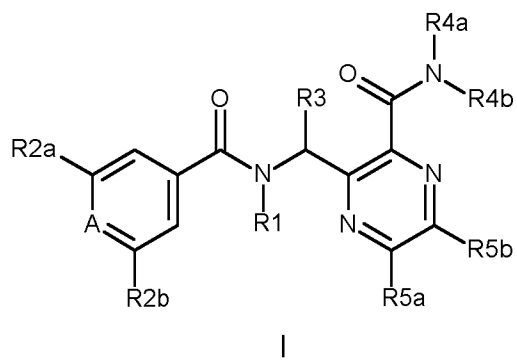
The present invention in a further aspect provides a method of controlling parasites in or on an animal in need thereof comprising administering an effective amount of a compound of the first aspect. The present invention further provides a method of controlling ectoparasites on an animal in need thereof comprising administering an effective amount of a compound of formula I as defined in the first aspect. The present invention further provides a method for preventing and/or treating diseases transmitted by ectoparasites comprising administering an effective amount of a compound of formula I as defined in the first aspect, to an animal in need thereof.

Compounds of formula I can be prepared by those skilled in the art following known methods. More specifically compounds of formulae I, and I'a, and intermediates therefor can be prepared as described below in the schemes and examples. Certain stereogenic centers have been left unspecified for the clarity and are not intended to limit the teaching of the schemes in any way.

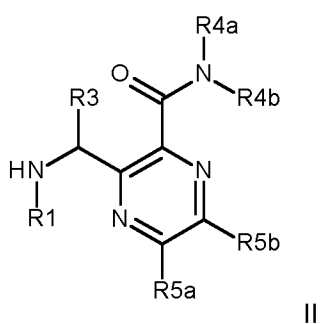
The process according to the invention for preparing compounds of formula I is carried out by methods known to those skilled in the art.

Compounds of formula I

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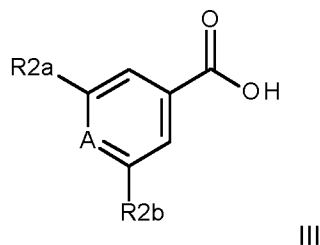


can be prepared by reaction of an amine of formula II



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wherein R₁, R₃, R_{4a}, R_{4b}, R_{5a}, and R_{5b} are as described in formula I, with a carboxylic acid derivative of formula III

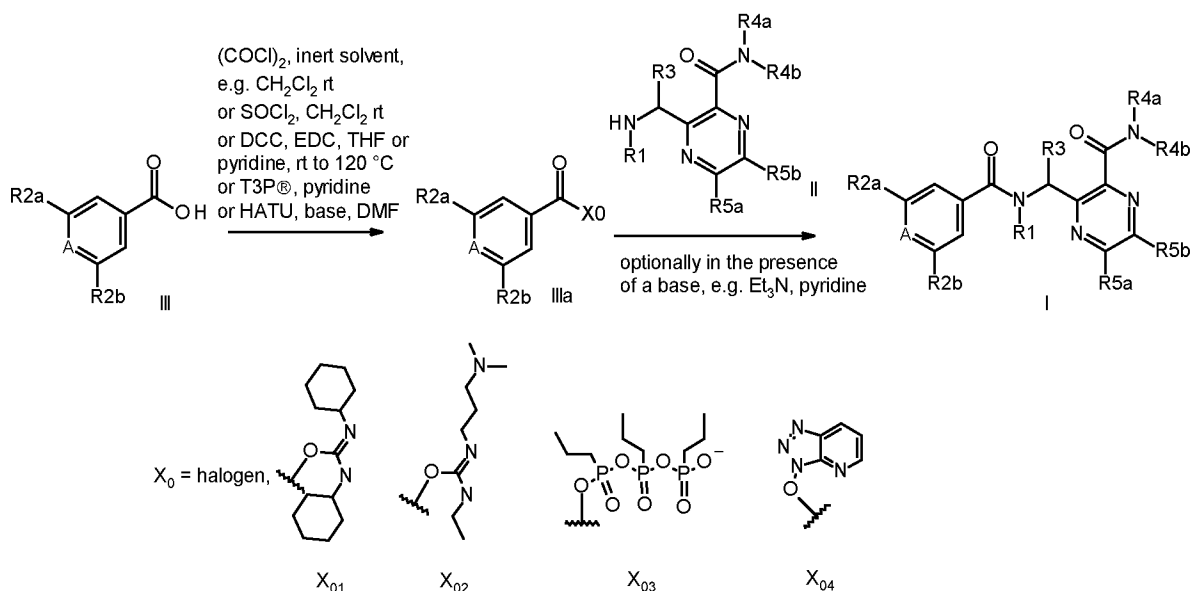


wherein A, R_{2a} and R_{2b} are described as above under formula I. The chemistry is described in more detail in Scheme 1.

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Scheme 1:

-24-

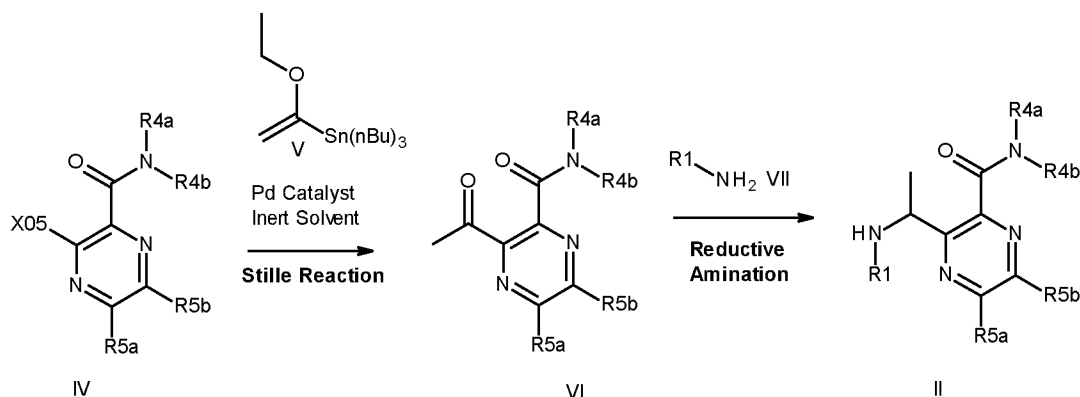


In Scheme 1 compounds of formula III, wherein A, R_{2a} and R_{2b} are described in formula I, are activated to compounds of formula IIIa by methods known to those skilled in the art and described for example in *Tetrahedron*, 61 (46) , 10827-10852, 2005. For example, compounds where X₀ is halogen are formed by treatment of compounds of formula III with for example, oxalyl chloride or thionyl chloride in the presence of catalytic quantities of DMF in inert solvents such as methylene dichloride or THF at temperatures between 20 °C to 100 °C., preferably 25 °C. Treatment of IIIa with compounds of formula II, wherein R₁, R₃, R_{4a}, R_{5a}, and R_{5b} are as defined in formula I, optionally in the presence of a base, e.g. triethylamine or pyridine leads to compounds of formula I. Alternatively, compounds of formula I can be prepared by treatment of compounds of formula III with dicyclohexyl carbodiimide (DCC) or 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide (EDC) to give the activated species IIIa, wherein X₀ is X₀₁ or X₀₂, in an inert solvent, e.g. pyridine, or THF optionally in the presence of a base, e.g. triethylamine, at temperatures between 50-180 °C. In addition, an acid of the formula III can also be activated by reaction with a coupling reagent such as propanephosphonic acid anhydride (T3P®) or O-(7-Aza-1-benzotriazolyl)-N,N,N',N'-tetramethyluronium-hexafluorophosphat (HATU) to provide compounds of formula IIIa wherein X₀ is X₀₃ and X₀₄ as described for example in *Synthesis* 2013, 45, 1569 and *Journal Prakt. Chemie* 1998, 340, 581. Subsequent reaction with an amine of the formula II provides compounds of formula I.

Intermediates of formula II, wherein R₁, R_{4a}, R_{4b}, R_{5a} and R_{5b} are as defined in formula I can be prepared according to Scheme 2:

Scheme 2:

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$X_{05} = \text{Cl, Br, I, OMs, OTs or OTf}$

In Scheme 2, compounds of formula II, wherein R_1 , R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in formula I, can be prepared by treatment of compounds of formula VI, wherein R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in formula I, with compounds of formula VII (wherein R_1 is as defined in formula I), e.g. in the presence of

5 $\text{NaBH}(\text{OAc})_3$ or NaBH_3CN , preferably with NaBH_3CN as reductive reagent, in a suitable solvent, preferably in acetic acid at room temperature analog to WO2002/088073, page 35. Alternatively, another reagent system for the reductive amination uses a combination of $\text{Ti}(\text{i-OiPr})_4$ and NaBH_4 in the presence of an amine of formula VII can also provide compounds of formula II (see Synthesis **2003** (14), 2206).

- 10 Compounds of formula VI, wherein R_{4a} , R_{4b} , R_{5a} , and R_{5b} are as defined in formula I, can be prepared by a Stille reaction between compounds of formula IV, wherein X_{05} is a leaving group, such as chlorine, bromine, iodine, arylsulfonate, alkylsulfonate or trifluoromethanesulfonate and R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in formula I, and tin compounds of formula V in the presence of a palladium catalyst, for example
- 15 *tetrakis*(triphenylphosphine)palladium(0), or (1,1'-bis(diphenylphosphino)-ferrocene)dichloropalladium-dichloromethane (1:1 complex), in an inert solvent, such as DMF, acetonitrile, or dioxane, optionally in the presence of an additive, such as potassium, cesium fluoride, or lithium chloride, and optionally in the presence of a further catalyst, for example copper(I)iodide. Such Stille coupling reactions are well known to those skilled in the art, and have been described in for example J. Org. Chem., 2005, 70, 8601, J. Org. Chem., 2009, 74, 5599, Angew. Chem. Int. Ed., 2004,
- 20 43, 1132, Heterocycles 2010, 80, 1215 and J. Am. Chem. Soc. 2004, 126, 16433.

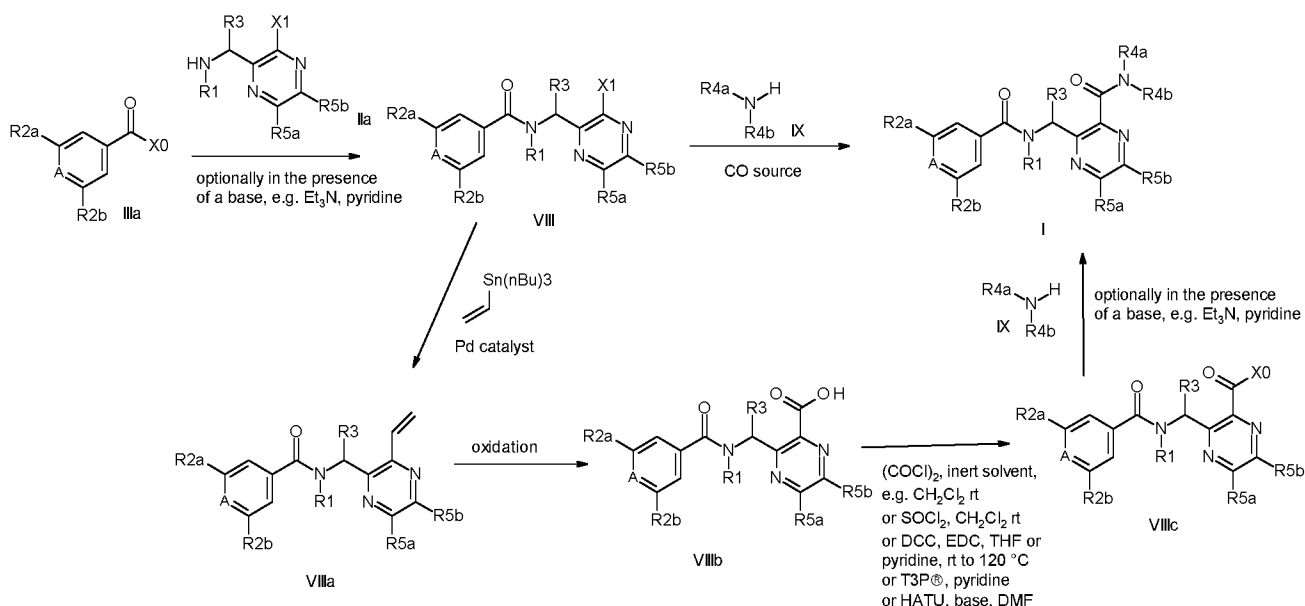
The required intermediates of formula IV can be prepared according to well-known methods as described for example in Molecules, 2015, 20, 8687.

- 25 In an alternative process (scheme 3), compounds of formula III, wherein A, R_{2a} and R_{2b} are described in formula I, are activated to compounds of formula IIIa by methods known to those skilled in the art and described for example in *Tetrahedron*, 61 (46), 10827-10852, 2005. Treatment of IIIa with compounds of formula IIa, wherein R_1 , R_3 , R_{5a} , and R_{5b} are as defined in formula I and X_1 is a leaving group, such as chlorine, bromine, iodine, OMs, OTf, OTs, optionally in the presence of a base, e.g. triethylamine or
- 30 pyridine leads to compounds of formula VIII which is then reacted with compound of formula IX in

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presence of carbon monoxide source for example carbon monoxide gas, molybdenum hexacarbonyl in an inert solvent such as tetrahydrofuran. Such reactions are well known to those skilled in the art and have been described in for example Journal of Molecular Catalysis, 1991, 66(3), 277-88. Alternatively compounds of formula VIII is treated with tributyl vinyl stannane in presence of a palladium catalyst, for example *tetrakis*(triphenylphosphine)palladium(0), or (1,1'-bis(diphenylphosphino)-ferrocene)dichloropalladium-dichloromethane (1:1 complex), in an inert solvent, such as DMF, acetonitrile, or dioxane, optionally in the presence of an additive, such as potassium, cesium fluoride, or lithium chloride, and optionally in the presence of a further catalyst, for example copper(I)iodide to give compounds of formula VIIIa. Such Stille coupling reactions are well known to those skilled in the art, and have been described in for example J. Org. Chem., **2005**, 70, 8601, J. Org. Chem., **2009**, 74, 5599, Angew. Chem. Int. Ed., **2004**, 43, 1132, Heterocycles **2010**, 80, 1215 and J. Am. Chem. Soc. **2004**, 126, 16433. Compounds of formula VIIIa wherein A, R₁, R_{2a}, R_{2b}, R₃, R_{5a} and R_{5b} are described in formula I, are oxidized to compounds of formula VIIIb by methods known to those skilled in the art and described for example in Journal of Medicinal chemistry., **2014**, 57(1), 110-130. Compounds of formula VIIIb, are activated to compounds of formula VIIIc (where X₀ is halogen) by methods known to those skilled in the art and described for example in *Tetrahedron*, 61 (46), 10827-10852, 2005. For example, compounds of formula VIIIc where X₀ is halogen are formed by treatment of compounds of formula VIIIb with for example, oxalyl chloride or thionyl chloride in the presence of catalytic quantities of DMF in inert solvents such as methylene dichloride or THF at temperatures between 20 °C to 100 °C, preferably 25 °C. Treatment of VIIIc with compounds of formula IX, wherein R_{4a} and R_{4b} are as defined in formula I, optionally in the presence of a base, e.g. triethylamine or pyridine leads to compounds of formula I.

Scheme 3:



Intermediates of formula IIa, wherein R₁, R₃, R_{5a} and R_{5b} are as defined in formula I and X₁ is OMs OTf, OTs, Cl, or Br, can be prepared according to Scheme 4 by treatment of compound of formula

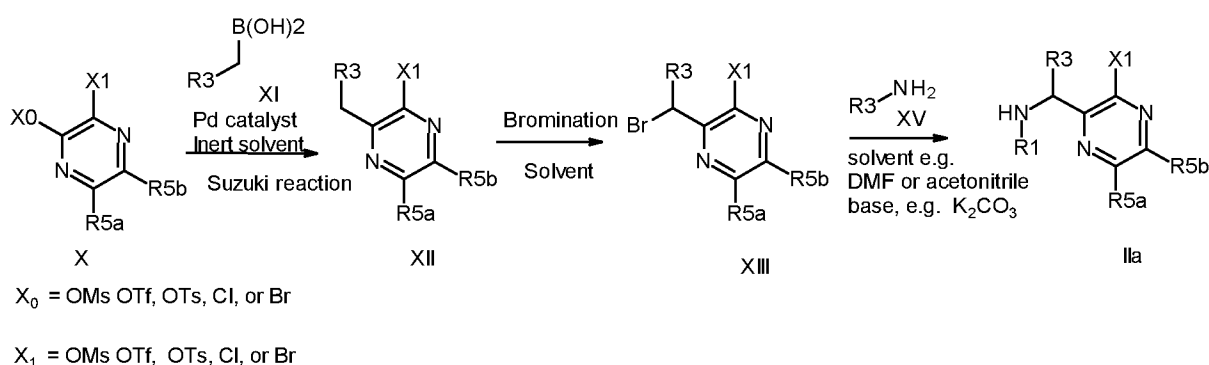
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XIII wherein R_{5a} , R_{5b} and R_3 are as defined in formula I with compound of formula XV in presence of suitable solvent preferably DMF or acetonitrile in presence of suitable base, preferably potassium carbonate. Compound of formula XIII wherein R_3 , R_{5a} and R_{5b} are as defined in formula I is prepared by treatment of compound X (where R_{5a} and R_{5b} are as defined in formula 1) with compound of

5 formula XI (where R_3 is as defined in formula I) in the presence of a palladium catalyst and suitable solvent (Suzuki reaction) to give compound of formula XII which is then treated with suitable brominating reagent preferably NBS in presence of suitable solvent preferably toluene to give compound of formula XIII. Such processes have been described, for example, in WO2011153509, WO2010107969.

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Scheme 4:

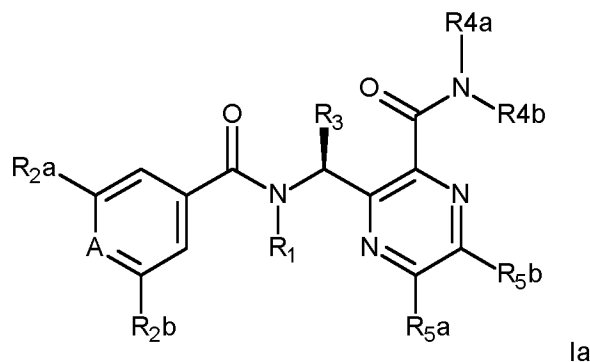


Compounds of formula III, wherein A, R_{2a} and R_{2b} are described in formula I, are activated to compounds

15 of formula IIIa by methods known to those skilled in the art and described for example in *Tetrahedron*, 61 (46) , 10827-10852, 2005. Treatment of IIIa with compounds of formula IIa, wherein R_1 , R_3 , R_{5a} , and R_{5b} are as defined in formula I and X_1 is OMs, OTf, OTs, Cl, or Br, optionally in the presence of a base, e.g. triethylamine or pyridine leads to compounds of formula VIII which is then reacted with compound

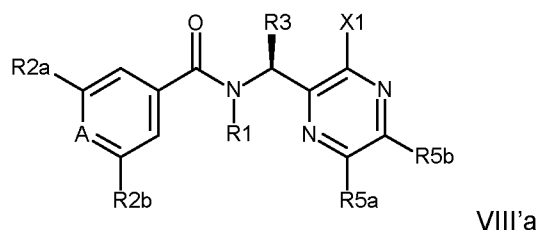
20 of formula IX in presence of carbon monoxide source for example carbon monoxide gas, molybdenum hexacarbonyl in an inert solvent such as tetrahydrofuran. Such reactions are well known to those skilled in the art and have been described in for example Journal of Molecular Catalysis, 1991, 66(3), 277-88.

Compounds of formula Ia (referred above as I'a)



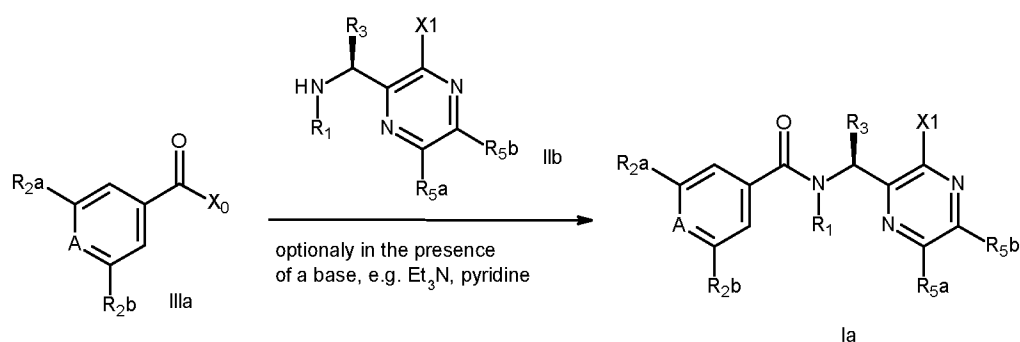
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can be prepared from formula VIII'a



wherein R₁, R_{2a}, R_{2b}, R_{5a} and R_{5b} are as described in formula I and X₁ is OM s OTf, OTs, Cl, or Br, using the reactions as described in scheme 3.

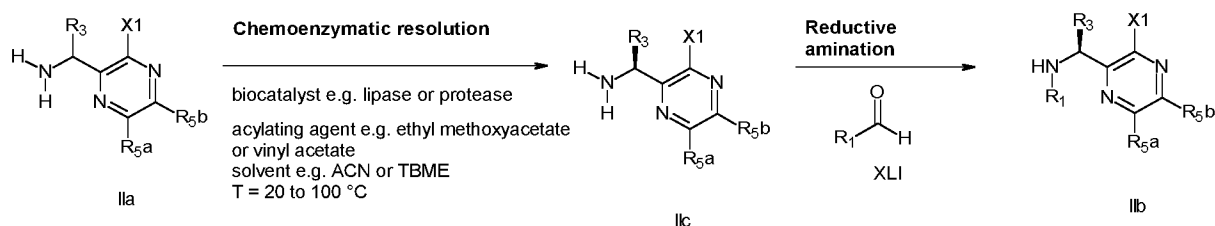
Scheme 5:



Compounds of formula VIII'a can be prepared by treatment of compounds of formula IIIa, wherein A, R_{2a}, R_{2b} are as described in formula I and X₀ is as defined in OM s OTf, OTs, Cl, or Br, with compounds of formula IIb, wherein R₁, R₃, R₄, R_{5a}, and R_{5b} are as described in formula I and X₁ is OM s OTf, OTs, Cl, or Br, under the conditions described in detail in Scheme 1. The formation of compounds of formula IIIa from compounds of formula III is described in Scheme 1.

The formation of compounds of formula IIb is outlined in Scheme 6.

Scheme 6:

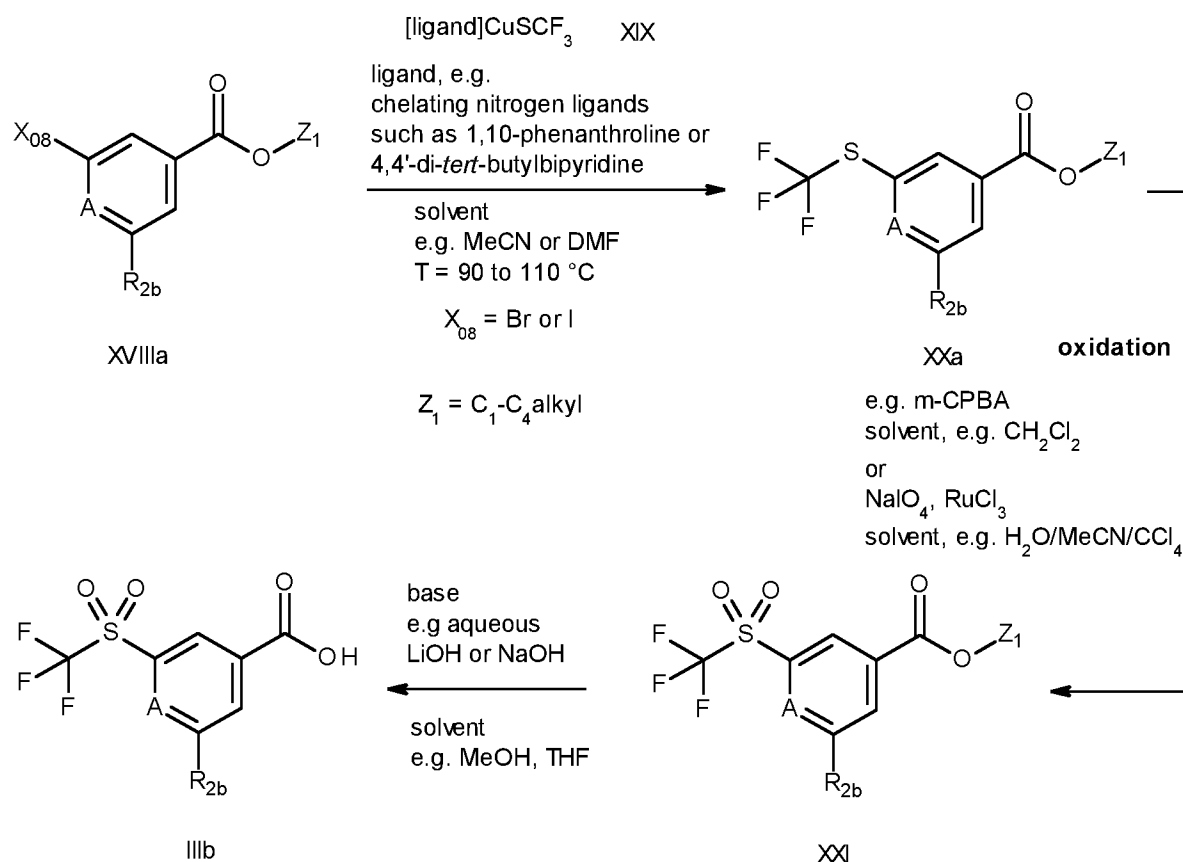


Compounds of formula IIb can be prepared by treatment of compounds of formula IIc, wherein R₃, R_{5a}, and R_{5b} are described in formula I, with compounds of formula XLI (wherein R₁ is defined in formula I), e.g. in the presence of NaBH(OAc)₃ or NaBH₃CN, in a suitable solvent, preferably in acetic acid at room temperature analog to WO2002/088073, page 35. Alternatively, another reagent system for the reductive amination uses a combination of Ti(i-OiPr)₄ and NaBH₄ (see Synthesis 2003 (14), 2206).

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Amines of formula IIc may be obtained by biocatalyzed deracemization of amines of formula IIa. This may be done for instance using a lipase, e.g. *Candida Antarctica* lipase B or *Pseudomonas fluorescens* lipase, eventually in immobilized form (e.g. Novozym® 435) in presence of an acyl donor, e.g. ethyl methoxyacetate or vinyl acetate, in a suitable solvent such as acetonitrile or methyl tert-butyl ether at temperatures between 20 °C to 100 °C. Such processes are described for instance in *J. Org. Chem.* **2007**, 72, 6918-6923 or *Adv. Synth. Catal.* **2007**, 349, 1481-1488. The expected stereochemical outcome of such enzymatic deracemization are known of those skilled in the art and are documented in the literature, for instance in *J. Org. Chem.* **1991**, 56, 2656-2665 or *J. Am. Chem. Soc.* **2015**, 137, 3996-4009.

Scheme 7:



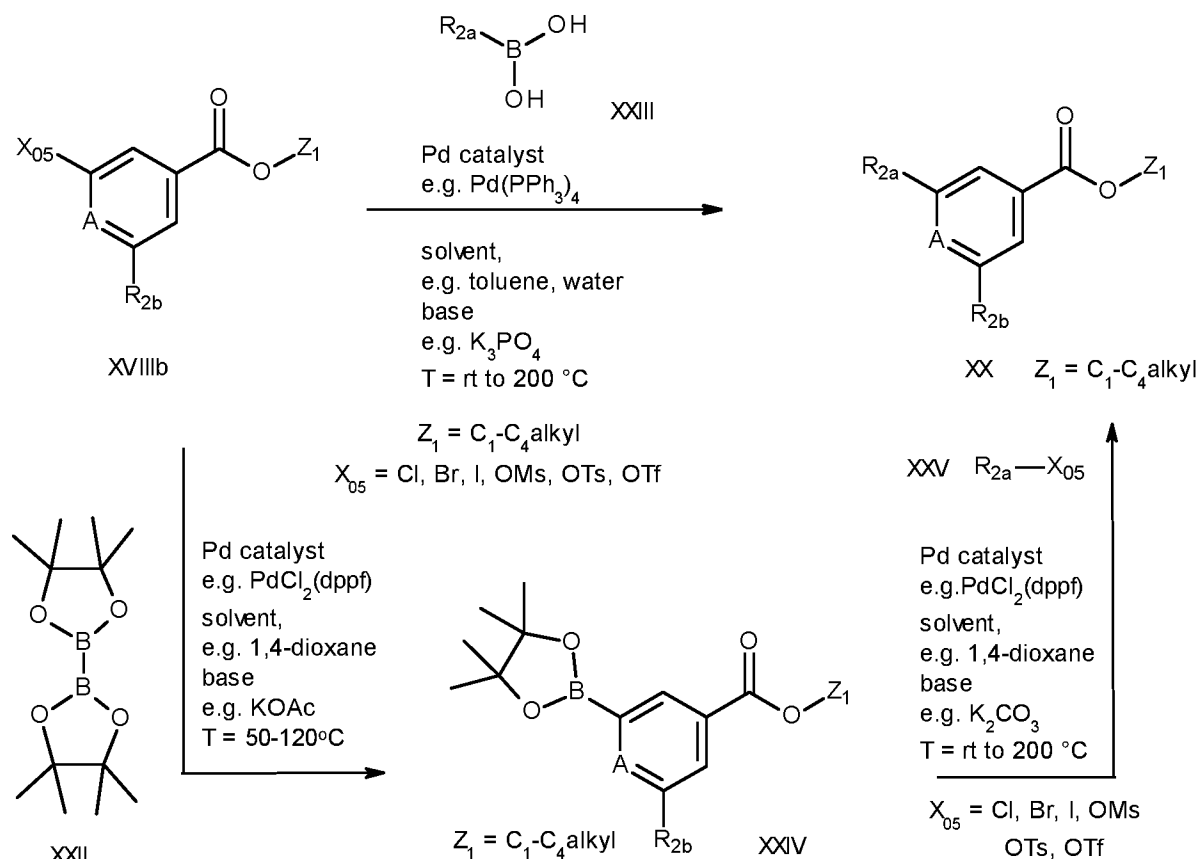
Accordingly, compounds of formula IIIb (Scheme 7), wherein R_{2b} and A are as defined in formula I, can be prepared by reaction of compounds of formula XXI (wherein R_{2b} and A are as defined in formula I and Z_1 is $\text{C}_1\text{-C}_4\text{alkyl}$) with a suitable base such as sodium or lithium hydroxide, in a suitable solvent like MeOH, THF, and water or a mixture of them, usually upon heating at temperatures between room temperature and reflux. Compounds of formula XXI are prepared through oxidation of compounds of formula XXa, e.g. with mCPBA or $\text{NaIO}_4/\text{RuCl}_3$, in a solvent, preferable CH_2Cl_2 , or CHCl_3 or a mixture of H_2O , MeCN and CCl_4 . Such transformations are known to those skilled in the art and described for example in *J. Med. Chem.* 2008, 51, 6902 or WO2004/9086, pages 24-25. Finally, compounds of formula XXa, wherein R_{2b} and A are as defined in formula I and Z_1 is $\text{C}_1\text{-C}_4\text{alkyl}$, may be prepared by

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reaction of compounds of formula XVIIIa with a suitable trifluoromethylthiolation copper reagent of formula XIX (wherein R_{2b} and A are as defined in formula I and X_{08} is Br or Cl), ligands being e.g. 1,10-phenanthroline or 4,4'-di-tert-butylbipyridine, in suitable solvents, for example, acetonitrile or DMF, usually upon heating at temperatures between 20 to 150 °C, preferably between 40 °C to the boiling point of the reaction mixture. Such processes have been described previously, for example, in Angew. Chem. Int. Ed. 2013, 52, 1548 –1552, Angew. Chem. Int. Ed. 2011, 50, 3793, Org. Lett. 2014, 16, 1744, J. Org. Chem. 2017, 82, 11915.

Further intermediates of formula XX, wherein R_{2a} , R_{2b} , and A are as defined in formula I and Z_1 is C₁-C₄alkyl, are generally known or can be easily prepared by those skilled in the art. A typical example of such a synthesis of compounds of formula XX is shown in Scheme 8.

Scheme 8:



R_{2a} is not C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl

For example, compounds of formula XX may be prepared by reaction of compounds of formula XVIIIb, wherein R_{2b} and A are as defined for formula I and X_{05} is chlorine, bromine, iodine, OMs, OTs or OTf, with compounds of formula XXIII, wherein R_{2a} is as defined in formula I, in the presence of a palladium catalyst, for example, $Pd(PPh_3)_4$, in suitable solvents, for example, toluene/water, 1,4-dioxane/water,

in the presence of a suitable base, such as sodium, potassium or caesium carbonate or tripotassium phosphate usually upon heating at temperatures between room temperature and 200 °C, preferably between 20 °C to the boiling point of the reaction mixture, optionally under microwave heating conditions. Such processes have been described previously, for example, in Tetrahedron Letters 2002, 43, 6987–6990.

Compounds of formula XX may also be prepared by reaction of compounds of formula XXIV, wherein R_{2b} and A and Z_1 are as defined in formula XX, and compounds of formula XXV, wherein R_{2a} is as defined in formula I, and X_{05} is a leaving group, for example, bromine or iodine, in the presence of a palladium catalyst, for example, $PdCl_2(dppf)$, in suitable solvents that may include, for example, toluene/water, 1,4-dioxane/water, in the presence of a suitable base, such as sodium, potassium or cesium carbonate or tripotassium phosphate usually upon heating at temperatures between room temperature and 200°C, preferably between 20°C to the boiling point of the reaction mixture, optionally under microwave heating conditions. Such processes have been described previously, for example, in WO12139775, page 73.

Compounds of formula XXIV, wherein R_{2b} and A and Z_1 are as defined in formula XX, may be prepared by reaction of compounds of formula XVIIIb, wherein R_{2b} and A and Z_1 are as defined in formula XXIV, and X_{05} is Cl, Br, I, OMs, OTs or OTf, with compound of formula XXII, e.g. bis(pinacolato)diboron ($Bpin$)₂, in the presence of a palladium catalyst, for example, $PdCl_2(dppf)$, in suitable solvents that may include, for example, toluene/water, 1,4-dioxane/water, in the presence of a suitable base, such as sodium, potassium or cesium carbonate or potassium acetate, usually upon heating at temperatures between room temperature and 200 °C, preferably between 20 °C to the boiling point of the reaction mixture, optionally under microwave heating conditions. Such processes have been described previously, for example, in Bioorg. Med. Chem. Lett. 2015, 25, 1730, and WO12139775, page 67.

Carboxylic acids of formula III may be prepared from compound of formula XXVIII as outlined in Scheme 7, by treatment with, for example aqueous LiOH, NaOH or KOH, in suitable solvents that may include, for example, THF/MeOH mixture, usually upon heating at temperatures between room temperature and 100°C, preferably between 20 °C to the boiling point of the reaction mixture (see also Scheme 9).

Compounds of formula XXVIII (Scheme 9), wherein, R_{2b} and A are defined in formula I and Z_1 is C₁-C₄alkyl, may be prepared by treatment of compounds of formula XXVII, which are either commercially available or can be prepared by methods known to those skilled in the art (see e.g. Angew. Chem. Int. Ed. 2004, 43, 1132 and Pure Appl. Chem. 1985, 57, 1771) with compound of formula XXVI, e.g. (trifluoroethyl)-diphenyl-sulfonium triflate ($Ph_2S^+CH_2CF_3^-OTf$) in the presence of an Fe-catalyst and a base, preferable CsF at temperatures between 0 to 50 °C, preferable 20 °C in DMA as solvent (analog

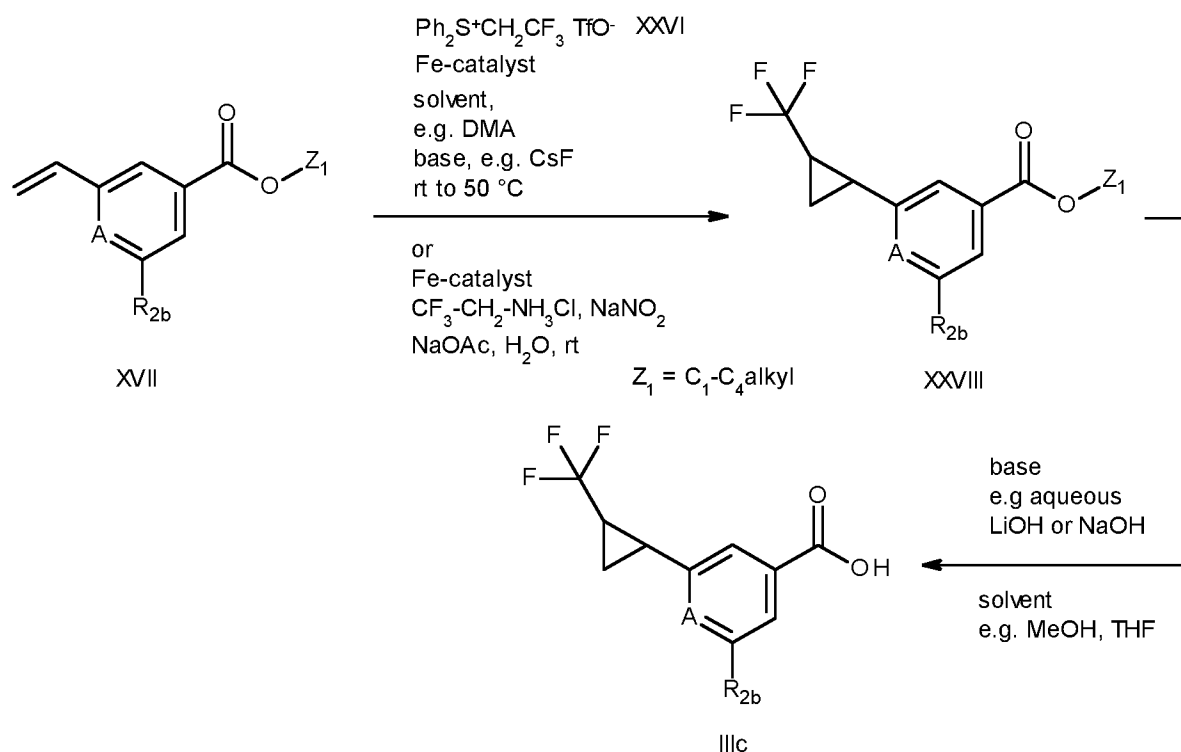
-32-

to Org. Lett. 2016, 18, 2471). Compounds of formula XXVIII are obtained as mixture of stereoisomers with the trans isomer being the major isomer.

