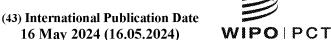
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(54) Title: MICROBIOCIDAL PYRIDINE DERIVATIVES

(57) **Abstract:** The present invention relates to a compound of formula (I), wherein the substituents are as defined in claim 1, and the agrochemically acceptable salts and N-oxides of those compounds, suitable for control of diseases caused by phytopathogenic fungi especially *Phakopsora pachyrhizi*, causal agent of Asian soybean rust, and to a method of controlling diseases on useful plants, especially soybeans.

WO 2024/100069 PCT/EP2023/081044

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# **MICROBIOCIDAL PYRIDINE DERIVATIVES**

The present invention relates to novel fungicidal compounds suitable for control of diseases caused by phytopathogenic fungi especially *Phakopsora pachyrhizi*, causal agent of Asian soybean rust, and to a method of controlling diseases on useful plants, especially soybeans.

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Soybean is arguably the most important oilseed and grain legume in modern agriculture, with the soybean seed having the largest usable protein content among all cultivated legumes. Additionally, whilst soybean is mainly used for food, medicine and animal feed production, soybean oil is also used for the production of biofuels.

However, many challenges exist in the production of soybean. One of the key challenges faced is the soybean rust disease caused by the fungal pathogen *Phakopsora pachyrhizi*. Soybean rust caused by the fungal pathogen *Phakopsora pachyrhizi* is widely considered to be the most destructive foliar disease of soybean, and yield losses can commonly be up to 50% when environmental conditions are conducive for development of the disease.

Whilst numerous commercial fungicidal solutions exist to control the fungal pathogen *Phakopsora pachyrhizi*, many of these solutions have limitations and do not always prove adequate. Further, the development of resistance of the phytopathogenic fungi *Phakopsora pachyrhizi* to certain of the current commercial solutions restricts their utility and, whilst the development of new classes of agrichemical fungicides is ongoing, many of these new classes of chemistry have limitations in their fungicidal spectrum and control only certain, specific fungal pathogens.

That is to say that, when a new class of chemistry is shown to control certain, specific fungal pathogens on certain, specific crops, there is no guarantee that the same class of chemistry will prove useful in the control of the phytopathogenic fungi *Phakopsora pachyrhizi*.

It is known from WO 2019/068809 and WO 2020/208096, that certain pyridine derivatives and mixtures comprising said pyridine derivatives have biological activity against phytopathogenic fungi. Further various fungicidal compounds of different chemical classes are widely known as plant fungicides for application in various crops of cultivated plants. However, crop tolerance and activity against phytopathogenic plant fungi do not always satisfy the needs of agricultural practice in many incidents and aspects. Many customary fungicides are unsuitable for controlling Asian soybean rust or their action against the phytopathogenic fungi Phakopsora pachyrhizi is unsatisfactory.

There exists therefore a need for the development of new methods for controlling or preventing the fungal pathogen *Phakopsora pachyrhizi* on soybean plants

According to the first aspect of the present invention, there is provided a compound of formula (I),

wherein

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 $R^1$  is selected from hydrogen,  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene;

R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen or chloro; and

wherein all three stereogenic centers possess a (S)-configuration;

or an agronomically acceptable salt or a N-oxide thereof.

Surprisingly, it has been found that the compounds of formula (I) have, for practical purposes, a very advantageous level of biological activity to control or prevent the phytopathogenic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants.

- According to a second aspect of the invention, there is provided an agrochemical composition comprising a fungicidally effective amount of a compound of formula (I) according to the invention to control or prevent the phytopathogenic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants. Such an agricultural composition may further comprise at least one additional active ingredient and/or an agrochemically-acceptable diluent or carrier.
- According to a third aspect of the invention, there is provided a method of combating, preventing or controlling the phytopathogenic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants, which comprises applying to the phytopathogenic fungi *Phakopsora pachyrhizi*, to the locus of the phytopathogenic fungi *Phakopsora pachyrhizi*, or to a plant susceptible to attack by the phytopathogic fungi *Phakopsora pachyrhizi*, or to propagation material thereof, a fungicidally effective amount of a compound of formula (I).
- According to a fourth aspect of the invention, there is provided the use of a compound of formula (I) according to the invention for combating, preventing or controlling the phytopathogic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants. According to this particular aspect of the invention, the use may exclude methods for treatment of the human or animal body by surgery or therapy and diagnostic methods practised on the human or animal body.
- In another embodiment of the invention, there is provided a method of controlling or preventing infestation of genetically modified plants by phytopathogenic microorganisms, especially phytopathogenic fungi, wherein a compound of formula (I) is applied to said plants. Preferably the genetically modified plants are soybean plants. Examples of genetically modified plants of soybean are, but not limited to, Intacta®, Intacta®, Intacta® Roundup Ready™ 2 Pro (Intacta®RR2 PRO), Intacta®2 Xtend™, Cultivance, Conkesta Soybean, Conkesta Soybean, Enlist E3™ Soybean, Enlist E3™ Soybean, Roundup Ready™ Soybean, Roundup Ready™

2 Xtend™, Genuity® Roundup Ready™ 2 Xtend™, Genuity® Roundup Ready 2 Yield™, Herbicide-tolerant Soybean line, Optimum GAT™, Liberty Link™ Soybean, Vistive Gold™, Verdeca HB4 Soybean, Treus™, Plenish™. More preferably the genetically modified plants are Bt soybean plants. Examples of "Bt plants" are for example soybean varieties which are sold under the trade names Intacta®, Intacta®2, Intacta® Roundup Ready™ 2 Pro (Intacta®RR2 PRO), Cultivance, Conkesta Soybean, Conkesta Enlist E3™ Soybean, Enlist™ Soybean, Enlist E3™ Soybean, Roundup Ready™ Soybean, Genuity® Roundup Ready™ 2 Xtend™, Genuity® Roundup Ready 2 Yield™, Herbicide-tolerant Soybean line, Optimum GAT™, Liberty Link™ Soybean, Vistive Gold™, Verdeca HB4 Soybean, Treus™, Plenish™. Even more preferably, the Bt soybean plants are selected from Intacta RR2 PRO®, or Conkesta Enlist E3®.

In a preferred embodiment of the invention, there is provided a method for controlling pests on genetically modified soybean plants, wherein said soybean plants are Bt soybean plants, preferably Bt soybean plants selected from Intacta RR2 PRO®, or Conkesta Enlist E3®, characterized by comprising the step of contacting the plant, parts thereof, propagation material thereof, the pests, their food source, habitat, or breeding ground with a compound of formula (I)

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wherein

 $R^1$  is selected from hydrogen,  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene;  $R^2$  and  $R^3$  are independently selected from hydrogen or chloro; and wherein all three stereogenic centers possess a (*S*)-configuration;

or an agronomically acceptable salt or a N-oxide thereof.

In another embodiment of the invention, there is provided the use of a compound of formula (I) for controlling phytopathogenic fungi in genetically modified plants. Preferably said genetically modified plants are soybean plants. More preferably said genetically modified soybean plants are Bt soybean plants, even more preferably Bt soybean plants selected from Intacta RR2 PRO®, or Conkesta Enlist E3®.

In another embodiment of the invention, there is provided the use of a compound of formula (I) for controlling Phakopsora pachyrhizi in genetically modified plants. Preferably the genetically modified plants are soybean plants. More preferably said genetically modified soybean plants are Bt soybean plants, even more preferably Bt soybean plants selected from Intacta RR2 PRO®, or Conkesta Enlist E3®.

In a preferred embodiment of the invention, there is provided the use of a compound of formula (I)

WO 2024/100069 PCT/EP2023/081044

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wherein

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 $R^1$  is selected from hydrogen,  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene;  $R^2$  and  $R^3$  are independently selected from hydrogen or chloro; and

5 wherein all three stereogenic centers possess a (S)-configuration:

or an agronomically acceptable salt or a N-oxide thereof, for controlling *Phakopsora pachyrhizi* in genetically modified soybean plants. Preferably said genetically modified soybean plants are Bt soybean plants, preferably Bt soybean plants selected from Intacta RR2 PRO®, or Conkesta Enlist E3®.

Commercially available examples of genetically modified soybean plants, which can preferably be treated according to the invention, include commercially available products such as plant seeds, which are under the Intacta®, Intacta®2 Xtend™, Intacta® Roundup Ready™ 2 Pro (Intacta®RR2 PRO), Cultivance, Conkesta Soybean, Conkesta Enlist E3™ Soybean, Enlist™ Soybean, Enlist E3™ Soybean, Roundup Ready™ Soybean, Roundup Ready™ 2 Xtend™, Genuity® Roundup Ready™ 2 Xtend™, Genuity® Roundup Ready 2 Yield™, Herbicide-tolerant Soybean line, Optimum GAT™, Liberty Link™ Soybean, Vistive Gold™, Verdeca HB4 Soybean, Treus™, or Plenish™ trade names are sold or distributed.

Commercially available examples of Bt soybean plants, which can preferably be treated according to the invention, include commercially available products such as plant seeds, which are sold under the trade names Intacta®, Intacta®2, Intacta®2 Xtend™, Intacta® Roundup Ready™ 2 Pro (Intacta®RR2 PRO), Cultivance, Conkesta Soybean, Conkesta Enlist E3™ Soybean, Enlist™ Soybean, Enlist E3™ Soybean, Roundup Ready™ Soybean, Roundup Ready™ 2 Xtend™, Genuity® Roundup Ready™ 2 Xtend™, Genuity® Roundup Ready 2 Yield™, Herbicide-tolerant Soybean line, Optimum GAT™, Liberty Link™ Soybean, Vistive Gold™, Verdeca HB4 Soybean, Treus™, or Plenish™.

Preferably genetically modified soybean plants, which can be treated according to the invention, are selected from Intacta RR2 PRO®, or Conkesta Enlist E3®.

Compounds of formula (I) which have at least one basic centre can form, for example, acid addition salts, for example with strong inorganic acids such as mineral acids, for example perchloric acid, sulfuric acid, nitric acid, nitrous acid, a phosphorus acid or a hydrohalic acid, with strong organic carboxylic acids, such as C<sub>1</sub>-C<sub>4</sub>alkanecarboxylic acids which are unsubstituted or substituted, for example by halogen, for example acetic acid, such as saturated or unsaturated dicarboxylic acids, for example oxalic acid, malonic acid, succinic acid, maleic acid, fumaric acid or phthalic acid, such as hydroxycarboxylic acids, for example ascorbic acid, lactic acid, malic acid, tartaric acid or citric acid, or such as benzoic acid, or with organic sulfonic acids, such as C<sub>1</sub>-

WO 2024/100069 PCT/EP2023/081044

C<sub>4</sub> alkane- or arylsulfonic acids which are unsubstituted or substituted, for example by halogen, for example methane- or p-toluenesulfonic acid. Compounds of formula (I) which have at least one acidic group can form, for example, salts with bases, for example mineral salts such as alkali metal or alkaline earth metal salts, for example sodium, potassium or magnesium salts, or salts with ammonia or an organic amine, such as morpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower-alkylamine, for example ethyl-, diethyl-, triethyl- or dimethylpropylamine, or a mono-, di- or trihydroxy-lower-alkylamine, for example mono-, di- or triethanolamine.