Yet another methodology to prepare compounds of formula XXVIII uses trifluoroethylamine

- 5 hydrochloride/ NaNO_2 / NaOAc in the presence of an Fe-catalyst; this reaction is conducted at room temperature in H_2O ; or in a mixture of CH_2Cl_2 and H_2O , see e.g. Angew. Chem. Int. Ed. 2010, 49, 938 and Chemm. Commun. 2018, 54, 5110.

Scheme 9:

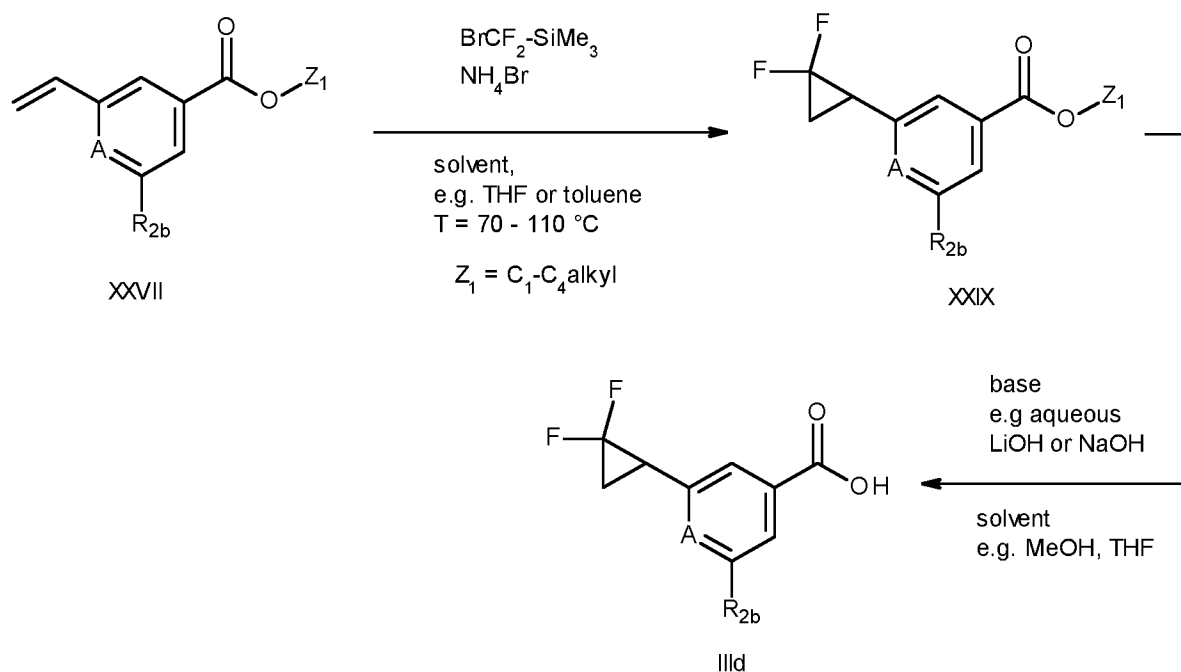


Carboxylic acids of formula IIIc, wherein R_{2b} and A are as defined in formula I, may be prepared in quite a similar manner as already shown in Scheme 7.

Compounds of formula XXIX, wherein R_{2b} and A are as defined in formula I, and Z_1 is $\text{C}_1\text{-C}_4\text{ alkyl}$, are prepared by reaction of compounds of formula XXVII (synthesized analog to ACS Med. Chem. Lett. 2013, 4, 514 or Tetrahedron Lett. 2001, 42, 4083) with (bromodifluoromethyl)-trimethylsilane in the presence of NH_4Br in a suitable solvent, preferably in THF or toluene at temperatures between 70 to 110 °C. Subsequent saponification of the ester intermediates XXIX provide compounds of formula IIIId (Scheme 10).

Scheme 10:

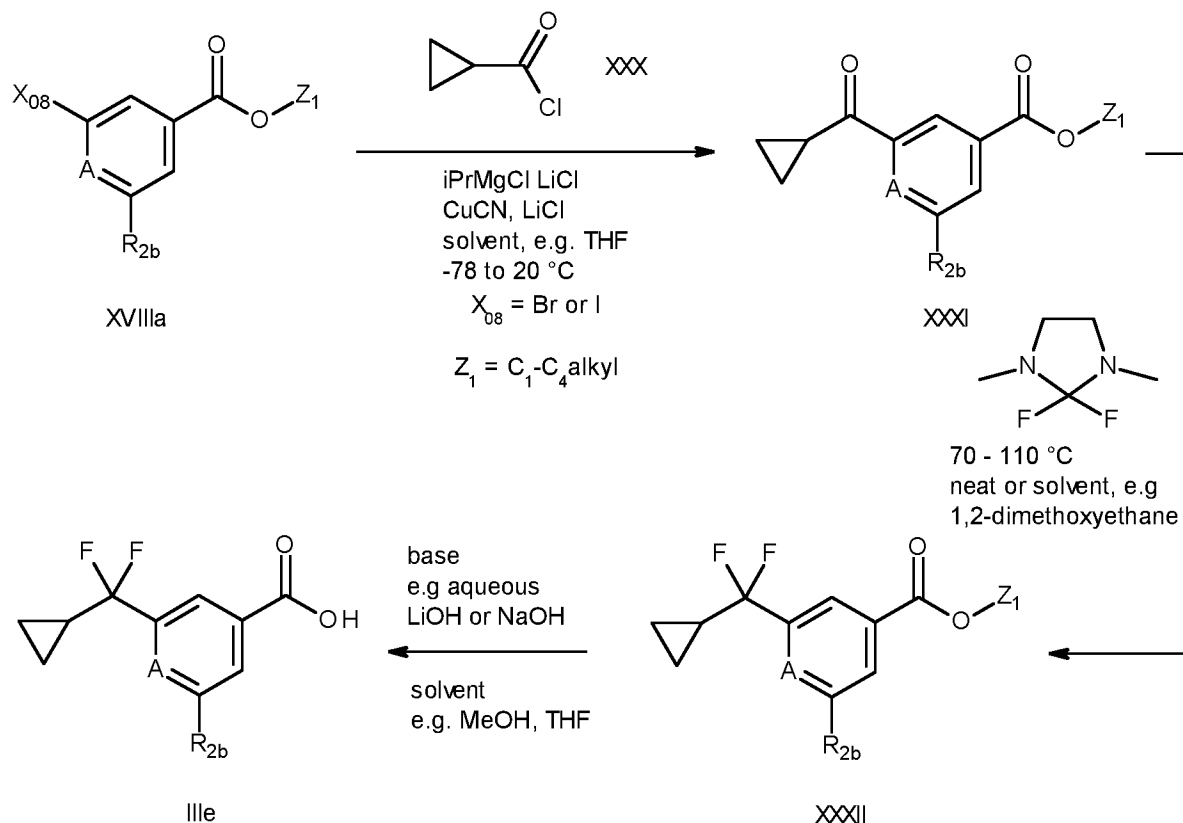
-33-



Carboxylic acids of formula IIIe, wherein R_{2b} and A are as defined in formula I, can be prepared according to reaction Scheme 11. Thus, compounds of formula XVIIIa, wherein R_{2b} and A are defined as in formula I, Z_1 is $\text{C}_1\text{-C}_4\text{alkyl}$ and X_{08} is bromine or iodine, are treated with $i\text{PrMgCl/LiCl}$ -complex; subsequent reaction with CuCN and quenching with cyclopropane carbonyl chlorides such as formula XXX provides compounds of formula XXXI (analog to WO2006/067445, page 148). Following fluorination with 2,2-difluoro-1,3-dimethylimidazoline either in a solvent, e.g. in 1,2-dimethoxyethane or in the absence of a solvent (see Chem. Commun. 2002, (15), 1618) affords compounds of formula XXXII. Subsequent hydrolysis using e.g. LiOH as already described gives carboxylic acids of formula IIIe.

Scheme 11.

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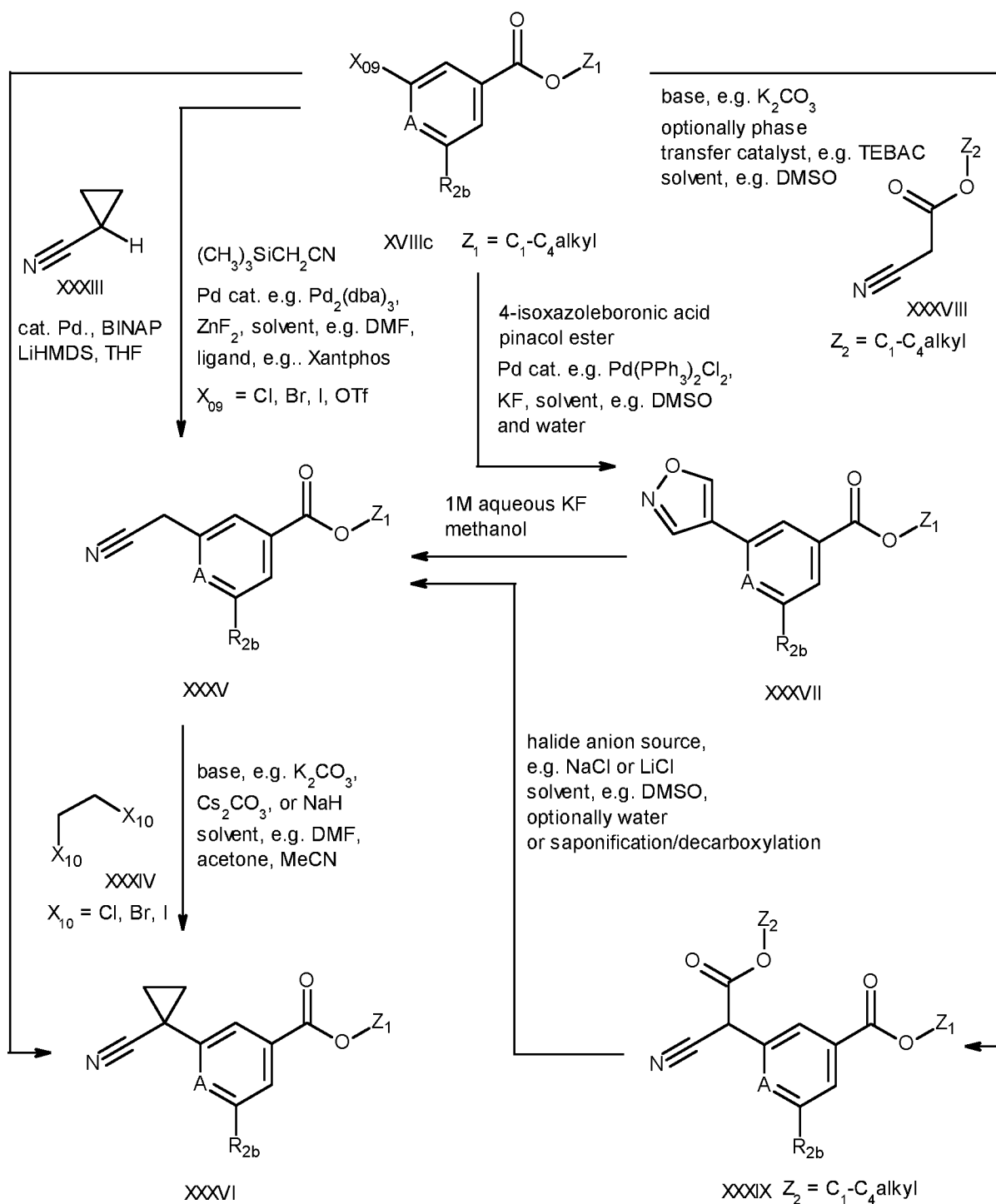
A particular group of compounds **III** can be obtained by hydrolysis from the corresponding esters of type **XXXVI**, wherein A and R_{2b} are defined as in formula **I** and Z_1 is C_1 - C_4 alkyl. Synthetic methods to obtain compounds of formula **XXXVI** are shown in Scheme 12 below.

5

Treatment of compounds of formula **XVIIIc**, wherein R_{2b} and A are as defined in formula **I**, X_{09} is a leaving group, for example a halogen or a sulfonate, preferably chlorine, bromine, iodine or trifluoromethanesulfonate, and Z_1 is C_1 - C_4 alkyl, with trimethylsilyl acetonitrile (Me_3SiCH_2CN) in the presence of zinc(II)fluoride (ZnF_2), and a palladium(0)catalyst such as tris(dibenzylideneacetone)di-
 10 palladium(0) chloroform adduct ($Pd_2(dba)_3 \cdot CHCl_3$), with a ligand, for example Xantphos or BINAP, in an inert solvent, such as N,N -dimethylformamide (DMF) at temperatures between 100 - 180 °C, optionally under microwave heating, leads to compounds of formula **XXXV**, wherein R_{2b} , Z_1 and A are as defined in formula **XVIIIc**. Such methods have been described in the literature, e.g. in Org. Lett. 16(24), 6314-6317, 2014. Alternatively, reaction of compounds of formula **XVIIIc** with 4-
 15 isoxazoleboronic acid or 4-isoxazoleboronic acid pinacol ester, in the presence of potassium fluoride (KF), and a palladium catalyst such as bis(triphenylphosphine)palladium(II) dichloride ($Pd(PPh_3)_2Cl_2$), in an inert solvent, such as dimethylsulfoxide DMSO, optionally in mixture with water, at temperatures between 40 - 150 °C, optionally under microwave heating, leads to compounds of formula **XXXVII**, wherein R_{2b} , A are as defined in formula **I** and Z_1 is C_1 - C_4 alkyl. Reaction of compounds of formula
 20 **XXXVII** with aqueous potassium fluoride (KF concentration between 0.5 and $3M$, preferably $1M$), in an inert solvent, such as dimethylsulfoxide DMSO or methanol, at temperatures between 20 - 150 °C,

optionally under microwave heating, leads to compounds of formula XXXV, wherein R_{2b} , Z_1 and A are as defined in formula XVIIIc. Such chemistry has been described in the literature, e.g. in J. Am. Chem. Soc. 2011, 133, 6948-6951.

5 Scheme 12:



Compounds of formula XXXV, wherein R_{2b} and A are as defined in formula I and Z_1 is C_1 - C_4 alkyl, can be further treated with compounds of formula XXXIV, in which X_{10} is a leaving group, such as halogen (preferably chlorine, bromine or iodine), in the presence of a base such as sodium hydride, sodium carbonate, potassium carbonate, or cesium carbonate, in an inert solvent such as N,N-dimethylformamide (DMF), acetone, or acetonitrile, at temperatures between 0-120 °C, to give compounds of formula XXXVI, wherein R_{2b} , and A are as defined in formula I above and Z_1 is C_1 - C_4 alkyl.

Alternatively, compounds of formula XXXVI can be prepared directly from compounds of formula XVIIIc by treatment with compounds of formula XXXVIII, in presence of a catalyst such as $Pd_2(dba)_3$, with a ligand, such as BINAP, a strong base such as lithium hexamethyldisilazane (LiHMDS), in an inert solvent such as tetrahydrofuran (THF), at temperatures between 30-80 °C. Such chemistry has been described in, for example, J. Am. Chem. Soc. 127(45), 15824-15832, 2005.

In yet another method to prepare compounds of formula XXXV, compounds of formula XVIIIc, wherein wherein R_{2b} , and A are as defined in formula I, Z_1 is C_1 - C_4 alkyl and X_{09} is a leaving group, for example a halogen or a sulfonate, preferably chlorine, bromine, iodine or trifluoromethanesulfonate, are reacted with reagents of the formula XXXVIII, wherein Z_2 is C_1 - C_4 alkyl, in the presence of a base, such as sodium carbonate, potassium carbonate or cesium carbonate, or sodium hydride, sodium methoxide or ethoxide, potassium tert-butoxide, optionally in the presence of a transition metal catalyst such as palladium (for example involving $Pd(PPh_3)_2Cl_2$) or copper (for example involving CuI) catalysis, in an appropriate solvent such as for example toluene, dioxane, tetrahydrofuran, acetonitrile, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone (NMP) or dimethylsulfoxide (DMSO), optionally in presence of a phase transfer catalyst PTC, such as for example tetrabutyl ammonium bromide or triethyl benzyl ammonium chloride TEBAC, at temperatures between room temperature and 180 °C, gives compounds of formula XXXIX, wherein R_{2b} , and A are as defined in formula I and Z_1 and Z_2 are each independently of the other C_1 - C_4 alkyl. Compounds of formula XXXIX can be decarboxylated using conditions such as heating in wet DMSO optionally in the presence of lithium or sodium chloride at temperatures between 50 °C and 180 °C to afford compounds of formula XXXV. Similar chemistry has been described in, for example, Synthesis 2010, No. 19, 3332-3338.

Depending on the procedure or the reaction conditions, the reactants can be reacted in the presence of a base. Examples of suitable bases are alkali metal or alkaline earth metal hydroxides, alkali metal or alkaline earth metal hydrides, alkali metal or alkaline earth metal amides, alkali metal or alkaline earth metal alkoxides, alkali metal or alkaline earth metal acetates, alkali metal or alkaline earth metal carbonates, alkali metal or alkaline earth metal dialkylamides or alkali metal or alkaline earth metal alkylsilylamides, alkylamines, alkylenediamines, free or N-alkylated saturated or unsaturated cycloalkylamines, basic heterocycles, ammonium hydroxides and carbocyclic amines. Examples which may be mentioned are sodium hydroxide, sodium hydride, sodium amide, sodium methoxide, sodium

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acetate, sodium carbonate, potassium tert-butoxide, potassium hydroxide, potassium carbonate, potassium hydride, lithium diisopropylamide, potassium bis(trimethylsilyl)amide, calcium hydride, triethylamine, diisopropylethylamine, triethylenediamine, cyclohexylamine, N-cyclohexyl-N,N-dimethylamine, N,N-diethylaniline, pyridine, 4-(N,N-dimethylamino)pyridine, quinuclidine, N-methylmorpholine, benzyltrimethylammonium hydroxide and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU).

The reactants can be reacted with each other as such, i.e. without adding a solvent or diluent. In most cases, however, it is advantageous to add an inert solvent or diluent or a mixture of these. If the reaction is carried out in the presence of a base, bases which are employed in excess, such as triethylamine, pyridine, N-methylmorpholine or N,N-diethylaniline, may also act as solvents or diluents.

The reactions are advantageously carried out in a temperature range from approximately -80°C to approximately +140°C, preferably from approximately -30°C to approximately +100°C, in many cases in the range between ambient temperature and approximately +80°C.

Depending on the choice of the reaction conditions and starting materials which are suitable in each case, it is possible, for example, in one reaction step only to replace one substituent by another substituent according to the invention, or a plurality of substituents can be replaced by other substituents according to the invention in the same reaction step.

Salts of compounds of formula I can be prepared in a manner known *per se*. Thus, for example, acid addition salts of compounds of formula I are obtained by treatment with a suitable acid or a suitable ion exchanger reagent and salts with bases are obtained by treatment with a suitable base or with a suitable ion exchanger reagent.

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

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

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

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

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

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

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

N-oxides can be prepared by reacting a compound of the formula I with a suitable oxidizing agent, for example the H_2O_2 /urea adduct in the presence of an acid anhydride, e.g. trifluoroacetic anhydride.

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Such oxidations are known from the literature, for example from *J. Med. Chem.*, 32 (12), 2561-73, 1989 or WO 2000/15615.

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

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

The compounds of formula I according to the following Tables A-1 to A-468 can be prepared according to the methods described above. The examples which follow are intended to illustrate the invention and show preferred compounds of formula I, in the form of a compound of formula Iaa.

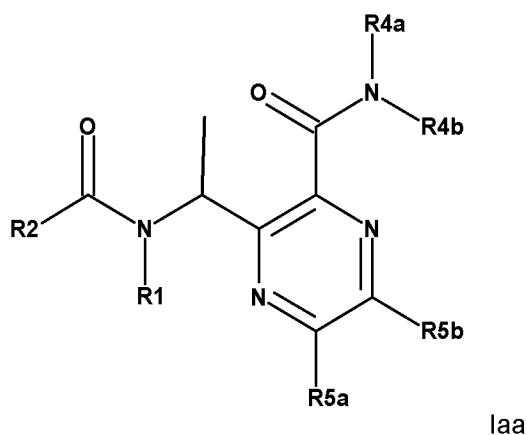


Table A-1 provides 22 compounds A-1.001 to A-1.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z. For example, A-1.002 is

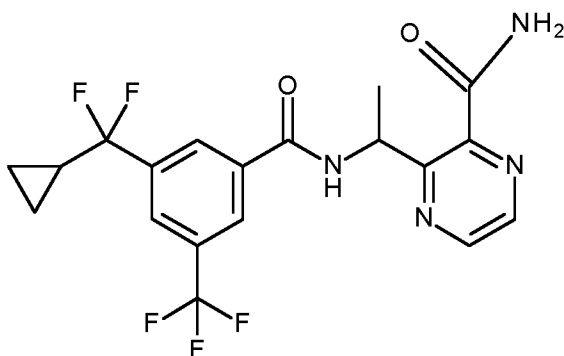
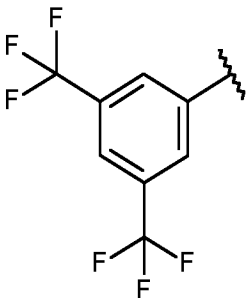
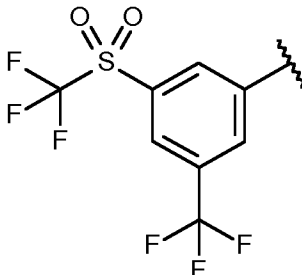
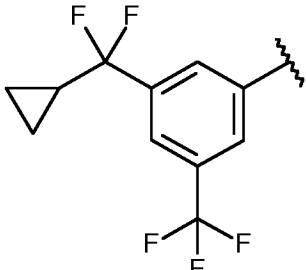
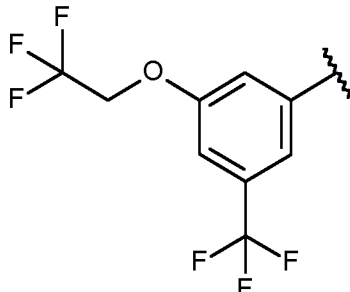
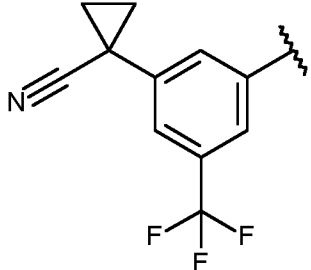
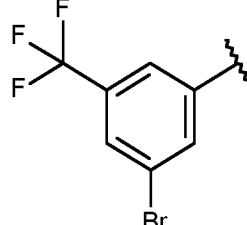
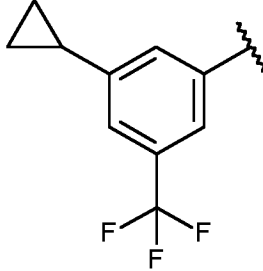
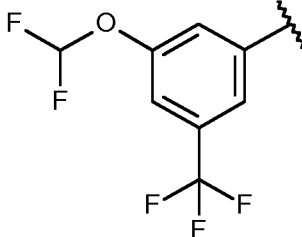
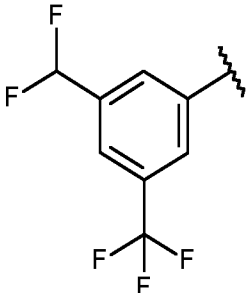
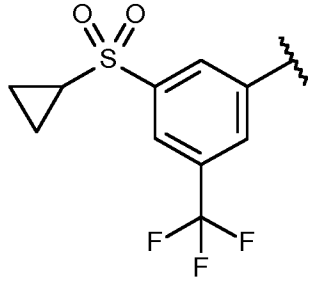
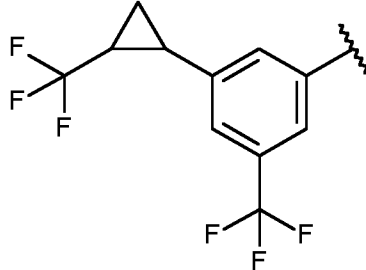
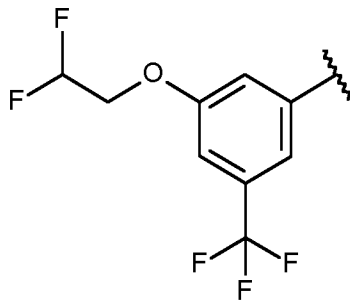
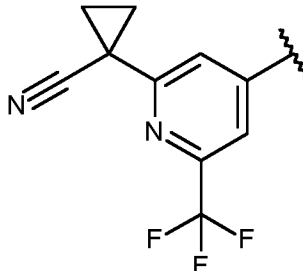
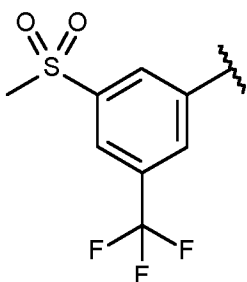
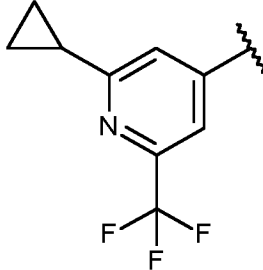
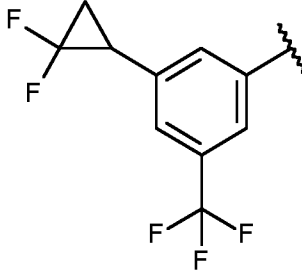
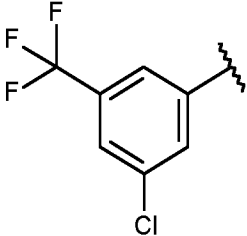
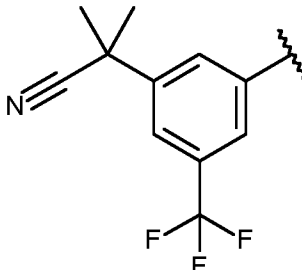


Table Z: Substituent definitions of R₂:

Index	R2	Index	R2
1		11	
2		12	
3		13	
4		14	

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5		15	
6		16	
7		17	
8		18	
9		19	

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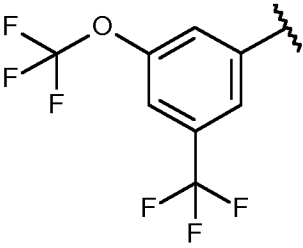
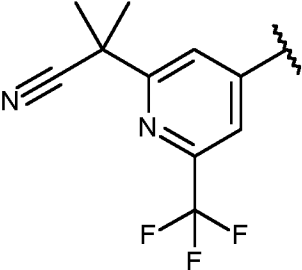
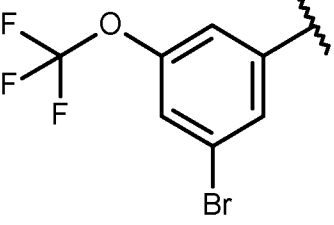
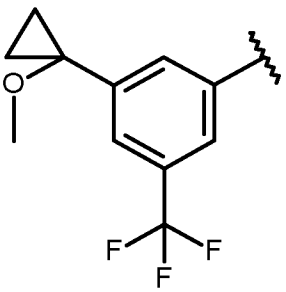
10		20	
21		22	

Table A-2 provides 22 compounds A-2.001 to A-2.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is H, R_{5a} is H, R_{5b} is CH_3 and R_2 is as defined in table Z.

Table A-3 provides 22 compounds A-3.001 to A-3.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is H, R_{5a} is CH_3 , R_{5b} is H and R_2 is as defined in table Z.

Table A-4 provides 22 compounds A-4.001 to A-4.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is H, R_{5a} is CH_3 , R_{5b} is CH_3 and R_2 is as defined in table Z.

Table A-5 provides 22 compounds A-5.001 to A-5.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_3 , R_{5a} is H, R_{5b} is H and R_2 is as defined in table Z.

Table A-6 provides 22 compounds A-6.001 to A-6.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_3 , R_{5a} is H, R_{5b} is CH_3 and R_2 is as defined in table Z.

Table A-7 provides 22 compounds A-7.001 to A-7.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_3 , R_{5a} is CH_3 , R_{5b} is H and R_2 is as defined in table Z.

Table A-8 provides 22 compounds A-8.001 to A-8.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_3 , R_{5a} is CH_3 , R_{5b} is CH_3 and R_2 is as defined in table Z.

Table A-9 provides 22 compounds A-9.001 to A-9.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_2CH_3 , R_{5a} is H, R_{5b} is H and R_2 is as defined in table Z.

Table A-10 provides 22 compounds A-10.001 to A-10.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_2CH_3 , R_{5a} is H, R_{5b} is CH_3 and R_2 is as defined in table Z.

Table A-11 provides 22 compounds A-11.001 to A-11.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_2CH_3 , R_{5a} is CH_3 , R_{5b} is H and R_2 is as defined in table Z.

Table A-12 provides 22 compounds A-12.001 to A-12.022 of formula Iaa wherein R_1 is H, R_{4a} is H, R_{4b} is CH_2CH_3 , R_{5a} is CH_3 , R_{5b} is CH_3 and R_2 is as defined in table Z.