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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.

As used herein, the term "C<sub>1</sub>-C<sub>n</sub>-alkyl" 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, 1,1-dimethylpropyl, 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.

As used herein, the term "alkyl" 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, n-butyl, n-pentyl, n-hexyl and the isomers thereof, for example, iso-propyl, iso-butyl, sec-butyl, tert-butyl or iso-amyl.

- As used herein, the term "C<sub>1</sub>-C<sub>n</sub>-alkylcarbonyl" refers to a C<sub>1</sub>-C<sub>n</sub>-alkyl group linked through the carbon atom of a carbonyl (C=O) group to the rest of the molecule. Examples for alkylcarbonyl are acetyl, propionyl and butyryl.
  - As used herein, the term "C<sub>1</sub>-C<sub>n</sub>-alkoxycarbonyl" refers to a C<sub>1</sub>-C<sub>n</sub>-alkoxy moiety linked through a carbon atom of a carbonyl (or C=O) group to the rest of the molecule. Examples for alkoxycarboxyl are methoxycarbonyl, ethoxycarbonyl and propoxycarbonyl.
- As used herein, the term "alkylcarboxylmethylene" refers to C<sub>1</sub>-C<sub>n</sub>-alkylC(=O)OCH<sub>2</sub> group linked through the methylene group to the rest of the molecule. Examples for alkylcarboxylmethylene are acetoxymethylene, propionyloxymethylene, butyryloxymethylene, isobutyryloxymethylene.

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.

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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.

An effective amount is readily determined by the skilled person in the art, using 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.

As used herein, the term "room temperature" or "RT" or "rt" refer to a temperature of about 15° C to about 35° C. For example, rt can refer to a temperature of about 20° C to about 30° C.

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

As used herein, the term "genetically modified plant" or "genetically modified soybean plant" refers to a plant or soybean plant, in which the genetic material has been altered in a way that does not occur naturally by mating and /or natural recombination. These plants are also called transgenic or genetically engineered plants. Genetic modification of plants involves adding a specific stretch of DNA into the plant's genome, giving it new or different characteristics. This could include changing the way the plant grows or making it resistant to a particular disease. Examples of genetically modified soybean plants are available under the tradenames YIELD GARD®, Intacta®, Intacta®, Roundup Ready™ 2 Pro (Intacta®RR2 PRO), Cultivance, Conkesta Soybean, Conkesta Enlist E3™ Soybean, Enlist ™ Soybean, Enlist E3™ Soybean, Roundup Ready™ Soybean, Genuity® Roundup Ready 2 Yield ™, Herbicidetolerant Soybean line, Optimum GAT™, Liberty Link™ Soybean, Vistive Gold™, Verdeca HB4 Soybean, Treus™, Plenish™.

As used herein, the term "Bt soybean plant" refers to soybean plants that are genetically engineered soybeans that produce an insecticidal protein like the one naturally produced by the bacteria species Bacillus thuringiensis, for example by the genes CrylA(a), CrylA(b), CrylA(c), CrylIA, CrylIIA, CrylIIB2, Cry9c, Cry2Ab, Cry3Bb and CrylF and also combinations thereof. These soybeans that are genetically engineered to produce the same toxin as *Bacillus thuringiensis* (Bt) in every cell of the plant, with the goal of protecting the soybean from pests, are referred to herein as "Bt soybeans". Examples Bt soybean plants are Intacta RR2 PRO®, or Conkesta Enlist E3®.

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.

The following list provides definitions, including preferred definitions, for substituents R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> with reference to the compounds of formula (I) of the present invention. For any one of these substituents, any of

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the definitions given below may be combined with any definition of any other substituent given below or elsewhere in this document.

In one embodiment  $R^1$  is selected from hydrogen,  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene. Preferably  $R^1$  is hydrogen,  $C_1$ - $C_3$  alkylcarbonyl or  $C_1$ - $C_3$  alkylcarboxylmethylene. More preferably  $R^1$  is hydrogen, acetyl, propionyl or isobutyryloxymethylene.

In one embodiment R<sup>2</sup> is selected from hydrogen or chloro. Preferably R<sup>2</sup> is chloro.

In one embodiment R<sup>3</sup> is selected from hydrogen or chloro. Preferably R<sup>3</sup> is hydrogen.

In one embodiment  $R^2$  and  $R^3$  are independently selected from hydrogen or chloro. In one embodiment  $R^2$  and  $R^3$  are both chloro. In another embodiment  $R^2$  is chloro and  $R^3$  is hydrogen.

In one embodiment in the compounds of formula (I) all three stereogenic centers possess a (S)-configuration.

The present invention, accordingly, makes available a compound of formula (I) having R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> as defined above in all combinations / each permutation.

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

In a compound of formula (I) according to the present invention, wherein all three stereogenic centers possess a (S)-configuration,

 $R^1$  is hydrogen,  $C_1\hbox{-} C_3$  alkylcarbonyl or  $C_1\hbox{-} C_3$  alkylcarboxylmethylene; and

R<sup>2</sup> and R<sup>3</sup> are independently from each other hydrogen or chloro.

Preferably in a compound of formula (I) according to the present invention, wherein all three stereogenic centers possess a (S)-configuration,

20 R<sup>1</sup> is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkylcarbonyl or C<sub>1</sub>-C<sub>3</sub> alkylcarboxylmethylene; and R<sup>2</sup> and R<sup>3</sup> are chloro.

Preferably in a compound of formula (I) according to the present invention, wherein all three stereogenic centers possess a (S)-configuration,

R<sup>1</sup> is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkylcarbonyl or C<sub>1</sub>-C<sub>3</sub> alkylcarboxylmethylene;

25 R<sup>2</sup> is chloro; and

R<sup>3</sup> is hydrogen.

In a compound of formula (I) according to the present invention, wherein all three stereogenic centers possess a (S)-configuration,

R¹ is hydrogen, acetyl, propionyl or isobutyryloxymethylene; and

30 R<sup>2</sup> and R<sup>3</sup> are independently from each other hydrogen or chloro

Preferably in a compound of formula (I) according to the present invention, wherein all three stereogenic centers possess a (S)-configuration,

 $\mathsf{R}^1$  is hydrogen, acetyl, propionyl or isobutyryloxymethylene; and  $\mathsf{R}^2$  and  $\mathsf{R}^3$  are chloro.

Preferably in a compound of formula (I) according to the present invention, wherein all three stereogenic centers possess a (S)-configuration,

R<sup>1</sup> is hydrogen, acetyl, propionyl or isobutyryloxymethylene;

R<sup>2</sup> is chloro; and

5 R<sup>3</sup> is hydrogen.

More preferably, the compound of formula (I) according to the invention is selected from compounds listed in any one of Tables A-1 to A-22.

Even more preferably, the compound of formula (I) according to the invention is selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P.

Still even more preferably the compound of formula (I) according to the invention is selected from the following compounds:

[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate (compound I.c.3)

15 [2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (compound l.s.3):

[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate (compound I.c.4):

[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (compound I.s.4):

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5 Compounds of the present invention can be made as shown in the following schemes.

The compounds of formula (I) according to the invention, in which  $R^1$ ,  $R^2$  and  $R^3$  are as defined for formula (I), can be obtained by transformation of a compound of formula (II), wherein  $R^1$  is as defined for formula (I) and  $R^4$  is hydroxy or halogen, with a compound of formula (III), wherein  $R^2$  and  $R^3$  are as defined for formula (I), and with a base or a peptide coupling agent. This is shown in Scheme 1 below.

## Scheme 1

Alternatively, the compounds of formula (I), in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined for formula (I), can be obtained by transformation of a compound of formula (IV), wherein R<sup>1</sup> is as defined for formula (I) and R<sup>4</sup> is hydroxy or halogen, with a compound of formula (V), wherein R<sup>2</sup> and R<sup>3</sup> are as defined for formula (I), and with an acid or a base. This is shown in Scheme 2 below.

WO 2024/100069 PCT/EP2023/081044

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#### Scheme 2

The compounds of formula (III), in which  $R^2$  and  $R^3$  are as defined for formula (I), can be obtained by transformation of a compound of formula (VI), wherein  $R^2$  and  $R^3$  are as defined for formula (I) and  $R^5$  is  $C_1$ - $C_6$  alkoxycarbonyl, and with an acid. This is shown in Scheme 3 below.

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# Scheme 3

The compounds of formula (VI), in which  $R^2$  and  $R^3$  are as defined for formula (I) and  $R^5$  is  $C_1$ - $C_6$  alkoxycarbonyl, can be obtained by transformation of a compound of formula (VII), wherein  $R^4$  is hydroxy or halogen and  $R^5$  is  $C_1$ - $C_6$  alkoxycarbonyl, with a compound of formula (V), wherein  $R^2$  and  $R^3$  are as defined for formula (I), and with an acid or a base. This is shown in Scheme 4 below.

#### Scheme 4

The compounds of formula (IV), wherein  $R^1$  is as defined for formula (I) and  $R^4$  is hydroxy or halogen, can be obtained by transformation of a compound of formula (VIII), wherein  $R^1$  is as defined for formula (I) and  $R^6$  is  $C_1$ - $C_6$  alkyl, and with a base and eventually a following halogenation agent. This is shown in Scheme 5 below.

## Scheme 5

The compounds of formula (VIII), wherein  $R^1$  is as defined for formula (I) and  $R^6$  is  $C_1$ - $C_6$  alkyl, can be obtained by transformation of a compound of formula (II), wherein  $R^1$  is as defined for formula (I) and  $R^4$  is hydroxy or halogen, with a compound of formula (IX), wherein  $R^6$  is  $C_1$ - $C_6$  alkyl, and with a base or a peptide coupling agent. This is shown in Scheme 6 below.

#### Scheme 6

The compounds of formula (I-A), in which  $R^2$  and  $R^3$  are as defined for formula (I) and  $R^7$  is  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene, can be obtained by transformation of a compound of formula (I-B), wherein  $R^2$  and  $R^3$  are as defined for formula (I), with a compound of formula (X), wherein  $R^7$  is  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene and  $R^8$  is halogen or a sulfonate, and with a base. This is shown in Scheme 7 below.

# Scheme 7

The compounds of formula (I-B), in which R<sup>2</sup> and R<sup>3</sup> are as defined for formula (I), can be obtained by transformation of a compound of formula (II-B), wherein R<sup>4</sup> is hydroxy or halogen, with a compound of formula (III), wherein R<sup>2</sup> and R<sup>3</sup> are as defined for formula (I), and with a base or a peptide coupling agent. This is shown in Scheme 8 below.

15 <u>Scheme 8</u>

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Alternatively, the compounds of formula (I-B), in which R<sup>2</sup> and R<sup>3</sup> are as defined for formula (I), can be obtained by transformation of a compound of formula (IV-B), wherein R<sup>1</sup> is as defined for formula (I) and R<sup>4</sup> is hydroxy or halogen, with a compound of formula (V), wherein R<sup>2</sup> and R<sup>3</sup> are as defined for formula (I), and with an acid or a base. This is shown in Scheme 9 below.

WO 2024/100069 PCT/EP2023/081044

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#### Scheme 9

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.

As already indicated, surprisingly, it has now been found that the compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) of the present invention have, for practical purposes, a very advantageous level of biological activity for protecting plants against diseases that are caused by fungi.