Table A-13 provides 22 compounds A-13.001 to A-13.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-14 provides 22 compounds A-14.001 to A-14.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-15 provides 22 compounds A-15.001 to A-15.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-16 provides 22 compounds A-16.001 to A-16.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-17 provides 22 compounds A-17.001 to A-17.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-18 provides 22 compounds A-18.001 to A-18.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-19 provides 22 compounds A-19.001 to A-19.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-20 provides 22 compounds A-20.001 to A-20.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-21 provides 22 compounds A-21.001 to A-21.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-22 provides 22 compounds A-22.001 to A-22.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-23 provides 22 compounds A-23.001 to A-23.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-24 provides 22 compounds A-24.001 to A-24.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-25 provides 22 compounds A-25.001 to A-25.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-26 provides 22 compounds A-26.001 to A-26.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-27 provides 22 compounds A-27.001 to A-27.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-28 provides 22 compounds A-28.001 to A-28.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-29 provides 22 compounds A-29.001 to A-29.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-30 provides 22 compounds A-30.001 to A-30.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-31 provides 22 compounds A-31.001 to A-31.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-32 provides 22 compounds A-32.001 to A-32.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-33 provides 22 compounds A-33.001 to A-33.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-34 provides 22 compounds A-34.001 to A-34.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-35 provides 22 compounds A-35.001 to A-35.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-36 provides 22 compounds A-36.001 to A-36.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-37 provides 22 compounds A-37.001 to A-37.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-38 provides 22 compounds A-38.001 to A-38.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-39 provides 22 compounds A-39.001 to A-39.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-40 provides 22 compounds A-40.001 to A-40.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-41 provides 22 compounds A-41.001 to A-41.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-42 provides 22 compounds A-42.001 to A-42.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-43 provides 22 compounds A-43.001 to A-43.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-44 provides 22 compounds A-44.001 to A-44.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-45 provides 22 compounds A-45.001 to A-45.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-46 provides 22 compounds A-46.001 to A-46.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-47 provides 22 compounds A-47.001 to A-47.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-48 provides 22 compounds A-48.001 to A-48.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-49 provides 22 compounds A-49.001 to A-49.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-50 provides 22 compounds A-50.001 to A-50.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-51 provides 22 compounds A-51.001 to A-51.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-52 provides 22 compounds A-52.001 to A-52.022 of formula Iaa wherein R₁ is H, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-53 provides 22 compounds A-53.001 to A-53.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-54 provides 22 compounds A-54.001 to A-54.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-55 provides 22 compounds A-55.001 to A-55.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-56 provides 22 compounds A-56.001 to A-56.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-57 provides 22 compounds A-57.001 to A-57.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-58 provides 22 compounds A-58.001 to A-58.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-59 provides 22 compounds A-59.001 to A-59.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-60 provides 22 compounds A-60.001 to A-60.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-61 provides 22 compounds A-61.001 to A-61.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-62 provides 22 compounds A-62.001 to A-62.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-63 provides 22 compounds A-63.001 to A-63.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-64 provides 22 compounds A-64.001 to A-64.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-65 provides 22 compounds A-65.001 to A-65.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-66 provides 22 compounds A-66.001 to A-66.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-67 provides 22 compounds A-67.001 to A-67.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-68 provides 22 compounds A-68.001 to A-68.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-69 provides 22 compounds A-69.001 to A-69.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-70 provides 22 compounds A-70.001 to A-70.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-71 provides 22 compounds A-71.001 to A-71.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-72 provides 22 compounds A-72.001 to A-72.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-73 provides 22 compounds A-73.001 to A-73.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-74 provides 22 compounds A-74.001 to A-74.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-75 provides 22 compounds A-75.001 to A-75.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-76 provides 22 compounds A-76.001 to A-76.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-77 provides 22 compounds A-77.001 to A-77.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-78 provides 22 compounds A-78.001 to A-78.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-79 provides 22 compounds A-79.001 to A-79.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-80 provides 22 compounds A-80.001 to A-80.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-81 provides 22 compounds A-81.001 to A-81.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-82 provides 22 compounds A-82.001 to A-82.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-83 provides 22 compounds A-83.001 to A-83.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-84 provides 22 compounds A-84.001 to A-84.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-85 provides 22 compounds A-85.001 to A-85.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-86 provides 22 compounds A-86.001 to A-86.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-87 provides 22 compounds A-87.001 to A-87.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-88 provides 22 compounds A-88.001 to A-88.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-89 provides 22 compounds A-89.001 to A-89.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-90 provides 22 compounds A-90.001 to A-90.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-91 provides 22 compounds A-91.001 to A-91.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-92 provides 22 compounds A-92.001 to A-92.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-93 provides 22 compounds A-93.001 to A-93.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-94 provides 22 compounds A-94.001 to A-94.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-95 provides 22 compounds A-95.001 to A-95.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-96 provides 22 compounds A-96.001 to A-96.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-97 provides 22 compounds A-97.001 to A-97.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-98 provides 22 compounds A-98.001 to A-98.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-99 provides 22 compounds A-99.001 to A-99.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-100 provides 22 compounds A-100.001 to A-100.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-101 provides 22 compounds A-101.001 to A-101.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-102 provides 22 compounds A-102.001 to A-102.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-103 provides 22 compounds A-103.001 to A-103.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-104 provides 22 compounds A-104.001 to A-104.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-105 provides 22 compounds A-105.001 to A-105.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-106 provides 22 compounds A-106.001 to A-106.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-107 provides 22 compounds A-107.001 to A-107.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-108 provides 22 compounds A-108.001 to A-108.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-109 provides 22 compounds A-109.001 to A-109.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-110 provides 22 compounds A-110.001 to A-110.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-111 provides 22 compounds A-111.001 to A-111.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-112 provides 22 compounds A-112.001 to A-112.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-113 provides 22 compounds A-113.001 to A-113.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-114 provides 22 compounds A-114.001 to A-114.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-115 provides 22 compounds A-115.001 to A-115.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-116 provides 22 compounds A-116.001 to A-116.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-117 provides 22 compounds A-117.001 to A-117.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-118 provides 22 compounds A-118.001 to A-118.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-119 provides 22 compounds A-119.001 to A-119.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-120 provides 22 compounds A-120.001 to A-120.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-121 provides 22 compounds A-121.001 to A-121.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-122 provides 22 compounds A-122.001 to A-122.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-123 provides 22 compounds A-123.001 to A-123.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-124 provides 22 compounds A-124.001 to A-124.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-125 provides 22 compounds A-125.001 to A-125.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-126 provides 22 compounds A-126.001 to A-126.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-127 provides 22 compounds A-127.001 to A-127.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-128 provides 22 compounds A-128.001 to A-128.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-129 provides 22 compounds A-129.001 to A-129.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-130 provides 22 compounds A-130.001 to A-130.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-131 provides 22 compounds A-131.001 to A-131.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-132 provides 22 compounds A-132.001 to A-132.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-133 provides 22 compounds A-133.001 to A-133.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-134 provides 22 compounds A-134.001 to A-134.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-135 provides 22 compounds A-135.001 to A-135.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-136 provides 22 compounds A-136.001 to A-136.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-137 provides 22 compounds A-137.001 to A-137.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-138 provides 22 compounds A-138.001 to A-138.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-139 provides 22 compounds A-139.001 to A-139.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-140 provides 22 compounds A-140.001 to A-140.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-141 provides 22 compounds A-141.001 to A-141.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-142 provides 22 compounds A-142.001 to A-142.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-143 provides 22 compounds A-143.001 to A-143.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-144 provides 22 compounds A-144.001 to A-144.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-145 provides 22 compounds A-145.001 to A-145.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-146 provides 22 compounds A-146.001 to A-146.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-147 provides 22 compounds A-147.001 to A-147.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-148 provides 22 compounds A-148.001 to A-148.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-149 provides 22 compounds A-149.001 to A-149.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-150 provides 22 compounds A-150.001 to A-150.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-151 provides 22 compounds A-151.001 to A-151.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-152 provides 22 compounds A-152.001 to A-152.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-153 provides 22 compounds A-153.001 to A-153.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-154 provides 22 compounds A-154.001 to A-154.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-155 provides 22 compounds A-155.001 to A-155.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-156 provides 22 compounds A-156.001 to A-156.022 of formula Iaa wherein R₁ is H, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-157 provides 22 compounds A-157.001 to A-157.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-158 provides 22 compounds A-158.001 to A-158.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-159 provides 22 compounds A-159.001 to A-159.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-160 provides 22 compounds A-160.001 to A-160.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-161 provides 22 compounds A-161.001 to A-161.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-162 provides 22 compounds A-162.001 to A-162.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-163 provides 22 compounds A-163.001 to A-163.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-164 provides 22 compounds A-164.001 to A-164.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-165 provides 22 compounds A-165.001 to A-165.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-166 provides 22 compounds A-166.001 to A-166.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-167 provides 22 compounds A-167.001 to A-167.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-168 provides 22 compounds A-168.001 to A-168.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-169 provides 22 compounds A-169.001 to A-169.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-170 provides 22 compounds A-170.001 to A-170.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-171 provides 22 compounds A-171.001 to A-171.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-172 provides 22 compounds A-172.001 to A-172.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-173 provides 22 compounds A-173.001 to A-173.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-174 provides 22 compounds A-174.001 to A-174.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-175 provides 22 compounds A-175.001 to A-175.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-176 provides 22 compounds A-176.001 to A-176.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-177 provides 22 compounds A-177.001 to A-177.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-178 provides 22 compounds A-178.001 to A-178.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-179 provides 22 compounds A-179.001 to A-179.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-180 provides 22 compounds A-180.001 to A-180.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-181 provides 22 compounds A-181.001 to A-181.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-182 provides 22 compounds A-182.001 to A-182.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-183 provides 22 compounds A-183.001 to A-183.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-184 provides 22 compounds A-184.001 to A-184.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-185 provides 22 compounds A-185.001 to A-185.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-186 provides 22 compounds A-186.001 to A-186.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-187 provides 22 compounds A-187.001 to A-187.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-188 provides 22 compounds A-188.001 to A-188.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-189 provides 22 compounds A-189.001 to A-189.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-190 provides 22 compounds A-190.001 to A-190.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-191 provides 22 compounds A-191.001 to A-191.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-192 provides 22 compounds A-192.001 to A-192.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-193 provides 22 compounds A-193.001 to A-193.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-194 provides 22 compounds A-194.001 to A-194.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-195 provides 22 compounds A-195.001 to A-195.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-196 provides 22 compounds A-196.001 to A-196.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-197 provides 22 compounds A-197.001 to A-197.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-198 provides 22 compounds A-198.001 to A-198.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-199 provides 22 compounds A-199.001 to A-199.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-200 provides 22 compounds A-200.001 to A-200.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-201 provides 22 compounds A-201.001 to A-201.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-202 provides 22 compounds A-202.001 to A-202.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-203 provides 22 compounds A-203.001 to A-203.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-204 provides 22 compounds A-204.001 to A-204.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-205 provides 22 compounds A-205.001 to A-205.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-206 provides 22 compounds A-206.001 to A-206.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-207 provides 22 compounds A-207.001 to A-207.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-208 provides 22 compounds A-208.001 to A-208.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-209 provides 22 compounds A-209.001 to A-209.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-210 provides 22 compounds A-210.001 to A-210.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-211 provides 22 compounds A-211.001 to A-211.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-212 provides 22 compounds A-212.001 to A-212.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-213 provides 22 compounds A-213.001 to A-213.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-214 provides 22 compounds A-214.001 to A-214.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-215 provides 22 compounds A-215.001 to A-215.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-216 provides 22 compounds A-216.001 to A-216.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-217 provides 22 compounds A-217.001 to A-217.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-218 provides 22 compounds A-218.001 to A-218.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-219 provides 22 compounds A-219.001 to A-219.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-220 provides 22 compounds A-220.001 to A-220.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-221 provides 22 compounds A-221.001 to A-221.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-222 provides 22 compounds A-222.001 to A-222.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-223 provides 22 compounds A-223.001 to A-223.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-224 provides 22 compounds A-224.001 to A-224.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-225 provides 22 compounds A-225.001 to A-225.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-226 provides 22 compounds A-226.001 to A-226.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-227 provides 22 compounds A-227.001 to A-227.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-228 provides 22 compounds A-228.001 to A-228.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-229 provides 22 compounds A-229.001 to A-229.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-230 provides 22 compounds A-230.001 to A-230.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-231 provides 22 compounds A-231.001 to A-231.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-232 provides 22 compounds A-232.001 to A-232.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-233 provides 22 compounds A-233.001 to A-233.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-234 provides 22 compounds A-234.001 to A-234.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-235 provides 22 compounds A-235.001 to A-235.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-236 provides 22 compounds A-236.001 to A-236.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-237 provides 22 compounds A-237.001 to A-237.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-238 provides 22 compounds A-238.001 to A-238.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-239 provides 22 compounds A-239.001 to A-239.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-240 provides 22 compounds A-240.001 to A-240.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-241 provides 22 compounds A-241.001 to A-241.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-242 provides 22 compounds A-242.001 to A-242.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-243 provides 22 compounds A-243.001 to A-243.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-244 provides 22 compounds A-244.001 to A-244.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-245 provides 22 compounds A-245.001 to A-245.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-246 provides 22 compounds A-246.001 to A-246.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-247 provides 22 compounds A-247.001 to A-247.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-248 provides 22 compounds A-248.001 to A-248.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-249 provides 22 compounds A-249.001 to A-249.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-250 provides 22 compounds A-250.001 to A-250.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-251 provides 22 compounds A-251.001 to A-251.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-252 provides 22 compounds A-252.001 to A-252.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-253 provides 22 compounds A-253.001 to A-253.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-254 provides 22 compounds A-254.001 to A-254.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-255 provides 22 compounds A-255.001 to A-255.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-256 provides 22 compounds A-256.001 to A-256.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-257 provides 22 compounds A-257.001 to A-257.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-258 provides 22 compounds A-258.001 to A-258.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-259 provides 22 compounds A-259.001 to A-259.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-260 provides 22 compounds A-260.001 to A-260.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-261 provides 22 compounds A-261.001 to A-261.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-262 provides 22 compounds A-262.001 to A-262.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-263 provides 22 compounds A-263.001 to A-263.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-264 provides 22 compounds A-264.001 to A-264.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-265 provides 22 compounds A-265.001 to A-265.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-266 provides 22 compounds A-266.001 to A-266.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-267 provides 22 compounds A-267.001 to A-267.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-268 provides 22 compounds A-268.001 to A-268.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-269 provides 22 compounds A-269.001 to A-269.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-270 provides 22 compounds A-270.001 to A-270.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-271 provides 22 compounds A-271.001 to A-271.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-272 provides 22 compounds A-272.001 to A-272.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-273 provides 22 compounds A-273.001 to A-273.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-274 provides 22 compounds A-274.001 to A-274.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-275 provides 22 compounds A-275.001 to A-275.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-276 provides 22 compounds A-276.001 to A-276.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-277 provides 22 compounds A-277.001 to A-277.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-278 provides 22 compounds A-278.001 to A-278.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-279 provides 22 compounds A-279.001 to A-279.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-280 provides 22 compounds A-280.001 to A-280.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-281 provides 22 compounds A-281.001 to A-281.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-282 provides 22 compounds A-282.001 to A-282.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-283 provides 22 compounds A-283.001 to A-283.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-284 provides 22 compounds A-284.001 to A-284.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-285 provides 22 compounds A-285.001 to A-285.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-286 provides 22 compounds A-286.001 to A-286.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-287 provides 22 compounds A-287.001 to A-287.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-288 provides 22 compounds A-288.001 to A-288.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-289 provides 22 compounds A-289.001 to A-289.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-290 provides 22 compounds A-290.001 to A-290.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-291 provides 22 compounds A-291.001 to A-291.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-292 provides 22 compounds A-292.001 to A-292.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-293 provides 22 compounds A-293.001 to A-293.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-294 provides 22 compounds A-294.001 to A-294.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-295 provides 22 compounds A-295.001 to A-295.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-296 provides 22 compounds A-296.001 to A-296.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-297 provides 22 compounds A-297.001 to A-297.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-298 provides 22 compounds A-298.001 to A-298.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-299 provides 22 compounds A-299.001 to A-299.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-300 provides 22 compounds A-300.001 to A-300.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-301 provides 22 compounds A-301.001 to A-301.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-302 provides 22 compounds A-302.001 to A-302.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-303 provides 22 compounds A-303.001 to A-303.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-304 provides 22 compounds A-304.001 to A-304.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-305 provides 22 compounds A-305.001 to A-305.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-306 provides 22 compounds A-306.001 to A-306.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-307 provides 22 compounds A-307.001 to A-307.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-308 provides 22 compounds A-308.001 to A-308.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-309 provides 22 compounds A-309.001 to A-309.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-310 provides 22 compounds A-310.001 to A-310.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-311 provides 22 compounds A-311.001 to A-311.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-312 provides 22 compounds A-312.001 to A-312.022 of formula Iaa wherein R₁ is CH₃, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-313 provides 22 compounds A-313.001 to A-313.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-314 provides 22 compounds A-314.001 to A-314.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-315 provides 22 compounds A-315.001 to A-315.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-316 provides 22 compounds A-316.001 to A-316.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-317 provides 22 compounds A-317.001 to A-317.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-318 provides 22 compounds A-318.001 to A-318.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-319 provides 22 compounds A-319.001 to A-319.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-320 provides 22 compounds A-320.001 to A-320.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-321 provides 22 compounds A-321.001 to A-321.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-322 provides 22 compounds A-322.001 to A-322.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-323 provides 22 compounds A-323.001 to A-323.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-324 provides 22 compounds A-324.001 to A-324.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-325 provides 22 compounds A-325.001 to A-325.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-326 provides 22 compounds A-326.001 to A-326.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-327 provides 22 compounds A-327.001 to A-327.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-328 provides 22 compounds A-328.001 to A-328.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-329 provides 22 compounds A-329.001 to A-329.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-330 provides 22 compounds A-330.001 to A-330.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-331 provides 22 compounds A-331.001 to A-331.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-332 provides 22 compounds A-332.001 to A-332.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-333 provides 22 compounds A-333.001 to A-333.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-334 provides 22 compounds A-334.001 to A-334.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-335 provides 22 compounds A-335.001 to A-335.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-336 provides 22 compounds A-336.001 to A-336.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

5 Table A-337 provides 22 compounds A-337.001 to A-337.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-338 provides 22 compounds A-338.001 to A-338.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-339 provides 22 compounds A-339.001 to A-339.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

10 Table A-340 provides 22 compounds A-340.001 to A-340.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-341 provides 22 compounds A-341.001 to A-341.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

15 Table A-342 provides 22 compounds A-342.001 to A-342.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-343 provides 22 compounds A-343.001 to A-343.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-344 provides 22 compounds A-344.001 to A-344.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

20 Table A-345 provides 22 compounds A-345.001 to A-345.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-346 provides 22 compounds A-346.001 to A-346.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

25 Table A-347 provides 22 compounds A-347.001 to A-347.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-348 provides 22 compounds A-348.001 to A-348.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-349 provides 22 compounds A-349.001 to A-349.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

30 Table A-350 provides 22 compounds A-350.001 to A-350.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-351 provides 22 compounds A-351.001 to A-351.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

35 Table A-352 provides 22 compounds A-352.001 to A-352.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-353 provides 22 compounds A-353.001 to A-353.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-354 provides 22 compounds A-354.001 to A-354.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-355 provides 22 compounds A-355.001 to A-355.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-356 provides 22 compounds A-356.001 to A-356.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-357 provides 22 compounds A-357.001 to A-357.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-358 provides 22 compounds A-358.001 to A-358.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-359 provides 22 compounds A-359.001 to A-359.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-360 provides 22 compounds A-360.001 to A-360.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-361 provides 22 compounds A-361.001 to A-361.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-362 provides 22 compounds A-362.001 to A-362.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-363 provides 22 compounds A-363.001 to A-363.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-364 provides 22 compounds A-364.001 to A-364.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is H, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-365 provides 22 compounds A-365.001 to A-365.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-366 provides 22 compounds A-366.001 to A-366.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-367 provides 22 compounds A-367.001 to A-367.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-368 provides 22 compounds A-368.001 to A-368.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-369 provides 22 compounds A-369.001 to A-369.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-370 provides 22 compounds A-370.001 to A-370.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-371 provides 22 compounds A-371.001 to A-371.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-372 provides 22 compounds A-372.001 to A-372.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-373 provides 22 compounds A-373.001 to A-373.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-374 provides 22 compounds A-374.001 to A-374.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-375 provides 22 compounds A-375.001 to A-375.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-376 provides 22 compounds A-376.001 to A-376.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-377 provides 22 compounds A-377.001 to A-377.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-378 provides 22 compounds A-378.001 to A-378.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-379 provides 22 compounds A-379.001 to A-379.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-380 provides 22 compounds A-380.001 to A-380.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-381 provides 22 compounds A-381.001 to A-381.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-382 provides 22 compounds A-382.001 to A-382.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-383 provides 22 compounds A-383.001 to A-383.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-384 provides 22 compounds A-384.001 to A-384.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-385 provides 22 compounds A-385.001 to A-385.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-386 provides 22 compounds A-386.001 to A-386.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-387 provides 22 compounds A-387.001 to A-387.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-388 provides 22 compounds A-388.001 to A-388.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

5 Table A-389 provides 22 compounds A-389.001 to A-389.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-390 provides 22 compounds A-390.001 to A-390.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-391 provides 22 compounds A-391.001 to A-391.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

10 Table A-392 provides 22 compounds A-392.001 to A-392.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-393 provides 22 compounds A-393.001 to A-393.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

15 Table A-394 provides 22 compounds A-394.001 to A-394.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-395 provides 22 compounds A-395.001 to A-395.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-396 provides 22 compounds A-396.001 to A-396.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

20 Table A-397 provides 22 compounds A-397.001 to A-397.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-398 provides 22 compounds A-398.001 to A-398.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

25 Table A-399 provides 22 compounds A-399.001 to A-399.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-400 provides 22 compounds A-400.001 to A-400.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

30 Table A-401 provides 22 compounds A-401.001 to A-401.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-402 provides 22 compounds A-402.001 to A-402.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

35 Table A-403 provides 22 compounds A-403.001 to A-403.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-404 provides 22 compounds A-404.001 to A-404.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-405 provides 22 compounds A-405.001 to A-405.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-406 provides 22 compounds A-406.001 to A-406.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-407 provides 22 compounds A-407.001 to A-407.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-408 provides 22 compounds A-408.001 to A-408.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-409 provides 22 compounds A-409.001 to A-409.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-410 provides 22 compounds A-410.001 to A-410.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-411 provides 22 compounds A-411.001 to A-411.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-412 provides 22 compounds A-412.001 to A-412.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-413 provides 22 compounds A-413.001 to A-413.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-414 provides 22 compounds A-414.001 to A-414.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-415 provides 22 compounds A-415.001 to A-415.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-416 provides 22 compounds A-416.001 to A-416.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-417 provides 22 compounds A-417.001 to A-417.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-418 provides 22 compounds A-418.001 to A-418.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-419 provides 22 compounds A-419.001 to A-419.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-420 provides 22 compounds A-420.001 to A-420.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is H, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-421 provides 22 compounds A-421.001 to A-421.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-422 provides 22 compounds A-422.001 to A-422.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-423 provides 22 compounds A-423.001 to A-423.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-424 provides 22 compounds A-424.001 to A-424.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-425 provides 22 compounds A-425.001 to A-425.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-426 provides 22 compounds A-426.001 to A-426.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-427 provides 22 compounds A-427.001 to A-427.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-428 provides 22 compounds A-428.001 to A-428.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-429 provides 22 compounds A-429.001 to A-429.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-430 provides 22 compounds A-430.001 to A-430.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-431 provides 22 compounds A-431.001 to A-431.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-432 provides 22 compounds A-432.001 to A-432.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CH₂CH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-433 provides 22 compounds A-433.001 to A-433.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-434 provides 22 compounds A-434.001 to A-434.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-435 provides 22 compounds A-435.001 to A-435.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-436 provides 22 compounds A-436.001 to A-436.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-437 provides 22 compounds A-437.001 to A-437.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-438 provides 22 compounds A-438.001 to A-438.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

5 Table A-439 provides 22 compounds A-439.001 to A-439.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-440 provides 22 compounds A-440.001 to A-440.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH(CH₃)CH₂OCH₃, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

10 Table A-441 provides 22 compounds A-441.001 to A-441.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-442 provides 22 compounds A-442.001 to A-442.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

15 Table A-443 provides 22 compounds A-443.001 to A-443.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-444 provides 22 compounds A-444.001 to A-444.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is C(CH₃)₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

20 Table A-445 provides 22 compounds A-445.001 to A-445.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-446 provides 22 compounds A-446.001 to A-446.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-447 provides 22 compounds A-447.001 to A-447.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

25 Table A-448 provides 22 compounds A-448.001 to A-448.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is CH₂CN, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-449 provides 22 compounds A-449.001 to A-449.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

30 Table A-450 provides 22 compounds A-450.001 to A-450.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-451 provides 22 compounds A-451.001 to A-451.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

35 Table A-452 provides 22 compounds A-452.001 to A-452.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is cyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-453 provides 22 compounds A-453.001 to A-453.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-454 provides 22 compounds A-454.001 to A-454.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

5 Table A-455 provides 22 compounds A-455.001 to A-455.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-456 provides 22 compounds A-456.001 to A-456.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyanocyclopropyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

10 Table A-457 provides 22 compounds A-457.001 to A-457.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

Table A-458 provides 22 compounds A-458.001 to A-458.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

15 Table A-459 provides 22 compounds A-459.001 to A-459.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

Table A-460 provides 22 compounds A-460.001 to A-460.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 4-cyanophenyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

20 Table A-461 provides 22 compounds A-461.001 to A-461.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

25 Table A-462 provides 22 compounds A-462.001 to A-462.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-463 provides 22 compounds A-463.001 to A-463.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is H and R₂ is as defined in table Z.

30 Table A-464 provides 22 compounds A-464.001 to A-464.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 1-cyano-2-pyridyl, R_{5a} is CH₃, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-465 provides 22 compounds A-465.001 to A-465.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is H and R₂ is as defined in table Z.

35 Table A-466 provides 22 compounds A-466.001 to A-466.022 of formula Iaa wherein R₁ is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is H, R_{5b} is CH₃ and R₂ is as defined in table Z.

Table A-467 provides 22 compounds A-467.001 to A-467.022 of formula Ia where R_1 is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is H and R_2 is as defined in table Z.

Table A-468 provides 22 compounds A-468.001 to A-468.022 of formula Ia where R_1 is CH₂cyclopropyl, R_{4a} is CH₂CH₃, R_{4b} is 2-pyrimidinyl, R_{5a} is CH₃, R_{5b} is CH₃ and R_2 is as defined in table Z.

Also made available are certain intermediate compounds of the amine of formulae II, IIb, IV, VI, VIII; VIIa, VIIb, VIIc, X, XII, XIII, and VIII'a, some of which are novel, as well as their corresponding, if applicable, enantiomer to formula I'a.. Specific examples of the compounds are:

- A compound of formula II wherein R_3 is methyl, and R_1 , R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468;
- A compound of formula IIb, wherein R_3 is methyl, and R_1 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468, and X_1 is OMs; or R_3 is methyl, and R_1 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468, and X_1 is OTf; or R_3 is methyl, and R_1 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468, and X_1 is OTs; R_3 is methyl, and R_1 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468, and X_1 is Cl; or R_3 is methyl, and R_1 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468, and X_1 is Br;
- A compound of formula IV, wherein R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_{05} is OMs; or R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_{05} is OTf; or R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_{05} is OTs; or R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_{05} is Cl; or R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_{05} is Br;
- A compound of formula VI, wherein R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468;
- A compound of formula VIII, wherein A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_1 is OMs; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_1 is OTf; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_1 is OTs; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_1 is Cl; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_1 is Br;
- A compound of formula VIIa or VIIb, wherein A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468;
- A compound of formula VIIc, wherein A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_0 is halogen; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_0 is Cl; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_0 is Br; or A, R_{2a} , R_{2b} , R_1 , R_3 , R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X_1 is F;

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- A compound of formula XII, wherein R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OMs; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OTf; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OTs; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is Cl; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is Br;
- A compound of formula XIII, wherein R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OMs; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OTf; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OTs; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is Cl; or R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is Br; and
- A compound of formula VIII'a, wherein A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OMs; or A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OTf; or A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is OTs; or A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is Cl; or A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in any Tables A-1 to A-468 and X₁ is Br.

The present invention also makes available

- A compound of formula II wherein R₃, R₁, R_{4a}, R_{4b}, R_{5a} and R_{5b} are as defined in formula I. Furthermore, the corresponding embodiments for R₃, R₁, R_{4a}, R_{4b}, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula II.
- A compound of formula IIb, wherein R₃, R₁, R_{4a}, R_{4b}, R_{5a} and R_{5b} are as defined in formula I and X₁ is OMs, OTf, OTs, Cl or Br. Furthermore, the corresponding embodiments for R₃, R₁, R_{4a}, R_{4b}, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula IIb.
- A compound of formula IV, wherein R_{4a}, R_{4b}, R_{5a} and R_{5b} are as defined in formula I and X₀₅ is OMs, OTf, OTs, Cl or Br. Furthermore, the corresponding embodiments for R_{4a}, R_{4b}, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula IV.
- A compound of formula VI, wherein R_{4a}, R_{4b}, R_{5a} and R_{5b} are as defined in formula I. Furthermore, the corresponding embodiments for R_{4a}, R_{4b}, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula VI.
- A compound of formula VIII, wherein A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in formula I and X₁ is OMs, OTf, OTs, Cl or Br. Furthermore, the corresponding embodiments for A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula VIII. Preferably X₁ is Cl.
- A compound of formula VIIIa or VIIIb, wherein A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in formula I. Furthermore, the corresponding embodiments for A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula VIIIa and VIIIb.
- A compound of formula VIIIc, wherein A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in formula I and X₀ is halogen, preferably Cl, Br, or F. Furthermore, the corresponding

embodiments for A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula VIIIc.

- A compound of formula XII, wherein R₃, R_{5a} and R_{5b} are as defined in formula I and X₁ is OMs, OTf, OTs, Cl or Br. Furthermore, the corresponding embodiments for R₃, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula XII.

- A compound of formula XIII, wherein R₃, R_{5a} and R_{5b} are as defined in formula I and X₁ is OMs, OTf, OTs, Cl or Br. Furthermore, the corresponding embodiments for R₃, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula XIII.

- A compound of formula VIII'a, wherein A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} are as defined in any formula I and X₁ is OMs, OTf, OTs, Cl or Br. Furthermore, the corresponding embodiments for A, R_{2a}, R_{2b}, R₁, R₃, R_{5a} and R_{5b} illustrated for formula I also apply to the compounds of formula VIII'a. Preferably X₁ is Cl.

- Use of a compound of formula I as an intermediate for preparation of a compound having pesticidal activity.

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

Examples of the above mentioned animal pests are:

from the order *Acarina*, for example,

Acalitus spp, Aculus spp, Acaricalus spp, Aceria spp, Acarus siro, Amblyomma spp., Argas spp., Boophilus spp., Brevipalpus spp., Bryobia spp, Calipitimerus spp., Chorioptes spp., Dermanyssus gallinae, Dermatophagoides spp, Eotetranychus spp, Eriophyes spp., Hemitarsonemus spp, Hyalomma spp., Ixodes spp., Olygonychus spp, Ornithodoros spp., Polyphagotarsonus latus, Panonychus spp., Phyllocoptruta oleivora, Phytoneumus spp, Polyphagotarsonemus spp, Psoroptes spp., Rhipicephalus spp., Rhizoglyphus spp., Sarcoptes spp., Steneotarsonemus spp, Tarsonemus spp. and Tetranychus spp.;

from the order *Anoplura*, for example,

Haematopinus spp., Linognathus spp., Pediculus spp., Pemphigus spp. and Phylloxera spp.;

from the order *Coleoptera*, for example,

Agriotes spp., Amphimallon majale, Anomala orientalis, Anthonomus spp., Aphodius spp, Astylus atomaculatus, Ataenius spp, Atomaria linearis, Chaetocnema tibialis, Cerotoma spp, Conoderus spp, Cosmopolites spp., Cotinis nitida, Curculio spp., Cyclocephala spp, Dermestes spp., Diabrotica spp.,

Diloboderus abderus, Epilachna spp., Eremnus spp., Heteronychus arator, Hypothenemus hampei, Lagria vilosa, Leptinotarsa decemlineata, Lissorhoptrus spp., Liogenys spp, Maecolaspis spp, Maladera castanea, Megascelis spp, Meligethes aeneus, Melolontha spp., Myochrous armatus, Orycaephilus spp., Otiorhynchus spp., Phyllophaga spp, Phlyctinus spp., Popillia spp., Psylliodes spp.,
 5 Rhyssomatus aubtilis, Rhizopertha spp., Scarabeidae, Sitophilus spp., Sitotroga spp., Somaticus spp, Sphenophorus spp, Sternechus subsignatus, Tenebrio spp., Tribolium spp. and Trogoderma spp.;
 from the order *Diptera*, for example,

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

15 from the order *Hemiptera*, for example,

Acanthocoris scabrator, Acrosternum spp, Adelphocoris lineolatus, Aleurodes spp., Amblypelta nitida, Bathycoelia thalassina, Blissus spp, Cimex spp., Clavigralla tomentosicollis, Creontiades spp, Distantiella theobroma, Dichelops furcatus, Dysdercus spp., Edessa spp, Euchistus spp., Eurydema pulchrum, Eurygaster spp., Halyomorpha halys, Horcias nobilellus, Leptocoris spp., Lygus spp,
 20 Margarodes spp, Murgantia histrionic, Neomegalotomus spp, Nesidiocoris tenuis, Nezara spp., Nysius simulans, Oebalus insularis, Piesma spp., Piezodorus spp, Rhodnius spp., Sahlbergella singularis, Scaptocoris castanea, Scotinophara spp. , Thyanta spp , Triatoma spp., Vatica illudens;

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

Tarophagus Proserpina, Toxoptera spp, Trialeurodes spp, Tridiscus sporoboli, Trionymus spp, Trioza erytreae, Unaspis citri, Zyginia flammigera, Zyginidia scutellaris, ;

from the order *Hymenoptera*, for example,

Acromyrmex, Arge spp, Atta spp., Cephus spp., Diprion spp., Diprionidae, Gilpinia polytoma, Hoplocampa spp., Lasius spp., Monomorium pharaonis, Neodiprion spp., Pogonomyrmex spp, Slenopsis invicta, Solenopsis spp. and Vespa spp.;

from the order *Isoptera*, for example,

Coptotermes spp, Cornitermes cumulans, Incisitermes spp, Macrotermes spp, Mastotermes spp, Microtermes spp, Reticulitermes spp.; Solenopsis geminate

from the order *Lepidoptera*, for example,

Acleris spp., Adoxophyes spp., Aegeria spp., Agrotis spp., Alabama argillaceae, Amylois spp., Anticarsia gemmatilis, Archips spp., Argyresthia spp, Argyrotaenia spp., Autographa spp., Bucculatrix thurberiella, Busseola fusca, Cadra cautella, Carposina nipponensis, Chilo spp., Choristoneura spp., Chrysoteuchia topiaria, Clysia ambiguella, Cnaphalocrocis spp., Cnephasia spp., Cochylis spp., Coleophora spp., Colias lesbia, Cosmophila flava, Crambus spp, Crocidolomia binotalis, Cryptophlebia leucotreta, Cydalima perspectalis, Cydia spp., Diaphania perspectalis, Diatraea spp., Diparopsis castanea, Earias spp., Elasmopalpus lignosellus, Eldana saccharina, Ephestia spp., Epinotia spp, Estigmene acrea, Etiella zinckenella, Eucosma spp., Eupoecilia ambiguella, Euproctis spp., Euxoa spp., Feltia jaculiferia, Grapholita spp., Hedya nubiferana, Heliothis spp., Hellula undalis,

Herpetogramma spp, Hyphantria cunea, Keiferia lycopersicella, Lasmopalpus lignosellus, Leucoptera scitella, Lithocolletis spp., Lobesia botrana, Loxostege bifidalis, Lymantria spp., Lyonetia spp., Malacosoma spp., Mamestra brassicae, Manduca sexta, Mythimna spp, Noctua spp, Operophtera spp., Orniodes indica, Ostrinia nubilalis, Pammene spp., Pandemis spp., Panolis flammea, Papaipema nebris, Pectinophora gossypiella, Perileucoptera coffeella, Pseudaletia unipuncta, Phthorimaea operculella, Pieris rapae, Pieris spp., Plutella xylostella, Prays spp., Pseudoplusia spp, Rachiplusia nu, Richia albicosta, Scirpophaga spp., Sesamia spp., Sparganothis spp., Spodoptera spp., Sylepta derogate, Synanthedon spp., Thaumetopoea spp., Tortrix spp., Trichoplusia ni, Tuta absoluta, and Yponomeuta spp.;

from the order *Mallophaga*, for example,

Damalinea spp. and Trichodectes spp.;

from the order *Orthoptera*, for example,

Blatta spp., Blattella spp., Gryllotalpa spp., Leucophaea maderae, Locusta spp., Neocurtilla hexadactyla, Periplaneta spp., Scapteriscus spp, and Schistocerca spp.;

from the order *Psocoptera*, for example,

Liposcelis spp.;

from the order *Siphonaptera*, for example,

Ceratophyllus spp., Ctenocephalides spp. and Xenopsylla cheopis;

from the order *Thysanoptera*, for example,

Calliothrips phaseoli, Frankliniella spp., Heliothrips spp, Hercinothrips spp., Parthenothrips spp, Scirtothrips aurantii, Sericothrips variabilis, Taeniothrips spp., Thrips spp; from the order *Thysanura*, for example, *Lepisma saccharina*.

- 5 In a further aspect, the invention may also relate to a method of controlling damage to plant and parts thereof by plant parasitic nematodes (Endoparasitic-, Semiendoparasitic- and Ectoparasitic nematodes), especially plant parasitic nematodes such as root knot nematodes, *Meloidogyne hapla*, *Meloidogyne incognita*, *Meloidogyne javanica*, *Meloidogyne arenaria* and other *Meloidogyne* species; cyst-forming nematodes, *Globodera rostochiensis* and other *Globodera* species; *Heterodera avenae*,
10 *Heterodera glycines*, *Heterodera schachtii*, *Heterodera trifolii*, and other *Heterodera* species; Seed gall nematodes, *Anguina* species; Stem and foliar nematodes, *Aphelenchoides* species; Sting nematodes, *Belonolaimus longicaudatus* and other *Belonolaimus* species; Pine nematodes, *Bursaphelenchus xylophilus* and other *Bursaphelenchus* species; Ring nematodes, *Criconema* species, *Criconemella* species, *Criconemoides* species, *Mesocriconema* species; Stem and bulb nematodes, *Ditylenchus*
15 *destructor*, *Ditylenchus dipsaci* and other *Ditylenchus* species; Awl nematodes, *Dolichodorus* species; Spiral nematodes, *Helicotylenchus multicinctus* and other *Helicotylenchus* species; Sheath and sheathoid nematodes, *Hemicyclophora* species and *Hemicriconemoides* species; *Hirshmanniella* species; Lance nematodes, *Hoploaimus* species; false rootknot nematodes, *Nacobbus* species; Needle nematodes, *Longidorus elongatus* and other *Longidorus* species; Pin nematodes,
20 *Pratylenchus* species; Lesion nematodes, *Pratylenchus neglectus*, *Pratylenchus penetrans*, *Pratylenchus curvatus*, *Pratylenchus goodeyi* and other *Pratylenchus* species; Burrowing nematodes, *Radopholus similis* and other *Radopholus* species; Reniform nematodes, *Rotylenchus robustus*, *Rotylenchus reniformis* and other *Rotylenchus* species; *Scutellonema* species; Stubby root nematodes, *Trichodorus primitivus* and other *Trichodorus* species, *Paratrichodorus* species; Stunt
25 nematodes, *Tylenchorhynchus claytoni*, *Tylenchorhynchus dubius* and other *Tylenchorhynchus* species; Citrus nematodes, *Tylenchulus* species; Dagger nematodes, *Xiphinema* species; and other plant parasitic nematode species, such as *Subanguina* spp., *Hypsoperine* spp., *Macroposthonia* spp., *Melinius* spp., *Punctodera* spp., and *Quinisulcius* spp..
- 30 The compounds of the invention may also have activity against the molluscs. Examples of which include, for example, *Ampullariidae*; *Arion* (*A. ater*, *A. circumscriptus*, *A. hortensis*, *A. rufus*); *Bradybaenidae* (*Bradybaena fruticum*); *Cepaea* (*C. hortensis*, *C. Nemoralis*); *ochlodina*; *Deroceras* (*D. agrestis*, *D. empiricorum*, *D. laeve*, *D. reticulatum*); *Discus* (*D. rotundatus*); *Euomphalia*; *Galba* (*G. trunculata*); *Helicelia* (*H. itala*, *H. obvia*); *Helicidae* *Helicigona arbustorum*); *Helicodiscus*; *Helix* (*H. aperta*); *Limax* (*L. cinereoniger*, *L. flavus*, *L. marginatus*, *L. maximus*, *L. tenellus*); *Lymnaea*; *Milax* (*M. gagates*, *M. marginatus*, *M. sowerbyi*); *Opeas*; *Pomacea* (*P. canaticulata*); *Vallonia* and *Zanitoides*.
35

The active ingredients according to the invention can be used for controlling, i. e. containing or destroying, pests of the abovementioned type which occur in particular on plants, especially on useful

plants and ornamentals in agriculture, in horticulture and in forests, or on organs, such as fruits, flowers, foliage, stalks, tubers or roots, of such plants, and in some cases even plant organs which are formed at a later point in time remain protected against these pests.

- 5 Suitable target crops are, in particular, cereals, such as wheat, barley, rye, oats, rice, maize or sorghum; beet, such as sugar or fodder beet; fruit, for example pomaceous fruit, stone fruit or soft fruit, such as apples, pears, plums, peaches, almonds, cherries or berries, for example strawberries, raspberries or blackberries; leguminous crops, such as beans, lentils, peas or soya; oil crops, such as oilseed rape, mustard, poppies, olives, sunflowers, coconut, castor, cocoa or ground nuts; cucurbits, such as pumpkins, cucumbers or melons; fibre plants, such as cotton, flax, hemp or jute; citrus fruit, such as oranges, lemons, grapefruit or tangerines; vegetables, such as spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes or bell peppers; Lauraceae, such as avocado, Cinnamonium or camphor; and also tobacco, nuts, coffee, eggplants, sugarcane, tea, pepper, grapevines, hops, the plantain family and latex plants.

15

The compositions and/or methods of the present invention may be also used on any ornamental and/or vegetable crops, including flowers, shrubs, broad-leaved trees and evergreens.

- For example the invention may be used on any of the following ornamental species: *Ageratum* spp., *Alonsoa* spp., *Anemone* spp., *Anisodonteia capsensis*, *Anthemis* spp., *Antirrhinum* spp., *Aster* spp., *Begonia* spp. (e.g. *B. elatior*, *B. semperflorens*, *B. tubéreux*), *Bougainvillea* spp., *Brachycome* spp., *Brassica* spp. (ornamental), *Calceolaria* spp., *Capsicum annuum*, *Catharanthus roseus*, *Canna* spp., *Centaurea* spp., *Chrysanthemum* spp., *Cineraria* spp. (*C. maritime*), *Coreopsis* spp., *Crassula coccinea*, *Cuphea ignea*, *Dahlia* spp., *Delphinium* spp., *Dicentra spectabilis*, *Dorotheantus* spp., *Eustoma grandiflorum*, *Forsythia* spp., *Fuchsia* spp., *Geranium gnaphalium*, *Gerbera* spp., *Gomphrena globosa*, *Heliotropium* spp., *Helianthus* spp., *Hibiscus* spp., *Hortensia* spp., *Hydrangea* spp., *Hypoestes phyllostachya*, *Impatiens* spp. (*I. Walleriana*), *Iresines* spp., *Kalanchoe* spp., *Lantana camara*, *Lavatera trimestris*, *Leonotis leonurus*, *Lilium* spp., *Mesembryanthemum* spp., *Mimulus* spp., *Monarda* spp., *Nemesia* spp., *Tagetes* spp., *Dianthus* spp. (carnation), *Canna* spp., *Oxalis* spp., *Bellis* spp., *Pelargonium* spp. (*P. peltatum*, *P. Zonale*), *Viola* spp. (pansy), *Petunia* spp., *Phlox* spp., *Plecthranthus* spp., *Poinsettia* spp., *Parthenocissus* spp. (*P. quinquefolia*, *P. tricuspidata*), *Primula* spp., *Ranunculus* spp., *Rhododendron* spp., *Rosa* spp. (rose), *Rudbeckia* spp., *Saintpaulia* spp., *Salvia* spp., *Scaevola aemola*, *Schizanthus wisetonensis*, *Sedum* spp., *Solanum* spp., *Surfinia* spp., *Tagetes* spp., *Nicotinia* spp., *Verbena* spp., *Zinnia* spp. and other bedding plants.

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For example the invention may be used on any of the following vegetable species: *Allium* spp. (*A. sativum*, *A. cepa*, *A. oschaninii*, *A. Porrum*, *A. ascalonicum*, *A. fistulosum*), *Anthriscus cerefolium*, *Apium graveolus*, *Asparagus officinalis*, *Beta vulgaris*, *Brassica* spp. (*B. Oleracea*, *B. Pekinensis*, *B. rapa*), *Capsicum annuum*, *Cicer arietinum*, *Cichorium endivia*, *Cichorium* spp. (*C. intybus*, *C. endivia*),

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Citrillus lanatus, *Cucumis* spp. (*C. sativus*, *C. melo*), *Cucurbita* spp. (*C. pepo*, *C. maxima*), *Cyanara* spp. (*C. scolymus*, *C. cardunculus*), *Daucus carota*, *Foeniculum vulgare*, *Hypericum* spp., *Lactuca sativa*, *Lycopersicon* spp. (*L. esculentum*, *L. lycopersicum*), *Mentha* spp., *Ocimum basilicum*, *Petroselinum crispum*, *Phaseolus* spp. (*P. vulgaris*, *P. coccineus*), *Pisum sativum*, *Raphanus sativus*,
 5 *Rheum rhaponticum*, *Rosemarinus* spp., *Salvia* spp., *Scorzonera hispanica*, *Solanum melongena*, *Spinacea oleracea*, *Valerianella* spp. (*V. locusta*, *V. eriocarpa*) and *Vicia faba*.