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The compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention can be used in the agricultural sector and related fields of use, e.g., as active ingredients for controlling plant pests or on non-living materials for the control of spoilage microorganisms or organisms potentially harmful to man. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and can be used for protecting numerous cultivated plants. The compounds of formula (I) can be used to inhibit or destroy the pests that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later, e.g., from phytopathogenic microorganisms.

The present invention further relates to a method for controlling or preventing infestation of plants or plant propagation material and/or harvested food crops susceptible to microbial attack by treating plants or plant propagation material and/or harvested food crops wherein an effective amount of a compound selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention is applied to the plants, to parts thereof or the locus thereof.

It is also possible to use a compound selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention as a fungicide. The term "fungicide" as used herein means a compound that controls, modifies, or prevents the growth of fungi. The term "fungicidally effective amount" where used means the quantity of such a compound or combination of such compounds that is capable of producing an effect on the growth of fungi. Controlling or modifying effects include all deviation from natural development, such as killing, retardation and the like, and prevention includes barrier or other defensive formation in or on a plant to prevent fungal infection.

It may also be possible to use compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention as dressing agents for the treatment of plant propagation material, e.g., seed, such as fruits, tubers or grains, or plant cuttings, for the protection against fungal infections as well

WO 2024/100069

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

Furthermore, the compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention can be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage, in hygiene management.

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

The compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention are for example, effective against fungi and fungal vectors of disease as well as phytopathogenic bacteria and viruses. These fungi and fungal vectors of disease as well as phytopathogenic bacteria and viruses are for example: Absidia corymbifera, Alternaria spp., Aphanomyces spp., Ascochyta spp., Aspergillus spp. including A. flavus, A. fumigatus, A. nidulans, A. niger, A. terrus, Aureobasidium spp. including A. pullulans, Blastomyces dermatitidis, Blumeria graminis, Bremia lactucae, Botryosphaeria spp. including B. dothidea, B. obtusa, Botrytis spp. inclusing B. cinerea, Candida spp. including C. albicans, C. glabrata, C. krusei, C. lusitaniae, C. parapsilosis, C. tropicalis, Cephaloascus fragrans, Ceratocystis spp., Cercospora spp. including C. arachidicola, Cercosporidium personatum, Cladosporium spp., Claviceps purpurea, Coccidioides immitis, Cochliobolus spp., Colletotrichum spp. including C. musae, Cryptococcus neoformans, Diaporthe spp., Didymella spp., Drechslera spp., Elsinoe spp., Epidermophyton spp., Erwinia amylovora, Erysiphe spp. including E. cichoracearum, Eutypa lata, Fusarium spp. including F. culmorum, F. graminearum, F. langsethiae, F. moniliforme, F. oxysporum, F. proliferatum, F. subglutinans, F. solani, Gaeumannomyces graminis, Gibberella fujikuroi, Gloeodes pomigena, Gloeosporium musarum, Glomerella cingulate, Guignardia bidwellii, Gymnosporangium juniperi-virginianae, Helminthosporium spp., Hemileia spp., Histoplasma spp. including H. capsulatum, Laetisaria fuciformis, Leptographium lindbergi, Leveillula taurica, Lophodermium seditiosum, Microdochium nivale, Microsporum spp., Monilinia spp., Mucor spp., Mycosphaerella spp. including M. graminicola, M. pomi, Oncobasidium theobromaeon, Ophiostoma piceae, Paracoccidioides spp., Penicillium spp. including P. digitatum, P. italicum, Petriellidium spp., Peronosclerospora spp., Including P. maydis, P. philippinensis and P. sorghi, Peronospora spp., Phaeosphaeria nodorum, Phakopsora pachyrhizi, Phellinus igniarus, Phialophora spp., Phoma spp., Phomopsis viticola, Phytophthora spp. including P. infestans, Plasmopara spp. including P. halstedii, P.

WO 2024/100069

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viticola, Pleospora spp., Podosphaera spp. including P. leucotricha, Polymyxa graminis, Polymyxa betae, Pseudocercosporella herpotrichoides, Pseudomonas spp., Pseudoperonospora spp. including P. cubensis, P. humuli, Pseudopeziza tracheiphila, Puccinia spp. including P. hordei, P. recondita, P. striiformis, P. triticina, Pyrenopeziza spp., Pyrenophora spp., Pyricularia spp. including P. oryzae, Pythium spp. including P. ultimum, Ramularia spp., Rhizoctonia spp., Rhizomucor pusillus, Rhizopus arrhizus, Rhynchosporium spp., Scedosporium spp. including S. apiospermum and S. prolificans, Schizothyrium pomi, Sclerotinia spp., Sclerotium spp., Septoria spp., including S. nodorum, S. tritici, Sphaerotheca macularis, Sphaerotheca fusca (Sphaerotheca fuliginea), Sporothorix spp., Stagonospora nodorum, Stemphylium spp., Stereum hirsutum, Thanatephorus cucumeris, Thielaviopsis basicola, Tilletia spp., Trichoderma spp. including T. harzianum, T. pseudokoningii, T. viride, Trichophyton spp., Typhula spp., Uncinula necator, Urocystis spp., Ustilago spp., Venturia spp. including V. inaequalis, Verticillium spp., and Xanthomonas spp..

The compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention may be used for example on turf, ornamentals, such as flowers, shrubs, broadleaved trees, or evergreens, for example conifers, as well as for tree injection, pest management and the like.

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

Preferably compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention maybe used on legumes such as soybeans.

The term "useful plants" is to be understood as also including useful plants that have been rendered tolerant to herbicides like bromoxynil or classes of herbicides (such as, for example, HPPD inhibitors, ALS inhibitors, for example primisulfuron, prosulfuron and trifloxysulfuron, EPSPS (5-enol-pyrovyl-shikimate-3-phosphate-synthase) inhibitors, GS (glutamine synthetase) inhibitors or PPO (protoporphyrinogen-oxidase) inhibitors) as a result of conventional methods of breeding or genetic engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding (mutagenesis) is

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Clearfield® summer rape (Canola). Examples of crops that have been rendered tolerant to herbicides or classes of herbicides by genetic engineering methods include glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady®, Herculex I® and LibertyLink®.

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

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

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

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

Further, in the context of the present invention there are to be understood by delta-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, WO02/15701). Truncated toxins, for example a truncated Cry1Ab, are known. In the case of

modified toxins, one or more amino acids of the naturally occurring toxin are replaced. In such amino acid replacements, preferably non-naturally present protease recognition sequences are inserted into the toxin, such as, for example, in the case of Cry3A055, a cathepsin-G-recognition sequence is inserted into a Cry3A toxin (see WO2003/018810).

- 5 Examples of such toxins or transgenic plants capable of synthesising such toxins are disclosed, for example, in EP-0374753, WO93/07278, WO95/34656, EP0427529, EP0451878 and WO03/052073.
  - The processes for the preparation of such transgenic plants are generally known to a person skilled in the art and are described, for example, in the publications mentioned above. Cryl-type deoxyribonucleic acids and their preparation are known, for example, from WO95/34656, EP0367474, EP0401979 and WO90/13651.
- The toxin contained in the transgenic plants imparts to the plants tolerance to harmful insects. Such insects can occur in any taxonomic group of insects but are especially commonly found in the beetles (Coleoptera), two-winged insects (Diptera) and butterflies (Lepidoptera).
  - Transgenic plants containing one or more genes that code for an insecticidal resistance and express one or more toxins are known and some of them are commercially available. Examples of such plants are: YieldGard® (maize variety that expresses a Cry1Ab toxin); YieldGard Rootworm® (maize variety that expresses a Cry3Bb1 toxin); YieldGard Plus® (maize variety that expresses a Cry1Ab and a Cry3Bb1 toxin); Starlink® (maize variety that expresses a 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:

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- 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 WO2003/018810.
- 4. MON 863 Maize from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/DE/02/9. MON 863 expresses a Cry3Bb1 toxin and has resistance to certain Coleoptera insects.
  - 5. IPC 531 Cotton from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/ES/96/02.
- 6. 1507 Maize from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. Genetically modified maize for the expression of the protein Cry1F for achieving resistance to certain Lepidoptera insects and of the PAT protein for achieving tolerance to the herbicide glufosinate ammonium.
- 7. NK603 × MON 810 Maize from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B 1150 Brussels, Belgium, registration number C/GB/02/M3/03. Consists of conventionally bred hybrid maize varieties by crossing the genetically modified varieties NK603 and MON 810. NK603 × MON 810 Maize transgenically expresses the protein CP4 EPSPS, obtained from *Agrobacterium sp.* strain CP4, which imparts tolerance to the herbicide Roundup® (contains glyphosate), and also a Cry1Ab toxin obtained from *Bacillus thuringiensis subsp. kurstaki* which brings about tolerance to certain Lepidoptera, include the European corn borer.
- The compounds of formula (I) according to the invention may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi such as Alternaria species in fruits, vegetables and potatoes; Botrytis cinerea in strawberries, tomatoes, sunflower, pulse crops, vegetables and grapes; Rhizoctonia solani in potatoes and vegetables; Uncinula necator in grapes; Cladosporium cucumerinum, Didymella bryoniae, Sphaerotheca fuliginea and Glomerella lagenarium in cucurbits; Leveillula taurica in cucurbits and solanacious crops; Fusarium spp. in cereals; Leptosphaeria spp. in cereals; and Zymospetoria spp. in cereals.

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

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

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The term "plant propagation material" is understood to denote generative parts of the plant, such as seeds, which can be used for the multiplication of the latter, and vegetative material, such as cuttings or tubers, for example potatoes. There can be mentioned for example seeds (in the strict sense), roots, fruits, tubers, bulbs, rhizomes and parts of plants. Germinated plants and young plants which are to be transplanted after

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WO 2024/100069 PCT/EP2023/081044

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germination or after emergence from the soil, may also be mentioned. These young plants can be protected before transplantation by a total or partial treatment by immersion. Preferably "plant propagation material" is understood to denote seeds.

Preferably compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi (such as *Phakopsora pachyrhizi, or Corynespora cassiicola*) on soybean plants.

More preferably compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention may be used in controlling or preventing phytopathogenic diseases, especially *Phakopsora pachyrhizi* on soybean plants.

Even more preferably compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention may be used in controlling or preventing phytopathogenic diseases, especially *Phakopsora pachyrhizi* on soybean plants, or genetically modified soybeans, for example Bt soybeans.

Further fungicidal compositions according to the present invention comprising said compounds may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi (such as *Phakopsora pachyrhizi or Corynespora cassiicola*) on soybean plants.

Preferably fungicidal compositions according to the present invention comprising said compounds may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi (such as *Phakopsora pachyrhizi or Corynespora cassiicola*) on soybean plants, or genetically modified soybeans, for example Bt soybeans.

More preferably fungicidal compositions according to the present invention comprising said compounds may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi, such as *Phakopsora pachyrhizi* on soybean plants, or genetically modified soybeans, for example Bt soybeans.

In particular, transgenic soybean plants expressing toxins, for example insecticidal proteins such as delta-endotoxins, e.g., Cry1Ac (Cry1Ac Bt protein). Accordingly, this may include transgenic soybean plants comprising event MON87701 (disclosed in WO2009/064652, as well as WO2014/170327 (eg, see paragraph [008] reference to Intacta RR2 PRO® soybean)), event MON87751 (disclosed in WO2014/201235) or event DAS-81419-2 (aka Conkesta<sup>TM</sup> soybean, described in WO2013016527).

Useful transgenic events in transgenic soybean plants, which can be treated according to the invention, include event DAS-44406-6/pDAB8264.44.06.1 (soybean, herbicide-tolerance, disclosed in WO2012/075426); event DAS-81419-2 (aka Conkesta™ soybean, described in WO2013016527 (aka Conkesta™ Enlist E3™ soybean, DAS-81419-2 x DAS-44406-6); event DAS-14536-7/pDAB8291.45.36.2 (soybean, herbicide-tolerance,

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disclosed in WO2012/075429); DAS-68416-4 (soybean, herbicide-tolerance, ATCC Accession No. PTA-10442, disclosed in WO2011/066384, WO2011/066360); event DP-305423-1 (soybean, quality mark, disclosed in WO2008/054747); event DP-356043-5 (sovbean, herbicide-tolerance, deposited as ATCC PTA-8287, disclosed in WO2008/002872); event FG72 (soybean, herbicide-tolerance, disclosed in WO2011/063413); event LL27 (soybean, herbicide-tolerance, disclosed in WO2006/108674); event LL55 (soybean, herbicide-tolerance, disclosed in WO 2006/108675); event EE-GM3/FG72 (soybean, herbicidetolerance) optionally stacked with event EE-GM1/LL27 or event EE-GM2/LL55 (disclosed in WO2011/063413); event MON87701 (soybean, insect control, disclosed in WO2009/064652, WO2014/170327); event MON87705 (soybean, improved fatty acid profile, herbicide-tolerance, disclosed in WO2010/037016); event MON87751 (lepidopteran-resistant, ATCC accession no. PTA-120166, disclosed in WO2014/201235); event MON87708 (soybean, herbicide-tolerance, disclosed in WO2011/034704); event MON87712 (soybean, yield, disclosed in WO2012/051199); event MON87754 (soybean, quality feature, disclosed in WO2010/024976); event MON87769 (soybean, quality attribute, disclosed in WO2009/102873); event MON89788 (soybean, herbicide-tolerance, disclosed in WO2006/130436); event SYHT0H2/SYN-000H2-5 (soybean, herbicidetolerance, disclosed in WO2012/082548); event DAS-21606-3 (soybean, herbicide-tolerance, disclosed in WO2012/033794); event 8264.44.06.1 (soybean, stacked herbicide-tolerance, WO2022/012075426); event pDAB8291.45.36.2 (soybean, stacked herbicide-tolerance, disclosed in WO2012/075429); event pDAB8264.42.32.1 (soybean, stacked herbicide-tolerance, disclosed in WO2013/010094); event A2704-12 (glufosinate tolerance, disclosed in WO2006/108647); event A5547-127 (phosphinothricin tolerant, disclosed in WO2006/108675); event BPS-CV127- 91 (herbicide tolerance, disclosed in WO 2010/080829); event GU262 (phosphinothricin tolerant, described in APHIS regulatory reference US 98-238-01p);

Particularly useful transgenic events in transgenic soybean plants, which can preferably be treated according to the invention, include event A2704-12 (glufosinate tolerance, disclosed in WO2006/108647), event A5547-127 (phosphinothricin tolerant, disclosed in WO2006/108675); event GU262 (phosphinothricin tolerant, described in APHIS regulatory reference US 98-238-01p); event MON89788 (disclosed in WO2006/130494A; event DP-305423-1 (soybean, quality mark, disclosed in WO2008/054747); event MON87701 (soybean, insect resistant, disclosed in WO2009/064652); event MON87705 (soybean, improved fatty acid profile, herbicidetolerance, disclosed in WO2010/037016 or US20100080887A); event MON87769 (soybean, quality attribute, disclosed in WO2009/102873 or US20110067141A); event FG72 (soybean, herbicide-tolerance, disclosed in WO2011/063413); event MON87712 (soybean, yield, disclosed in WO2012/051199); event BPS-CV127-9 (soybean, herbicide tolerance, deposited as NCIMB No. 41603, disclosed in WO2010/08082); event DAS-68416-4 (soybean, herbicide-tolerance, ATCC Accession No. PTA-10442, disclosed in WO2011/066384, WO2011/066360); event SYHT0H2/SYN-000H2-5 (soybean, herbicide-tolerance, disclosed WO2012/082548); event DAS-44406-6/pDAB8264.44.06.1 (soybean, herbicide-tolerance, disclosed in WO2012/075426); event MON87751 (lepidopteran-resistant, ATCC accession no. PTA-120166. disclosed in WO2014/201235); event MON87708 (soybean, herbicide-tolerance, disclosed in WO2011/034704) and event GMB151 (also called BCS-GM151-6, HPPD tolerance, disclosed in WO2018119364A1).

Furthermore, such a list of transgenic events is provided by the United States Department of Agriculture's (USDA) Animal and Plant Health Inspection Service (APHIS) and can be found on their website on the World Wide Web at aphis.usda.gov.

Commercially available examples of transgenic soybeans, which can preferably be treated according to the invention, include commercially available products such as plant seeds, which are under the Roundup Ready® (RR1), Roundup Ready 2 Xtend®, Roundup Ready 2 Yield®, XtendFlex®, Intacta RR2 PRO®, Intacta 2 Xtend®, VISTIVE® GOLD, Conkesta E3®, Enlist E3® and/or LibertyLink® trade names are sold or distributed.

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In particular, transgenic soybean plants expressing toxins, for example insecticidal proteins such as delta-endotoxins, e.g., Cry1Ac (Cry1Ac Bt protein). Accordingly, this may include transgenic soybean plants comprising event MON87701 (disclosed in WO2009/064652), event MON87701 x MON89788 (disclosed in WO2014/170327, e.g. commercially available as Intacta RR2 PRO® soybean), event MON87751 (disclosed in WO2014/201235), event DAS-44406-6 (e.g., commercially available as Enlist E3<sup>TM</sup>, DAS-44406-6, disclosed in WO2012/075426), or event DAS-81419-2 (described in WO2013/016527, e.g., commercially available as Conkesta<sup>TM</sup> soybean); event DAS-81419-2 x DAS-44406-6 (e.g., commercially available as Conkesta<sup>TM</sup> Enlist E3<sup>TM</sup> Soybean).

Useful transgenic events in transgenic soybean plants, which can be treated according to the invention, include event DAS-44406-6/pDAB8264.44.06.1 (soybean, herbicide-tolerance, disclosed in WO2012/075426); event DAS-81419-2 (described in WO2013/016527 (e.g., commercially available as aka Conkesta™ soybean, Conkesta™ Enlist E3™ soybean, DAS-81419-2 x DAS-44406-6); event DAS-14536-7/pDAB8291.45.36.2 (soybean, herbicide-tolerance, disclosed in WO2012/075429); DAS-68416-4 (soybean, herbicide-tolerance, ATCC Accession No. PTA-10442, disclosed in WO2011/066384, WO2011/066360); event DP-305423-1 (soybean, quality mark, disclosed in WO2008/054747, e.g. commercially available as Treus<sup>™</sup>, Plenish<sup>™</sup>, Plenish® High Oleic Soybeans); event DP-356043-5 (soybean, herbicide-tolerance, deposited as ATCC PTA-8287, disclosed in WO2008/002872, e.g. commercially available as Optimum GAT™); event FG72 (soybean, herbicide-tolerance, disclosed in WO2011/063413); event LL27 (soybean, herbicide-tolerance, disclosed in WO2006/108674); event LL55 (soybean, herbicide-tolerance, disclosed in WO 2006/108675); event EE-GM3/FG72 (soybean, herbicide-tolerance) optionally stacked with event EE-GM1/LL27 or event EE-GM2/LL55 (disclosed in WO2011/063413); event MON87701 (soybean, insect control, disclosed in WO2009/064652, WO2014/170327); event MON87701 x MON89788 (disclosed in WO2014/170327, e.g. commercially available as Intacta RR2 PRO® soybean); event MON87705 (soybean, improved fatty acid profile, herbicide-tolerance, disclosed in WO2010/037016 or US20100080887A, e.g. commercially available as Vistive Gold™); event MON87751 (lepidopteran-resistant, ATCC accession no. PTA-120166. disclosed in WO2014/201235); event MON87751xMON87701xMON89788xMON87708 (commercially available as Intacta2 Xtend®); event MON87708 (soybean, herbicide-tolerance, disclosed in WO2011/034704, e.g. commercially available as Genuity® Roundup Ready™ 2 Xtend™); event MON87708xMON89788 (soybean, e.g. commercially available as Roundup Ready™ 2 Xtend™); event MON87712 (soybean, yield, disclosed in WO2012/051199); event MON87754 (soybean, quality feature, disclosed in WO2010/024976); event MON87769 (soybean, quality

WO 2024/100069

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attribute, disclosed in WO2009/102873); event MON89788 (soybean, herbicide-tolerance, disclosed in WO2006/130436, e.g. commercially available as Genuity® Roundup Ready 2 Yield™); event SYHT0H2/SYN-000H2-5 (soybean, herbicide-tolerance, disclosed in WO2012/082548); event DAS-21606-3 (soybean, herbicide-tolerance, disclosed in WO2012/033794); event 8264.44.06.1 (soybean, stacked herbicide-tolerance, disclosed in WO2022/012075426); event pDAB8291.45.36.2 (soybean, stacked herbicide-tolerance, disclosed in WO2012/075429); event pDAB8264.42.32.1 (soybean, stacked herbicide-tolerance, disclosed in WO2013/010094); event A2704-12 (glufosinate tolerance, disclosed in WO2006/108647); event A5547-127 (phosphinothricin tolerant, disclosed in WO2006/108675); event BPS-CV127- 91 (herbicide tolerance, disclosed in WO 2010/080829); event GU262 (phosphinothricin tolerant, described in APHIS regulatory reference US 98-238-01p); event MON 87708 × MON 89788 × A5547-127; G72×A5547-127 (event code: MST-FGØ72-3×ACS-GMØØ6-4, e.g. commercially available as Liberty Link™ soybean), event MON-04032-6 (event code: GTS 40-3-2, http://www.agbios.com/static/cropdb/LONG-GTS-40-3-2-printer.html, e.g. commercially available as Roundup Ready® soybean), event HB4 (event code IND-00410-5, US2022/009011, e.g., commercially available as Verdeca HB4 Soybean).