Preferred ornamental species include African violet, *Begonia*, *Dahlia*, *Gerbera*, *Hydrangea*, *Verbena*, *Rosa*, *Kalanchoe*, *Poinsettia*, *Aster*, *Centaurea*, *Coreopsis*, *Delphinium*, *Monarda*, *Phlox*, *Rudbeckia*,
 10 *Sedum*, *Petunia*, *Viola*, *Impatiens*, *Geranium*, *Chrysanthemum*, *Ranunculus*, *Fuchsia*, *Salvia*, *Hortensia*, rosemary, sage, St. Johnswort, mint, sweet pepper, tomato and cucumber.

The active ingredients according to the invention are especially suitable for controlling *Aphis craccivora*, *Diabrotica balteata*, *Heliothis virescens*, *Myzus persicae*, *Plutella xylostella* and
 15 *Spodoptera littoralis* in cotton, vegetable, maize, rice and soya crops. The active ingredients according to the invention are further especially suitable for controlling *Mamestra* (preferably in vegetables), *Cydia pomonella* (preferably in apples), *Empoasca* (preferably in vegetables, vineyards), *Leptinotarsa* (preferably in potatoes) and *Chilo suppressalis* (preferably in rice).

20 The compounds of formula I are particularly suitable for control of

- a pest of the order Hemiptera, for example, one or more of the species *Bemisia tabaci*, *Aphis craccivora*, *Myzus persicae*, *Rhopalosiphum padi*, *Nilaparvata lugens*, and *Euschistus heros* (preferably in vegetables, soybeans, and sugarcane);
- a pest of the order Lepidoptera, for example, one or more of the species *Spodoptera littoralis*,
 25 *Spodoptera frugiperda*, *Plutella xylostella*, *Cnaphalocrocis medinalis*, *Cydia pomonella*, *Chrysodeixis* includes, *Chilo suppressalis*, *Elasmopalpus lignosellus*, *Pseudoplusia includens*, and *Tuta absoluta* (preferably in vegetables and corn);
- a pest of the order Thysanoptera, such as the family Thripidae, for example, one or more of *Thrips tabaci* and *Frankliniella occidentalis* (preferably in vegetables); and
- 30 • soil pests (such as of the order Coleoptera), for example, the species *Diabrotica balteata*, *Agriotes* spp. and *Leptinotarsa decemlineata* (preferably in vegetables and corn).

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
 35 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, for example insecticidal proteins from *Bacillus cereus* or *Bacillus popilliae*; or insecticidal proteins from

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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
 5 by animals, such as scorpion toxins, arachnid toxins, wasp toxins and other insect-specific neurotoxins; toxins produced by fungi, such as Streptomyces toxins, plant lectins, such as pea lectins, barley lectins or snowdrop lectins; agglutinins; proteinase inhibitors, such as trypsin inhibitors, serine protease inhibitors, patatin, cystatin, papain inhibitors; ribosome-inactivating proteins (RIP), such as ricin, maize-RIP, abrin, luffin, saporin or bryodin; steroid metabolism enzymes, such as
 10 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.

15 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
 20 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).

25 Examples of such toxins or transgenic plants capable of synthesising such toxins are disclosed, for example, in EP-A-0 374 753, WO 93/07278, WO 95/34656, EP-A-0 427 529, EP-A-451 878 and WO 03/052073.

30 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.

35 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 moths (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

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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

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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

5 Lepidoptera, include the European corn borer.

Transgenic crops of insect-resistant plants are also described in BATS (Zentrum für Biosicherheit und Nachhaltigkeit, Zentrum BATS, Clarastrasse 13, 4058 Basel, Switzerland) Report 2003, (<http://bats.ch>).

10 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 antipathogenic substances having a selective action, such as, for example, the so-called "pathogenesis-related proteins" (PRPs, see e.g. EP-A-0 392 225). Examples of such antipathogenic substances and transgenic plants capable of synthesising such antipathogenic substances are known, for example,
15 from EP-A-0 392 225, WO 95/33818 and EP-A-0 353 191. The methods of producing such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above.

Crops may also be modified for enhanced resistance to fungal (for example Fusarium, Anthracnose, or
20 Phytophthora), bacterial (for example Pseudomonas) or viral (for example potato leafroll virus, tomato spotted wilt virus, cucumber mosaic virus) pathogens.

Crops also include those that have enhanced resistance to nematodes, such as the soybean cyst nematode.

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Crops that are tolerance to abiotic stress include those that have enhanced tolerance to drought, high salt, high temperature, chill, frost, or light radiation, for example through expression of NF-YB or other proteins known in the art.

30 Antipathogenic substances which can be expressed by such transgenic plants include, for example, ion channel blockers, such as blockers for sodium and calcium channels, for example the viral KP1, KP4 or KP6 toxins; stilbene synthases; bibenzyl synthases; chitinases; glucanases; the so-called "pathogenesis-related proteins" (PRPs; see e.g. EP-A-0 392 225); antipathogenic substances produced by microorganisms, for example peptide antibiotics or heterocyclic antibiotics (see e.g.
35 WO 95/33818) or protein or polypeptide factors involved in plant pathogen defence (so-called "plant disease resistance genes", as described in WO 03/000906).

Further areas of use of the compositions according to the invention are the protection of stored goods and store rooms and the protection of raw materials, such as wood, textiles, floor coverings or

buildings, and also in the hygiene sector, especially the protection of humans, domestic animals and productive livestock against pests of the mentioned type.

The present invention provides a compound of the first aspect for use in therapy. The present

5 invention provides a compound of the first aspect, for use in controlling parasites in or on an animal.

The present invention further provides a compound of the first aspect, for use in controlling ectoparasites on an animal. The present invention further provides a compound of the first aspect, for use in preventing and/or treating diseases transmitted by ectoparasites.

10 The present invention provides the use of a compound of the first aspect, for the manufacture of a medicament for controlling parasites in or on an animal. The present invention further provides the use of a compound of the first aspect, for the manufacture of a medicament for controlling ectoparasites on an animal. The present invention further provides the use of a compound of the first aspect, for the manufacture of a medicament for preventing and/or treating diseases transmitted by ectoparasites.

15 The present invention provides the use of a compound of the first aspect, in controlling parasites in or on an animal. The present invention further provides the use of a compound of the first aspect , in controlling ectoparasites on an animal.

20 The term "controlling" when used in context of parasites in or on an animal refers to reducing the number of pests or parasites, eliminating pests or parasites and/or preventing further pest or parasite infestation.

The term "treating" when used used in context of parasites in or on an animal refers to restraining, slowing, stopping or reversing the progression or severity of an existing symptom or disease.

25 The term "preventing" when used used in context of parasites in or on an animal refers to the avoidance of a symptom or disease developing in the animal.

30 The term "animal" when used used in context of parasites in or on an animal may refer to a mammal and a non-mammal, such as a bird or fish. In the case of a mammal, it may be a human or non-human mammal. Non-human mammals include, but are not limited to, livestock animals and companion animals. Livestock animals include, but are not limited to, cattle, camellids, pigs, sheep, goats and horses. Companion animals include, but are not limited to, dogs, cats and rabbits.

35 A "parasite" is a pest which lives in or on the host animal and benefits by deriving nutrients at the host animal's expense. An "endoparasite" is a parasite which lives in the host animal. An "ectoparasite" is a parasite which lives on the host animal. Ectoparasites include, but are not limited to, acari, insects and crustaceans (e.g. sea lice). The Acari (or Acarina) sub-class comprises ticks and mites. Ticks include, but are not limited to, members of the following genera: *Rhipicaphalus*, for example, *Rhipicaphalus*

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(*Boophilus microplus* and *Rhipicephalus sanguineus*; *Amblyomrna*; *Dermacentor*; *Haemaphysalis*; *Hyalomma*; *Ixodes*; *Rhipicentor*; *Margaropus*; *Argas*; *Otobius*; and *Ornithodoros*. Mites include, but are not limited to, members of the following genera: *Chorioptes*, for example *Chorioptes bovis*; *Psoroptes*, for example *Psoroptes ovis*; *Cheyletiella*; *Dermanyssus*; for example *Dermanyssus gallinae*;
 5 *Ortnithonyssus*; *Demodex*, for example *Demodex canis*; *Sarcoptes*, for example *Sarcoptes scabiei*; and *Psorergates*. Insects include, but are not limited to, members of the orders: Siphonaptera, Diptera, Phthiraptera, Lepidoptera, Coleoptera and Homoptera. Members of the Siphonaptera order include, but are not limited to, *Ctenocephalides felis* and *Ctenocephatides canis*. Members of the Diptera order include, but are not limited to, *Musca spp.*; bot fly, for example *Gasterophilus intestinalis* and *Oestrus*
 10 *ovis*; biting flies; horse flies, for example *Haematopota spp.* and *Tabanus spp.*; *haematobia*, for example *haematobia irritans*; *Stomoxys*; *Lucilia*; midges; and mosquitoes. Members of the Phthiraptera class include, but are not limited to, blood sucking lice and chewing lice, for example *Bovicola Ovis* and *Bovicola Bovis*.

15 The term "effective amount" when used used in context of parasites in or on an animal refers to the amount or dose of the compound of the invention, or a salt thereof, which, upon single or multiple dose administration to the animal, provides the desired effect in or on the animal. The effective amount can be readily determined by the attending diagnostician, as one skilled in the art, by the use of known techniques and by observing results obtained under analogous circumstances. In
 20 determining the effective amount a number of factors are considered by the attending diagnostician, including, but not limited to: the species of mammal; its size, age, and general health; the parasite to be controlled and the degree of infestation; the specific disease or disorder involved; the degree of or involvement or the severity of the disease or disorder; the response of the individual; the particular compound administered; the mode of administration; the bioavailability characteristics of the
 25 preparation administered; the dose regimen selected; the use of concomitant medication; and other relevant circumstances.

The compounds of the invention may be administered to the animal by any route which has the desired effect including, but not limited to topically, orally, parenterally' and subcutaneously. Topical
 30 administration is preferred. Formulations suitable for topical administration include, for example, solutions, emulsions and suspensions and may take the form of a pour-on, spot-on, spray-on, spray race or dip. In the alternative, the compounds of the invention may be administered by means of an ear tag or collar.

35 Salt forms of the compounds of the invention include both pharmaceutically acceptable salts and veterinary acceptable salts, which can be different to agrochemically acceptable salts. Pharmaceutically and veterinary acceptable salts and common methodology for preparing them are well known in the art. See, for example, Gould, P.L., "Salt selection for basic drugs", International Journal of Pharmaceutics, 33: 201 -217 (1986); Bastin, R.J., *et al.* "Salt Selection and Optimization

Procedures for Pharmaceutical New Chemical Entities", Organic Process Research and Development, 4: 427-435 (2000); and Berge, S.M., *et al.*, "Pharmaceutical Salts", Journal of Pharmaceutical Sciences, 66: 1-19, (1977). One skilled in the art of synthesis will appreciate that the compounds of the invention are readily converted to and may be isolated as a salt, such as a hydrochloride salt, using techniques and conditions well known to one of ordinary skill in the art. In addition, one skilled in the art of synthesis will appreciate that the compounds of the invention are readily converted to and may be isolated as the corresponding free base from the corresponding salt.

The present invention also provides a method for controlling pests (such as mosquitoes and other disease vectors; see also http://www.who.int/malaria/vector_control/irs/en/). In one embodiment, the method for controlling pests comprises applying the compositions of the invention to the target pests, to their locus or to a surface or substrate by brushing, rolling, spraying, spreading or dipping. By way of example, an IRS (indoor residual spraying) application of a surface such as a wall, ceiling or floor surface is contemplated by the method of the invention. In another embodiment, it is contemplated to apply such compositions to a substrate such as non-woven or a fabric material in the form of (or which can be used in the manufacture of) netting, clothing, bedding, curtains and tents.

In one embodiment, the method for controlling such pests comprises applying a pesticidally effective amount of the compositions of the invention to the target pests, to their locus, or to a surface or substrate so as to provide effective residual pesticidal activity on the surface or substrate. Such application may be made by brushing, rolling, spraying, spreading or dipping the pesticidal composition of the invention. By way of example, an IRS application of a surface such as a wall, ceiling or floor surface is contemplated by the method of the invention so as to provide effective residual pesticidal activity on the surface. In another embodiment, it is contemplated to apply such compositions for residual control of pests on a substrate such as a fabric material in the form of (or which can be used in the manufacture of) netting, clothing, bedding, curtains and tents.

Substrates including non-woven, fabrics or netting to be treated may be made of natural fibres such as cotton, raffia, jute, flax, sisal, hessian, or wool, or synthetic fibres such as polyamide, polyester, polypropylene, polyacrylonitrile or the like. The polyesters are particularly suitable. The methods of textile treatment are known, e.g. WO 2008/151984, WO 2003/034823, US 5631072, WO 2005/64072, WO2006/128870, EP 1724392, WO 2005113886 or WO 2007/090739.

Further areas of use of the compositions according to the invention are the field of tree injection/trunk treatment for all ornamental trees as well all sort of fruit and nut trees.

In the field of tree injection/trunk treatment, the compounds according to the present invention are especially suitable against wood-boring insects from the order *Lepidoptera* as mentioned above and from the order *Coleoptera*, especially against woodborers listed in the following tables A and B:

Table A. Examples of exotic woodborers of economic importance.

Family	Species	Host or Crop Infested
Buprestidae	<i>Agrilus planipennis</i>	Ash
Cerambycidae	<i>Anoplua glabripennis</i>	Hardwoods
Scolytidae	<i>Xylosandrus crassiusculus</i>	Hardwoods
	<i>X. mutilatus</i>	Hardwoods
	<i>Tomicus piniperda</i>	Conifers

Table B. Examples of native woodborers of economic importance.

Family	Species	Host or Crop Infested
Buprestidae	<i>Agrilus anxius</i>	Birch
	<i>Agrilus politus</i>	Willow, Maple
	<i>Agrilus sayi</i>	Bayberry, Sweetfern
	<i>Agrilus vittaticollis</i>	Apple, Pear, Cranberry, Serviceberry, Hawthorn
	<i>Chrysobothris femorata</i>	Apple, Apricot, Beech, Boxelder, Cherry, Chestnut, Currant, Elm, Hawthorn, Hackberry, Hickory, Horsechestnut, Linden, Maple, Mountain-ash, Oak, Pecan, Pear, Peach, Persimmon, Plum, Poplar, Quince, Redbud, Serviceberry, Sycamore, Walnut, Willow
	<i>Texania campestris</i>	Basswood, Beech, Maple, Oak, Sycamore, Willow, Yellow-poplar
Cerambycidae	<i>Goes pulverulentus</i>	Beech, Elm, Nuttall, Willow, Black oak, Cherrybark oak, Water oak, Sycamore
	<i>Goes tigrinus</i>	Oak
	<i>Neoclytus acuminatus</i>	Ash, Hickory, Oak, Walnut, Birch, Beech, Maple, Eastern hophornbeam, Dogwood, Persimmon, Redbud, Holly, Hackberry, Black locust, Honeylocust, Yellow-poplar, Chestnut, Osage-orange, Sassafras, Lilac, Mountain-mahogany, Pear,

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Family	Species	Host or Crop Infested
		Cherry, Plum, Peach, Apple, Elm, Basswood, Sweetgum
	<i>Neoptychodes trilineatus</i>	Fig, Alder, Mulberry, Willow, Nettleleaf hackberry
	<i>Oberea ocellata</i>	Sumac, Apple, Peach, Plum, Pear, Currant, Blackberry
	<i>Oberea tripunctata</i>	Dogwood, Viburnum, Elm, Sourwood, Blueberry, Rhododendron, Azalea, Laurel, Poplar, Willow, Mulberry
	<i>Oncideres cingulata</i>	Hickory, Pecan, Persimmon, Elm, Sourwood, Basswood, Honeylocust, Dogwood, Eucalyptus, Oak, Hackberry, Maple, Fruit trees
	<i>Saperda calcarata</i>	Poplar
	<i>Strophiona nitens</i>	Chestnut, Oak, Hickory, Walnut, Beech, Maple
Scolytidae	<i>Corthylus columbianus</i>	Maple, Oak, Yellow-poplar, Beech, Boxelder, Sycamore, Birch, Basswood, Chestnut, Elm
	<i>Dendroctonus frontalis</i>	Pine
	<i>Dryocoetes betulae</i>	Birch, Sweetgum, Wild cherry, Beech, Pear
	<i>Monarthrum fasciatum</i>	Oak, Maple, Birch, Chestnut, Sweetgum, Blackgum, Poplar, Hickory, Mimosa, Apple, Peach, Pine
	<i>Phloeotribus liminaris</i>	Peach, Cherry, Plum, Black cherry, Elm, Mulberry, Mountain-ash
	<i>Pseudopityophthorus pruinosis</i>	Oak, American beech, Black cherry, Chickasaw plum, Chestnut, Maple, Hickory, Hornbeam, Hophornbeam
Sesiidae	<i>Paranthrene simulans</i>	Oak, American chestnut
	<i>Sannina uroceriformis</i>	Persimmon
	<i>Synanthedon exitiosa</i>	Peach, Plum, Nectarine, Cherry, Apricot, Almond, Black cherry
	<i>Synanthedon pictipes</i>	Peach, Plum, Cherry, Beach, Black Cherry

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Family	Species	Host or Crop Infested
	<i>Synanthedon rubrofascia</i>	Tupelo
	<i>Synanthedon scitula</i>	Dogwood, Pecan, Hickory, Oak, Chestnut, Beech, Birch, Black cherry, Elm, Mountain-ash, Viburnum, Willow, Apple, Loquat, Ninebark, Bayberry
	<i>Vitacea polistiformis</i>	Grape

The present invention may be also used to control any insect pests that may be present in turfgrass, including for example beetles, caterpillars, fire ants, ground pearls, millipedes, sow bugs, mites, mole crickets, scales, mealybugs, ticks, spittlebugs, southern chinch bugs and white grubs. The present invention may be used to control insect pests at various stages of their life cycle, including eggs, larvae, nymphs and adults.

In particular, the present invention may be used to control insect pests that feed on the roots of turfgrass including white grubs (such as *Cyclocephala* spp. (e.g. masked chafer, *C. lurida*), *Rhizotrogus* spp. (e.g. European chafer, *R. majalis*), *Cotinus* spp. (e.g. Green June beetle, *C. nitida*), *Popillia* spp. (e.g. Japanese beetle, *P. japonica*), *Phyllophaga* spp. (e.g. May/June beetle), *Ataenius* spp. (e.g. Black turfgrass ataenius, *A. spretulus*), *Maladera* spp. (e.g. Asiatic garden beetle, *M. castanea*) and *Tomarus* spp.), ground pearls (*Margarodes* spp.), mole crickets (tawny, southern, and short-winged; *Scapteriscus* spp., *Gryllotalpa africana*) and leatherjackets (European crane fly, *Tipula* spp.).

The present invention may also be used to control insect pests of turfgrass that are thatch dwelling, including armyworms (such as fall armyworm *Spodoptera frugiperda*, and common armyworm *Pseudaletia unipuncta*), cutworms, billbugs (*Sphenophorus* spp., such as *S. venatus verstitus* and *S. parvulus*), and sod webworms (such as *Crambus* spp. and the tropical sod webworm, *Herpetogramma phaeopteralis*).

The present invention may also be used to control insect pests of turfgrass that live above the ground and feed on the turfgrass leaves, including chinch bugs (such as southern chinch bugs, *Blissus insularis*), Bermudagrass mite (*Eriophyes cynodontiensis*), rhodesgrass mealybug (*Antonina graminis*), two-lined spittlebug (*Prosapia bicincta*), leafhoppers, cutworms (*Noctuidae* family), and greenbugs.

The present invention may also be used to control other pests of turfgrass such as red imported fire ants (*Solenopsis invicta*) that create ant mounds in turf.

In the hygiene sector, the compositions according to the invention are active against ectoparasites such as hard ticks, soft ticks, mange mites, harvest mites, flies (biting and licking), parasitic fly larvae, lice, hair lice, bird lice and fleas.

5 Examples of such parasites are:

Of the order Anoplurida: *Haematopinus* spp., *Linognathus* spp., *Pediculus* spp. and *Phtirus* spp., *Solenopotes* spp..

Of the order Mallophagida: *Trimenopon* spp., *Menopon* spp., *Trinoton* spp., *Bovicola* spp.,

10 *Werneckiella* spp., *Lepikentron* spp., *Damalina* spp., *Trichodectes* spp. and *Felicola* spp..

Of the order Diptera and the suborders Nematocera and Brachycera, for example *Aedes* spp., *Anopheles* spp., *Culex* spp., *Simulium* spp., *Eusimulium* spp., *Phlebotomus* spp., *Lutzomyia* spp., *Culicoides* spp., *Chrysops* spp., *Hybomitra* spp., *Atylotus* spp., *Tabanus* spp., *Haematopota* spp.,

15 *Philipomyia* spp., *Braula* spp., *Musca* spp., *Hydrotaea* spp., *Stomoxys* spp., *Haematobia* spp., *Morellia* spp., *Fannia* spp., *Glossina* spp., *Calliphora* spp., *Lucilia* spp., *Chrysomyia* spp., *Wohlfahrtia* spp., *Sarcophaga* spp., *Oestrus* spp., *Hypoderma* spp., *Gasterophilus* spp., *Hippobosca* spp., *Lipoptena* spp. and *Melophagus* spp..

20 Of the order Siphonaptera, for example *Pulex* spp., *Ctenocephalides* spp., *Xenopsylla* spp., *Ceratophyllus* spp..

Of the order Heteroptera, for example *Cimex* spp., *Triatoma* spp., *Rhodnius* spp., *Panstrongylus* spp..

25

Of the order Blattellidae, for example *Blattella orientalis*, *Periplaneta americana*, *Blattella germanica* and *Supella* spp..

Of the subclass Acarina (Acarida) and the orders Meta- and Meso-stigmata, for example *Argas* spp., *Ornithodoros* spp., *Otobius* spp., *Ixodes* spp., *Amblyomma* spp., *Boophilus* spp., *Dermacentor* spp., *Haemaphysalis* spp., *Hyalomma* spp., *Rhipicephalus* spp., *Dermanyssus* spp., *Raillietia* spp., *Pneumonyssus* spp., *Sternostoma* spp. and *Varroa* spp..

30

Of the orders Actinotrichida (Prostigmata) and Actinotrichida (Astigmata), for example *Acarapis* spp., *Cheyletiella* spp., *Ornithocheyletiella* spp., *Myobia* spp., *Psorergates* spp., *Demodex* spp., *Trombicula* spp., *Listrophorus* spp., *Acarus* spp., *Tyrophagus* spp., *Caloglyphus* spp., *Hypodectes* spp., *Pterolichus* spp., *Psoroptes* spp., *Chorioptes* spp., *Otodectes* spp., *Sarcoptes* spp., *Notoedres* spp., *Knemidocoptes* spp., *Cytodites* spp. and *Laminosioptes* spp..

35

The compositions according to the invention are also suitable for protecting against insect infestation in the case of materials such as wood, textiles, plastics, adhesives, glues, paints, paper and card, leather, floor coverings and buildings.

- 5 The compositions according to the invention can be used, for example, against the following pests: beetles such as *Hylotrupes bajulus*, *Chlorophorus pilosis*, *Anobium punctatum*, *Xestobium rufovillosum*, *Ptilinuspecticornis*, *Dendrobium pertinex*, *Ernobius mollis*, *Priobium carpini*, *Lyctus brunneus*, *Lyctus africanus*, *Lyctus planicollis*, *Lyctus linearis*, *Lyctus pubescens*, *Trogoxylon aequale*, *Minthesrugicollis*, *Xyleborus spec.*, *Tryptodendron spec.*, *Apate monachus*, *Bostrychus capucins*,
 10 *Heterobostrychus brunneus*, *Sinoxylon spec.* and *Dinoderus minutus*, and also hymenopterans such as *Sirex juvencus*, *Urocerus gigas*, *Urocerus gigas taignus* and *Urocerus augur*, and termites such as *Kaloterms flavicollis*, *Cryptoterms brevis*, *Heteroterms indicola*, *Reticuliterms flavipes*, *Reticuliterms santonensis*, *Reticuliterms lucifugus*, *Mastoterms darwiniensis*, *Zootermopsis nevadensis* and *Coptoterms formosanus*, and bristletails such as *Lepisma saccharina*.

15

- The compounds of formulae I, and I'a, or salts thereof, are especially suitable for controlling one or more pests selected from the family: Noctuidae, Plutellidae, Chrysomelidae, Thripidae, Pentatomidae, Tortricidae, Delphacidae, Aphididae, Noctuidae, Crambidae, Meloidogynidae, and Heteroderidae. In a preferred embodiment of each aspect, a compound TX (where the abbreviation "TX" means "one
 20 compound selected from the compounds defined in Tables A-1 to A-468 and Table P") controls one or more of pests selected from the family: Noctuidae, Plutellidae, Chrysomelidae, Thripidae, Pentatomidae, Tortricidae, Delphacidae, Aphididae, Noctuidae, Crambidae, Meloidogynidae, and Heteroderidae.

- 25 The compounds of formulae I, and I'a, or salts thereof, are especially suitable for controlling one or more of pests selected from the genus: *Spodoptera spp*, *Plutella spp*, *Frankliniella spp*, *Thrips spp*, *Euschistus spp*, *Cydia spp*, *Nilaparvata spp*, *Myzus spp*, *Aphis spp*, *Diabrotica spp*, *Rhopalosiphum spp*, *Pseudoplusia spp* and *Chilo spp*. . In a preferred embodiment of each aspect, a compound TX (where the abbreviation "TX" means "one compound selected from the compounds defined in Tables
 30 A-1 to A-468 and Table P") controls one or more of pests selected from the genus: *Spodoptera spp*, *Plutella spp*, *Frankliniella spp*, *Thrips spp*, *Euschistus spp*, *Cydia spp*, *Nilaparvata spp*, *Myzus spp*, *Aphis spp*, *Diabrotica spp*, *Rhopalosiphum spp*, *Pseudoplusia spp* and *Chilo spp*.

- The compounds of formulae I, and I'a, or salts thereof, are especially suitable for controlling one or
 35 more of *Spodoptera littoralis*, *Plutella xylostella*, *Frankliniella occidentalis*, *Thrips tabaci*, *Euschistus heros*, *Cydia pomonella*, *Nilaparvata lugens*, *Myzus persicae*, *Chrysodeixis includens*, *Aphis craccivora*, *Diabrotica balteata*, *Rhopalosiphum padi*, and *Chilo suppressalis*.

In a preferred embodiment of each aspect, a compound TX (where the abbreviation "TX" means "one compound selected from the compounds defined in Tables A-1 to A-468 and Table P") controls one or more of *Spodoptera littoralis*, *Plutella xylostella*, *Frankliniella occidentalis*, *Thrips tabaci*, *Euschistus heros*, *Cydia pomonella*, *Nilaparvata lugens*, *Myzus persicae*, *Chrysodeixis includens*, *Aphis craccivora*, *Diabrotica balteata*, *Rhopalosiphum Padia*, and *Chilo Suppressalis*, such as *Spodoptera littoralis* + TX, *Plutella xylostella* + TX; *Frankliniella occidentalis* + TX, *Thrips tabaci* + TX, *Euschistus heros* + TX, *Cydia pomonella* + TX, *Nilaparvata lugens* + TX, *Myzus persicae* + TX, *Chrysodeixis includens* + TX, *Aphis craccivora* + TX, *Diabrotica balteata* + TX, *Rhopalosiphum Padi* + TX, and *Chilo suppressalis* + TX.

In an embodiment, of each aspect, one compound from A-1 to A-468 and Table P is suitable for controlling *Spodoptera littoralis*, *Plutella xylostella*, *Frankliniella occidentalis*, *Thrips tabaci*, *Euschistus heros*, *Cydia pomonella*, *Nilaparvata lugens*, *Myzus persicae*, *Chrysodeixis includens*, *Aphis craccivora*, *Diabrotica balteata*, *Rhopalosiphum Padia*, and *Chilo Suppressalis* in cotton, vegetable, maize, cereal, rice and soya crops.

In an embodiment, one compound from from A-1 to A-468 and Table P is suitable for controlling Mamestra (preferably in vegetables), *Cydia pomonella* (preferably in apples), *Empoasca* (preferably in vegetables, vineyards), *Leptinotarsa* (preferably in potatoes) and *Chilo supressalis* (preferably in rice).

Compounds according to the invention may possess any number of benefits including, inter alia, advantageous levels of biological activity for protecting plants against insects or superior properties for use as agrochemical active ingredients (for example, greater biological activity, an advantageous spectrum of activity, an increased safety profile (against non-target organisms above and below ground (such as fish, birds and bees), improved physico-chemical properties, or increased biodegradability). In particular, it has been surprisingly found that certain compounds of formula I may show an advantageous safety profile with respect to non-target arthropods, in particular pollinators such as honey bees, solitary bees, and bumble bees. Most particularly, *Apis mellifera*.

The compounds according to the invention can be used as pesticidal agents in unmodified form, but they are generally formulated into compositions in various ways using formulation adjuvants, such as carriers, solvents and surface-active substances. The formulations can be in various physical forms, e.g. in the form of dusting powders, gels, wettable powders, water-dispersible granules, water-dispersible tablets, effervescent pellets, emulsifiable concentrates, microemulsifiable concentrates, oil-in-water emulsions, oil-flowables, aqueous dispersions, oily dispersions, suspo-emulsions, capsule suspensions, emulsifiable granules, soluble liquids, water-soluble concentrates (with water or a water-miscible organic solvent as carrier), impregnated polymer films or in other forms known e.g. from the Manual on Development and Use of FAO and WHO Specifications for Pesticides, United Nations, First Edition, Second Revision (2010). Such formulations can either be used directly or diluted prior to use.

The dilutions can be made, for example, with water, liquid fertilisers, micronutrients, biological organisms, oil or solvents.

The formulations can be prepared e.g. by mixing the active ingredient with the formulation adjuvants in order to obtain compositions in the form of finely divided solids, granules, solutions, dispersions or emulsions. The active ingredients can also be formulated with other adjuvants, such as finely divided solids, mineral oils, oils of vegetable or animal origin, modified oils of vegetable or animal origin, organic solvents, water, surface-active substances or combinations thereof.

The active ingredients can also be contained in very fine microcapsules. Microcapsules contain the active ingredients in a porous carrier. This enables the active ingredients to be released into the environment in controlled amounts (e.g. slow-release). Microcapsules usually have a diameter of from 0.1 to 500 microns. They contain active ingredients in an amount of about from 25 to 95 % by weight of the capsule weight. The active ingredients can be in the form of a monolithic solid, in the form of fine particles in solid or liquid dispersion or in the form of a suitable solution. The encapsulating membranes can comprise, for example, natural or synthetic rubbers, cellulose, styrene/butadiene copolymers, polyacrylonitrile, polyacrylate, polyesters, polyamides, polyureas, polyurethane or chemically modified polymers and starch xanthates or other polymers that are known to the person skilled in the art. Alternatively, very fine microcapsules can be formed in which the active ingredient is contained in the form of finely divided particles in a solid matrix of base substance, but the microcapsules are not themselves encapsulated.

The formulation adjuvants that are suitable for the preparation of the compositions according to the invention are known *per se*. As liquid carriers there may be used: water, toluene, xylene, petroleum ether, vegetable oils, acetone, methyl ethyl ketone, cyclohexanone, acid anhydrides, acetonitrile, acetophenone, amyl acetate, 2-butanone, butylene carbonate, chlorobenzene, cyclohexane, cyclohexanol, alkyl esters of acetic acid, diacetone alcohol, 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*-dimethylformamide, dimethyl sulfoxide, 1,4-dioxane, dipropylene glycol, dipropylene glycol methyl ether, dipropylene glycol dibenzoate, diproxitol, alkylpyrrolidone, ethyl acetate, 2-ethylhexanol, ethylene carbonate, 1,1,1-trichloroethane, 2-heptanone, alpha-pinene, d-limonene, ethyl lactate, ethylene glycol, ethylene glycol butyl ether, ethylene glycol methyl ether, gamma-butyrolactone, glycerol, glycerol acetate, glycerol diacetate, glycerol triacetate, hexadecane, hexylene glycol, isoamyl acetate, isobornyl acetate, isooctane, isophorone, isopropylbenzene, isopropyl myristate, lactic acid, laurylamine, mesityl oxide, methoxypropanol, methyl isoamyl ketone, methyl isobutyl ketone, methyl laurate, methyl octanoate, methyl oleate, methylene chloride, m-xylene, *n*-hexane, *n*-octylamine, octadecanoic acid, octylamine acetate, oleic acid, oleylamine, o-xylene, phenol, polyethylene glycol, propionic acid, propyl lactate, propylene carbonate, propylene glycol, propylene glycol methyl ether, p-xylene, toluene, triethyl phosphate,

triethylene glycol, xylenesulfonic acid, paraffin, mineral oil, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, propylene glycol methyl ether, diethylene glycol methyl ether, methanol, ethanol, isopropanol, and alcohols of higher molecular weight, such as amyl alcohol, tetrahydrofurfuryl alcohol, hexanol, octanol, ethylene glycol, propylene glycol, glycerol, *N*-methyl-2-pyrrolidone and the like.

Suitable solid carriers are, for example, talc, titanium dioxide, pyrophyllite clay, silica, attapulgite clay, kieselguhr, limestone, calcium carbonate, bentonite, calcium montmorillonite, cottonseed husks, wheat flour, soybean flour, pumice, wood flour, ground walnut shells, lignin and similar substances.

A large number of surface-active substances can advantageously be used in both solid and liquid formulations, especially in those formulations which can be diluted with a carrier prior to use. Surface-active substances may be anionic, cationic, non-ionic or polymeric and they can be used as emulsifiers, wetting agents or suspending agents or for other purposes. Typical surface-active substances include, for example, salts of alkyl sulfates, such as diethanolammonium lauryl sulfate; salts of alkylarylsulfonates, such as calcium dodecylbenzenesulfonate; alkylphenol/alkylene oxide addition products, such as nonylphenol ethoxylate; alcohol/alkylene oxide addition products, such as tridecylalcohol ethoxylate; soaps, such as sodium stearate; salts of alkylnaphthalenesulfonates, 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 lauryltrimethylammonium 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 di-alkylphosphate esters; and also further substances described e.g. in McCutcheon's Detergents and Emulsifiers Annual, MC Publishing Corp., Ridgewood New Jersey (1981).

Further adjuvants that can be used in pesticidal formulations include crystallisation inhibitors, viscosity modifiers, suspending agents, dyes, anti-oxidants, foaming agents, light absorbers, mixing auxiliaries, antifoams, complexing agents, neutralising or pH-modifying substances and buffers, corrosion inhibitors, fragrances, wetting agents, take-up enhancers, micronutrients, plasticisers, glidants, lubricants, dispersants, thickeners, antifreezes, microbicides, and liquid and solid fertilisers.

The compositions according to the invention can include an additive comprising an oil of vegetable or animal origin, a mineral oil, alkyl esters of such oils or mixtures of such oils and oil derivatives. The amount of oil additive in the composition according to the invention is generally from 0.01 to 10 %, based on the mixture to be applied. For example, the oil additive can be added to a spray tank in the desired concentration after a spray mixture has been prepared. Preferred oil additives comprise mineral oils or an oil of vegetable origin, for example rapeseed oil, olive oil or sunflower oil, emulsified vegetable oil, alkyl esters of oils of vegetable origin, for example the methyl derivatives, or an oil of animal origin, such as fish oil or beef tallow. Preferred oil additives comprise alkyl esters of C₈-C₂₂ fatty

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acids, especially the methyl derivatives of C₁₂-C₁₈ fatty acids, for example the methyl esters of lauric acid, palmitic acid and oleic acid (methyl laurate, methyl palmitate and methyl oleate, respectively). Many oil derivatives are known from the Compendium of Herbicide Adjuvants, 10th Edition, Southern Illinois University, 2010.

5

The inventive compositions generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of compounds of the present invention and from 1 to 99.9 % by weight of a formula-
tion adjuvant which preferably includes from 0 to 25 % by weight of a surface-active substance.

10

Whereas commercial products may preferably be formulated as concentrates, the end user will normally employ dilute formulations.

15

The rates of application vary within wide limits and depend on the nature of the soil, the method of application, the crop plant, the pest to be controlled, the prevailing climatic conditions, and other factors governed by the method of application, the time of application and the target crop. As a
general guideline compounds may be applied at a rate of from 1 to 2000 l/ha, especially from 10 to 1000 l/ha.

Preferred formulations can have the following compositions (weight %):

Emulsifiable concentrates:

20	active ingredient:	1 to 95 %, preferably 60 to 90 %
	surface-active agent:	1 to 30 %, preferably 5 to 20 %
	liquid carrier:	1 to 80 %, preferably 1 to 35 %

Dusts:

25	active ingredient:	0.1 to 10 %, preferably 0.1 to 5 %
	solid carrier:	99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:

	active ingredient:	5 to 75 %, preferably 10 to 50 %
30	water:	94 to 24 %, preferably 88 to 30 %
	surface-active agent:	1 to 40 %, preferably 2 to 30 %

Wettable powders:

	active ingredient:	0.5 to 90 %, preferably 1 to 80 %
35	surface-active agent:	0.5 to 20 %, preferably 1 to 15 %
	solid carrier:	5 to 95 %, preferably 15 to 90 %

Granules:

	active ingredient:	0.1 to 30 %, preferably 0.1 to 15 %
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solid carrier: 99.5 to 70 %, preferably 97 to 85 %

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

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

- 5 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.

<u>Powders for dry seed treatment</u>	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 %

- 10 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.

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

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

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<u>Dusts</u>	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 powders can also be used for dry dressings for seed.

<u>Extruder granules</u>	
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.

<u>Coated granules</u>	
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.

10 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.

15

Flowable concentrate for seed treatment

active ingredients	40 %
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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.

5

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.