Particularly useful transgenic events in transgenic soybean plants, which can preferably be treated according to the invention, include event A2704-12 (glufosinate tolerance, disclosed in WO2006/108647, e.g., commercially available as Liberty Link<sup>TM</sup> soybean), event A5547-127 (phosphinothricin tolerant, disclosed in WO2006/108675, US8952142B2, e.g., commercially available as Liberty Link™ soybean); A5547-35 (event code: ACS-GMØØ8-6, gene: pat, e.g. commercially available as Liberty Link™ soybean), event MON89788 (soybean, herbicide-tolerance, disclosed in WO2006/130436, e.g. commercially available as Genuity® Roundup Ready 2 Yield™); DP-305423-1 (soybean, quality mark, disclosed in WO2008/054747, e.g., commercially available as Treus<sup>™</sup>, Plenish<sup>™</sup>, Plenish® High Oleic Soybeans); event MON87701 (soybean, insect resistant, disclosed in WO2009/064652); event MON87701 x MON89788 (disclosed in WO2014/170327, e.g. commercially available as Intacta RR2 PRO® soybean); event MON87705 (soybean, improved fatty acid profile, herbicide-tolerance, disclosed in WO2010/037016 or US20100080887A, e.g. commercially available as Vistive Gold<sup>TM</sup>); event FG72 (soybean, herbicide-tolerance, disclosed in WO2011/063413); evet FG72xA5547-127 (e.g. commercially available as LibertyLink® GT27™); event SYHT0H2/SYN-000H2-5 (soybean, herbicide-tolerance, disclosed in WO2012/082548); event DAS-81419-2 (described in WO2013/016527, e.g., commercially available as Conkesta™ soybean); event DAS-44406-6 (disclosed in WO2012/075426, e.g., commercially available as Enlist E3<sup>TM</sup>), or event DAS-81419-2 (described in WO2013/016527, e.g., commercially available as Conkesta™ soybean); DAS81419-2xDAS4406 (e.g., commercially available as Conkesta™ Enlist E3™ soybean); event DP305423x GTS 40-3-2 (soybean, quality mark, disclosed in WO2008/054747, e.g. commercially available as Plenish® High Oleic Soybeans); event DP-356043-5 (soybean, herbicide-tolerance, deposited as ATCC PTA-8287, disclosed in WO2008/002872, e.g. commercially available as Optimum GAT™), event MON-04032-6 (event code: GTS 40-3-2, http://www.agbios.com/static/cropdb/LONG-GTS-40-3-2-printer.html, e.g. commercially available as Roundup Ready® soybean).

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Furthermore, such a list of transgenic events is provided by the United States Department of Agriculture's (USDA) Animal and Plant Health Inspection Service (APHIS) and can be found on their website on the World Wide Web at aphis.usda.gov.

Commercially available examples of genetically modified soybean plants, which can preferably be treated according to the invention, include commercially available products such as plant seeds, which are under the Roundup Ready® (RR1), Roundup Ready 2 Xtend®, Roundup Ready 2 Yield®, XtendFlex®, Intacta® Roundup Ready™ 2 Pro (Intacta®RR2 PRO), Intacta 2 Xtend®, Vistive® Gold™, Conkesta Enlist E3® Conkesta E3®, Enlist E3®, Genuity® Roundup Ready 2 Yield™, Genuity® Roundup Ready™ 2 Xtend™, Herbicide-tolerant Soybean line, Optimum GAT™, Liberty Link™ Soybean, Verdeca HB4 Soybean, Treus™, Plenish™ trade names sold or distributed.

Transgenic soybean events comprising herbicide tolerance genes are for example, but not excluding others, GTS 40-3-2, MON87705, MON87708, MON87712, MON87769, MON89788, A2704-12, A2704-21, A5547-127, A5547-35, DP356043, DAS44406-6, DAS68416-4, DAS81419-2, GU262, SYHTØH2, W62, W98, FG72 and CV127.

According to one embodiment of the invention, there is provided the use of a compound of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention, for controlling phytopathogenic fungi in genetically modified soybean plants, wherein said transgenic soybean events comprising herbicide tolerance genes are for example, but not excluding others, GTS 40-3-2, MON87705, MON87708, MON87712, MON87769, MON89788, A2704-12, A2704-21, A5547-127, A5547-35, DP356043, DAS44406-6, DAS68416-4, DAS81419-2, GU262, SYHTØH2, W62, W98, FG72 and CV127.

The compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention, may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi (such as *Phakopsora pachyrhizi*) on soybean plants. In particular, there are known in the scientific literature certain Elite soybean plant varieties where R-gene stacks, conferring a degree of immunity or resistance to specific *Phakopsora pachyrhizi*, have been been introgressed in the plant genome, see for example: "*Fighting Asian Soybean Rust*", Langenbach C, *et al, Front Plant Science* 7(797) 2016).

An elite plant is any plant from an elite line, such that an elite plant is a representative plant from an elite variety. Non-limiting examples of elite soybean varieties that are commercially available to farmers or soybean breeders include: AG00802, A0868, AG0902, A1923, AG2403, A2824, A3704, A4324, A5404, AG5903, AG6202 AG0934; AG1435; AG2031; AG2035; AG2433; AG2733; AG2933; AG3334; AG3832; AG4135; AG4632; AG4934; AG5831; AG6534; and AG7231 (Asgrow Seeds, Des Moines, Iowa, USA); BPR0144RR, BPR 4077NRR and BPR 4390NRR (Bio Plant Research, Camp Point, III., USA); DKB17-51 and DKB37-51 (DeKalb Genetics, DeKalb, III., USA); DP 4546 RR, and DP 7870 RR (Delta & Pine Land Company, Lubbock, Tex., USA); JG 03R501, JG 32R606C ADD and JG 55R503C (JGL Inc., Greencastle, Ind., USA); NKS 13-K2 (NK Division of Syngenta Seeds, Golden Valley, Minnesota, USA); 90M01, 91M30, 92M33, 93M11, 94M30,

WO 2024/100069 PCT/EP2023/081044

95M30, 97B52, P008T22R2; P16T17R2; P22T69R; P25T51R; P34T07R2; P35T58R; P39T67R; P47T36R; P46T21R; and P56T03R2 (Pioneer Hi-Bred International, Johnston, Iowa, USA); SG4771NRR and SG5161NRR/STS (Soygenetics, LLC, Lafayette, Ind., USA); S00-K5, S11-L2, S28-Y2, S43-B1, S53-A1, S76-L9, S78-G6, S0009-M2; S007-Y4; S04-D3; S14-A6; S20-T6; S21-M7; S26-P3; S28-N6; S30-V6; S35-C3; S36-Y6; S39-C4; S47-K5; S48-D9; S52-Y2; S58-Z4; S67-R6; S73-S8; and S78-G6 (Syngenta Seeds, Henderson, Ky., USA); Richer (Northstar Seed Ltd. Alberta, CA); 14RD62 (Stine Seed Co. Ia., USA); or Armor 4744 (Armor Seed, LLC, Ar., USA).

Thus, in a further preferred embodiment, the compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention or fungicidal compositions comprising said compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention, are used to control *Phakopsora pachyrhizi*, (including fungicidally-resistant strains thereof, as outlined below) on Elite soybean plant varieties where R-gene stacks, conferring a degree of immunity or resistance to specific *Phakopsora pachyrhizi*, have been been introgressed in the plant genome. Numerous benefits may be expected to ensue from said use, e.g. improved biological activity, an advantageous or broader spectrum of activity (inc. sensitive and resistant strains of *Phakopsora pachyrhizi*), an increased safety profile, improved crop tolerance, synergistic interactions or potentiating properties, improved onset of action or a longer lasting residual activity, a reduction in the number of applications and/or a reduction in the application rate of the compounds and compositions required for effective control of the phytopathogen (*Phakopsora pachyrhizi*), thereby enabling beneficial resistance-management practices, reduced environmental impact and reduced operator exposure.

Under certain circumstances, fungicidal compositions comprising a compound of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) according to the invention, when used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi (such as *Phakopsora pachyrhizi*) on soybean plants (in particular any of the transgenic soybean plants as described above), may display a synergistic interaction between the active ingredients.

Exemplary GM traits that confer enhanced ASR resistance comprise resistance genes encoding resistance proteins as set forth in: WO2019103918 (for example, but not limited to, RG-1 (SEQ ID NO: 47) and active variants or fragments thereof; or R-genes as set forth at SEQ ID NO: 28, 42, 43, 44, 45 or 46 of WO2019103918); WO202100878 (for example Rpp6907 (SEQ ID NO: 1 of WO202100878) and active variants or fragments thereof); WO2021022022 (for example, TirA or Tir B (SEQ ID NOS: 11 or 16 of WO2021022022, respectively) or active variants or fragments thereof); WO2021260673 (for example, but not limited to, RG21 and/or RG22 (SEQ ID NOS: 1 or 12 of WO2021260673) or active variants or fragments thereof); WO2022173659 (for example, but not limited to, RG30 (SEQ ID NO: 5 of WO2022173659) or active variants or fragments thereof); WO2022159341 (for example but not limited to SEQ ID NOS: 1 and 148 of WO2022159341 or active variants or fragments thereof); WO2021154632A1, WO2021022026,

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WO2021022101, US20220135997 (for example, but not limited to, FIT1 (SEQ ID NO: 2 of US20220135997), an active variant or fragment thereof or any of the FIT1 paralogs or orthologs disclosed therein (such as SEQ ID NOS: 4, 6, 8, 10, 12, 14, 16, 18 or 20 of US20220135997); US10842097 (for example, but not limited to, CcRpp1 or active variants or fragments thereof or any other resistance genes disclosed therein); WO2022140257 (for example, CcRpp2-R1 and/or CcRpp2-R3 (SEQ ID NOS: 2 or 4 of WO2022140257) or an active variant or fragment thereof); the resistance genes disclosed in US Provisional Application NO. 63/481627 as RG31 (SEQ ID NOS: 1, 3, or 4) or RG35 (SEQ ID NOS: 2 or 5); and/or the resistance genes disclosed in US Provisional Application Nos. 63/426524 and 63/509586 as RG32 (SEQ ID NOS: 1, 3, or 4) or RG34 (SEQ ID NOS: 2, 5, 6, or 17); each of which is incorporated by reference in their entirety.

Exemplary native traits that confer increased resistance to ASR or to pathogens from the genus Phakopsora, including the species *Phakopsora pachyrhizi* and *Phakopsora meibomiae* include various intervals and locus (loci) associated with Rpp1, Rpp1b, Rpp2, Rpp4, Rpp5, Rpp6 and ASR resistance locus 1-16. Such native traits can be found, for example, in WO2009079729, US8759607, US8962914, WO2008054546, US8692054, US9091681, WO2009132089, US8669414, US8796503, US8921645, WO2010096227, WO2010009404, WO2021154632, US20230067451, WO2021022026, US20220256795, WO2021022101, US20220338433A1, WO2022173659, WO2010009404, WO2017222827, US20210024950, WO2021000878, US20220380796, US20230147114, and PCT App. No. PCT/US23/60373, each of which is incorporated by reference in their entirety.

Exemplary Soybean varieties that confer increased resistance to ASR include soybean cultivars TMG 7062, TMG 7161 and TMG 7261.

Further Soybean varieties that confer increased resistance against ASR (caused by *Phakopsora pachyrhizi*) include for example, but not limited to TMG7368 IPRO (Disclosed in WO2009079729), TMG7062 IPRO, TMG 7063 IPRO, and TMG 7061 IPRO.

Further Soybean varieties that confer increased resistance against ASR (caused by *Phakopsora pachyrhizi*) include for example, but not limited to soybeans with Shield Technology, like for example BRS511 soybean, BRS 531 soybean, or Soy-BRS 539 (conventional soybean with Shield® and Block® Technologies).

The compounds of the invention according to the present invention may be used in controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi (in particular, *Phakopsora pachyrhizi*) on soybean plants.

Additionally, to date, no cross-resistance has been observed between the said compounds and the current fungicidal solutions used to control *Phakopsora pachyrhizi*.

Indeed, fungicidal-resistant strains of *Phakopsora pachyrhizi* have been reported in the scientific literature, with strains resistant to one or more fungicides from at least each of the following fungicidal mode of action classes being observed: sterol demethylation-inhibitors (DMI), quinone-outside-inhibitors (QoI) and succinate dehydrogenase inhibitors (SDHI). See for example: "Sensitivity of *Phakopsora pachyrhizi* towards quinone-outside-inhibitors and demethylation-inhibitors, and corresponding resistance mechanisms." Schmitz HK *et al.* 

WO 2024/100069

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Pest Manag Sci (2014) 70: 378-388; "First detection of a SDH variant with reduced SDHI sensitivity in Phakopsora pachyrhizi" Simões K et al, J Plant Dis Prot (2018) 125: 21-2; "Competitive fitness of Phakopsora pachyrhizi isolates with mutations in the CYP51 and CYTB genes." Klosowski AC et al, Phytopathology (2016) 106: 1278-1284; "Detection of the F129L mutation in the cytochrome b gene in Phakopsora pachyrhizi." Klosowski AC et al, Pest Manag Sci (2016) 72: 1211-1215.

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

Suitable carriers and adjuvants, e.g., for agricultural use, can be solid or liquid and are substances useful in formulation technology, e.g., natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO1997/33890.

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

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

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

Granular formulations include both extrudates and relatively coarse particles and are usually applied without dilution to the area in which treatment is required. Typical carriers for granular formulations include sand, fuller's earth, attapulgite clay, bentonite clays, montmorillonite clay, vermiculite, perlite, calcium carbonate, brick, pumice, pyrophyllite, kaolin, dolomite, plaster, wood flour, ground corn cobs, ground peanut hulls, sugars,

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sodium chloride, sodium sulphate, sodium silicate, sodium borate, magnesia, mica, iron oxide, zinc oxide, titanium oxide, antimony oxide, cryolite, gypsum, diatomaceous earth, calcium sulphate and other organic or inorganic materials which absorb or which can be coated with the active compound. Granular formulations normally contain 5% to 25% of active ingredients which may include surface-active agents such as heavy aromatic naphthas, kerosene and other petroleum fractions, or vegetable oils; and/or stickers such as dextrins, glue or synthetic resins.

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

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

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

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

Liquid carriers that can be employed include, for example, water, toluene, xylene, petroleum naphtha, crop oil, acetone, methyl ethyl ketone, cyclohexanone, acetic anhydride, acetonitrile, acetophenone, amyl acetate, 2-butanone, chlorobenzene, cyclohexane, cyclohexanol, alkyl acetates, diacetonalcohol, 1,2-dichloropropane, diethanolamine, p diethylbenzene, diethylene glycol, diethylene glycol abietate, diethylene glycol butyl ether, diethylene glycol ethyl ether, diethylene glycol methyl ether, N,N-dimethyl formamide, dimethyl sulfoxide, 1,4-dioxane, dipropylene glycol, dipropylene glycol methyl ether, dipropylene glycol dibenzoate, diproxitol, alkyl pyrrolidinone, ethyl acetate, 2-ethyl hexanol, ethylene carbonate, 1,1,1-trichloroethane, 2-heptanone, alpha pinene, d-limonene, ethylene glycol, ethylene glycol butyl ether, ethylene glycol methyl ether, gamma-butyrolactone, glycerol, glycerol diacetate, glycerol monoacetate, glycerol triacetate, hexadecane, hexylene glycol, isoamyl acetate, isobornyl acetate, isooctane, isophorone, isopropyl benzene, isopropyl myristate, lactic acid, laurylamine, mesityl oxide, methoxy-propanol, methyl isoamyl ketone, methyl isobutyl ketone, methyl laurate, methyl octanoate, methyl oleate, methylene chloride, m-xylene, n-hexane, n-octylamine, octadecanoic

WO 2024/100069 PCT/EP2023/081044

acid, octyl amine acetate, oleic acid, oleylamine, o-xylene, phenol, polyethylene glycol (PEG400), propionic acid, propylene glycol, propylene glycol monomethyl ether, p-xylene, toluene, triethyl phosphate, triethylene glycol, xylene sulfonic acid, paraffin, mineral oil, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, methanol, ethanol, isopropanol, and higher molecular weight alcohols such as amyl alcohol, tetrahydrofurfuryl alcohol, hexanol, octanol, etc., ethylene glycol, propylene glycol, glycerine and N-methyl-2-pyrrolidinone. Water is generally the carrier of choice for the dilution of concentrates.

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

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

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

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

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

In addition, the compositions of the invention may also be applied with one or more systemically acquired resistance inducers ("SAR" inducer). SAR inducers are known and described in, for example, United States

WO 2024/100069

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Patent No. US 6,919,298 and include, for example, salicylates and the commercial SAR inducer acibenzolar-S-methyl.

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

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

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

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

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

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Examples of suitable additional active ingredients include the following: petroleum oils, 1,1-bis(4chlorophenyl)-2-ethoxyethanol, 2,4-dichlorophenyl benzenesulfonate, 2-fluoro-N-methyl-N-1naphthylacetamide, 4-chlorophenyl phenyl sulfone, acetoprole, aldoxycarb, amidithion, amidothioate, amiton, amiton hydrogen oxalate, amitraz, aramite, arsenous oxide, azobenzene, azothoate, benomyl, benoxa-fos, benzyl benzoate, bixafen, brofenvalerate, bromocyclen, bromophos, bromopropylate, buprofezin, butocarboxim, butoxycarboxim, butylpyridaben, calcium polysulfide, camphechlor, carbanolate, carbophenothion, cymiazole, chinomethionat, chlorbenside, chlordimeform, chlordimeform hydrochloride, chlorobenzilate. chlorfenethol. chlorfenson. chlorfensulfide. chloromebuform. chloromethiuron. chloropropylate, chlorthiophos, cinerin I, cinerin II, cinerins, closantel, coumaphos, crotamiton, crotoxyphos, cufraneb, cyanthoate, DCPM, DDT, demephion, demephion-O, demephion-S, demeton-methyl, demeton-O. demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methylsulfon, dichlofluanid, dichlorvos, dicliphos, dienochlor, dimefox, dinex, dinex-diclexine, dinocap-4, dinocap-6, dinocton, dinopenton, dinosulfon, dinoterbon, dioxathion, diphenyl sulfone, disulfiram, DNOC, dofenapyn, doramectin, endothion, eprinomectin, ethoate-methyl, etrimfos, fenazaflor, fenbutatin oxide, fenothiocarb, fenpyrad, fenpyroximate, fenpyrazamine, fenson, fentrifanil, flubenzimine, flucycloxuron, fluenetil, fluorbenside, FMC 1137, formetanate, formetanate hydrochloride, formparanate, gamma-HCH, glyodin, halfenprox, hexadecyl cyclopropanecarboxylate, isocarbophos, jasmolin I, jasmolin II, jodfenphos, lindane, malonoben, mecarbam, mephosfolan, mesulfen, methacrifos, methyl bromide, metolcarb, mexacarbate, milbemycin oxime, mipafox, monocrotophos, morphothion, moxidectin, naled, 4-chloro-2-(2-chloro-2-methyl-propyl)-5-[(6-iodo-3-pyridyl)methoxy]pyridazin-3-one, nifluridide, nikkomycins, nitrilacarb, nitrilacarb 1:1 zinc chloride complex, omethoate, oxydeprofos, oxydisulfoton, pp'-DDT, parathion, permethrin, phenkapton, phosalone, phosfolan, phosphamidon, polychloroterpenes, polynactins, proclonol, promacyl, propoxur, prothidathion, prothoate, pyrethrin I, pyrethrin II, pyrethrins, pyridaphenthion, pyrimitate, quinalphos, quintiofos, R-1492, phosglycin, rotenone, schradan, sebufos, selamectin, sophamide, SSI-121, sulfiram, sulfluramid, sulfotep, sulfur, diflovidazin, tau-fluvalinate, TEPP, terbam, tetradifon, tetrasul, thiafenox, thiocarboxime, thiofanox, thiometon, thioquinox, thuringiensin, triamiphos, triarathene, triazophos, triazuron, trifenofos, trinactin, vamidothion, vaniliprole, bethoxazin, copper dioctanoate, copper sulfate, cybutryne, dichlore, dichlorophen, endothal, fentin, hydrated lime, nabam, quinoclamine, quinonamid, simazine, triphenyltin acetate, triphenyltin hydroxide, crufomate, piperazine, thiophanate, chloralose, fenthion, pyridin-4-amine, strychnine, 1-hydroxy-1H-pyridine-2-thione, 4-(quinoxalin-2-ylamino)benzenesulfonamide, 8-hydroxyquinoline sulfate, bronopol, copper hydroxide, cresol, dipyrithione, dodicin, fenaminosulf, formaldehyde, hydrargaphen, kasugamycin, kasugamycin hydrochloride hydrate, nickel bis(dimethyldithiocarbamate), nitrapyrin, octhilinone, oxolinic acid, oxytetracycline, potassium hydroxyguinoline sulfate, probenazole, streptomycin, streptomycin sesquisulfate, tecloftalam, thiomersal, Adoxophyes orana GV, Agrobacterium radiobacter, Amblyseius spp., Anagrapha falcifera NPV, Anagrus atomus, Aphelinus abdominalis, Aphidius colemani, Aphidoletes aphidimyza, Autographa californica NPV, Bacillus sphaericus Neide, Beauveria brongniartii, Chrysoperla carnea, Cryptolaemus montrouzieri, Cydia pomonella GV, Dacnusa sibirica, Diglyphus isaea, Encarsia formosa, Eretmocerus eremicus, Heterorhabditis bacteriophora and H. megidis, Hippodamia convergens, Leptomastix dactylopii, Macrolophus caliginosus,