25 Preparatory Examples:

LCMS Methods:

Method 1:

Spectra were recorded on a Mass Spectrometer from Waters (SQD, SQDII Single quadrupole mass spectrometer) equipped with an electrospray source (Polarity: positive and negative ions, Capillary: 3.00 kV, Cone range: 41 V, Extractor: 2.00 V, Source Temperature: 150°C, Desolvation Temperature: 500°C, Cone Gas Flow: 50 l/h, Desolvation Gas Flow: 1000 l/h, Mass range: 110 to 800 Da) and an Acquity UPLC from Waters: Binary pump, heated column compartment, diode-array detector and ELSD

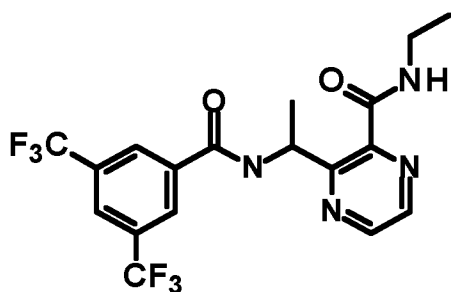
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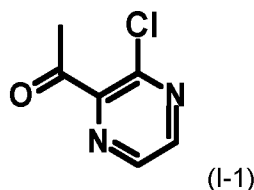
detector. Column: Waters UPLC HSS T3, 1.8 μ m, 30 x 2.1 mm, Temp: 40 °C, PDA Wavelength range (nm): 200 to 400, Solvent Gradient: A = water + 5% Acetonitrile + 0.1 % HCOOH, B = Acetonitrile + 0.05 % HCOOH, gradient: 10-100% B in 1.3 min; Flow (ml/min) 0.6.

5 Preparation Examples:

Example-1: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-ethyl-pyrazine-2-carboxamide (compound P.1)



10 Step A: Preparation of 1-(3-chloropyrazin-2-yl)ethanone (intermediate I-1)



To a solution of 2,3-dichloropyrazine (CAS 4858-85-9, 5 g, 33.5 mmol) in toluene (80 mL) was added at room temperature tributyl (1-ethoxyvinyl) stannane (CAS 97674-02-7, 2.49 mL, 43.6 mmol, 1.3 equiv.) and bis(triphenylphosphine)palladium (II) dichloride (1.18 g, 1.68 mmol, 0.05 equiv.). The reaction mixture was heated to 110 °C and stirred for 3 h. After cooling down to room temperature, the reaction mixture was concentrated under reduced pressure to afford crude mass which was dissolved in a mixture of acetonitrile (50 mL) and concentrated hydrochloric acid (30 mL). The mixture was stirred at room temperature for 16 h, diluted with water and extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as a brown solid (3.5 g, 22 mmol).

LC-MS (method 1): retention time 0.70 min, m/z 157.06 $[M+H]^+$.

^1H NMR (400 MHz, chloroform- d) δ ppm: 8.58 (d, 1H), 8.53 (d, 1H), 2.73 (s, 3H)

25 Step B: Preparation of 1-(3-chloropyrazin-2-yl)ethylammonium;chloride (intermediate I-2)

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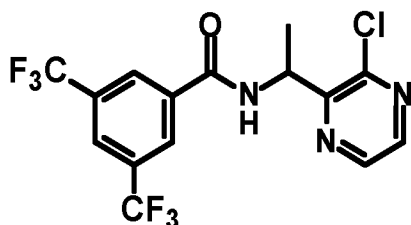


To a solution of 1-(3-chloropyrazin-2-yl)ethanone_ (1.5 g, 9.6 mmol) in methanol (29 mL) was added at room temperature ammonium acetate (15 g, 190 mmol, 20 equiv.) followed by addition of sodium cyanoborohydride (1.2 g, 19 mmol, 2.0 equiv.). The reaction mixture was stirred at room temperature for 4 h. The reaction mixture was concentrated under reduced pressure to afford crude mass which was diluted with 1N sodium hydroxide solution (50 mL) and extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure. The crude mass was dissolved in ethyl acetate (80 mL) and acidified with 4M hydrochloric acid in dioxane and stirred at room temperature for 18 h. The solid precipitated was filtered and dried under vacuum to afford the desired product as white solid (0.88 g, 4.53 mmol).

LC-MS (method 1): retention time 0.14 min, m/z 158.15 $[M+H]^+$.

^1H NMR (400 MHz, DMSO- d_6) δ ppm: 8.87 - 8.69 (m, 4H), 8.60 (d, 1H), 4.82 - 4.66 (m, 1H), 1.53 (d, 3H).

Step C: Preparation of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (intermediate I-3)



I-3

To a solution of 3,5-bis(trifluoromethyl)benzoic (CAS 725-89-3, 1.5 g, 9.6 mmol) and dimethyl formamide (0.04 g, 0.59 mmol) in dichloromethane (29 mL) was added oxalyl chloride (1.12 g, 8.84 mmol, 1.5 equiv.) at room temperature. The reaction mixture was stirred at room temperature for 1 h. The reaction mixture was concentrated under reduced pressure to afford crude mass. To this crude mass was added a solution of 1-(3-chloropyrazin-2-yl)ethylammonium;chloride in dichloromethane (22 mL). The mixture was cooled to 0-5 °C. To this solution, triethyl amine (1.4 g, 13.6 mmol, 3.0 equiv) was added and stirred at room temperature for 1 h. The reaction mixture was diluted with water and extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure. Purification of the crude material

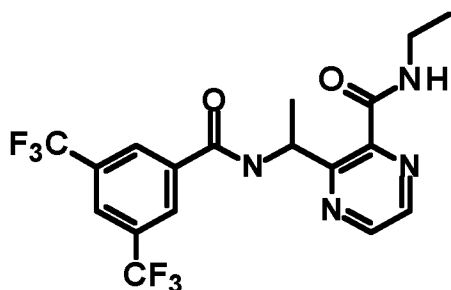
-96-

by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as a brown solid (3.5 g, 22 mmol).

LC-MS (method 1): retention time 1.12 min, m/z 399.19 $[M+H]^+$.

^1H NMR (400 MHz, chloroform- d) δ ppm: 8.54 (d, 1H), 8.41 (d, 1H), 8.30 (s, 2H), 8.05 (s, 1H), 7.66 (br d, 1H), 5.83-5.76 (m, 1H), 1.65 (d, 3H)

Step D: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-ethyl-pyrazine-2-carboxamide (compound P.1)



(P.1)

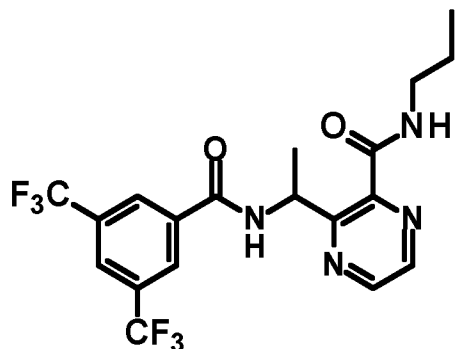
To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (0.5 g, 1.25 mmol) in tetrahydrofuran (6.2 mL) was added molybdenum hexacarbonyl (0.33 g, 1.25 mmol, 1 equiv.), palladium acetate (0.057 g, 0.25 mmol, 0.2 equiv), ethylamine (CAS 75-04-7, 2M solution in tetrahydrofuran, 1.88 mL, 3.77 mmol, 3.0 equiv) and 1,8-Diazabicyclo[5.4.0]undec-7-ene (0.57 mL, 3.772 mmol, 3.0 equiv) in a microwave vial and heated at 110 °C for 1 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as white solid (0.16 g, 0.36 mmol).

LC-MS (method 1): retention time 1.04 min, m/z 435 $[M+H]^+$.

^1H NMR (400 MHz, chloroform- d) δ ppm: 8.70 (d, 1H), 8.51 (d, 1H), 8.39 - 8.32 (m, 1H), 8.36 (br d, 2H), 8.29 (s, 2H), 6.43 - 6.28 (m, 1H), 3.61 - 3.48 (m, 2H), 1.72 (d, 3H), 1.30 (t, 3H)

Example-2: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-propyl-pyrazine-2-carboxamide (compound P.2)

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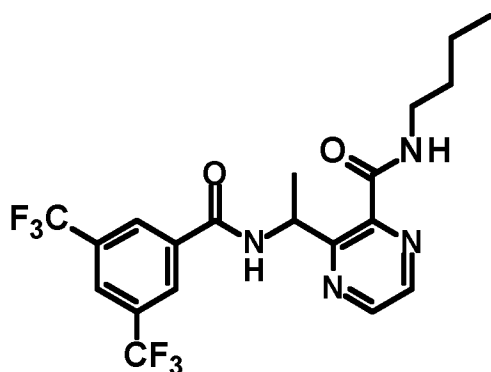
(P.2)

To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (0.25 g, 0.6286 mmol) in tetrahydrofuran (3.14 mL) was added molybdenum hexacarbonyl (0.166 g, 0.6286 mmol, 1 equiv.), palladium acetate (0.028 g, 0.125 mmol, 0.2 equiv), propan-1-amine (CAS 107-10-8, 0.16 mL, 1.886 mmol, 3.0 equiv) and 1,8-Diazabicyclo[5.4.0]undec-7-ene (0.28 mL, 1.886 mmol, 3.0 equiv) in a microwave vial and heated at 110 °C for 1 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as white solid (0.055 g, 0.123 mmol).

LC-MS (method 1): retention time 1.12 min, m/z 449 [M+H⁺].

¹H NMR (400 MHz, Acetonitrile-d₃) δ ppm: 8.67 (d, 1 H) 8.49 (d, 1 H) 8.33 (s, 2 H) 8.05 - 8.16 (m, 3 H) 6.26 (q, 1 H) 3.32 - 3.39 (m, 2 H) 1.57 - 1.63 (m, 5 H) 0.94 (t, 3 H).

Example-3: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-butyl-pyrazine-2-carboxamide (compound P.3)



(P.3)

To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (0.5 g, 1.25 mmol) in 1,4-Dioxane (10 mL) was added molybdenum hexacarbonyl (0.33 g, 1.25 mmol, 1 equiv.), palladium acetate (0.058 g, 0.25 mmol, 0.2 equiv), triphenylphosphine (0.066 g, 0.25 mmol, 0.2 equiv), butan-1-amine (CAS 109-73-9, 0.38 mL, 3.77 mmol, 3.0 equiv) and triethylamine (0.53 mL, 3.77 mmol, 3.0 equiv) in a microwave vial and heated at 120 °C for 2 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash

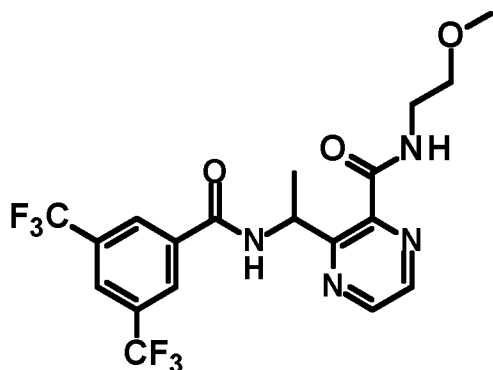
-98-

chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as pink solid (0.12 g, 0.26 mmol).

LC-MS (method 1): retention time 1.16 min, m/z 463 $[M+H]^+$.

^1H NMR (400 MHz, Acetonitrile- d_3) δ ppm: 8.66 (d, 1 H) 8.48 (d, 1 H) 8.33 (s, 2 H) 8.03 - 8.22 (m, 3 H) 6.26 (q, 1 H) 3.34 - 3.43 (m, 2 H) 1.52 - 1.61 (m, 5 H) 1.35-1.38 (m, 2 H) 0.92 (t, 3 H).

Example-4: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(2-methoxyethyl)pyrazine-2-carboxamide (compound P.4)



(P.4)

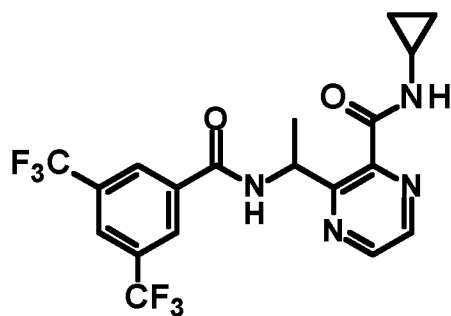
To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (0.25 g, 0.628 mmol) in 1,4-Dioxane (5 mL) was added molybdenum hexacarbonyl (0.166 g, 0.628 mmol, 1 equiv.), palladium acetate (0.029 g, 0.125 mmol, 0.2 equiv), triphenylphosphine (0.033 g, 0.125 mmol, 0.2 equiv), 2-Methoxyethylamine (CAS 109-85-3, 0.17 mL, 1.88 mmol, 3.0 equiv) and triethylamine (0.26 mL, 1.88 mmol, 3.0 equiv) in a microwave vial and heated at 120 °C for 2 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as off white solid (0.071 g, 0.15 mmol).

LC-MS (method 1): retention time 1.03 min, m/z 465 $[M+H]^+$.

^1H NMR (400 MHz, Acetonitrile- d_3) δ ppm: 8.69 (d, 1 H) 8.52 (d, 1 H) 8.34 (s, 2 H) 8.06 - 8.24 (m, 3 H) 6.32 (q, 1 H) 3.51 - 3.61 (m, 4 H) 3.34 (s, 3 H) 1.59 (d, 3 H).

Example-5: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-cyclopropyl-pyrazine-2-carboxamide (compound P.5)

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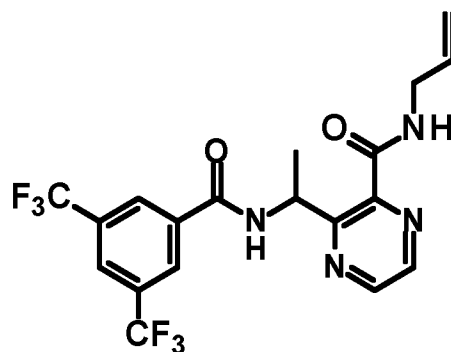
(P.5)

To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (0.5 g, 1.25 mmol) in 1,4-Dioxane (10 mL) was added molybdenum hexacarbonyl (0.33 g, 1.25 mmol, 1 equiv.), palladium acetate (0.058 g, 0.25 mmol, 0.2 equiv), triphenylphosphine (0.066 g, 0.25 mmol, 0.2 equiv), cyclopropanamine (CAS 765-30-0, 0.27 mL, 3.77 mmol, 3.0 equiv) and triethylamine (0.53 mL, 3.77 mmol, 3.0 equiv) in a microwave vial and heated at 120 °C for 2 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as off white solid (0.053 g, 0.119 mmol).

LC-MS (method 1): retention time 1.05 min, m/z 447 [M+H⁺].

¹H NMR (400 MHz, Acetonitrile-d₃) δ ppm: 8.66 (d, 1 H) 8.47 (d, 1 H) 8.34 (s, 2 H) 8.15 (s, 3 H) 6.25 (q, 1 H) 2.91 (br d, 1 H) 1.59 (d, 3 H) 0.74 - 0.84 (m, 2 H) 0.57 - 0.67 (m, 2 H).

Example-6: Preparation of N-allyl-3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]pyrazine-2-carboxamide (compound P.6)



(P.6)

To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide (0.5 g, 1.25 mmol) in 1,4-Dioxane (10 mL) was added molybdenum hexacarbonyl (0.33 g, 1.25 mmol, 1 equiv.), palladium acetate (0.058 g, 0.25 mmol, 0.2 equiv), triphenylphosphine (0.066 g, 0.25 mmol, 0.2 equiv), allylamine (CAS 107-11-9, 0.29 mL, 3.77 mmol, 3.0 equiv) and triethylamine (0.53 mL, 3.77 mmol, 3.0 equiv) in a microwave vial and heated at 120 °C for 2 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as off white solid (0.068 g, 0.15 mmol).

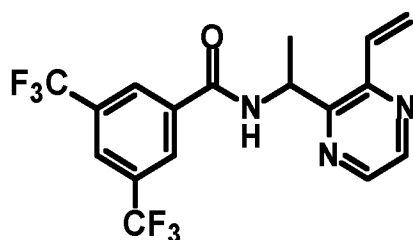
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LC-MS (method 1): retention time 1.09 min, m/z 447 $[M+H]^+$.

^1H NMR (400 MHz, Acetonitrile- d_3) δ ppm: 8.69 (d, 1 H) 8.51 (d, 1 H) 8.34 (s, 2 H) 8.18 - 8.28 (m, 1 H) 8.13 - 8.18 (m, 1 H) 8.09 (br d, 1 H) 6.28 (quin, 1 H) 5.92 - 5.99 (m, 1 H) 5.25-5.13 (m, 2 H) 4.02 - 4.06 (m, 2 H) 1.60 (d, 3 H).

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Example-7: Step A: Preparation of 3,5-bis(trifluoromethyl)-N-[1-(3-vinylpyrazin-2-yl) ethyl] benzamide (intermediate I-4)



I-4

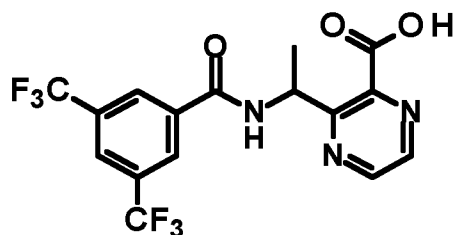
10 To a solution of N-[1-(3-chloropyrazin-2-yl) ethyl]-3,5-bis(trifluoromethyl)benzamide (I-3, 1.5 g, 3.8 mmol) in dioxane (15 ml) was added at room temperature tributyl(vinyl)stannane (CAS, 7486-35-3, 1.1 mL, 3.8 mmol, 1.0 equiv) and tetrakis(triphenylphosphine)palladium(0) (0.44 g, 0.38 mmol, 0.1 equiv). The reaction was heated at 140 °C using microwave for 1 h. After cooling down to room temperature, the reaction mixture was concentrated under reduced pressure. Purification of the crude material by
15 flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as a white solid (1.12 g, 2.8 mmol).

LC-MS (method 1): retention time 1.13 min, m/z 390.33 $[M+H]^+$.

^1H NMR (400 MHz, chloroform- d) δ ppm: 8.58 (d, 1 H) 8.46 (d, 1 H) 8.31 (s, 2 H), 7.97 - 8.06 (m, 2 H), 6.60 (d, 1 H) 6.56 (d, 1 H), 5.69 - 5.78 (m, 2 H), 1.59 (d, 3 H)

20

Step B: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]pyrazine-2-carboxylic acid (intermediate I-5)



(I-5)

25 To a solution of 3,5-bis(trifluoromethyl)-N-[1-(3-vinylpyrazin-2-yl)ethyl]benzamide (650 mg, 1.67 mmol) in acetone (10 mL) and water (10 mL) at room temperature was added potassium permanganate (0.83 g, 5.01 mmol) and stirred for 1 h. After 1 h, second lot of potassium permanganate (0.26 g, 1.67 mmol) was added at room temperature and stirred for additional 1 h. The reaction mixture was diluted with

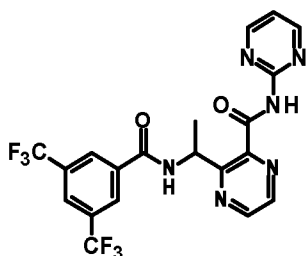
-101-

water (30 ml) and filtered through celite bed. The filtrate was washed with ethyl acetate (30 mL) and aqueous layer was made acidic with 2 N hydrochloric acid till pH 2. This was then extracted twice with ethyl acetate (2 x 50 ml) and combined organic layer was dried over sodium sulfate, filtered and concentrated under reduced pressure to afford the desired product as a white solid. (1.12 g, 4.25 mmol).

LC-MS (method 1): retention time 0.96 min, m/z 408.13 [M+H⁺].

¹H NMR (400 MHz, DMSO- d₆) δ ppm: 9.53 (d, 1 H), 8.78 (s, 1 H), 8.62 (s, 1 H), 8.53 (s, 2 H), 8.32 (s, 1 H), 5.67- 5.81 (m, 1 H), 1.58 (br d, 3 H), (OH of carboxylic acid missing)

10 Step C: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-pyrimidin-2-yl-pyrazine-2-carboxamide (compound P-7)



P-7

To a solution of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]pyrazine-2-carboxylic acid (0.24 g, 0.58 mmol), pyrimidin-2-amine (CAS 109-12-6, 0.062 g, 0.64 mmol) in pyridine (4.8 mL) was added dropwise phosphorous oxy chloride (0.06 mL, 0.648 mmol, 1.1 equiv) at -20 °C and gradually warmed to room temperature over a period of 2 h and then stirred at room temperature for 1 h. The reaction mixture was quenched with water (15 ml) at 0 °C and extracted twice with ethyl acetate (2 x 50 ml). The combined organic layer was washed with 2N hydrochloric acid (15 ml), followed by saturated sodium bicarbonate solution, brine, dried over sodium sulphate and concentrated under vacuum. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as a white solid (0.109 g, 0.22 mmol).

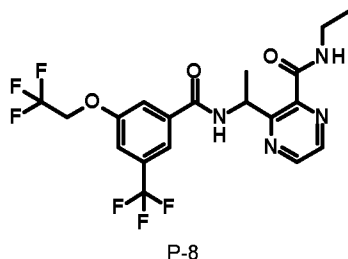
LC-MS (method 1): retention time 1.00 min, m/z 485.34 [M+H⁺].

¹H NMR (400 MHz, chloroform-d) δ ppm: 10.76 (s, 1 H), 8.82 (d, 1 H), 8.77 (d, 2 H), 8.63 (d, 1 H), 8.28 (s, 2 H), 8.00 (s, 1 H), 7.82 (d, 1 H), 7.17 (s, 1 H), 6.46 - 6.60 (m, 1 H), 1.77 (d, 3 H)

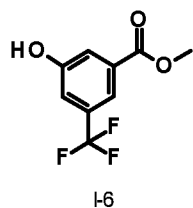
Example-8:

Preparation of N-ethyl-3-[1-[[3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl) benzoyl]amino]ethyl] pyrazine-2-carboxamide (compound P-8)

-102-



Step A: Preparation of methyl 3-hydroxy-5-(trifluoromethyl) benzoate (I-6)



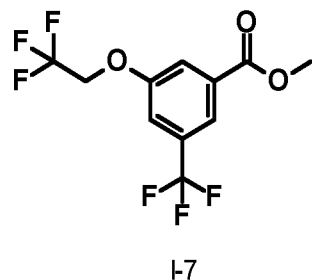
- 5 To a solution of 3-hydroxy-5-(trifluoromethyl) benzoic acid (CAS 328-69-8, 5 g, 24.25 mmol) in methanol (100 mL) was added thionyl chloride (CAS 7719-09-7, 5.28 mL, 72.77 mmol, 3 equiv.) at 0 °C. The reaction mixture was heated to reflux and stirred for 1 h. After cooling down to room temperature, the reaction mixture was concentrated under reduced pressure to afford crude mass as yellow solid, which was washed with tetrabutylmethyl ether and filtered. The
- 10 residue was dried under reduced pressure to give the desired product as white solid (5.1 g, 22 mmol).

LC-MS (method 1): retention time 0.97 min, m/z 221.09 [M+H⁺].

¹H NMR (400 MHz, chloroform-d) δ ppm: 7.86 (s, 1 H) 7.75 (br s, 1 H) 7.29 - 7.35 (m, 1 H) 3.97 (s, 3 H)(OH proton missing)

15

Step B: Preparation of methyl 3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl) benzoate (I-7)



- 20 To a solution of methyl 3-hydroxy-5-(trifluoromethyl) benzoate (15 g, 64.73 mmol) in dimethyl formamide (150 mL) was added, potassium carbonate (CAS 584-08-7, 26.83 g, 194.19 mmol) and 2-iodo-1,1,1-trifluoroethane (CAS 353-83-3, 9.4 mL, 97.09 mmol, 1.5 equiv.) at room

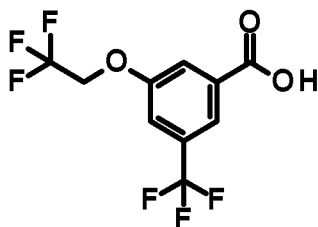
-103-

temperature. The reaction mixture was heated to 120 °C and stirred for 16 h. After cooling down to room temperature, reaction mixture was quenched in ice cold water and extracted twice with ethyl acetate (100 mL). The combined organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to afford crude mass as brown solid (7 g, 22.7 mmol). Crude compound was used as such for next step.

LC-MS (method 1): retention time 1.65 min, m/z 302.9 [M+H⁺].

¹H NMR (400 MHz, CDCl₃) δ ppm 7.96 - 8.01 (m, 1 H) 7.74 - 7.79 (m, 1 H) 7.37 - 7.47 (m, 1 H) 4.39 - 4.55 (m, 2 H) 3.96 (s, 3 H)

10 Step C: Preparation of 3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl) benzoic acid (I-8)



I-8

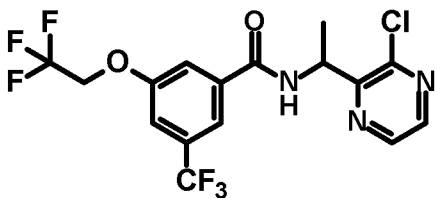
To a solution of methyl 3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl) benzoate (1 g, 3.3 mmol) in a mixture of tetrahydrofuran: water (3:1, 35 ml) was added at room temperature lithium hydroxide monohydrate (CAS 1310-66-3, 0.17 g, 3.97 mmol, 1.2 equiv.). The reaction was stirred at room temperature for 2 h. After completion, reaction mixture was quenched with ice cold water (20 mL) and washed with ethyl acetate (20 mL). The aqueous layer was acidified with 2N hydrochloric acid and extracted twice with ethyl acetate (30 mL). The combined organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to afford desired compound as off white solid (0.8 g, 2.77 mmol).

LC-MS (method 1): retention time 1.4 min, m/z 286.8 [M-H⁺].

¹H NMR (400 MHz, chloroform-d) δ ppm: 8.08 (s, 1 H) 7.84 (s, 1 H) 7.47 (s, 1 H) 4.49 (d, 2 H).

25 Step D: Preparation of N-[1-(3-chloropyrazin-2-yl)ethyl]-3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzamide (intermediate I-9)

-104-



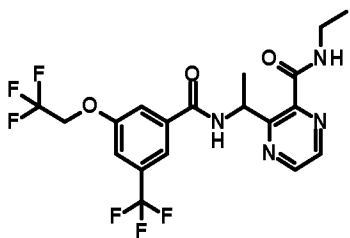
I-9

To a solution of 3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl) benzoic acid (1.35 g, 4.69 mmol, 1.3 equiv.) in tetrahydrofuran (14 mL) was added HATU (CAS 148893-10-1, 2.143 g, 5.41 mmol, 1.5 equiv.), 1-(3-chloropyrazin-2-yl)ethylammonium;chloride (0.7 g, 3.6071 mmol) and hunig base (1.88 mL, 10.82 mmol, 3 equiv.) at room temperature. The reaction mixture was stirred at room temperature for 16 h. The reaction mixture was quenched with sat. sodium bicarbonate solution, diluted with water and extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure to get brown gummy mass. Crude material was purified by combiflash master using ethyl acetate in cyclohexane as eluent to afford the desired product as off white solid (0.64 g, 1.27 mmol).

LC-MS (method 1): retention time 1.12 min, m/z 428.24 [M+H⁺].

¹H NMR (400 MHz, chloroform-d) δ ppm: 8.52 (d, 1 H) 8.39 (d, 1 H) 7.72 (s, 1 H) 7.64 (s, 1 H) 7.57 (br d, 1 H) 7.36 (s, 1 H) 5.76 (quin, 1 H) 4.47 (q, 2 H) 1.62 (d, 3 H).

Step E: Preparation of N-ethyl-3-[1-[[3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzoyl]amino]ethyl]pyrazine-2-carboxamide (P-8)



P-8

To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzamide (0.5 g, 1.169 mmol) in tetrahydrofuran (11 mL) was added molybdenum hexacarbonyl (0.309 g, 1.169 mmol, 1 equiv.), palladium acetate (0.055 g, 0.234 mmol, 0.2 equiv.), ethylamine (CAS 75-04-7, 2M solution in tetrahydrofuran, 1.8 mL, 3.507

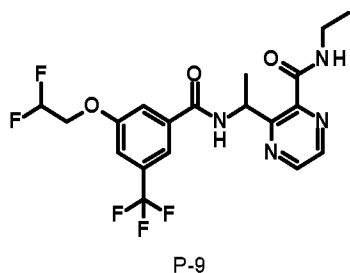
-105-

mmol, 3.0 equiv.) and 1,8-diazabicyclo[5.4.0]undec-7-ene (0.55 mL, 3.507 mmol, 3.0 equiv.) in a microwave vial and heated at 110 °C for 1 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as white solid (0.069 g, 0.148 mmol).

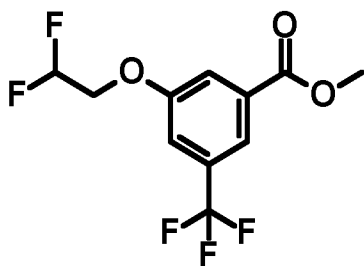
LC-MS (method 1): retention time 1.06 min, m/z 465.49 [M+H⁺].

¹H NMR (400 MHz, Acetonitrile-d₃) δ ppm 8.67 (d, 1 H) 8.49 (d, 1 H) 8.05 - 8.17 (m, 1 H) 7.99 (br d, 1 H) 7.78 (s, 1 H) 7.64 (s, 1 H) 7.45 (s, 1 H) 6.19 - 6.30 (m, 1 H) 4.65 (q, 2 H) 3.37 - 3.48 (m, 2 H) 1.58 (d, 3 H) 1.19 (t, 3 H)

Example 9 Preparation of 3-[1-[[3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoyl]amino]ethyl]-N-ethylpyrazine-2-carboxamide (P-9)



Step A: Preparation of methyl 3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoate (intermediate I-10)



To a solution of methyl 3-hydroxy-5-(trifluoromethyl) benzoate (I-6, 1 g, 4.315 mmol) in dimethyl formamide (150 mL) was added, potassium carbonate (CAS 584-08-7, 1.79 g, 12.946 mmol) and 1,1-difluoro-2-iodo-ethane (CAS 598-39-0, 0.43 mL, 4.746 mmol, 1.1 equiv.) at room temperature. The reaction mixture was heated to 80 °C and stirred for 16 h. After cooling down to room temperature, reaction mixture was quenched in ice cold water and extracted

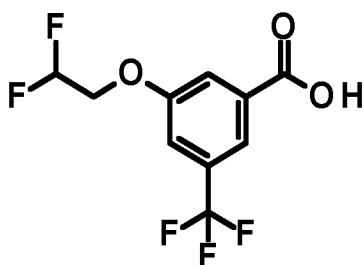
-106-

twice with ethyl acetate (30 mL). The combined organic layer was washed with saturated with lithium chloride solution followed by brine solution, dried over sodium sulphate and concentrated under reduced pressure to afford crude mass as brown solid (0.8 g, 3.01 mmol). Crude compound was used as such for next step.

- 5 LC-MS (method 1): retention time 1.57 min, m/z 284.9 $[M+H]^+$.

^1H NMR (400 MHz, $CDCl_3$) δ ppm 7.96 (s, 1 H) 7.73 (s, 1 H) 7.35 (s, 1 H) 5.93 - 6.28 (m, 1 H) 4.27 (td, 2 H) 3.94 (s, 3 H).

- 10 Step B: Preparation of 3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoic acid (intermediate I-11)

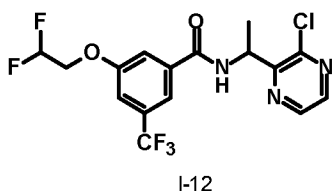


- To a solution of methyl 3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoate (5 g, 17.594 mmol) in a mixture of tetrahydrofuran: water (3:1, 175 ml) was added at room temperature lithium hydroxide monohydrate (CAS 1310-66-3, 0.904 g, 21.113 mmol, 1.2 equiv.). The reaction was stirred at room temperature for 4 h. After completion, reaction mixture was quenched with ice cold water (20 mL) and washed with ethyl acetate (20 mL). Aqueous layer was acidified with 2N HCl and extracted twice with ethyl acetate (70 mL). Combined organic layer was washed with brine, dried over sodium sulphate and concentrated under reduced pressure to afford desired compound as yellow solid (4 g, 14.806 mmol).

LC-MS (method 1): retention time 1.42 min, m/z 270.9 $[M-H]^+$.

^1H NMR (400 MHz, chloroform- d) δ ppm: 8.07 (s, 1 H) 7.86 (s, 1 H) 7.42 (s, 1 H) 5.88 - 6.43 (m, 1 H) 4.13 - 4.52 (m, 2 H)

- 25 Step C: Preparation of N-[1-(3-chloropyrazin-2-yl)ethyl]-3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzamide (intermediate I-12)

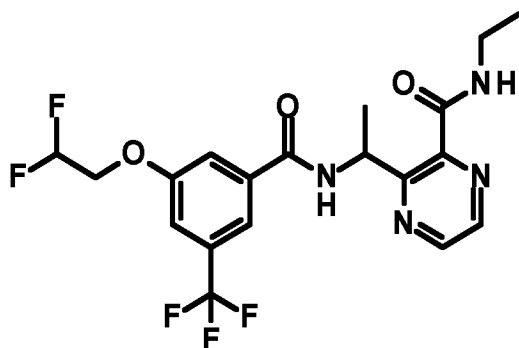


-107-

To a solution of 3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoic acid (1.26 g, 4.69 mmol, 1.3 equiv.) in tetrahydrofuran (14 mL) was added HATU (CAS 148893-10-1, 2.143 g, 5.41 mmol, 1.5 equiv.), 1-(3-chloropyrazin-2-yl)ethylammonium;chloride (0.7 g, 3.6071 mmol) and hunig base (1.88 mL, 10.82 mmol, 3 equiv.) at room temperature. The reaction mixture was stirred
5 at room temperature for 16 h. The reaction mixture was quenched with sat. sodium bicarbonate solution, diluted with water and extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated under reduced pressure to get brown gummy mass. Crude material was purified by combiflash master using ethyl acetate in cyclohexane as eluent to afford the
10 desired product as off white solid (0.72 g, 1.494 mmol).
LC-MS (method 1): retention time 1.07 min, m/z 410.26 [M+H⁺].

¹H NMR (400 MHz, CDCl₃) δ ppm 8.52 (d, 1 H) 8.39 (d, 1 H) 7.69 (s, 1 H) 7.63 (d, 1 H) 7.58 - 7.66 (m, 1 H) 7.32 (s, 1 H) 5.97 - 6.30 (m, 1 H) 5.77 (quin, 1 H) 4.26 - 4.37 (m, 2 H) 1.62 (d, 3 H).

Step D: Preparation of 3-[1-[3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoyl]amino]ethyl]-N-ethylpyrazine-2-carboxamide (P-9)



P-9

To a solution of N-[1-(3-chloropyrazin-2-yl)ethyl]-3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzamide (0.5 g, 1.22 mmol) in tetrahydrofuran (12 mL) was added molybdenum hexacarbonyl (0.32 g, 1.22 mmol, 1 equiv.), palladium acetate (0.057 g, 0.25
25 mmol, 0.2 equiv.), ethylamine (CAS 75-04-7, 2M solution in tetrahydrofuran, 1.8 mL, 3.661 mmol, 3.0 equiv.) and 1,8-diazabicyclo[5.4.0]undec-7-ene (0.57 mL, 3.661 mmol, 3.0 equiv.) in a microwave vial and heated at 110 °C for 1 h in microwave. The reaction mixture was filtered and concentrated under vacuum to give a crude mass. Purification of the crude material

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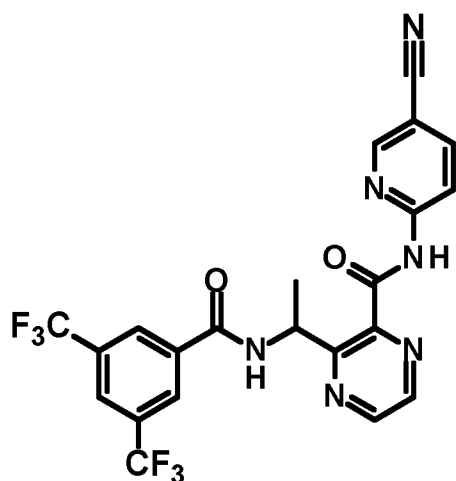
by flash chromatography over silica gel (ethyl acetate in cyclohexane) afforded the desired product as white solid (0.044 g, 0.098 mmol).

LC-MS (method 1): retention time 1.01 min, m/z 447.46 [M+H⁺].