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Mamestra brassicae NPV, Metaphycus helvolus, Metarhizium anisopliae var. acridum, Metarhizium anisopliae var. anisopliae, Neodiprion sertifer NPV and N. lecontei NPV, Orius spp., Paecilomyces fumosoroseus, Phytoseiulus persimilis, Steinernema bibionis, Steinernema carpocapsae, Steinernema feltiae, Steinernema glaseri, Steinernema riobrave, Steinernema riobravis, Steinernema scapterisci, Steinernema spp., Trichogramma spp., Typhlodromus occidentalis, Verticillium lecanii, apholate, bisazir, busulfan, dimatif, hemel, hempa, metepa, methiotepa, methyl apholate, morzid, penfluron, tepa, thiohempa, thiotepa, tretamine, uredepa, (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol, (E)-tridec-4-en-1-yl acetate, (E)-6-methylhept-2-en-4-ol, (E,Z)-tetradeca-4,10-dien-1-yl acetate, (Z)-dodec-7-en-1-yl acetate, (Z)-hexadec-11-enal, (Z)-hexadec-11-en-1-yl acetate, (Z)-hexadec-13-en-11-yn-1-yl acetate, (Z)-icos-13-en-10-one, (Z)-tetradec-7-en-1-al, (Z)tetradec-9-en-1-ol. (Z)-tetradec-9-en-1-vl acetate. (7E.9Z)-dodeca-7.9-dien-1-vl acetate. (9Z.11E)-tetradeca-9,11-dien-1-yl acetate, (9Z,12E)-tetradeca-9,12-dien-1-yl acetate, 14-methyloctadec-1-ene, 4-methylnonan-5ol with 4-methylnonan-5-one, alpha-multistriatin, brevicomin, codlelure, codlemone, cuelure, disparlure, dodec-8-en-1-yl acetate, dodec-9-en-1-yl acetate, dodeca-8,10-dien-1-yl acetate, dominicalure, ethyl 4methyloctanoate, eugenol, frontalin, grandlure, grandlure I, grandlure II, grandlure III, grandlure IV, hexalure, ipsdienol, ipsenol, japonilure, lineatin, litlure, looplure, medlure, megatomoic acid, methyl eugenol, muscalure, octadeca-2,13-dien-1-yl acetate, octadeca-3,13-dien-1-yl acetate, orfralure, oryctalure, ostramone, siglure, sordidin, sulcatol, tetradec-11-en-1-yl acetate, trimedlure, trimedlure A, trimedlure B1, trimedlure B2, trimedlure C, trunc-call, 2-(octylthio)ethanol, butopyronoxyl, butoxy(polypropylene glycol), dibutyl adipate, dibutyl phthalate, dibutyl succinate, diethyltoluamide, dimethyl carbate, dimethyl phthalate, ethyl hexanediol, hexamide, methoguin-butyl, methylneodecanamide, oxamate, picaridin, 1-dichloro-1-nitroethane, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane, 1,2-dichloropropane with 1,3-dichloropropene, 1-bromo-2-chloroethane, 2,2,2trichloro-1-(3,4-dichlorophenyl)ethyl acetate, 2,2-dichlorovinyl 2-ethylsulfinylethyl methyl phosphate, 2-(1,3dithiolan-2-vl)phenyl dimethylcarbamate, 2-(2-butoxyethoxy)ethyl thiocyanate, 2-(4.5-dimethyl-1.3-dioxolan-2yl)phenyl methylcarbamate, 2-(4-chloro-3,5-xylyloxy)ethanol, 2-chlorovinyl diethyl phosphate, 2-imidazolidone, 2-isovalerylindan-1,3-dione, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate, 2-thiocyanatoethyl laurate, 3-bromo-1-chloroprop-1-ene, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate, acethion, acrylonitrile, aldrin, allosamidin, allyxycarb, alpha-ecdysone, aluminium phosphide, aminocarb, anabasine, athidathion, azamethiphos, Bacillus thuringiensis delta endotoxins, barium hexafluorosilicate, barium polysulfide, barthrin, Bayer 22/190, Bayer 22408, beta-cyfluthrin, beta-cypermethrin, bioethanomethrin, biopermethrin, bis(2chloroethyl) ether, borax, bromfenvinfos, bromo-DDT, bufencarb, butacarb, butathiofos, butonate, calcium arsenate, calcium cyanide, carbon disulfide, carbon tetrachloride, cartap hydrochloride, cevadine, chlorbicyclen, chlordane, chlordecone, chloroform, chloropicrin, chlorphoxim, chlorprazophos, cis-resmethrin, cismethrin, clocythrin, copper acetoarsenite, copper arsenate, copper oleate, coumithoate, cryolite, CS 708, cyanofenphos, cyanophos, cyclethrin, cythioate, d-tetramethrin, DAEP, dazomet, decarbofuran, diamidafos, dicapthon, dichlofenthion, dicresyl, dicyclanil, dieldrin, diethyl 5-methylpyrazol-3-yl phosphate, dilor, dimefluthrin, dimetan, dimethrin, dimethylvinphos, dimetilan, dinoprop, dinosam, dinoseb, diofenolan, dioxabenzofos, dithicrofos, DSP, ecdysterone, El 1642, EMPC, EPBP, etaphos, ethiofencarb, ethyl formate,

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ethylene dibromide, ethylene dichloride, ethylene oxide, EXD, fenchlorphos, fenethacarb, fenitrothion, fenoxacrim, fenpirithrin, fensulfothion, fenthion-ethyl, flucofuron, fosmethilan, fospirate, fosthietan, furathiocarb, furethrin, quazatine, quazatine acetates, sodium tetrathiocarbonate, halfenprox, HCH, HEOD. heptachlor, heterophos, HHDN, hydrogen cyanide, hyquincarb, IPSP, isazofos, isobenzan, isodrin, isofenphos, isolane, isoprothiolane, isoxathion, juvenile hormone I, juvenile hormone III, juvenile hormone III, kelevan, kinoprene, lead arsenate, leptophos, lirimfos, lythidathion, m-cumenyl methylcarbamate, magnesium phosphide, mazidox, mecarphon, menazon, mercurous chloride, mesulfenfos, metam, metam-potassium, metam-sodium, methanesulfonyl fluoride, methocrotophos, methoprene, methothrin, methoxychlor, methyl isothiocyanate, methylchloroform, methylene chloride, metoxadiazone, mirex, naftalofos, naphthalene, NC-170. nicotine. nicotine sulfate. nithiazine. nornicotine. O-5-dichloro-4-iodophenyl ethylphosphonothioate, O,O-diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate, O,O-diethyl O-6methyl-2-propylpyrimidin-4-yl phosphorothioate, O,O,O',O'-tetrapropyl dithiopyrophosphate, oleic acid, paradichlorobenzene, parathion-methyl, pentachlorophenol, pentachlorophenyl laurate, PH 60-38, phenkapton, phosnichlor, phosphine, phoxim-methyl, pirimetaphos, polychlorodicyclopentadiene isomers, potassium arsenite, potassium thiocyanate, precocene I, precocene II, precocene III, primidophos, profluthrin, promecarb, prothiofos, pyrazophos, pyresmethrin, quassia, quinalphos-methyl, quinothion, rafoxanide, resmethrin, rotenone, kadethrin, ryania, ryanodine, sabadilla, schradan, sebufos, SI-0009, thiapronil, sodium arsenite, sodium cyanide, sodium fluoride, sodium hexafluorosilicate, sodium pentachlorophenoxide, sodium selenate, sodium thiocyanate, sulcofuron, sulcofuron-sodium, sulfuryl fluoride, sulprofos, tar oils, tazimcarb, TDE, tebupirimfos, temephos, terallethrin, tetrachloroethane, thicrofos, thiocyclam, thiocyclam hydrogen oxalate, thionazin, thiosultap, thiosultap-sodium, tralomethrin, transpermethrin, triazamate, trichlormetaphos-3, trichloronat, trimethacarb, tolprocarb, triclopyricarb, triprene, veratridine, veratrine, XMC, zetamethrin, zinc phosphide, zolaprofos, meperfluthrin, tetramethylfluthrin, bis(tributyltin) oxide, bromoacetamide, ferric phosphate, niclosamide-olamine, tributyltin oxide, pyrimorph, trifenmorph, 1,2-dibromo-3-chloropropane, 1,3dichloropropene, 3,4-dichlorotetrahydrothiophene 1,1-dioxide, 3-(4-chlorophenyl)-5-methylrhodanine, 5methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid, 6-isopentenylaminopurine, anisiflupurin, benclothiaz, cytokinins, DCIP, furfural, isamidofos, kinetin, Myrothecium verrucaria composition, tetrachlorothiophene, xylenols, zeatin, potassium ethylxanthate, acibenzolar, acibenzolar-S-methyl, Reynoutria sachalinensis extract, alpha-chlorohydrin, antu, barium carbonate, bisthiosemi, brodifacoum, bromadiolone, bromethalin, chlorophacinone, cholecalciferol, coumachlor, coumafuryl, coumatetralyl, crimidine, difenacoum, difethialone, diphacinone, ergocalciferol, flocoumafen, fluoroacetamide, flupropadine, flupropadine hydrochloride, norbormide, phosacetim, phosphorus, pindone, pyrinuron, scilliroside, sodium fluoroacetate, thallium sulfate, warfarin, 2-(2-butoxyethoxy)ethyl piperonylate, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone, farnesol with nerolidol, verbutin, MGK 264, piperonyl butoxide, piprotal, propyl isomer, S421, sesamex, sesasmolin, sulfoxide, anthraquinone, copper naphthenate, copper oxychloride, dicyclopentadiene, thiram, zinc naphthenate, ziram, imanin, ribavirin, chloroinconazide, mercuric oxide, thiophanate-methyl, azaconazole, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, furametpyr, hexaconazole, imazalil, imibenconazole, ipconazole,