- 5 ¹H NMR (400 MHz, Acetonitrile-d₃) δ ppm 8.67 (d, 1 H) 8.50 (d, 1 H) 8.11 (br s, 1 H) 7.94 - 8.05 (m, 1 H) 7.74 (s, 1 H) 7.61 (s, 1 H) 7.41 (s, 1 H) 6.04 - 6.38 (m, 2 H) 4.37 (td, 2 H) 3.38 - 3.50 (m, 2 H) 1.58 (d, 3 H) 1.20 (t, 3 H)

10

Example-10: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(5-cyano-2-pyridyl)pyrazine-2-carboxamide (compound P-10)



P-10

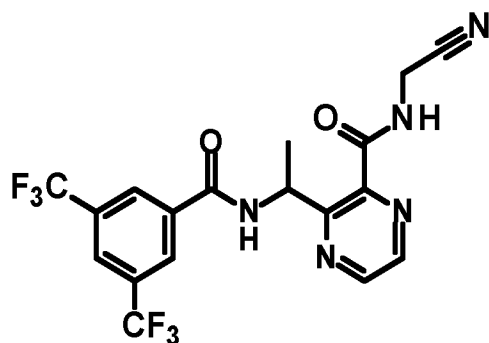
- 15 Procedure: As in example 7

LCMS: retention time: 1.14 min, 509.31 (M+H)

¹H NMR (CHLOROFORM-d) δ: 10.72 (s, 1H), 8.86 (d, 1H), 8.62-8.71 (m, 3H), 8.29 (s, 2H), 8.08 (dd, 1H), 8.04 (s, 1H), 7.76 (br d, 1H), 6.58-6.66 (m, 1H), 1.74 (d, 3H)

- 20 Example-11: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(cyanomethyl)pyrazine-2-carboxamide (compound P-11)

-109-



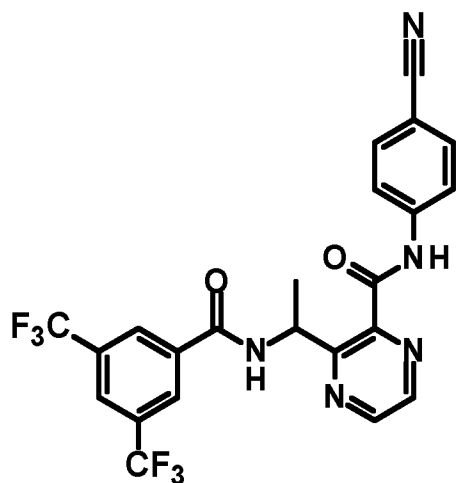
P-11

Procedure: As in example 7

LCMS: retention time: 1.02 min, 446.24 (M+H)

¹H NMR (DMSO-d₆) δ: 9.59 (t, 1H), 9.51 (d, 1H), 8.82 (d, 1H), 8.64 (d, 1H), 8.54 (s, 2H), 8.32 (s, 1H), 6.05 (quin, 1H), 4.29-4.41 (m, 2H), 2.97 (s, 1H), 1.59 (d, 3H)

Example-12: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(4-cyanophenyl)pyrazine-2-carboxamide (compound P-12)



P-12

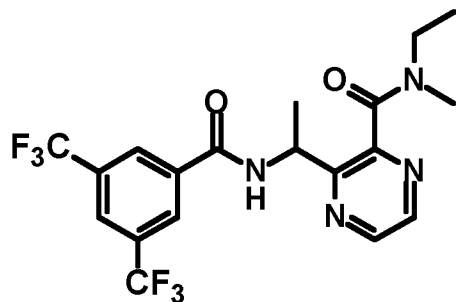
Procedure: As in example 7

LCMS: retention time: 1.13 min, 508.30 (M+H)

¹H NMR (DMSO-d₆) δ: 11.13 (s, 1H), 9.51 (d, J=6.1 Hz, 1H), 8.84 (d, 1H), 8.69 (d, 1H), 8.48 (s, 2H), 8.24 (s, 1H), 7.90-7.95 (m, 2H), 7.73-7.78 (m, 2H), 5.73 (quin, 1H), 1.66 (d, 3H)

Example-13: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-ethyl-N-methylpyrazine-2-carboxamide (compound P-13)

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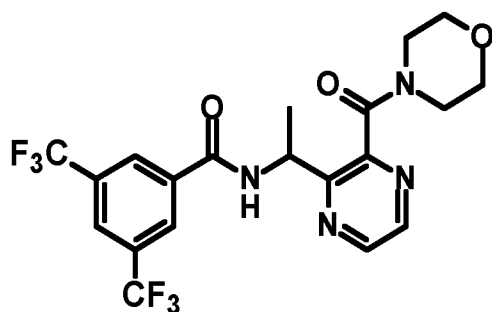
P-13

Procedure: As in example 7

LCMS: retention time: 1.04 min, 449.24 (M+H)

¹H NMR (DMSO-d₆) δ: 9.49 (dd, 1H), 8.69 (d, 1H), 8.54-8.58 (m, 3H), 8.34 (s, 1H), 5.18 (quin, 1H), 3.41-3.52 (m, 0.5H), 3.32-3.40 (m, 0.5H), 3.02-3.12 (m, 1H), 2.95 (s, 1.5H), 2.79 (s, 1.5H), 1.57 (dd, 3H), 1.04-1.12 (m, 3H) (Mixture of rotamers)

Example-14: Preparation of N-[1-[3-(morpholine-4-carbonyl)pyrazin-2-yl]ethyl]-3,5-bis(trifluoromethyl)benzamide (compound P-14)



P-14

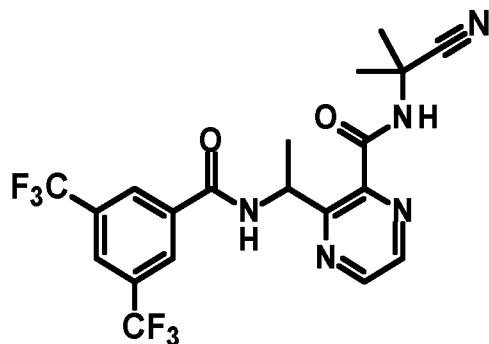
Procedure: As in example 7

LCMS: retention time: 0.99 min, 477.34 (M+H)

¹H NMR (DMSO-d₆) δ: 9.54 (d, 1H), 8.71 (d, 1H), 8.54-8.59 (m, 3H), 8.34 (s, 1H), 5.23 (quin, 1H), 3.32- 3.83 (m, 6H), 3.17-3.27 (m, 2H), 1.58 (d, 3H)

Example-15: Preparation of 3-[1-[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(1-cyano-1-methyl-ethyl)pyrazine-2-carboxamide (compound P-15)

-111-



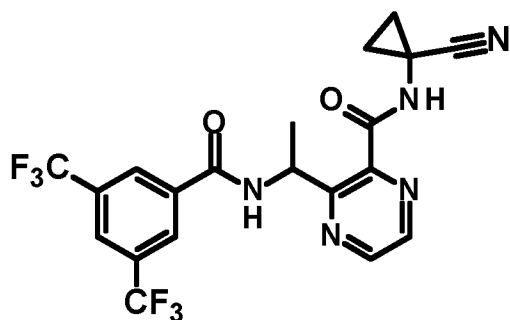
P-15

Procedure: As in example 7

LCMS: retention time: 1.5 min, 473.3 (M+H)

- 5 ^1H NMR (DMSO- d_6) δ : 9.50 (d, 1H), 9.23 (s, 1H), 8.80 (d, 1H), 8.62 (d, 1H), 8.55 (s, 2H), 8.32 (s, 1H), 5.74 - 5.93 (m, 1H), 1.69 (d, 6H), 1.60 (d, 3H)

Example-16: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(1-cyano-1-methylethyl)pyrazine-2-carboxamide (compound P-16)



P-16

10

Procedure: As in example 7

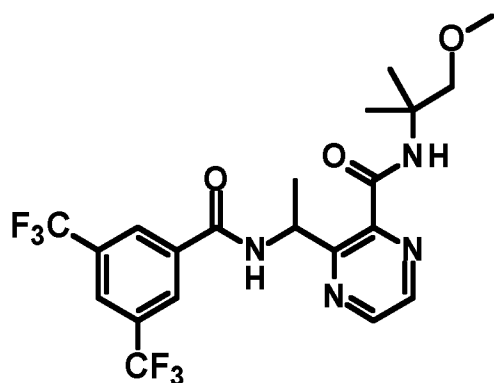
LCMS: retention time: 1.04 min, 472.26 (M+H)

- ^1H NMR (DMSO- d_6) δ : 9.80 (s, 1H), 9.51 (d, 1H), 8.81 (d, 1H), 8.61 (d, 1H), 8.53 (s, 2H), 8.33 (s, 1H), 5.92 (quin, 1H), 1.55-1.63 (m, 5H), 1.16-1.32 (m, 2H)

15

Example-17: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(2-methoxy-1,1-dimethyl-ethyl)pyrazine-2-carboxamide (compound P-17)

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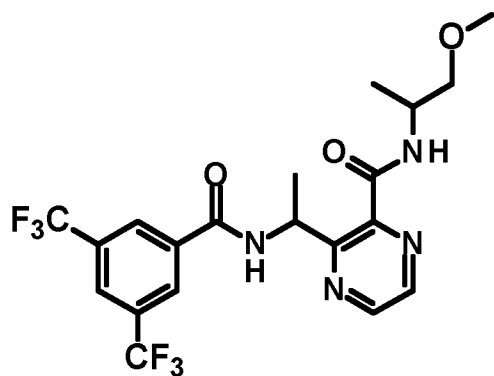
P-17

Procedure: As in example 7

LCMS: retention time: 1.17 min, 493.41 (M+H)

¹H NMR (DMSO-d₆) δ: 9.44 (d, 1H), 8.75 (d, 1H), 8.54-8.59 (m, 3H), 8.32 (s, 1H), 8.16 (s, 1H), 5.99 (quin, 1H), 3.42-3.51 (m, 2H), 3.30-3.32 (m, 3H), 1.57 (d, 3H), 1.36 (d, 6H)

Example-18: Preparation of 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(2-methoxy-1-methylethyl)pyrazine-2-carboxamide (compound P-18)



P-18

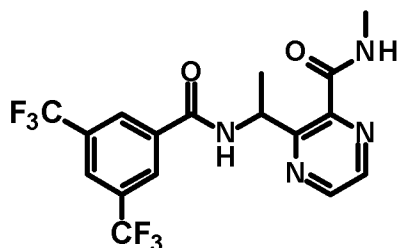
Procedure: As in example 7

LCMS: retention time: 1.08 min, 479.54 (M+H)

¹H NMR (DMSO-d₆) δ: 9.44 (dd, 1H), 8.76 (dd, 1H), 8.56-8.64 (m, 2H), 8.54 (s, 2H), 8.32 (s, 1H), 5.99 (quin, 1H), 4.16-4.21 (m, 1H), 3.36-3.45 (m, 2H), 3.26 (s, 3H), 1.58 (d, 3H), 1.13 (dd, 3H)

Example-19: 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-methyl-pyrazine-2-carboxamide (compound P-19)

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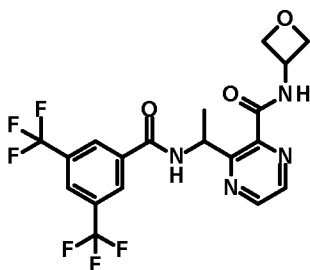
Procedure: As in example 7

LCMS: retention time: 1.08 min, 421 (M+H)

¹H NMR (400 MHz, DMSO-*d*₆) δ ppm 9.44 (br d, 1 H) 8.72 - 8.83 (m, 2 H) 8.51 - 8.60 (m, 3 H) 8.30

5 (s, 1 H) 5.99 (br t, 1 H) 2.80 (d, 3 H) 1.58 (br d, 3 H)

Example-20: 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(oxetan-3-yl)pyrazine-2-carboxamide (compound P-20)



10 Procedure: As in example 7

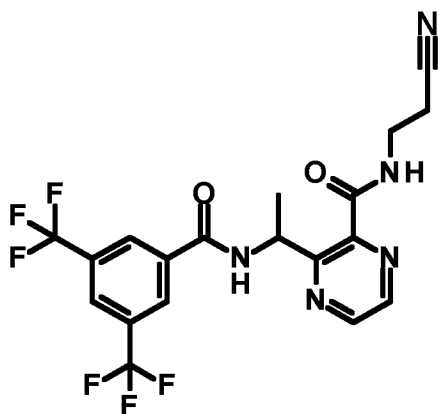
LCMS: retention time: 1.43 min, 461 (M-H)

¹H NMR (400 MHz, ACETONITRILE-*d*₃) δ ppm 8.67 - 8.75 (m, 2 H) 8.56 (d, 1 H) 8.18 (s, 1 H) 8.36 (s, 2 H) 8.08 (br d, 1 H) 6.25 - 6.32 (m, 1 H) 5.15 - 5.22 (m, 1 H) 4.88 (td, 2 H) 4.68 (dt, 2 H) 1.60 (d, 3 H)

MP: 68 °C

15

Example-21: 3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-(2-cyanoethyl) pyrazine-2-carboxamide (compound P-21)



Procedure: As in example 7

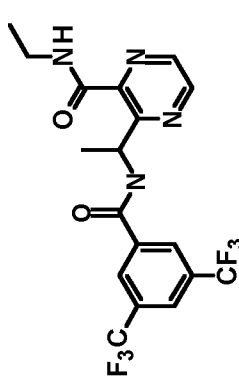
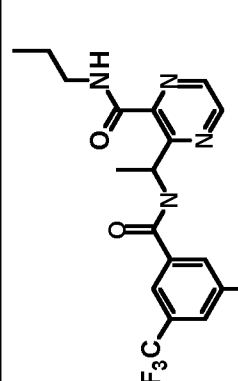
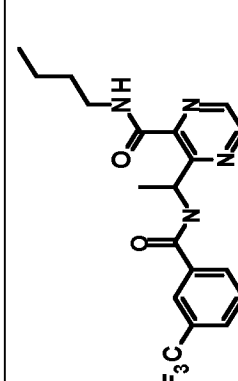
20 LCMS: retention time: 1.47 min, 460 (M+H)

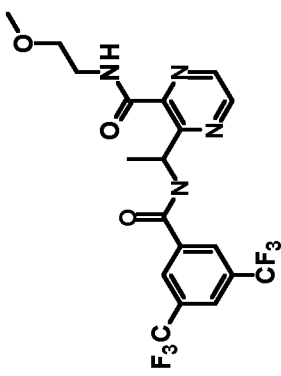
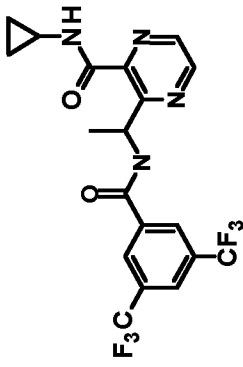
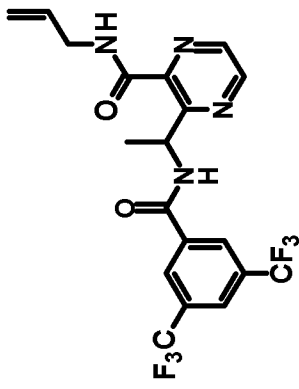
-114-

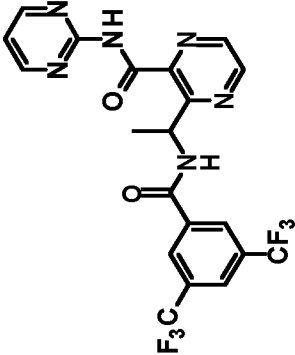
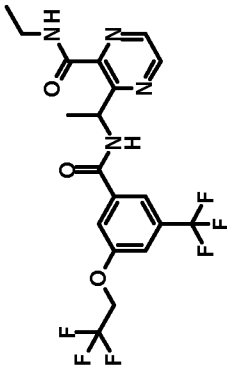
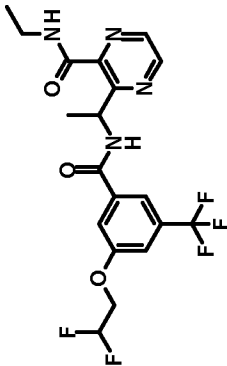
¹H NMR (400 MHz, ACETONITRILE-*d*₃) δ ppm 8.74 (d, 1 H) 8.56 (d, 1 H) 8.18 (s, 1 H) 8.37 (s, 2 H)
8.08 (br s, 1 H) 6.36 (t, 1 H) 3.66 - 3.74 (m, 2 H) 1.62 (d, 3 H) 2.77 (t, 2H)

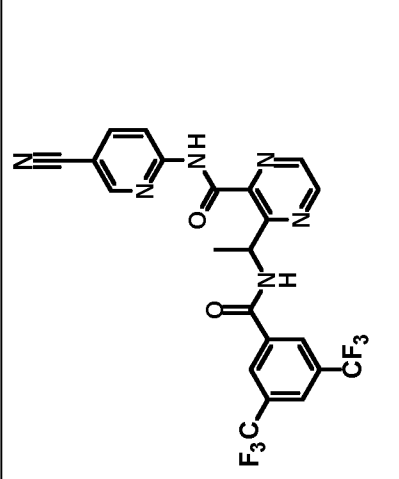
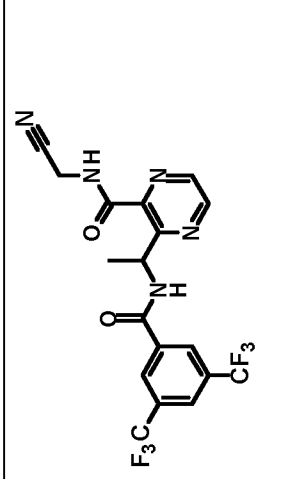
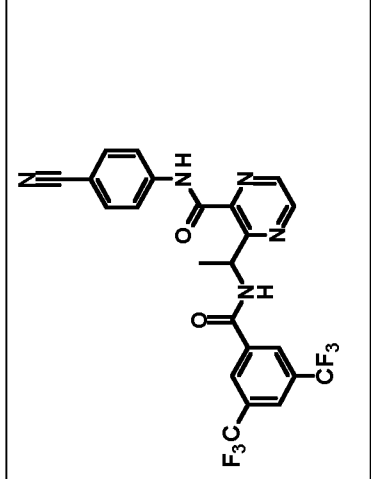
MP: 156 °C

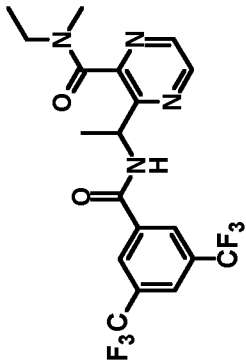
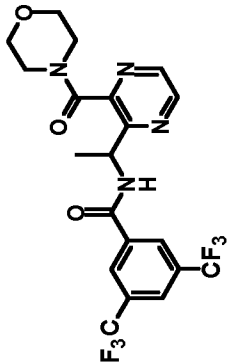
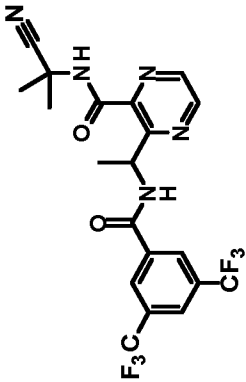
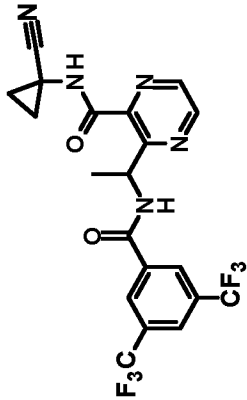
Table P: Examples of compounds of formula I

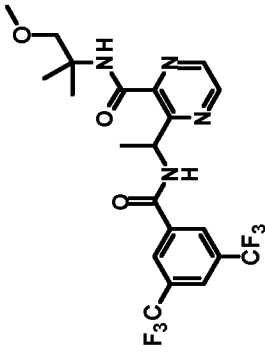
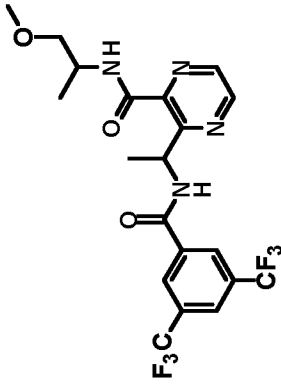
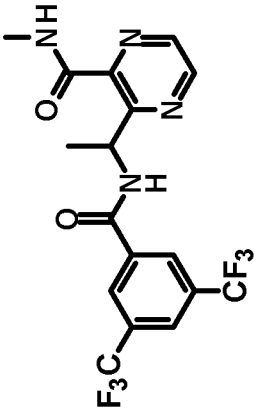
Entry	IUPAC name	STRUCTURE	RT (min)	[M+H] (measured)	Method	MP °C
P.1	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-ethyl-pyrazine-2-carboxamide		1.04	435	1	133 °C
P.2	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-propyl-pyrazine-2-carboxamide		1.12	449	1	127 °C
P.3	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-butyl-pyrazine-2-carboxamide		1.16	463	1	114 °C

P.4	3-[1-[3,5-bis(trifluoromethyl)benzoyl]amin o]ethyl]-N-(2-methoxyethyl)pyrazine-2-carboxamide		1.03	465	1	128 °C
P.5	3-[1-[3,5-bis(trifluoromethyl)benzoyl]amin o]ethyl]-N-cyclopropyl-pyrazine-2-carboxamide		1.05	447	1	142 °C
P.6	N-allyl-3-[1-[3,5-bis(trifluoromethyl)benzoyl]amin o]ethyl]pyrazine-2-carboxamide		1.09	447	1	113 °C

P.7	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]-N-pyrimidin-2-yl-pyrazine-2-carboxamide		1.00	485	1	201 °C
P.8	N-ethyl-3-[1-[[3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzoyl]amino]ethyl]pyrazine-2-carboxamide		1.06	465	1	136 °C
P.9	3-[1-[[3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoyl]amino]ethyl]-N-ethyl-pyrazine-2-carboxamide		1.01	447	1	134 °C

P.10	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(5-cyano-2-pyridyl)pyrazine-2-carboxamide		1.14	508	1	215 °C
P.11	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(cyanomethyl)pyrazine-2-carboxamide		1.02	445	1	193 °C
P.12	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(4-cyanophenyl)pyrazine-2-carboxamide		1.13	507	1	241 °C

P.13	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-ethyl-N-methylpyrazine-2-carboxamide		1.04	448	1	75 °C
P.14	N-[1-[3-(morpholine-4-carbonyl)pyrazin-2-yl]ethyl]-3,5-bis(trifluoromethyl)benzamide		0.99	477	1	81 °C
P.15	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(1-cyano-1-methylethyl)pyrazine-2-carboxamide		1.17	473	1	195 °C
P.16	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(1-cyanocyclopropyl)pyrazine-2-carboxamide		1.04	472	1	123 °C

P.17	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amin o]ethyl]-N-(2-methoxy-1,1- dimethyl-ethyl)pyrazine-2- carboxamide		1.17	493	1	132 °C
P.18	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amin o]ethyl]-N-(2-methoxy-1-methyl- ethyl)pyrazine-2-carboxamide		1.08	479	1	127 °C
P.19	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amin o]ethyl]-N-methyl-pyrazine-2- carboxamide		1.08	421	1	164 °C

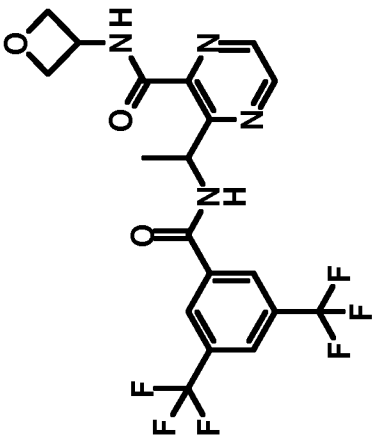
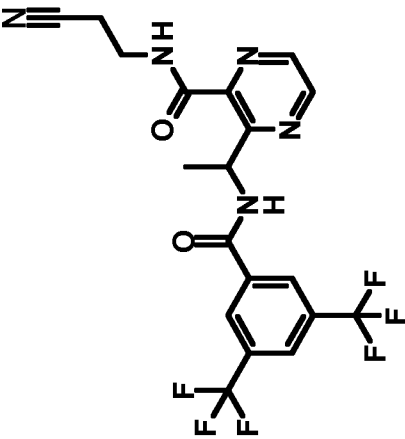
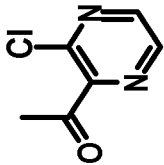
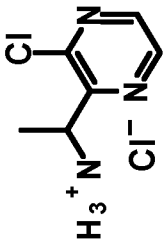
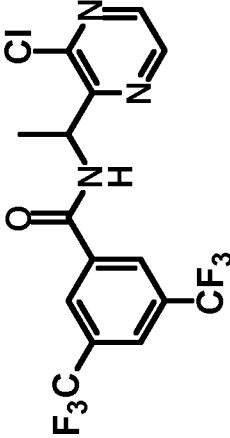
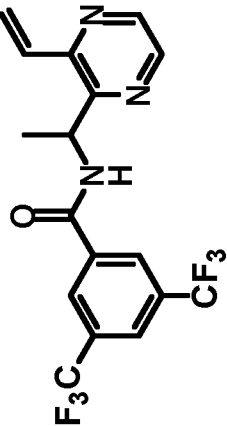
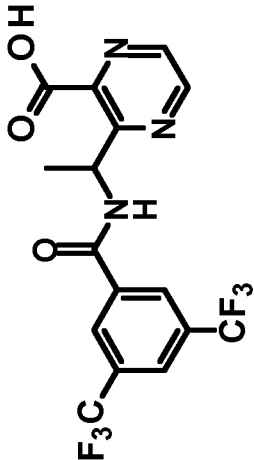
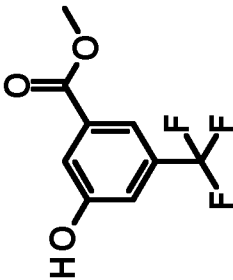
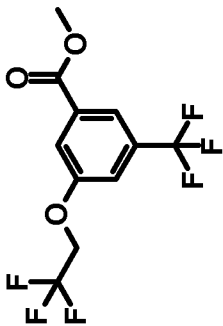
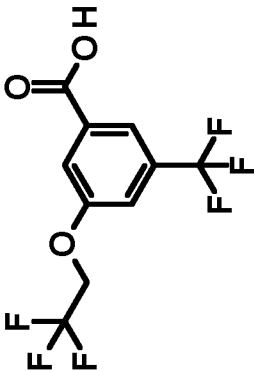
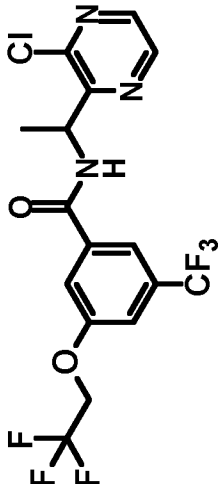
P.20	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(oxetan-3-yl)pyrazine-2-carboxamide		1.43	461 [M-H]	1	68 °C	5
P.21	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]aminoethyl]-N-(2-cyanoethyl)pyrazine-2-carboxamide		1.47	460	1	156 °C	15
							20

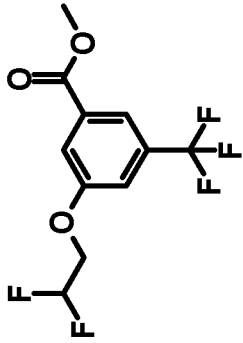
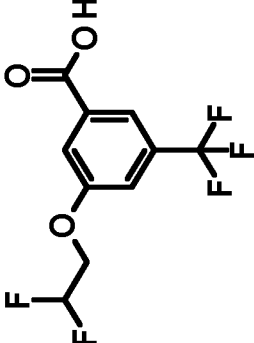
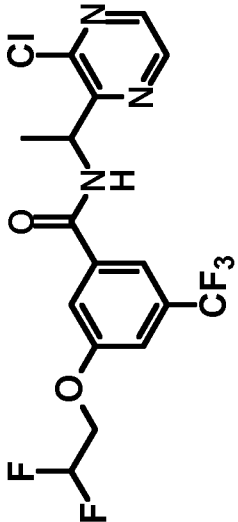
Table I: Table of Intermediates

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Index	IUPAC name	STRUCTURE	RT (min)	m/z (measured)	Method
I-1	1-(3-chloropyrazin-2-yl) ethanone		0.7	157	1
I-2	1-(3-chloropyrazin-2-yl) ethyl ammonium; chloride		0.14	158	1
I-3	N-[1-(3-chloropyrazin-2-yl)ethyl]-3,5-bis(trifluoromethyl)benzamide		1.12	399	1

I-4	3,5-bis(trifluoromethyl)-N-[1-(3-vinylpyrazin-2-yl)ethyl] benzamide		1.13	390	1
I-5	3-[1-[[3,5-bis(trifluoromethyl)benzoyl]amino]ethyl]pyrazine-2-carboxylic acid		0.96	408	1
I-6	methyl 3-hydroxy-5-(trifluoromethyl)benzoate		0.97	221	1

I-7	methyl 3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzoate		1.65	303	1
I-8	3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzoic acid		1.4	286.8 [M-H] (measured)	1
I-9	N-[1-(3-chloropyrazin-2-yl)ethyl]-3-(2,2,2-trifluoroethoxy)-5-(trifluoromethyl)benzamide		1.12	428	1

I-10	methyl 3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoate		1.57	285	1
I-11	3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzoic acid		1.42	271	1
I-12	N-[1-(3-chloropyrazin-2-yl)ethyl]-3-(2,2-difluoroethoxy)-5-(trifluoromethyl)benzamide		1.07	410	1

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The activity of the compositions according to the invention can be broadened considerably, and adapted to prevailing circumstances, by adding other insecticidally, acaricidally and/or fungicidally active ingredients. The mixtures of the compounds of formula I with other insecticidally, acaricidally and/or fungicidally active ingredients may also have further surprising advantages which can also be described, in a wider sense, as synergistic activity. For example, better tolerance by plants, reduced phytotoxicity, insects can be controlled in their different development stages or better behaviour during their production, for example during grinding or mixing, during their storage or during their use.

Suitable additions to active ingredients here are, for example, representatives of the following classes of active ingredients: organophosphorus compounds, nitrophenol derivatives, thioureas, juvenile hormones, formamidines, benzophenone derivatives, ureas, pyrrole derivatives, carbamates, pyrethroids, chlorinated hydrocarbons, acylureas, pyridylmethyleamino derivatives, macrolides, neonicotinoids and *Bacillus thuringiensis* preparations.

The following mixtures of a compound of formula I with an active substances are preferred (the abbreviation "TX" means "one compound selected from the compounds defined in A-1 to A-468 and Table P"):

an adjuvant selected from the group of substances consisting of petroleum oils (alternative name) (628) + TX,

an insect control active substance selected from Abamectin + TX, Acequinocyl + TX, Acetamiprid + TX, Acetoprole + TX, Acrinathrin + TX, Acynonapyr + TX, Afidopyropen + TX, Afoxolaner + TX, Alanycarb + TX, Allethrin + TX, Alpha-Cypermethrin + TX, Alphamethrin + TX, Amidoflumet + TX, Aminocarb + TX, Azocyclotin + TX, Bensultap + TX, Benzoximate + TX, Benzpyrimoxan + TX, Betacyfluthrin + TX, Beta-cypermethrin + TX, Bifenazate + TX, Bifenthrin + TX, Binapacryl + TX, Bioallethrin + TX, Bioallethrin S)-cyclopentylisomer + TX, Bioresmethrin + TX, Bistrifluron + TX, Broflanilide + TX, Brofluthrin + TX, Bromophos-ethyl + TX, Buprofezine + TX, Butocarboxim + TX, Cadusafos + TX, Carbaryl + TX, Carbosulfan + TX, Cartap + TX, CAS number: 1632218-00-8 + TX, CAS number: 1808115-49-2 + TX, CAS number: 2032403-97-5 + TX, CAS number: 2044701-44-0 + TX, CAS number: 2128706-05-6 + TX, CAS number: 2246757-58-2 (or 2249718-27-0) + TX, CAS number: 907187-07-9 + TX, Chlorantraniliprole + TX, Chlordane + TX, Chlorfenapyr + TX, Chloroprallethrin + TX, Chromafenozide + TX, Clenpirin + TX, Cloethocarb + TX, Clothianidin + TX, 2-chlorophenyl N-methylcarbamate (CPMC) + TX, Cyanofenphos + TX, Cyantraniliprole + TX, Cyclaniliprole + TX, Cyclobutirfluram + TX, Cycloprothrin + TX, Cycloxaprid + TX, Cycloxaprid + TX, Cyenopyrafen + TX, Cyetpyrafen + TX, Cyflumetofen + TX, Cyfluthrin + TX, Cyhalodiamide + TX, Cyhalothrin + TX, Cypermethrin + TX, Cyphenothrin + TX, Cyproflanilide + TX, Cyromazine + TX, Deltamethrin + TX, Diafenthiuron + TX, Dialifos + TX, Dibrom + TX, Dicloromezotiaz + TX, Diflovidazine + TX, Diflubenzuron + TX, dimpropyridaz + TX, Dinactin + TX, Dinocap + TX, Dinotefuran + TX, Dioxabenzofos + TX, Emamectin (or Emamectin Benzoate) + TX, Empenthrin + TX, Epsilon - momfluorothrin + TX, Epsilon-metofluthrin + TX, Esfenvalerate + TX, Ethion + TX,

- Ethiprole + TX, Etofenprox + TX, Etoxazole + TX, Famphur + TX, Fenazaquin + TX, Fenfluthrin + TX, Fenitrothion + TX, Fenobucarb + TX, Fenothiocarb + TX, Fenoxycarb + TX, Fenpropathrin + TX, Fenpyroxymate + TX, Fensulfothion + TX, Fenthion + TX, Fentinacetate + TX, Fenvalerate + TX, Fipronil + TX, Flometoquin + TX, Flonicamid + TX, Fluacrypyrim + TX, Fluazaindoline + TX,
- 5 Fluazuron + TX, Flubendiamide + TX, Flubenzimine + TX, Flucitrinate + TX, Flucycloxuron + TX, Flucythrinate + TX, Fluensulfone + TX, Flufenerim + TX, Flufenprox + TX, Flufiprole + TX, Fluhexafon + TX, Flumethrin + TX, Fluopyram + TX, Flupentiofenox + TX, Flupyradifurone + TX, Flupyrimin + TX, Fluralaner + TX, Fluvalinate + TX, Fluxametamide + TX, Fosthiazate + TX, Gamma-Cyhalothrin + TX, Gossypure™ + TX, Guadipyr + TX, Halofenozide + TX, Halofenozide + TX, Halfenprox + TX,
- 10 Heptafluthrin + TX, Hexythiazox + TX, Hydramethylnon + TX, Imicyafos + TX, Imidacloprid + TX, Imiprothrin + TX, Indoxacarb + TX, Iodomethane + TX, Iprodione + TX, Isocycloseram + TX, Isothioate + TX, Ivermectin + TX, Kappa-bifenthrin + TX, Kappa-tefluthrin + TX, Lambda-Cyhalothrin + TX, Lepimectin + TX, Lufenuron + TX, Metaflumizone + TX, Metaldehyde + TX, Metam + TX, Methomyl + TX, Methoxyfenozide + TX, Metofluthrin + TX, Metolcarb + TX, Mexacarbate + TX,
- 15 Milbemectin + TX, Momfluorothrin + TX, Niclosamide + TX, Nicofluprole + TX; Nitenpyram + TX, Nithiazine + TX, Omethoate + TX, Oxamyl + TX, Oxazosulfonyl + TX, Parathion-ethyl + TX, Permethrin + TX, Phenothrin + TX, Phosphocarb + TX, Piperonylbutoxide + TX, Pirimicarb + TX, Pirimiphos-ethyl + TX, Pirimiphos-methyl + TX, Polyhedrosis virus + TX, Prallethrin + TX, Profenofos + TX, Profenofos + TX, Profluthrin + TX, Propargite + TX, Propetamphos + TX, Propoxur + TX, Prothiophos + TX,
- 20 Protrifenbute + TX, Pyflubumide + TX, Pymetrozine + TX, Pyraclofos + TX, Pyrafluprole + TX, Pyridaben + TX, Pyridalyl + TX, Pyrfluquinazon + TX, Pyrimidifen + TX, Pyriminostrobin + TX, Pyriprole + TX, Pyriproxyfen + TX, Resmethrin + TX, Sarolaner + TX, Selamectin + TX, Silafluofen + TX, Spinetoram + TX, Spinosad + TX, Spirodiclofen + TX, Spiromesifen + TX, Spiropidion + TX, Spirotetramat + TX, Sulfoxaflor + TX, Tebufenozide + TX, Tebufenpyrad + TX, Tebupirimiphos + TX,
- 25 Tefluthrin + TX, Temephos + TX, Tetrachlorantraniliprole + TX, Tetradiphon + TX, Tetramethrin + TX, Tetramethylfluthrin + TX, Tetranactin + TX, Tetraniliprole + TX, Theta-cypermethrin + TX, Thiacloprid + TX, Thiamethoxam + TX, Thiocyclam + TX, Thiodicarb + TX, Thiofanox + TX, Thiometon + TX, Thiosultap + TX, Tioxazafen + TX, Tolfenpyrad + TX, Toxaphene + TX, Tralomethrin + TX, Transfluthrin + TX, Triazamate + TX, Triazophos + TX, Trichlorfon + TX, Trichloronate + TX,
- 30 Trichlorphon + TX, Triflumezopyrim + TX, Tyclopyrazoflor + TX, Zeta-Cypermethrin + TX, Extract of seaweed and fermentation product derived from melasse + TX, Extract of seaweed and fermentation product derived from melasse comprising urea + TX, amino acids + TX, potassium and molybdenum and EDTA-chelated manganese + TX, Extract of seaweed and fermented plant products + TX, Extract of seaweed and fermented plant products comprising phytohormones + TX, vitamins + TX, EDTA-chelated copper + TX, zinc + TX and iron + TX, Azadirachtin + TX, *Bacillus aizawai* + TX, *Bacillus chitosporus* AQ746 (NRRL Accession No B-21 618) + TX, *Bacillus firmus* + TX, *Bacillus kurstaki* + TX, *Bacillus mycoides* AQ726 (NRRL Accession No. B-21664) + TX, *Bacillus pumilus* (NRRL Accession No B-30087) + TX, *Bacillus pumilus* AQ717 (NRRL Accession No. B-21662) + TX, *Bacillus* sp. AQ178 (ATCC Accession No. 53522) + TX, *Bacillus* sp. AQ175 (ATCC Accession No. 55608) +

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- TX, *Bacillus* sp. AQ177 (ATCC Accession No. 55609) + TX, *Bacillus subtilis* unspecified + TX, *Bacillus subtilis* AQ153 (ATCC Accession No. 55614) + TX, *Bacillus subtilis* AQ30002 (NRRL Accession No. B-50421) + TX, *Bacillus subtilis* AQ30004 (NRRL Accession No. B- 50455) + TX, *Bacillus subtilis* AQ713 (NRRL Accession No. B-21661) + TX, *Bacillus subtilis* AQ743 (NRRL Accession No. B-21665) + TX, *Bacillus thuringiensis* AQ52 (NRRL Accession No. B-21619) + TX, *Bacillus thuringiensis* BD#32 (NRRL Accession No B-21530) + TX, *Bacillus thuringiensis* subspec. *kurstaki* BMP 123 + TX, *Beauveria bassiana* + TX, D-limonene + TX, Granulovirus + TX, Harpin + TX, *Helicoverpa armigera* Nucleopolyhedrovirus + TX, *Helicoverpa zea* Nucleopolyhedrovirus + TX, *Heliothis virescens* Nucleopolyhedrovirus + TX, *Heliothis punctigera* Nucleopolyhedrovirus + TX, *Metarhizium* spp. + TX, *Muscodor albus* 620 (NRRL Accession No. 30547) + TX, *Muscodor roseus* A3-5 (NRRL Accession No. 30548) + TX, Neem tree based products + TX, *Paecilomyces fumosoroseus* + TX, *Paecilomyces lilacinus* + TX, *Pasteuria nishizawae* + TX, *Pasteuria penetrans* + TX, *Pasteuria ramosa* + TX, *Pasteuria thornei* + TX, *Pasteuria usgae* + TX, P-cymene + TX, *Plutella xylostella* Granulosis virus + TX, *Plutella xylostella* Nucleopolyhedrovirus + TX, Polyhedrosis virus + TX, pyrethrum + TX, QRD 420 (a terpenoid blend) + TX, QRD 452 (a terpenoid blend) + TX, QRD 460 (a terpenoid blend) + TX, *Quillaja saponaria* + TX, *Rhodococcus globerulus* AQ719 (NRRL Accession No B-21663) + TX, *Spodoptera frugiperda* Nucleopolyhedrovirus + TX, *Streptomyces galbus* (NRRL Accession No. 30232) + TX, *Streptomyces* sp. (NRRL Accession No. B-30145) + TX, Terpenoid blend + TX and *Verticillium* spp.;
- an algicide selected from the group of substances consisting of bethoxazin [CCN] + TX, copper dioctanoate (IUPAC name) (170) + TX, copper sulfate (172) + TX, cybutryne [CCN] + TX, dichlone (1052) + TX, dichlorophen (232) + TX, endothal (295) + TX, fentin (347) + TX, hydrated lime [CCN] + TX, nabam (566) + TX, quinoclamine (714) + TX, quinonamid (1379) + TX, simazine (730) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347) + TX;
- an anthelmintic selected from the group of substances consisting of abamectin (1) + TX, crufomate (1011) + TX, Cyclobutrifluram + TX, doramectin (alternative name) [CCN] + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, eprinomectin (alternative name) [CCN] + TX, ivermectin (alternative name) [CCN] + TX, milbemycin oxime (alternative name) [CCN] + TX, moxidectin (alternative name) [CCN] + TX, piperazine [CCN] + TX, selamectin (alternative name) [CCN] + TX, spinosad (737) and thiophanate (1435) + TX;
- an avicide selected from the group of substances consisting of chloralose (127) + TX, endrin (1122) + TX, fenthion (346) + TX, pyridin-4-amine (IUPAC name) (23) and strychnine (745) + TX;
- a bactericide selected from the group of substances consisting of 1-hydroxy-1*H*-pyridine-2-thione (IUPAC name) (1222) + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748) + TX, 8-hydroxyquinoline sulfate (446) + TX, bronopol (97) + TX, copper dioctanoate (IUPAC name) (170) + TX, copper hydroxide (IUPAC name) (169) + TX, cresol [CCN] + TX, dichlorophen (232) + TX, dipyrithione (1105) + TX, dodicin (1112) + TX, fenaminosulf (1144) + TX, formaldehyde (404) + TX, hydrargaphen (alternative name) [CCN] + TX, kasugamycin (483) + TX, kasugamycin

hydrochloride hydrate (483) + TX, nickel bis(dimethyldithiocarbamate) (IUPAC name) (1308) + TX, nitrapyrin (580) + TX, octhilonone (590) + TX, oxolinic acid (606) + TX, oxytetracycline (611) + TX, potassium hydroxyquinoline sulfate (446) + TX, probenazole (658) + TX, streptomycin (744) + TX, streptomycin sesquisulfate (744) + TX, tecloftalam (766) + TX, and thiomersal (alternative name)

5 [CCN] + TX;

a biological agent selected from the group of substances consisting of *Adoxophyes orana* GV (alternative name) (12) + TX, *Agrobacterium radiobacter* (alternative name) (13) + TX, *Amblyseius* spp. (alternative name) (19) + TX, *Anagrapha falcifera* NPV (alternative name) (28) + TX, *Anagrus atomus* (alternative name) (29) + TX, *Aphelinus abdominalis* (alternative name) (33) + TX, *Aphidius colemani* (alternative name) (34) + TX, *Aphidoletes aphidimyza* (alternative name) (35) + TX, *Autographa californica* NPV (alternative name) (38) + TX, *Bacillus firmus* (alternative name) (48) + TX, *Bacillus sphaericus* Neide (scientific name) (49) + TX, *Bacillus thuringiensis* Berliner (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *aizawai* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *israelensis* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *japonensis* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *kurstaki* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *tenebrionis* (scientific name) (51) + TX, *Beauveria bassiana* (alternative name) (53) + TX, *Beauveria brongniartii* (alternative name) (54) + TX, *Chrysoperla carnea* (alternative name) (151) + TX, *Cryptolaemus montrouzieri* (alternative name) (178) + TX, *Cydia pomonella* GV (alternative name) (191) + TX, *Dacnusa sibirica* (alternative name) (212) + TX, *Diglyphus isaea* (alternative name) (254) + TX, *Encarsia formosa* (scientific name) (293) + TX, *Eretmocerus eremicus* (alternative name) (300) + TX, *Helicoverpa zea* NPV (alternative name) (431) + TX, *Heterorhabditis bacteriophora* and *H. megidis* (alternative name) (433) + TX, *Hippodamia convergens* (alternative name) (442) + TX, *Leptomastix dactylopii* (alternative name) (488) + TX, *Macrolophus caliginosus* (alternative name) (491) + TX, *Mamestra brassicae* NPV (alternative name) (494) + TX, *Metaphycus helvolus* (alternative name) (522) + TX, *Metarhizium anisopliae* var. *acridum* (scientific name) (523) + TX, *Metarhizium anisopliae* var. *anisopliae* (scientific name) (523) + TX, *Neodiprion sertifer* NPV and *N. lecontei* NPV (alternative name) (575) + TX, *Orius* spp. (alternative name) (596) + TX, *Paecilomyces fumosoroseus* (alternative name) (613) + TX, *Phytoseiulus persimilis* (alternative name) (644) + TX, *Spodoptera exigua* multicapsid nuclear polyhedrosis virus (scientific name) (741) + TX, *Steinernema bibionis* (alternative name) (742) + TX, *Steinernema carpocapsae* (alternative name) (742) + TX, *Steinernema feltiae* (alternative name) (742) + TX, *Steinernema glaseri* (alternative name) (742) + TX, *Steinernema riobrave* (alternative name) (742) + TX, *Steinernema riobrave* (alternative name) (742) + TX, *Steinernema scapterisci* (alternative name) (742) + TX, *Steinernema* spp. (alternative name) (742) + TX, *Trichogramma* spp. (alternative name) (826) + TX, *Typhlodromus occidentalis* (alternative name) (844) and *Verticillium lecanii* (alternative name) (848) + TX;

a soil sterilant selected from the group of substances consisting of iodomethane (IUPAC name) (542) and methyl bromide (537) + TX;

a chemosterilant selected from the group of substances consisting of apholate [CCN] + TX, bisazir (alternative name) [CCN] + TX, busulfan (alternative name) [CCN] + TX, diflubenzuron (250) + TX, dimatif (alternative name) [CCN] + TX, hemel [CCN] + TX, hempa [CCN] + TX, metepa [CCN] + TX, methiotepa [CCN] + TX, methyl apholate [CCN] + TX, morzid [CCN] + TX, penfluron (alternative name) [CCN] + TX, tepa [CCN] + TX, thiohempa (alternative name) [CCN] + TX, thiotepa (alternative name) [CCN] + TX, tretamine (alternative name) [CCN] and uredepa (alternative name) [CCN] + TX;

an insect pheromone selected from the group of substances consisting of (*E*)-dec-5-en-1-yl acetate with (*E*)-dec-5-en-1-ol (IUPAC name) (222) + TX, (*E*)-tridec-4-en-1-yl acetate (IUPAC name) (829) + TX, (*E*)-6-methylhept-2-en-4-ol (IUPAC name) (541) + TX, (*E,Z*)-tetradeca-4,10-dien-1-yl acetate (IUPAC name) (779) + TX, (*Z*)-dodec-7-en-1-yl acetate (IUPAC name) (285) + TX, (*Z*)-hexadec-11-enal (IUPAC name) (436) + TX, (*Z*)-hexadec-11-en-1-yl acetate (IUPAC name) (437) + TX, (*Z*)-hexadec-13-en-11-yn-1-yl acetate (IUPAC name) (438) + TX, (*Z*)-icos-13-en-10-one (IUPAC name) (448) + TX, (*Z*)-tetradec-7-en-1-ol (IUPAC name) (782) + TX, (*Z*)-tetradec-9-en-1-ol (IUPAC name) (783) + TX, (*Z*)-tetradec-9-en-1-yl acetate (IUPAC name) (784) + TX, (*7E,9Z*)-dodeca-7,9-dien-1-yl acetate (IUPAC name) (283) + TX, (*9Z,11E*)-tetradeca-9,11-dien-1-yl acetate (IUPAC name) (780) + TX, (*9Z,12E*)-tetradeca-9,12-dien-1-yl acetate (IUPAC name) (781) + TX, 14-methyloctadec-1-ene (IUPAC name) (545) + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one (IUPAC name) (544) + TX, alpha-multistriatin (alternative name) [CCN] + TX, brevicomin (alternative name) [CCN] + TX, codlure (alternative name) [CCN] + TX, codlemone (alternative name) (167) + TX, cuelure (alternative name) (179) + TX, disparlure (277) + TX, dodec-8-en-1-yl acetate (IUPAC name) (286) + TX, dodec-9-en-1-yl acetate (IUPAC name) (287) + TX, dodeca-8 + TX, 10-dien-1-yl acetate (IUPAC name) (284) + TX, dominicalure (alternative name) [CCN] + TX, ethyl 4-methyloctanoate (IUPAC name) (317) + TX, eugenol (alternative name) [CCN] + TX, frontalin (alternative name) [CCN] + TX, gossyplure (alternative name) (420) + TX, grandlure (421) + TX, grandlure I (alternative name) (421) + TX, grandlure II (alternative name) (421) + TX, grandlure III (alternative name) (421) + TX, grandlure IV (alternative name) (421) + TX, hexalure [CCN] + TX, ipsdienol (alternative name) [CCN] + TX, ipsenol (alternative name) [CCN] + TX, japonilure (alternative name) (481) + TX, lineatin (alternative name) [CCN] + TX, litlure (alternative name) [CCN] + TX, looplure (alternative name) [CCN] + TX, medlure [CCN] + TX, megatomoic acid (alternative name) [CCN] + TX, methyl eugenol (alternative name) (540) + TX, muscalure (563) + TX, octadeca-2,13-dien-1-yl acetate (IUPAC name) (588) + TX, octadeca-3,13-dien-1-yl acetate (IUPAC name) (589) + TX, orfralure (alternative name) [CCN] + TX, oryctalure (alternative name) (317) + TX, ostramone (alternative name) [CCN] + TX, siglure [CCN] + TX, sordidin (alternative name) (736) + TX, sulcatol (alternative name) [CCN] + TX, tetradec-11-en-1-yl acetate (IUPAC name) (785) + TX, trimedlure (839) + TX, trimedlure A (alternative name) (839) + TX, trimedlure B₁ (alternative name) (839) + TX, trimedlure B₂ (alternative name) (839) + TX, trimedlure C (alternative name) (839) and trunc-call (alternative name) [CCN] + TX;

- an insect repellent selected from the group of substances consisting of 2-(octylthio)ethanol (IUPAC name) (591) + TX, butopyronoxyl (933) + TX, butoxy(polypropylene glycol) (936) + TX, dibutyl adipate (IUPAC name) (1046) + TX, dibutyl phthalate (1047) + TX, dibutyl succinate (IUPAC name) (1048) + TX, diethyltoluamide [CCN] + TX, dimethyl carbate [CCN] + TX, dimethyl phthalate [CCN] + TX, ethyl hexanediol (1137) + TX, hexamide [CCN] + TX, methoquin-butyl (1276) + TX, methylneodecanamide [CCN] + TX, oxamate [CCN] and picaridin [CCN] + TX;
- a molluscicide selected from the group of substances consisting of bis(tributyltin) oxide (IUPAC name) (913) + TX, bromoacetamide [CCN] + TX, calcium arsenate [CCN] + TX, cloethocarb (999) + TX, copper acetoarsenite [CCN] + TX, copper sulfate (172) + TX, fentin (347) + TX, ferric phosphate (IUPAC name) (352) + TX, metaldehyde (518) + TX, methiocarb (530) + TX, niclosamide (576) + TX, niclosamide-olamine (576) + TX, pentachlorophenol (623) + TX, sodium pentachlorophenoxide (623) + TX, tazimcarb (1412) + TX, thiodicarb (799) + TX, tributyltin oxide (913) + TX, trifenmorph (1454) + TX, trimethacarb (840) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347) + TX, pyriprole [394730-71-3] + TX;
- a nematicide selected from the group of substances consisting of AKD-3088 (compound code) + TX, 1,2-dibromo-3-chloropropane (IUPAC/Chemical Abstracts name) (1045) + TX, 1,2-dichloropropane (IUPAC/ Chemical Abstracts name) (1062) + TX, 1,2-dichloropropane with 1,3-dichloropropene (IUPAC name) (1063) + TX, 1,3-dichloropropene (233) + TX, 3,4-dichlorotetrahydrothiophene 1,1-dioxide (IUPAC/Chemical Abstracts name) (1065) + TX, 3-(4-chlorophenyl)-5-methylrhodanine (IUPAC name) (980) + TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid (IUPAC name) (1286) + TX, 6-isopentenylaminopurine (alternative name) (210) + TX, abamectin (1) + TX, acetoprole [CCN] + TX, alanycarb (15) + TX, aldicarb (16) + TX, aldoxycarb (863) + TX, AZ 60541 (compound code) + TX, benclonthiaz [CCN] + TX, benomyl (62) + TX, butylpyridaben (alternative name) + TX, cadusafos (109) + TX, carbofuran (118) + TX, carbon disulfide (945) + TX, carbosulfan (119) + TX, chloropicrin (141) + TX, chlorpyrifos (145) + TX, cloethocarb (999) + TX, Cyclobutrifluram + TX, cytokinins (alternative name) (210) + TX, dazomet (216) + TX, DBCP (1045) + TX, DCIP (218) + TX, diamidafos (1044) + TX, dichlofenthion (1051) + TX, dicliphos (alternative name) + TX, dimethoate (262) + TX, doramectin (alternative name) [CCN] + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, eprinomectin (alternative name) [CCN] + TX, ethoprophos (312) + TX, ethylene dibromide (316) + TX, fenamiphos (326) + TX, fenpyrad (alternative name) + TX, fensulfothion (1158) + TX, fosthiazate (408) + TX, fosthietan (1196) + TX, furfural (alternative name) [CCN] + TX, GY-81 (development code) (423) + TX, heterophos [CCN] + TX, iodomethane (IUPAC name) (542) + TX, isamidofos (1230) + TX, isazofos (1231) + TX, ivermectin (alternative name) [CCN] + TX, kinetin (alternative name) (210) + TX, mecarphon (1258) + TX, metam (519) + TX, metam-potassium (alternative name) (519) + TX, metam-sodium (519) + TX, methyl bromide (537) + TX, methyl isothiocyanate (543) + TX, milbemycin oxime (alternative name) [CCN] + TX, moxidectin (alternative name) [CCN] + TX, *Myrothecium verrucaria* composition (alternative name) (565) + TX, NC-184 (compound code) + TX, oxamyl (602) + TX, phorate (636) + TX, phosphamidon (639) + TX, phosphocarb [CCN] + TX, sebufos (alternative name) + TX, selamectin

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(alternative name) [CCN] + TX, spinosad (737) + TX, terbam (alternative name) + TX, terbufos (773) + TX, tetrachlorothiophene (IUPAC/ Chemical Abstracts name) (1422) + TX, thiafenox (alternative name) + TX, thionazin (1434) + TX, triazophos (820) + TX, triazuron (alternative name) + TX, xlenols [CCN] + TX, YI-5302 (compound code) and zeatin (alternative name) (210) + TX,
 5 fluensulfone [318290-98-1] + TX, fluopyram + TX;

a nitrification inhibitor selected from the group of substances consisting of potassium ethylxanthate [CCN] and nitrapyrin (580) + TX;

a plant activator selected from the group of substances consisting of acibenzolar (6) + TX, acibenzolar-S-methyl (6) + TX, probenazole (658) and *Reynoutria sachalinensis* extract (alternative
 10 name) (720) + TX;

a rodenticide selected from the group of substances consisting of 2-isovalerylindan-1,3-dione (IUPAC name) (1246) + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748) + TX, alpha-chlorohydrin [CCN] + TX, aluminium phosphide (640) + TX, antu (880) + TX, arsenous oxide (882) + TX, barium carbonate (891) + TX, bisthiosemi (912) + TX, brodifacoum (89) + TX,

15 bromadiolone (including alpha-bromadiolone) + TX, bromethalin (92) + TX, calcium cyanide (444) + TX, chloralose (127) + TX, chlorophacinone (140) + TX, cholecalciferol (alternative name) (850) + TX, coumachlor (1004) + TX, coumafuryl (1005) + TX, coumatetralyl (175) + TX, crimidine (1009) + TX, difenacoum (246) + TX, difethialone (249) + TX, diphacinone (273) + TX, ergocalciferol (301) + TX, flocoumafen (357) + TX, fluoroacetamide (379) + TX, flupropadine (1183) + TX,

20 flupropadine hydrochloride (1183) + TX, gamma-HCH (430) + TX, HCH (430) + TX, hydrogen cyanide (444) + TX, iodomethane (IUPAC name) (542) + TX, lindane (430) + TX, magnesium phosphide (IUPAC name) (640) + TX, methyl bromide (537) + TX, norbormide (1318) + TX, phosacetim (1336) + TX, phosphine (IUPAC name) (640) + TX, phosphorus [CCN] + TX, pindone (1341) + TX, potassium arsenite [CCN] + TX, pyrinuron (1371) + TX, scilliroside (1390) + TX,

25 sodium arsenite [CCN] + TX, sodium cyanide (444) + TX, sodium fluoroacetate (735) + TX, strychnine (745) + TX, thallium sulfate [CCN] + TX, warfarin (851) and zinc phosphide (640) + TX;

a synergist selected from the group of substances consisting of 2-(2-butoxyethoxy)ethyl piperonylate (IUPAC name) (934) + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone (IUPAC name) (903) + TX, farnesol with nerolidol (alternative name) (324) + TX, MB-599 (development code) (498) + TX,

30 MGK 264 (development code) (296) + TX, piperonyl butoxide (649) + TX, piprotal (1343) + TX, propyl isomer (1358) + TX, S421 (development code) (724) + TX, sesamex (1393) + TX, sesasmolin (1394) and sulfoxide (1406) + TX;

an animal repellent selected from the group of substances consisting of anthraquinone (32) + TX, chloralose (127) + TX, copper naphthenate [CCN] + TX, copper oxychloride (171) + TX, diazinon
 35 (227) + TX, dicyclopentadiene (chemical name) (1069) + TX, guazatine (422) + TX, guazatine acetates (422) + TX, methiocarb (530) + TX, pyridin-4-amine (IUPAC name) (23) + TX, thiram (804) + TX, trimethacarb (840) + TX, zinc naphthenate [CCN] and ziram (856) + TX;

a virucide selected from the group of substances consisting of imanin (alternative name) [CCN] and ribavirin (alternative name) [CCN] + TX;

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a wound protectant selected from the group of substances consisting of mercuric oxide (512) + TX, othilinone (590) and thiophanate-methyl (802) + TX;

a biologically active substance selected from 1,1-bis(4-chloro-phenyl)-2-ethoxyethanol + TX, 2,4-dichlorophenyl benzenesulfonate + TX, 2-fluoro-N-methyl-N-1-naphthylacetamide + TX, 4-chlorophenyl
 5 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, benoxa-fos + TX, benzyl benzoate + TX, bixafen + TX, brofenvalerate + TX, bromo-cyclen + TX, bromophos + TX, bromopropylate + TX, buprofezin + TX, butocarboxim + TX, butoxycarboxim + TX, butylpyridaben + TX, calcium polysulfide + TX, camphechlor
 10 + TX, carbanolate + TX, carbophenothion + TX, cymiazole + TX, chino-methionat + 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,
 15 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, dino-penton + TX, dinosulfon + TX, dinoterbon + TX, dioxathion + TX, diphenyl sulfone + TX, disulfiram + TX, DNOC + TX, dofenapyn
 20 + TX, doramectin + TX, endothion + TX, eprinomectin + TX, ethoate-methyl + TX, etrimfos + TX, fenazaflor + TX, fenbutatin oxide + TX, fenothiocarb + TX, fenpyrad + TX, fen-pyroximate + 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
 25 cyclopropanecarboxylate + TX, isocarbophos + TX, jasmodin I + TX, jasmodin 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,
 30 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,
 35 phosglyn + 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, quinoxamine + 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 +
 5 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,
 10 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
 15 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
 20 fumosoroseus + TX, Phytoseiulus persimilis + TX, Steinernema bibionis + TX, Steinernema
 carpocapsae + TX, Steinernema feltiae + TX, Steinernema glaseri + TX, Steinernema riobrave + TX,
 Steinernema riobrave + 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,
 25 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)-
 30 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, codlure
 + TX, codlemone + TX, cuelure + TX, disparlure + TX, dodec-8-en-1-yl acetate + TX, dodec-9-en-1-yl
 acetate + TX, dodeca-8 + TX, 10-dien-1-yl acetate + TX, dominicalure + TX, ethyl 4-methyloctanoate +
 35 TX, eugenol + TX, frontalinal + 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 B₁ + TX, trimedlure B₂ + 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,
 5 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-dichloro-phenyl)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,
 10 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
 dimethyl-carbamate + 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
 15 + 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
 20 + 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, clocythrins + TX, copper acetoarsenite + TX,
 copper arsenate + TX, copper oleate + TX, coumithoate + TX, cryolite + TX, CS 708 + TX, cyanofenphos
 25 + 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,
 30 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 +
 35 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,

5 nicotine sulfate + TX, nithiazine + TX, normicotine + 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

10 + 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

15 + 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

20 + 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 and meperfluthrin + TX, tetramethylfluthrin + TX, bis(tributyltin) oxide + TX, bromoacetamide + TX, ferric phosphate + TX, niclosamide-olamine + TX, tributyltin oxide + TX,

25 pyrimorph + TX, trifenmorph + TX, 1,2-dibromo-3-chloropropane + TX, 1,3-dichloropropene + TX, 3,4-dichlorotetrahydrothio-phenol 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, 2-fluoro-N-(3-methoxyphenyl)-9H-purin-6-amine + TX, benclothiaz + TX, cytokinins + TX, DCIP + TX, furfural + TX, isamidofos + TX, kinetin + TX, Myrothecium verrucaria composition + TX, tetrachlorothiophene + TX,

30 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, bithiosemi + 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,

35 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

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+ 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, imiben-conazole + 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, fencpiclonil + TX, fludioxonil + TX, benalaxyl + TX, furalaxyl + TX, -metalaxyl -+ TX, Rmetalaxyl + TX, ofurace + TX, oxadixyl + TX, carbendazim + TX, debacarb + TX, fuberidazole -+ TX, thiabendazole + TX, chlozolate + 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, 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, chloro-tha-lonil + 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, fluopicolide + 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, quinoxifen + TX, quintozone + 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-phenylpyridazine-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, oxathiapirolin + 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, aminopyrifin + TX, ametocetradin + 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, fempicoxamid + TX, tebufloquin + TX, ipflufenquin + TX, quinofumelin + TX, isofetamid + TX, 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, benzothioestrobin + TX, phenamacril + TX, 5-amino-1,3,4-thiadiazole-2-thiol zinc salt (2:1) + TX, fluopyram + 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, fluoxapirolin + 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, N-octyl-N'-[2-(octylamino)ethyl]ethane-1,2-diamine + 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 WO2015/155075); 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 WO2018/228896); 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-trifluoromethyl)tetrahydrofuran-2-yl]phenyl]-N-methyl-formamidine + TX (these compounds may be prepared from the methods described in WO2019/110427); 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 WO2017/153380); 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 WO2017/025510); 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 WO2016/156085); 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

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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-phenoxyphenyl)-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);

microbials including: *Acinetobacter lwoffii* + TX, *Acremonium alternatum* + TX + TX, *Acremonium cephalosporium* + TX + TX, *Acremonium diospyri* + TX, *Acremonium obclavatum* + TX, *Adoxophyes orana granulovirus* (AdoxGV) (Capex®) + TX, *Agrobacterium radiobacter* strain K84 (Galltrol-A®) + TX, *Alternaria alternate* + TX, *Alternaria cassia* + TX, *Alternaria destruens* (Smolder®) + TX, *Ampelomyces quisqualis* (AQ10®) + TX, *Aspergillus flavus* AF36 (AF36®) + TX, *Aspergillus flavus* NRRL 21882 (Aflaguard®) + TX, *Aspergillus* spp. + TX, *Aureobasidium pullulans* + TX, *Azospirillum* + TX, (MicroAZ®

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+ TX, TAZO B®) + TX, *Azotobacter* + TX, *Azotobacter chroococcum* (Azotomeal®) + TX, *Azotobacter* cysts (Bionatural Blooming Blossoms®) + TX, *Bacillus amyloliquefaciens* + TX, *Bacillus cereus* + TX, *Bacillus chitinosporus* strain CM-1 + TX, *Bacillus chitinosporus* strain AQ746 + TX, *Bacillus licheniformis* strain HB-2 (Biostart™ Rhizoboost®) + TX, *Bacillus licheniformis* strain 3086 (EcoGuard® + TX, Green Releaf®) + TX, *Bacillus circulans* + TX, *Bacillus firmus* (BioSafe® + TX, BioNem-WP® + TX, VOTiVO®) + TX, *Bacillus firmus* strain I-1582 + TX, *Bacillus macerans* + TX, *Bacillus marismortui* + TX, *Bacillus megaterium* + TX, *Bacillus mycoides* strain AQ726 + TX, *Bacillus papillae* (Milky Spore Powder®) + TX, *Bacillus pumilus* spp. + TX, *Bacillus pumilus* strain GB34 (Yield Shield®) + TX, *Bacillus pumilus* strain AQ717 + TX, *Bacillus pumilus* strain QST 2808 (Sonata® + TX, Ballad Plus®) + TX, *Bacillus spahericus* (VectoLex®) + TX, *Bacillus* spp. + TX, *Bacillus* spp. strain AQ175 + TX, *Bacillus* spp. strain AQ177 + TX, *Bacillus* spp. strain AQ178 + TX, *Bacillus subtilis* strain QST 713 (CEASE® + TX, Serenade® + TX, Rhapsody®) + TX, *Bacillus subtilis* strain QST 714 (JAZZ®) + TX, *Bacillus subtilis* strain AQ153 + TX, *Bacillus subtilis* strain AQ743 + TX, *Bacillus subtilis* strain QST3002 + TX, *Bacillus subtilis* strain QST3004 + TX, *Bacillus subtilis* var. *amyloliquefaciens* strain FZB24 (Taegro® + TX, Rhizopro®) + TX, *Bacillus thuringiensis* Cry 2Ae + TX, *Bacillus thuringiensis* Cry1Ab + TX, *Bacillus thuringiensis aizawai* GC 91 (Agree®) + TX, *Bacillus thuringiensis israelensis* (BMP123® + TX, Aquabac® + TX, VectoBac®) + TX, *Bacillus thuringiensis kurstaki* (Javelin® + TX, Deliver® + TX, CryMax® + TX, Bonide® + TX, Scutella WP® + TX, Turilav WP® + TX, Astuto® + TX, Dipel WP® + TX, Biobit® + TX, Foray®) + TX, *Bacillus thuringiensis kurstaki* BMP 123 (Baritone®) + TX, *Bacillus thuringiensis kurstaki* HD-1 (Bioprotec-CAF / 3P®) + TX, *Bacillus thuringiensis* strain BD#32 + TX, *Bacillus thuringiensis* strain AQ52 + TX, *Bacillus thuringiensis* var. *aizawai* (XenTari® + TX, DiPel®) + TX, bacteria spp. (GROWMEND® + TX, GROWSWEET® + TX, Shootup®) + TX, bacteriophage of *Clavipacter michiganensis* (AgriPhage®) + TX, Bakflor® + TX, *Beauveria bassiana* (Beaugenic® + TX, Brocaril WP®) + TX, *Beauveria bassiana* GHA (Mycotrol ES® + TX, Mycotrol O® + TX, BotaniGuard®) + TX, *Beauveria brongniartii* (Engerlingspilz® + TX, Schweizer Beauveria® + TX, Melocont®) + TX, *Beauveria* spp. + TX, *Botrytis cineria* + TX, *Bradyrhizobium japonicum* (TerraMax®) + TX, *Brevibacillus brevis* + TX, *Bacillus thuringiensis tenebrionis* (Novodor®) + TX, BtBooster + TX, *Burkholderia cepacia* (Deny® + TX, Intercept® + TX, Blue Circle®) + TX, *Burkholderia gladii* + TX, *Burkholderia gladioli* + TX, *Burkholderia* spp. + TX, Canadian thistle fungus (CBH Canadian Bioherbicide®) + TX, *Candida butyri* + TX, *Candida famata* + TX, *Candida fructus* + TX, *Candida glabrata* + TX, *Candida guilliermondii* + TX, *Candida melibiosica* + TX, *Candida oleophila* strain O + TX, *Candida parapsilosis* + TX, *Candida pelliculosa* + TX, *Candida pulcherrima* + TX, *Candida reukaufii* + TX, *Candida saitoana* (Bio-Coat® + TX, Biocure®) + TX, *Candida sake* + TX, *Candida* spp. + TX, *Candida tenuis* + TX, *Cedecea dravisae* + TX, *Cellulomonas flavigena* + TX, *Chaetomium cochliodes* (Nova-Cide®) + TX, *Chaetomium globosum* (Nova-Cide®) + TX, *Chromobacterium subtsugae* strain PRAA4-1T (Grandevo®) + TX, *Cladosporium cladosporioides* + TX, *Cladosporium oxysporum* + TX, *Cladosporium chlorocephalum* + TX, *Cladosporium* spp. + TX, *Cladosporium tenuissimum* + TX, *Clonostachys rosea* (EndoFine®) + TX, *Colletotrichum acutatum* + TX, *Coniothyrium minitans* (Cotans WG®) + TX, *Coniothyrium* spp. + TX, *Cryptococcus albidus* (YIELDPLUS®) + TX, *Cryptococcus humicola* + TX, *Cryptococcus infirmo-*

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miniatus + TX, *Cryptococcus laurentii* + TX, *Cryptophlebia leucotreta granulovirus* (Cryptex®) + TX, *Cupriavidus campinensis* + TX, *Cydia pomonella granulovirus* (CYD-X®) + TX, *Cydia pomonella granulovirus* (Madex® + TX, Madex Plus® + TX, Madex Max/ Carpovirusine®) + TX, *Cylindrobasidium laeve* (Stumpout®) + TX, *Cylindrocladium* + TX, *Debaryomyces hansenii* + TX, *Drechslera hawaiiensis* + TX, *Enterobacter cloacae* + TX, *Enterobacteriaceae* + TX, *Entomophthora virulenta* (Vektor®) + TX, *Epicoccum nigrum* + TX, *Epicoccum purpurascens* + TX, *Epicoccum* spp. + TX, *Filobasidium floriforme* + TX, *Fusarium acuminatum* + TX, *Fusarium chlamydosporum* + TX, *Fusarium oxysporum* (Fusaclean® / Biofox C®) + TX, *Fusarium proliferatum* + TX, *Fusarium* spp. + TX, *Galactomyces geotrichum* + TX, *Gliocladium catenulatum* (Primastop® + TX, Prestop®) + TX, *Gliocladium roseum* + TX, *Gliocladium* spp. (SoilGard®) + TX, *Gliocladium virens* (Soilgard®) + TX, *Granulovirus* (Granupom®) + TX, *Halobacillus halophilus* + TX, *Halobacillus litoralis* + TX, *Halobacillus trueperi* + TX, *Halomonas* spp. + TX, *Halomonas subglaciescola* + TX, *Halovibrio variabilis* + TX, *Hanseniaspora uvarum* + TX, *Helicoverpa armigera nucleopolyhedrovirus* (Helicovex®) + TX, *Helicoverpa zea nuclear polyhedrosis virus* (Gemstar®) + TX, Isoflavone – formononetin (Myconate®) + TX, *Kloeckera apiculata* + TX, *Kloeckera* spp. + TX, *Lagenidium giganteum* (Laginex®) + TX, *Lecanicillium longisporum* (Vertiblast®) + TX, *Lecanicillium muscarium* (Vertikil®) + TX, *Lymantria Dispar nucleopolyhedrosis virus* (Disparvirus®) + TX, *Marinococcus halophilus* + TX, *Meira geulakonigii* + TX, *Metarhizium anisopliae* (Met52®) + TX, *Metarhizium anisopliae* (Destruxin WP®) + TX, *Metschnikowia fruticola* (Shemer®) + TX, *Metschnikowia pulcherrima* + TX, *Microdochium dimerum* (Antibot®) + TX, *Micromonospora coerules* + TX, *Microsphaeropsis ochracea* + TX, *Muscodor albus* 620 (Muscudor®) + TX, *Muscodor roseus* strain A3-5 + TX, *Mycorrhizae* spp. (AMykor® + TX, Root Maximizer®) + TX, *Myrothecium verrucaria* strain AARC-0255 (DiTera®) + TX, BROS PLUS® + TX, *Ophiostoma piliferum* strain D97 (Sylvanex®) + TX, *Paecilomyces farinosus* + TX, *Paecilomyces fumosoroseus* (PFR-97® + TX, PreFeRa®) + TX, *Paecilomyces linacinus* (Biostat WP®) + TX, *Paecilomyces lilacinus* strain 251 (MeloCon WG®) + TX, *Paenibacillus polymyxa* + TX, *Pantoea agglomerans* (BlightBan C9-1®) + TX, *Pantoea* spp. + TX, *Pasteuria* spp. (Econem®) + TX, *Pasteuria nishizawae* + TX, *Penicillium aurantiogriseum* + TX, *Penicillium billai* (Jumpstart® + TX, TagTeam®) + TX, *Penicillium brevicompactum* + TX, *Penicillium frequentans* + TX, *Penicillium griseofulvum* + TX, *Penicillium purpurogenum* + TX, *Penicillium* spp. + TX, *Penicillium viridicatum* + TX, *Phlebiopsis gigantea* (Rotstop®) + TX, phosphate solubilizing bacteria (Phosphomeal®) + TX, *Phytophthora cryptogea* + TX, *Phytophthora palmivora* (Devine®) + TX, *Pichia anomala* + TX, *Pichia guillemontii* + TX, *Pichia membranaefaciens* + TX, *Pichia onychis* + TX, *Pichia stipites* + TX, *Pseudomonas aeruginosa* + TX, *Pseudomonas aureofaciens* (Spot-Less Biofungicide®) + TX, *Pseudomonas cepacia* + TX, *Pseudomonas chlororaphis* (AtEze®) + TX, *Pseudomonas corrugate* + TX, *Pseudomonas fluorescens* strain A506 (BlightBan A506®) + TX, *Pseudomonas putida* + TX, *Pseudomonas reactans* + TX, *Pseudomonas* spp. + TX, *Pseudomonas syringae* (Bio-Save®) + TX, *Pseudomonas viridiflava* + TX, *Pseudomonas fluorescens* (Zequanox®) + TX, *Pseudozyma flocculosa* strain PF-A22 UL (Sporodex L®) + TX, *Puccinia canaliculata* + TX, *Puccinia thlaspeos* (Wood Warrior®) + TX, *Pythium paroecandrum* + TX, *Pythium oligandrum* (Polygandron® + TX, Polyversum®) + TX, *Pythium periplocum* + TX, *Rhanella*

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aquatilis + TX, *Rhanella* spp. + TX, *Rhizobia* (Dormal® + TX, Vault®) + TX, *Rhizoctonia* + TX, *Rhodococcus globerulus* strain AQ719 + TX, *Rhodospiridium diobovatum* + TX, *Rhodospiridium toruloides* + TX, *Rhodotorula* spp. + TX, *Rhodotorula glutinis* + TX, *Rhodotorula graminis* + TX, *Rhodotorula mucilagnosa* + TX, *Rhodotorula rubra* + TX, *Saccharomyces cerevisiae* + TX, *Salinococcus roseus* + TX, *Sclerotinia minor* + TX, *Sclerotinia minor* (SARRITOR®) + TX, *Scytalidium* spp. + TX, *Scytalidium uredinicola* + TX, *Spodoptera exigua nuclear polyhedrosis virus* (Spod-X® + TX, Spexit®) + TX, *Serratia marcescens* + TX, *Serratia plymuthica* + TX, *Serratia* spp. + TX, *Sordaria fimicola* + TX, *Spodoptera littoralis nucleopolyhedrovirus* (Littovir®) + TX, *Sporobolomyces roseus* + TX, *Stenotrophomonas maltophilia* + TX, *Streptomyces ahyscopicus* + TX, *Streptomyces albaduncus* + TX, *Streptomyces exfoliates* + TX, *Streptomyces galbus* + TX, *Streptomyces griseoplanus* + TX, *Streptomyces griseoviridis* (Mycostop®) + TX, *Streptomyces lydicus* (Actinovate®) + TX, *Streptomyces lydicus* WYEC-108 (ActinoGrow®) + TX, *Streptomyces violaceus* + TX, *Tilletiopsis minor* + TX, *Tilletiopsis* spp. + TX, *Trichoderma asperellum* (T34 Biocontrol®) + TX, *Trichoderma gamsii* (Tenet®) + TX, *Trichoderma atroviride* (Plantmate®) + TX, *Trichoderma hamatum* TH 382 + TX, *Trichoderma harzianum rifai* (Mycostar®) + TX, *Trichoderma harzianum* T-22 (Trianum-P® + TX, PlantShield HC® + TX, RootShield® + TX, Trianum-G®) + TX, *Trichoderma harzianum* T-39 (Trichodex®) + TX, *Trichoderma inhamatum* + TX, *Trichoderma koningii* + TX, *Trichoderma* spp. LC 52 (Sentinel®) + TX, *Trichoderma lignorum* + TX, *Trichoderma longibrachiatum* + TX, *Trichoderma polysporum* (Binab T®) + TX, *Trichoderma taxi* + TX, *Trichoderma virens* + TX, *Trichoderma virens* (formerly Gliocladium virens GL-21) (SoilGuard®) + TX, *Trichoderma viride* + TX, *Trichoderma viride* strain ICC 080 (Remedier®) + TX, *Trichosporon pullulans* + TX, *Trichosporon* spp. + TX, *Trichothecium* spp. + TX, *Trichothecium roseum* + TX, *Typhula phacorrhiza* strain 94670 + TX, *Typhula phacorrhiza* strain 94671 + TX, *Ulocladium atrum* + TX, *Ulocladium oudemansii* (Botry-Zen®) + TX, *Ustilago maydis* + TX, various bacteria and supplementary micronutrients (Natural II®) + TX, various fungi (Millennium Microbes®) + TX, *Verticillium chlamydosporium* + TX, *Verticillium lecanii* (Mycotal® + TX, Vertalec®) + TX, Vip3Aa20 (VIPtera®) + TX, *Virgibacillus marismortui* + TX, *Xanthomonas campestris* pv. *Poae* (Camperico®) + TX, *Xenorhabdus bovienii* + TX, *Xenorhabdus nematophilus*;

Plant extracts including: pine oil (Retenol®) + TX, azadirachtin (Plasma Neem Oil® + TX, AzaGuard® + TX, MeemAza® + TX, Molt-X® + TX, Botanical IGR (Neemazad® + TX, Neemix®) + TX, canola oil (Lilly Miller Vegol®) + TX, *Chenopodium ambrosioides near ambrosioides* (Requiem®) + TX, *Chrysanthemum* extract (Crisant®) + TX, extract of neem oil (Trilogy®) + TX, essentials oils of *Labiatae* (Botania®) + TX, extracts of clove rosemary peppermint and thyme oil (Garden insect killer®) + TX, Glycinebetaine (Greenstim®) + TX, garlic + TX, lemongrass oil (GreenMatch®) + TX, neem oil + TX, *Nepeta cataria* (Catnip oil) + TX, *Nepeta catarina* + TX, nicotine + TX, oregano oil (MossBuster®) + TX, *Pedaliaceae* oil (Nematon®) + TX, pyrethrum + TX, *Quillaja saponaria* (NemaQ®) + TX, *Reynoutria sachalinensis* (Regalia® + TX, Sakalia®) + TX, rotenone (Eco Roten®) + TX, *Rutaceae* plant extract (Soleo®) + TX, soybean oil (Ortho ecosense®) + TX, tea tree oil (Timorex Gold®) + TX, thymus oil + TX, AGNIQUE® MMF + TX, BugOil® + TX, mixture of rosemary sesame peppermint thyme and cinnamon extracts (EF 300®) + TX, mixture of clove rosemary and peppermint extract (EF

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400®) + TX, mixture of clove pepper mint garlic oil and mint (Soil Shot®) + TX, kaolin (Screen®) + TX, storage glucan of brown algae (Laminarin®);

pheromones including: blackheaded fireworm pheromone (3M Sprayable Blackheaded Fireworm Pheromone®) + TX, Codling Moth Pheromone (Paramount dispenser-(CM)/ Isomate C-Plus®) + TX,

5 Grape Berry Moth Pheromone (3M MEC-GBM Sprayable Pheromone®) + TX, Leafroller pheromone (3M MEC – LR Sprayable Pheromone®) + TX, Muscamone (Snip7 Fly Bait® + TX, Starbar Premium Fly Bait®) + TX, Oriental Fruit Moth Pheromone (3M oriental fruit moth sprayable pheromone®) + TX, Peachtree Borer Pheromone (Isomate-P®) + TX, Tomato Pinworm Pheromone (3M Sprayable pheromone®) + TX, Entostat powder (extract from palm tree) (Exosex CM®) + TX, (E + TX,Z + TX,Z)-

10 3 + TX,8 + TX,11 Tetradecatrienyl acetate + TX, (Z + TX,Z + TX,E)-7 + TX,11 + TX,13-Hexadecatrienal + TX, (E + TX,Z)-7 + TX,9-Dodecadien-1-yl acetate + TX, 2-Methyl-1-butanol + TX, Calcium acetate + TX, Scenturion® + TX, Biolure® + TX, Check-Mate® + TX, Lavandulyl senecioate;

Macrobiotics including: *Aphelinus abdominalis* + TX, *Aphidius ervi* (Aphelinus-System®) + TX, *Acerophagus papaya* + TX, *Adalia bipunctata* (Adalia-System®) + TX, *Adalia bipunctata* (Adaline®) +

15 TX, *Adalia bipunctata* (Aphidalia®) + TX, *Ageniaspis citricola* + TX, *Ageniaspis fuscicollis* + TX, *Amblyseius andersoni* (Anderline® + TX andersoni-System®) + TX, *Amblyseius californicus* (Amblyline® + TX, Spical®) + TX, *Amblyseius cucumeris* (Thripex® + TX, Bugline cucumeris®) + TX, *Amblyseius fallacis* (Fallacis®) + TX, *Amblyseius swirskii* (Bugline swirskii® + TX, Swirskii-Mite®) + TX, *Amblyseius womersleyi* (WomerMite®) + TX, *Amitus hesperidum* + TX, *Anagrus atomus* + TX,

20 *Anagrus fusciventris* + TX, *Anagrus kamali* + TX, *Anagrus loecki* + TX, *Anagrus pseudococci* (Citripar®) + TX, *Anicetus benefices* + TX, *Anisopteromalus calandrae* + TX, *Anthocoris nemoralis* (Anthocoris-System®) + TX, *Aphelinus abdominalis* (Apheline® + TX, Aphiline®) + TX, *Aphelinus asychis* + TX, *Aphidius colemani* (Aphipar®) + TX, *Aphidius ervi* (Ervipar®) + TX, *Aphidius gifuensis* + TX, *Aphidius matricariae* (Aphipar-M®) + TX, *Aphidoletes aphidimyza* (Aphidend®) + TX, *Aphidoletes aphidimyza* (Aphidoline®) + TX, *Aphytis lingnanensis* + TX, *Aphytis melinus* + TX, *Aprostocetus hagenowii* + TX, *Atheta coriaria* (Staphyline®) + TX, *Bombus* spp. + TX, *Bombus terrestris* (Natupol Beehive®) + TX, *Bombus terrestris* (Beeline® + TX, Tripol®) + TX, *Cephalonomia stephanoderis* + TX, *Chilocorus nigritus* + TX, *Chrysoperla carnea* (Chrysoline®) + TX, *Chrysoperla carnea* (Chrysopa®) + TX, *Chrysoperla rufilabris* + TX, *Cirrospilus ingenuus* + TX, *Cirrospilus quadristriatus* +

30 TX, *Citrostichus phyllocnistoides* + TX, *Closterocerus chamaeleon* + TX, *Closterocerus* spp. + TX, *Coccidoxenoides perminutus* (Planopar®) + TX, *Coccophagus cowperi* + TX, *Coccophagus lycimnia* + TX, *Cotesia flavipes* + TX, *Cotesia plutellae* + TX, *Cryptolaemus montrouzieri* (Cryptobug® + TX, Cryptoline®) + TX, *Cybocephalus nipponicus* + TX, *Dacnusa sibirica* + TX, *Dacnusa sibirica* (Minusa®) + TX, *Diglyphus isaea* (Diminex®) + TX, *Delphastus catalinae* (Delphastus®) + TX,

35 *Delphastus pusillus* + TX, *Diachasmimorpha krausii* + TX, *Diachasmimorpha longicaudata* + TX, *Diaparsis jucunda* + TX, *Diaphorencyrtus aligarhensis* + TX, *Diglyphus isaea* + TX, *Diglyphus isaea* (Miglyphus® + TX, Digline®) + TX, *Dacnusa sibirica* (DacDigline® + TX, Minex®) + TX, *Diversinervus* spp. + TX, *Encarsia citrina* + TX, *Encarsia formosa* (Encarsia max® + TX, Encarline® + TX, En-Strip®) + TX, *Eretmocerus eremicus* (Enermix®) + TX, *Encarsia guadeloupae* + TX, *Encarsia*

- haitiensis* + TX, *Episyrphus balteatus* (Syrphidend®) + TX, *Eretmoceris siphonini* + TX, *Eretmoceris californicus* + TX, *Eretmoceris eremicus* (Ercal® + TX, Eretline e®) + TX, *Eretmoceris eremicus* (Bemimix®) + TX, *Eretmoceris hayati* + TX, *Eretmoceris mundus* (Bemipar® + TX, Eretline m®) + TX, *Eretmoceris siphonini* + TX, *Exochomus quadripustulatus* + TX, *Feltiella acarisuga* (Spidend®) + TX, *Feltiella acarisuga* (Feltiline®) + TX, *Fopius arisanus* + TX, *Fopius ceratitivorus* + TX, Formononetin (Wirless Beehome®) + TX, *Franklinothrips vespiformis* (Vespop®) + TX, *Galendromus occidentalis* + TX, *Goniozus legneri* + TX, *Habrobracon hebetor* + TX, *Harmonia axyridis* (HarmoBeetle®) + TX, *Heterorhabditis* spp. (Lawn Patrol®) + TX, *Heterorhabditis bacteriophora* (NemaShield HB® + TX, Nemaseek® + TX, Terranem-Nam® + TX, Terranem® + TX, Larvanem® + TX, B-Green® + TX, NemAttack® + TX, Nematop®) + TX, *Heterorhabditis megidis* (Nemasys H® + TX, BioNem H® + TX, Exhibitline hm® + TX, Larvanem-M®) + TX, *Hippodamia convergens* + TX, *Hypoaspis aculeifer* (Aculeifer-System® + TX, Entomite-A®) + TX, *Hypoaspis miles* (Hypoline m® + TX, Entomite-M®) + TX, *Lbalia leucospoides* + TX, *Lecanoideus floccissimus* + TX, *Lemophagus errabundus* + TX, *Leptomastidea abnormis* + TX, *Leptomastix dactylopii* (Leptopar®) + TX, *Leptomastix epona* + TX, *Lindorus lophanthae* + TX, *Lipolexis oregmae* + TX, *Lucilia caesar* (Natufly®) + TX, *Lysiphlebus testaceipes* + TX, *Macrolophus caliginosus* (Mirical-N® + TX, Macroline c® + TX, Mirical®) + TX, *Mesoseiulus longipes* + TX, *Metaphycus flavus* + TX, *Metaphycus lounsburyi* + TX, *Micromus angulatus* (Milacewing®) + TX, *Microterys flavus* + TX, *Muscidifurax raptorellus* and *Spalangia cameroni* (Biopar®) + TX, *Neodryinus typhlocybae* + TX, *Neoseiulus californicus* + TX, *Neoseiulus cucumeris* (THRYPEX®) + TX, *Neoseiulus fallacis* + TX, *Nesideocoris tenuis* (NesidioBug® + TX, Nesibug®) + TX, *Ophyra aenescens* (Biofly®) + TX, *Orius insidiosus* (Thripor-l® + TX, Oriline i®) + TX, *Orius laevigatus* (Thripor-L® + TX, Oriline l®) + TX, *Orius majusculus* (Oriline m®) + TX, *Orius strigicollis* (Thripor-S®) + TX, *Pauesia juniperorum* + TX, *Pediobius foveolatus* + TX, *Phasmarhabditis hermaphrodita* (Nemaslug®) + TX, *Phymastichus coffea* + TX, *Phytoseiulus macropilus* + TX, *Phytoseiulus persimilis* (Spidex® + TX, Phytoline p®) + TX, *Podisus maculiventris* (Podisus®) + TX, *Pseudacteon curvatus* + TX, *Pseudacteon obtusus* + TX, *Pseudacteon tricuspis* + TX, *Pseudaphycus maculipennis* + TX, *Pseudleptomastix mexicana* + TX, *Psyllaephagus pilosus* + TX, *Psytalia concolor* (complex) + TX, *Quadrastichus* spp. + TX, *Rhyzobius lophanthae* + TX, *Rodolia cardinalis* + TX, *Rumina decollate* + TX, *Semiellacher petiolatus* + TX, *Sitobion avenae* (Ervibank®) + TX, *Steinernema carpocapsae* (Nematac C® + TX, Millenium® + TX, BioNem C® + TX, NemAttack® + TX, Nemastar® + TX, Capsanem®) + TX, *Steinernema feltiae* (NemaShield® + TX, Nemasys F® + TX, BioNem F® + TX, Steinernema-System® + TX, NemAttack® + TX, Nemaplus® + TX, Exhibitline sf® + TX, Scia-rid® + TX, Entonem®) + TX, *Steinernema kraussei* (Nemasys L® + TX, BioNem L® + TX, Exhibitline srb®) + TX, *Steinernema riobrave* (BioVector® + TX, BioVektor®) + TX, *Steinernema scapterisci* (Nematac S®) + TX, *Steinernema* spp. + TX, *Steinemematid* spp. (Guardian Nematodes®) + TX, *Stethorus punctillum* (Stethorus®) + TX, *Tamarixia radiata* + TX, *Tetrastichus setifer* + TX, *Thripobius semiluteus* + TX, *Torymus sinensis* + TX, *Trichogramma brassicae* (Tricholine b®) + TX, *Trichogramma brassicae* (Tricho-Strip®) + TX, *Trichogramma evanescens* + TX, *Trichogramma*

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minutum + TX, *Trichogramma ostrinae* + TX, *Trichogramma platneri* + TX, *Trichogramma pretiosum* + TX, *Xanthopimpla stemmator*;

other biologicals including: abscisic acid + TX, bioSea® + TX, *Chondrostereum purpureum* (Chontrol Paste®) + TX, *Colletotrichum gloeosporioides* (Collego®) + TX, Copper Octanoate (Cueva®) + TX,

- 5 Delta traps (Trapline d®) + TX, *Erwinia amylovora* (Harpin) (ProAct® + TX, Ni-HIBIT Gold CST®) + TX, Ferri-phosphate (Ferramol®) + TX, Funnel traps (Trapline y®) + TX, Gallex® + TX, Grower's Secret® + TX, Homo-brassonolide + TX, Iron Phosphate (Lilly Miller Worry Free Ferramol Slug & Snail Bait®) + TX, MCP hail trap (Trapline f®) + TX, *Microctonus hyperodae* + TX, *Mycoleptodiscus terrestris* (Des-X®) + TX, BioGain® + TX, Aminomite® + TX, Zenox® + TX, Pheromone trap (Thripline ams®) + TX, potassium bicarbonate (MilStop®) + TX, potassium salts of fatty acids (Sanova®) + TX, potassium silicate solution (Sil-Matrix®) + TX, potassium iodide + potassiumthiocyanate (Enzicur®) + TX, SuffOil-X® + TX, Spider venom + TX, *Nosema locustae* (Semaspore Organic Grasshopper Control®) + TX, Sticky traps (Trapline YF® + TX, Rebell Amarillo®) + TX and Traps (Takitrapi y + b®) + TX; and
- 15 a safener, such as benoxacor + TX, cloquintocet (including cloquintocet-mexyl) + TX, cyprosulfamide + TX, dichlormid + TX, fenchlorazole (including fenchlorazole-ethyl) + TX, fenclorim + TX, fluxofenim + TX, furilazole + TX, isoxadifen (including isoxadifen-ethyl) + TX, mefenpyr (including mefenpyr-diethyl) + TX, metcamifen + TX and oxabetrinil + TX.

- 20 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
- 25 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>.

30

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

35 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.

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The active ingredient mixture of the compounds of formula I selected from the compounds defined in the Tables A-1 to A-468 and Table P with active ingredients described above comprises a compound selected from one compound defined in the A-1 to A-468 and Table P and an active ingredient as described above 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 of formula I selected from the compounds defined in the Tables A-1 to A-468 and Table P 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 the compounds of formula I and the active ingredients as described above is not essential for working the present invention.

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.

The application methods for the compositions, that is the methods of controlling pests of the abovementioned type, such as spraying, atomizing, dusting, brushing on, dressing, scattering or

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pouring - which are to be selected to suit the intended aims of the prevailing circumstances - and the use of the compositions for controlling pests of the abovementioned type are other subjects of the invention. Typical rates of concentration are between 0.1 and 1000 ppm, preferably between 0.1 and 500 ppm, of active ingredient. The rate of application per hectare is generally 1 to 2000 g of active ingredient per hectare, in particular 10 to 1000 g/ha, preferably 10 to 600 g/ha.

A preferred method of application in the field of crop protection is application to the foliage of the plants (foliar application), it being possible to select frequency and rate of application to match the danger of infestation with the pest in question. Alternatively, the active ingredient can reach the plants via the root system (systemic action), by drenching the locus of the plants with a liquid composition or by incorporating the active ingredient in solid form into the locus of the plants, for example into the soil, for example in the form of granules (soil application). In the case of paddy rice crops, such granules can be metered into the flooded paddy-field.

The compounds of formula I of the invention and compositions thereof are also be suitable for the protection of plant propagation material, for example seeds, such as fruit, tubers or kernels, or nursery plants, against pests of the abovementioned type. The propagation material can be treated with the compound prior to planting, for example seed can be treated prior to sowing. Alternatively, the compound can be applied to seed kernels (coating), either by soaking the kernels in a liquid composition or by applying a layer of a solid composition. It is also possible to apply the compositions when the propagation material is planted to the site of application, for example into the seed furrow during drilling. These treatment methods for plant propagation material and the plant propagation material thus treated are further subjects of the invention. Typical treatment rates would depend on the plant and pest/fungi to be controlled and are generally between 1 to 200 grams per 100 kg of seeds, preferably between 5 to 150 grams per 100 kg of seeds, such as between 10 to 100 grams per 100 kg of seeds.

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

The present invention also comprises seeds coated or treated with or containing a compound of formula I. The term "coated or treated with and/or containing" generally signifies that the active ingredient is for the most part on the surface of the seed at the time of application, although a greater or lesser part of the ingredient may penetrate into the seed material, depending on the method of application. When the said seed product is (re)planted, it may absorb the active ingredient. In an embodiment, the present invention makes available a plant propagation material adhered thereto with a compound of formula I. Further, it is hereby made available, a composition comprising a plant propagation material treated with a compound of formula I.

Seed treatment comprises all suitable seed treatment techniques known in the art, such as seed dressing, seed coating, seed dusting, seed soaking and seed pelleting. The seed treatment application of the compound formula I can be carried out by any known methods, such as spraying or
5 by dusting the seeds before sowing or during the sowing/planting of the seeds.

The compounds of the invention can be distinguished from other similar compounds by virtue of greater efficacy at low application rates and/or different pest control, which can be verified by the person skilled in the art using the experimental procedures, using lower concentrations if necessary,
10 for example 10 ppm, 5 ppm, 2 ppm, 1 ppm or 0.2 ppm; or lower application rates, such as 300, 200 or 100, mg of AI per m². The greater efficacy can be observed by an increased safety profile (against non-target organisms above and below ground (such as fish, birds and bees), improved physico-chemical properties, or increased biodegradability).

15 In each aspect and embodiment of the invention, "consisting essentially" and inflections thereof are a preferred embodiment of "comprising" and its inflections, and "consisting of" and inflections thereof are a preferred embodiment of "consisting essentially of" and its inflections.

The disclosure in the present application makes available each and every combination of
20 embodiments disclosed herein.

It should be noted that the disclosure herein in respect of a compound of formula I applies equally in respect of a compound of each of formulae I*, I'a, I-A, I'-A, Iaa, and Tables A-1 to A-468. Further the preferred enantiomer of formula I'a applies also to compounds of formula Iaa, and Tables A-1 to A-
25 468.

Biological Examples:

The Examples which follow serve to illustrate the invention. Certain compounds of the invention can be distinguished from known compounds by virtue of greater efficacy at low application rates, which
30 can be verified by the person skilled in the art using the experimental procedures outlined in the Examples, using lower application rates if necessary, for example 50 ppm, 24 ppm, 12.5 ppm, 6 ppm, 3 ppm, 1.5 ppm, 0.8 ppm or 0.2 ppm.

Example B1: *Diabrotica balteata* (Corn root worm)

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

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The following compounds gave an effect of at least 80% control in at least one of the two categories (mortality or growth inhibition) at an application rate of 200 ppm:

P1

5 Example B2: *Euschistus heros* (Neotropical Brown Stink Bug)

Soybean leaves on agar in 24-well microtiter plates were sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaves were infested with N2 nymphs. The samples were assessed for mortality and growth inhibition in comparison to untreated samples 5 days after infestation.

10 The following compounds gave an effect of at least 80% control in at least one of the two categories (mortality or growth inhibition) at an application rate of 200 ppm:

P1

Example B3: *Chilo suppressalis* (Striped rice stemborer)

15 24-well microtiter plates with artificial diet were treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by pipetting. After drying, the plates were infested with L2 larvae (6-8 per well). The samples were assessed for mortality, anti-feeding effect, and growth inhibition in comparison to untreated samples 6 days after infestation. Control of *Chilo suppressalis* by a test sample is given when at least one of the categories mortality, anti-feedant effect, and growth inhibition
20 is higher than the untreated sample.

The following compounds resulted in at least 80% control in at least one of the three categories (mortality, anti-feedant effect, or growth inhibition) at an application rate of 200 ppm:

P1, P3, P5, P6

25 Example B4: *Plutella xylostella* (Diamond back moth)

24-well microtiter plates with artificial diet were treated with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions by pipetting. After drying, *Plutella* eggs were pipetted through a plastic stencil onto a gel blotting paper and the plate was closed with it. The samples were assessed for mortality and growth inhibition in comparison to untreated samples 8 days after infestation.

30 The following compounds gave an effect of at least 80% control in at least one of the two categories (mortality or growth inhibition) at an application rate of 200 ppm:

P1, P5, P6

Example B5: *Myzus persicae* (Green peach aphid). Intrinsic activity

35 Test compounds prepared from 10'000 ppm DMSO stock solutions were applied by pipette into 24-well microtiter plates and mixed with sucrose solution. The plates were closed with a stretched Parafilm. A plastic stencil with 24 holes was placed onto the plate and infested pea seedlings were placed directly on the Parafilm. The infested plate was closed with a gel blotting paper and another

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plastic stencil and then turned upside down. The samples were assessed for mortality 5 days after infestation.

Example B6: *Spodoptera littoralis* (Egyptian cotton leaf worm)

- 5 Cotton leaf discs were placed onto agar in 24-well microtiter plates and sprayed with aqueous test solutions prepared from 10'000 ppm DMSO stock solutions. After drying the leaf discs were infested with five L1 larvae. The samples were assessed for mortality, anti-feeding effect, and growth inhibition in comparison to untreated samples 3 days after infestation. Control of *Spodoptera littoralis* by a test sample is given when at least one of the categories mortality, anti-feedant effect, and growth inhibition
- 10 is higher than the untreated sample.

The following compounds resulted in at least 80% control in at least one of the three categories (mortality, anti-feedant effect, or growth inhibition) at an application rate of 200 ppm:

P1, P5

15 Example B7: *Spodoptera littoralis* (Egyptian cotton leaf worm)

- Test compounds were applied by pipette from 10'000 ppm DMSO stock solutions into 24-well plates and mixed with agar. Lettuce seeds were placed onto the agar and the multi well plate was closed by another plate which contained also agar. After 7 days the compound was absorbed by the roots and the lettuce grew into the lid plate. The lettuce leaves were then cut off into the lid plate. *Spodoptera*
- 20 eggs were pipetted through a plastic stencil onto a humid gel blotting paper and the lid plate was closed with it. The samples were assessed for mortality, anti-feedant effect and growth inhibition in comparison to untreated samples 6 days after infestation.

Example B8: *Tetranychus urticae* (Two-spotted spider mite):Feeding/contact activity

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

30 Example B9: *Plutella xylostella* (Diamondback Moth)

96-well microtiter plates containing artificial diet were treated with aqueous test solutions, prepared from 10'000 ppm DMSO stock solutions, by a liquid handling robot. After drying, eggs (~30 per well) were infested onto a netted lid which was suspended above the diet. The eggs hatch and L1 larvae move down to the diet. The samples were assessed for mortality 9 days after infestation.

35

Example B10: *Myzus persicae* (Green Peach Aphid):

Test compounds prepared from 10'000 ppm DMSO stock solutions were applied by a liquid handling robot into 96-well microtiter plates and mixed with a sucrose solution. Parafilm was stretched over the 96-well microtiter plate and a plastic stencil with 96 holes was placed onto the plate. Aphids were

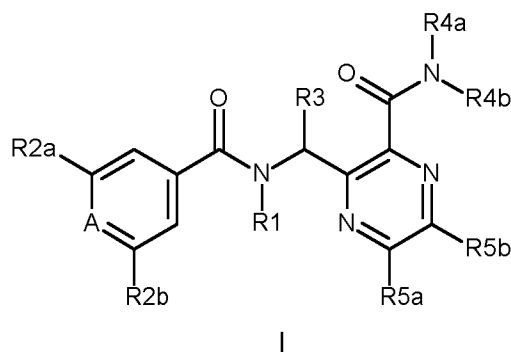
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sieved into the wells directly onto the Parafilm. The infested plates were closed with a gel blotting card and a second plastic stencil and then turned upside down. The samples were assessed for mortality 5 days after infestation.

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CLAIMS

1. A compound of the formula I



wherein

- 5 R₁ is hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, aminocarbonylC₁-C₆alkyl, hydroxycarbonylC₁-C₆alkyl, C₁-C₆nitroalkyl, trimethylsilaneC₁-C₆alkyl, C₁-C₃alkoxy-C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₃-C₄cycloalkylC₁-C₂alkyl-, C₃-C₄cycloalkylC₁-C₂alkyl- wherein the C₃-C₄cycloalkyl group is substituted with 1 or 2 halogen atoms, oxetan-3-yl-CH₂-, C₁-C₆alkylcarbonyl, C₁-C₆alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, benzyl or benzyl substituted with 1 to 3 substituents independently selected from halogen, C₁-C₆alkoxy and C₁-C₆haloalkyl;
- 10 R_{2a} is hydrogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfonyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, NO₂, SF₅, CN, C(O)NH₂, C(O)OH, C(S)NH₂, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with one to three substituents independently selected from R_x, C₃-C₆cycloalkylcarbonyl, phenyl, phenyl substituted with one to three substituents independently selected from R_x, heteroaryl, heteroaryl substituted with one to three substituents independently selected from R_x, OR₆, piperidin-2-one-1-yl, piperidin-2-one-1-yl substituted with one to two substituents independently selected from R_x, pyridin-2-one-1-yl, pyridin-2-one-1-yl substituted with one to two substituents independently selected from R_x, azetidin-1-yl, azetidin-1-yl substituted with one to two substituents independently selected from R_x
- 20 pyrrolidin-1-yl, pyrrolidin-1-yl substituted with one to two substituents independently selected from R_x, C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one to two substituents independently selected from R_z; C₃-C₆cycloalkylC₁-C₃alkoxy, C₃-C₆cycloalkylC₁-C₃alkoxy substituted with one to two substituents independently selected from R_x, C₁-C₅cyanoalkyl, C₁-C₅cyanoalkoxy, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonyl substituted with one to three substituents independently selected from R_x, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonyl substituted with one to three substituents independently selected from R_x, C₁-C₄alkylsulfonyl, or C₁-C₄alkylsulfonyl substituted with one to three substituents independently selected from R_x;
- 25 R_{2b} is hydrogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃haloalkylsulfonyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, NO₂, SF₅, CN, C(O)NH₂, C(O)OH, C(S)NH₂, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with one to three substituents independently selected from R_x, C₃-C₆cycloalkylcarbonyl, phenyl, phenyl substituted with one to three substituents independently selected from R_x, heteroaryl, heteroaryl substituted with one to three substituents independently selected from R_x, OR₆, piperidin-2-one-1-yl,
- 30

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piperidin-2-one-1-yl substituted with one to two substituents independently selected from R_x , pyridin-2-one-1-yl, pyridin-2-one-1-yl substituted with one to two substituents independently selected from R_x , azetidin-1-yl, azetidin-1-yl substituted with one to two substituents independently selected from R_x , pyrrolidin-1-yl, pyrrolidin-1-yl substituted with one to two substituents independently selected from R_x ,
 5 C₃-C₆cycloalkylC₁-C₄alkyl, C₃-C₆cycloalkylC₁-C₄alkyl substituted with one to two substituents independently selected from R_z ; C₃-C₆cycloalkylC₁-C₃alkoxy, C₃-C₆cycloalkylC₁-C₃alkoxy substituted with one to two substituents independently selected from R_x , C₁-C₅cyanoalkyl, C₁-C₅cyanoalkoxy, C₁-C₄alkylsulfanyl, C₁-C₄alkylsulfanyl substituted with one to three substituents independently selected from R_x , C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonyl substituted with one to three substituents independently
 10 selected from R_x , C₁-C₄alkylsulfinyl, or C₁-C₄alkylsulfinyl substituted with one to three substituents independently selected from R_x ;

A is N or C- R_{2c} ;

R_{2c} is hydrogen, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, or C₁-C₃haloalkoxy;

R_3 is C₁-C₃alkyl or C₁-C₃haloalkyl;

15 R_{4a} is selected from the group consisting of hydrogen, C₁-C₆alkyl, and C₁-C₆haloalkyl;

R_{4b} is selected from the group consisting of hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆cycloalkyl, C₃-C₆cycloalkyl substituted with 1 to 3 substituents independently selected from R_5 , C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₁-C₃alkoxyC₁-C₄alkyl-, cyanoC₁-C₆alkyl-, phenyl, phenyl substituted with 1 to 3 substituents independently selected from R_7 , phenylC₁-C₂alkyl-, phenylC₁-C₂alkyl- substituted with
 20 1 to 3 substituents independently selected from R_8 , heterocyclyl, heterocyclyl substituted with 1 to 3 substituents independently selected from R_9 , heterocyclylC₁-C₂alkyl-, heterocyclylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R_{10} , heteroaryl, heteroaryl substituted with 1 to 3 substituents independently selected from R_{11} , heteroarylC₁-C₂alkyl-, heteroarylC₁-C₂alkyl- substituted with 1 to 3 substituents independently selected from R_{12} , and oxetanyl; or

25 R_{4a} and R_{4b} together with the nitrogen atom to which they are attached form a 4- to 6- membered heterocyclyl, which optionally comprises 1 or 2 additional heteroatoms independently selected from N, O and S(O)_r, and wherein said heterocyclyl moiety is optionally substituted by 1 or 2 substituents independently selected from R_{13} , and r is 0, 1 or 2;

R_{5a} and R_{5b} are, independently of each other, selected from hydrogen, halogen, CN, C₁-C₃alkyl, C₁-C₃haloalkyl, C₃-C₄cycloalkyl, C₁-C₃alkoxy, and C₁-C₃haloalkoxy;

30 R_6 is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

R_7 is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

35 R_8 is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

R_9 , independent of the heterocyclyl group, is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

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R₁₀, independent of the heterocyclylC₁-C₂alkyl- group, is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

R₁₁, independent of the heteroaryl group, is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

5 R₁₂, independent of the heteroarylC₁-C₂alkyl- group, is independently selected from cyano, OH, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy and C₁-C₃haloalkoxy;

R₁₃ is independently selected from cyano, OH, halogen, oxo (=O), C₁-C₃alkyl, C₁-C₃haloalkyl, and C₁-C₃alkoxy;

10 R_x is independently selected from halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, NO₂, SF₅, CN, C(O)NH₂, C(S)NH₂, C₁-C₄haloalkylsulfanyl, C₁-C₄haloalkylsulfinyl, C₁-C₄haloalkylsulfonyl, C₁-C₄alkylsulfanyl, C₁-C₄alkylsulfinyl and C₁-C₄alkylsulfonyl; and

R_z is independently selected from oxo, halogen, C₁-C₃ alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy and CN; or an agrochemically acceptable salt, stereoisomer, enantiomer, tautomer and N-oxide of the compound of formula I.

15

2. The compound according to claim 1 wherein wherein R₁ is hydrogen, methyl, ethyl, cyanomethyl, methoxymethyl, cyclopropyl-methyl, allyl, propargyl, benzyloxycarbonyl, or benzyl.

3. The compound according to either claim 1 or claim 2 wherein R₃ is methyl.

20

4. The compound according to any one of claims 1 to 3, wherein R_{5a} and R_{5b} are both hydrogen.

5. The compound according to any one of claims 1 to 4, wherein the ring containing A, R_{2a} and R_{2b} is selected from K-1 to K-22.

25

6. The compound to any one of claims 1 to 5, wherein R_{4a} is hydrogen, methyl, or ethyl.

7. The compound to any one of claims 1 to 5, wherein R_{4b} is C₁-C₃alkyl, C₃-C₄cycloalkyl, C₂-C₄alkenyl, C₁-C₃alkoxyC₁-C₃alkyl-, heteroaryl, or heteroaryl substituted with 1 to 3 substituents independently selected from R₁₁, wherein R₁₁ is cyano, halogen, C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy or C₁-C₃haloalkoxy.

30

8. A composition comprising a compound as defined in any one of claims 1 to 7, one or more auxiliaries and diluent, and optionally one or more other active ingredient.

35

9. A method

(i) of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an

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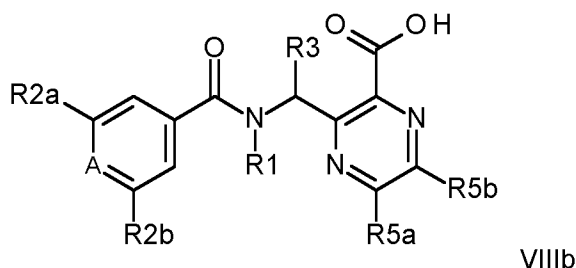
insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound as defined as defined in any one of claims 1 to 7 or a composition as defined claim 8; or

(ii) for the protection of plant propagation material from the attack by insects, acarines, nematodes or molluscs, which comprises treating the propagation material or the site, where the propagation material is planted, with an effective amount of a compound as defined in any one of claims 1 to 7 or a composition as defined claim 8; or

(iii) of controlling parasites in or on an animal in need thereof comprising administering an effective amount of a compound as defined in any one of claims 1 to 7 or a composition as defined claim 8.

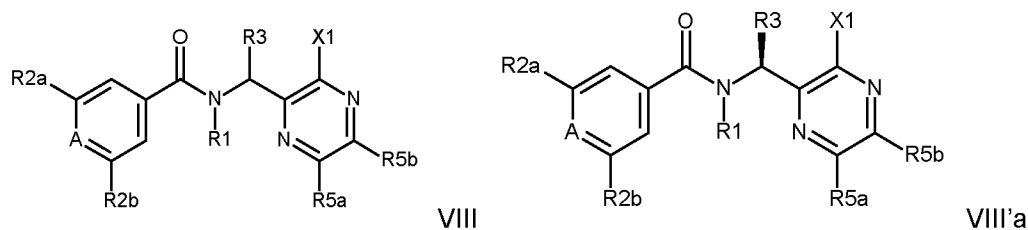
10. A plant propagation material, such as a seed, comprising, or treated with or adhered thereto, a compound as defined in any one of claims 1 to 7 or a composition as defined claim 8.

11. A compound of the formula VIIIb



wherein A, R₁, R_{2a}, R_{2b}, R₃, R_{5a} and R_{5b} are as defined in any one of claims 1 to 5.

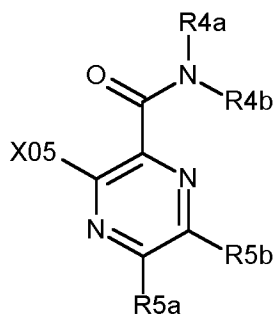
12. A compound of the formulae VIII and VIII'a



wherein, independently of VIIIa and VIII'a, A, R₁, R_{2a}, R_{2b}, R₃, R_{5a} and R_{5b} are as defined in any one of claims 1 to 5, and X₁ is OM, OTf, OTs, Cl, or Br.

13. A compound of the formula IV

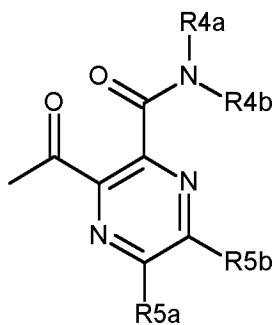
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IV

wherein R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any one of claims 1, 4, 6 and 7, and X_{05} is chlorine, bromine, iodine, OMs, OTf, or OTs.

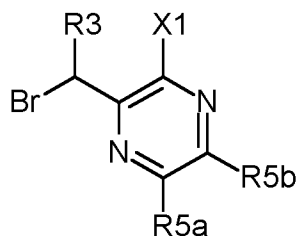
- 5 14. A compound of the formula VI



VI

wherein R_{4a} , R_{4b} , R_{5a} and R_{5b} are as defined in any one of claims 1, 4, 6 and 7.

15. A compound of the formula XIII

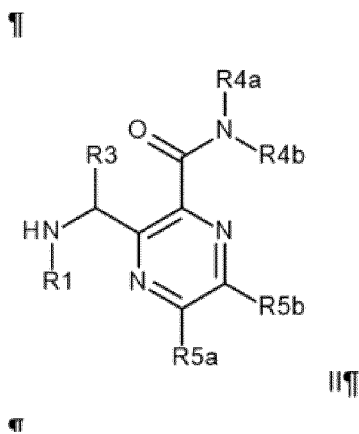


XIII

wherein R_3 , R_{5a} and R_{5b} are as defined in any one of claims 1 3 and 4, and X_1 is OMs OTf, OTs, Cl, or Br.

16. A compound of the formula II

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wherein R₁, R₃, R_{4a}, R_{4b}, R_{5a} and R_{5b} are as defined in any one of claims 1, 2, 3, 4, 6 and 7.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2021/055072

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D241/24 A01N43/60 C07D401/12 C07D403/12 C07D405/12
ADD.

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D A01N

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

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

EPO-Internal, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 2021/037614 A1 (SYNGENTA CROP PROTECTION AG [CH]) 4 March 2021 (2021-03-04) Step 2; page 87 Step 2; page 94	12
X,P	----- WO 2020/070049 A1 (SYNGENTA PARTICIPATIONS AG [CH]) 9 April 2020 (2020-04-09) Step 2; page 73 Step 6; page 79 ----- -/-	12



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents :

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"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

8 April 2021

Date of mailing of the international search report

20/04/2021

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INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2021/055072

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	SEMELKOVA LUCIA ET AL: "Synthesis and Biological Evaluation of N-Alkyl-3-(alkylamino)-pyrazine-2-carboxamides", MOLECULES, vol. 20, no. 5, 1 May 2015 (2015-05-01), pages 8687-8711, XP055793220, DE ISSN: 1433-1373, DOI: 10.3390/molecules20058687 compounds 1-8 -----	13
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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

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