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metconazole, myclobutanil, paclobutrazole, pefurazoate, penconazole, prothioconazole, pyrifenox, prochloraz, propiconazole, pyrisoxazole, simeconazole, tebuconazole, tetraconazole, triadimenol, triflumizole, triticonazole, ancymidol, fenarimol, nuarimol, bupirimate, dimethirimol, ethirimol, dodemorph, fenpropidin, fenpropimorph, spiroxamine, tridemorph, cyprodinil, mepanipyrim, pyrimethanil, fenpiclonil, fludioxonil, benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace, oxadixyl, carbendazim, debacarb, fuberidazole, thiabendazole, chlozolinate, dichlozoline, myclozoline, procymidone, vinclozoline, boscalid, carboxin, fenfuram, flutolanil, mepronil, oxycarboxin, penthiopyrad, thifluzamide, dodine, iminoctadine, azoxystrobin, enestroburin, fenaminstrobin, flufenoxystrobin, fluoxastrobin, dimoxystrobin, kresoxim-methyl. metominostrobin, trifloxystrobin, orysastrobin, picoxystrobin, pyraclostrobin, pyrametostrobin, pyraoxystrobin, ferbam, mancozeb, maneb, metiram, propineb, zineb, captafol, captan, fluoroimide, folpet, tolylfluanid, bordeaux mixture, copper oxide, mancopper, oxine-copper, nitrothal-isopropyl, edifenphos, iprobenphos, phosdiphen, tolclofos-methyl, anilazine, benthiavalicarb, blasticidin-S, chloroneb, chlorothalonil, cyflufenamid, cymoxanil, cyclobutrifluram, diclocymet, diclomezine, dicloran, diethofencarb, dimethomorph, flumorph, dithianon, ethaboxam, etridiazole, famoxadone, fenamidone, fenoxanil, ferimzone, flumetylsulforim,fluopicolide, fluoxytioconazole, flusulfamide, fluxapyroxad, fenhexamid, fosetylaluminium, hymexazol, iprovalicarb, cyazofamid, methasulfocarb, metrafenone, pencycuron, phthalide, polyoxins, propamocarb, pyribencarb, proquinazid, pyroquilon, pyriofenone, quinoxyfen, quintozene, tiadinil, triazoxide, tricyclazole, triforine, validamycin, valifenalate, zoxamide, mandipropamid, flubeneteram, isopyrazam, sedaxane, benzovindiflupyr, pydiflumetofen, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (3',4',5'trifluoro-biphenyl-2-yl)-amide, isoflucypram, isotianil, dipymetitrone, 6-ethyl-5,7-dioxopyrrolo[4,5][1,4]dithiino[1,2-c]isothiazole-3-carbonitrile, 2-(difluoromethyl)-N-[3-ethyl-1,1-dimethyl-indan-4yl]pyridine-3-carboxamide, 4-(2.6-difluorophenyl)-6-methyl-5-phenyl-pyridazine-3-carbonitrile. (difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide, 4-(2-bromo-4-fluoro-phenyl)-N-(2-chloro-6-fluoro-phenyl)-2,5-dimethyl-pyrazol-3-amine, 4- (2- bromo- 4- fluorophenyl) - N- (2- chloro- 6fluorophenyl) - 1, 3- dimethyl- 1H- pyrazol- 5- amine, fluindapyr, coumethoxystrobin (jiaxiangjunzhi), 3-(4,4-difluoro-3,4-dihydro-3,3-dimethylisoquinolin-1lvbenmixianan, dichlobentiazox, mandestrobin, yl)quinolone, 2-[2-fluoro-6-[(8-fluoro-2-methyl-3-quinolyl)oxy]phenyl]propan-2-ol, oxathiapiprolin, tert-butyl N-[6-[[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate. pyraziflumid. inpyrfluxam, trolprocarb, mefentrifluconazole, ipfentrifluconazole, 2-(difluoromethyl)-N-[(3R)-3-ethyl-1,1dimethyl-indan-4-yl]pyridine-3-carboxamide, N'-(2,5-dimethyl-4-phenoxy-phenyl)-N-ethyl-N-methylformamidine, N'-[4-(4,5-dichlorothiazol-2-yl)oxy-2,5-dimethyl-phenyl]-N-ethyl-N-methyl-formamidine, [2-[3-[2-[1-[2-[3,5-bis(difluoromethyl)pyrazol-1-yl]acetyl]-4-piperidyl]thiazol-4-yl]-4,5-dihydroisoxazol-5-yl]-3-chlorophenyl] methanesulfonate, but-3-ynyl N-[6-[[(Z)-[(1-methyltetrazol-5-yl)-phenyl-methylene]amino]oxymethyl]-2-pyridyl]carbamate, methyl N-[[5-[4-(2,4-dimethylphenyl)triazol-2-yl]-2-methyl-phenyl]methyl]carbamate, 3chloro-6-methyl-5-phenyl-4-(2,4,6-trifluorophenyl)pyridazine, pyridachlometyl, 3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide, 1-[2-[[1-(4-chlorophenyl)pyrazol-3-yl]oxymethyl]-3-methyl-1-methyl-4-[3-methyl-2-[[2-methyl-4-(3,4,5-trimethylpyrazol-1phenyl]-4-methyl-tetrazol-5-one, yl)phenoxy|methyl|phenyl|tetrazol-5-one, aminopyrifen, ametoctradin, amisulbrom, penflufen, (Z,2E)-5-[1-(4-

chlorophenyl)pyrazol-3-yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide, florylpicoxamid, fenpicoxamid, metarylpicoxamid, tebufloquin, ipflufenoquin, quinofumelin, isofetamid, ethyl 1-[[4-[[2-(trifluoromethyl)-1,3dioxolan-2-vilmethoxylphenyllmethyllpyrazole-3-carboxylate (may be prepared from the methods described in WO2020/056090), ethyl 1-[[4-[(Z)-2-ethoxy-3,3,3-trifluoro-prop-1-enoxy]phenyl]methyl]pyrazole-3-carboxylate 5 (may be prepared from the methods described in WO2020/056090), methyl N-[[4-[1-(4-cyclopropyl-2,6difluoro-phenyl)pyrazol-4-yl]-2-methyl-phenyl]methyl]carbamate (may be prepared from the methods described in WO2020/097012), methyl N-[[4-[1-(2,6-difluoro-4-isopropyl-phenyl)pyrazol-4-yl]-2-methylphenyllmethyllcarbamate (may be prepared from the methods described in WO2020/097012), 6-chloro-3-(3cyclopropyl-2-fluoro-phenoxy)-N-[2-(2,4-dimethylphenyl)-2,2-difluoro-ethyl]-5-methyl-pyridazine-4-10 carboxamide (may be prepared from the methods described in WO2020/109391), 6-chloro-N-[2-(2-chloro-4methyl-phenyl)-2,2-difluoro-ethyl]-3-(3-cyclopropyl-2-fluoro-phenoxy)-5-methyl-pyridazine-4-carboxamide (may be prepared from the methods described in WO2020/109391), 6-chloro-3-(3-cyclopropyl-2-fluorophenoxy)-N-[2-(3,4-dimethylphenyl)-2,2-difluoro-ethyl]-5-methyl-pyridazine-4-carboxamide (may be prepared from the methods described in WO2020/109391), N-[2-[2,4-dichloro-phenoxy]phenyl]-3-(difluoromethyl)-1-15 methyl-pyrazole-4-carboxamide, N-[2-[2-chloro-4-(trifluoromethyl)phenoxy]phenyl]-3-(difluoromethyl)-1methyl-pyrazole-4-carboxamide, benzothiostrobin, phenamacril, 5-amino-1,3,4-thiadiazole-2-thiol zinc salt (2:1), fluopyram, flufenoxadiazam, flutianil, fluopimomide, pyrapropoyne, picarbutrazox, 2-(difluoromethyl)-N-(3-ethyl-1,1-dimethyl-indan-4-vl)pyridine-3-carboxamide. 2-(difluoromethyl)-N-((3R)-1,1,3-trimethylindan-4-vl) pyridine-3-carboxamide, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-20 pyridyl]oxy]benzonitrile, metyltetraprole, α- (1,1- dimethylethyl)-α-[4'-(trifluoromethoxy) [1,1'-biphenyl]-4-yl] -5fluoxapiprolin, enoxastrobin, methyl pyrimidinemethanol, (Z)-3-methoxy-2-[2-methyl-5-[4-(trifluoromethyl)triazol-2-yl]phenoxy]prop-2-enoate, methyl (Z)-3-methoxy-2-[2-methyl-5-(4-propyltriazol-2yl)phenoxy]prop-2-enoate, methyl (Z)-2-[5-(3-isopropylpyrazol-1-yl)-2-methyl-phenoxy]-3-methoxy-prop-2enoate, methyl (Z)-3-methoxy-2-[2-methyl-5-(3-propylpyrazol-1-yl)phenoxy]prop-2-enoate, methyl (Z)-3-25 methoxy-2-[2-methyl-5-[3-(trifluoromethyl)pyrazol-1-yl]phenoxy]prop-2-enoate (these compounds may be prepared from the methods described in WO2020/079111), methyl (Z)-2-(5-cyclohexyl-2-methyl-phenoxy)-3methoxy-prop-2-enoate, methyl (Z)-2-(5-cyclopentyl-2-methyl-phenoxy)-3-methoxy-prop-2-enoate (these compounds may be prepared from the methods described in WO2020/193387), 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile, 4-[[6-[2-(2,4-difluorophenyl)-1,1-30 difluoro-2-hydroxy-3-(5-sulfanyl-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy] benzonitrile. 4-[[6-[2-(2,4difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-thioxo-4H-1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy]benzonitrile, trinexapac, coumoxystrobin, zhongshengmycin, thiodiazole copper, zinc thiazole, amectotractin, iprodione, N'-[5-bromo-2-methyl-6-[(1S)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methylseboctylamine, formamidine, N'-[5-bromo-2-methyl-6-[(1R)-1-methyl-2-propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-35 formamidine, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-chloro-2-methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-ethyl-N-methyl-formamidine, N'-[5-bromo-2methyl-6-(1-methyl-2-propoxy-ethoxy)-3-pyridyl]-N-isopropyl-N-methyl-formamidine (these compounds may

be prepared from the methods described in WO2015/155075); N'-[5-bromo-2-methyl-6-(2-propoxypropoxy)-3-

pyridyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in IPCOM000249876D); N-isopropyl-N'-[5-methoxy-2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenylethyl)phenyll-N-methyl-formamidine. N'-[4-(1-cyclopropyl-2.2.2-trifluoro-1-hydroxy-ethyl)-5-methoxy-2-methylphenyl]-N-isopropyl-N-methyl-formamidine (these compounds may be prepared from the methods described 5 WO2018/228896); N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifluoromethyl)oxetan-2-yl]phenyl]-N-methylformamidine, N-ethyl-N'-[5-methoxy-2-methyl-4-[(2-trifuoromethyl)tetrahydrofuran-2-yl]phenyl]-N-methylformamidine (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, N-[(1S)-1-benzyl-3-chloro-1-methylbut-3-enyl]-8-fluoro-quinoline-3-carboxamide, N-[(1R)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-10 quinoline-3-carboxamide. N-[(1S)-1-benzyl-3.3.3-trifluoro-1-methyl-propyll-8-fluoro-quinoline-3-carboxamide. N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-1,3-dimethylbutyl]-7,8-difluoro-quinoline-3-carboxamide, 8-fluoro-N-[(1R)-1-[(3-fluorophenyl)methyl]-1,3-dimethylbutyl]quinoline-3-carboxamide, 8-fluoro-N-[(1S)-1-[(3-fluorophenyl)methyl]-1,3-dimethyl-butyl]quinoline-3carboxamide, N-[(1R)-1-benzyl-1,3-dimethyl-butyl]-8-fluoro-quinoline-3-carboxamide, N-[(1S)-1-benzyl-1,3-15 dimethyl-butyll-8-fluoro-quinoline-3-carboxamide. N-((1R)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-N-((1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide quinoline-3-carboxamide, (these compounds may be prepared from the methods described in WO2017/153380); 1-(6,7dimethylpyrazolo[1,5-a]pyridin-3-yl)-4,4,5-trifluoro-3,3-dimethyl-isoguinoline. 1-(6.7-dimethylpyrazolo[1.5a]pyridin-3-yl)-4,4,6-trifluoro-3,3-dimethyl-isoquinoline, 4,4-difluoro-3,3-dimethyl-1-(6-methylpyrazolo[1,5-20 a]pyridin-3-yl)isoquinoline, 4.4-difluoro-3,3-dimethyl-1-(7-methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline, 1-(6chloro-7-methyl-pyrazolo[1,5-a]pyridin-3-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline (these compounds may be prepared from the methods described in WO2017/025510); 1-(4,5-dimethylbenzimidazol-1-yl)-4,4,5-trifluoro-3,3-dimethyl-isoquinoline, 1-(4,5-dimethylbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline, 6-chloro-4,4-difluoro-3,3-dimethyl-1-(4-methylbenzimidazol-1-yl)isoquinoline, 4,4-difluoro-1-(5-fluoro-4-methyl-25 benzimidazol-1-yl)-3,3-dimethyl-isoquinoline, 3-(4,4-difluoro-3,3-dimethyl-1-isoquinolyl)-7,8-dihydro-6Hcyclopenta[e]benzimidazole (these compounds may be prepared from the methods described in WO2016/156085); N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-N,2-dimethoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]cyclopropanecarboxamide, yl]phenyl]methyl]propanamide, N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-30 yl]phenyl]methyl]propanamide, 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]urea, 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea, N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]propanamide, 4,4-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-5,5-dimethyl-2-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]isoxazolidin-3-one, 35 yl]phenyl]methyl]isoxazolidin-3-one, ethyl 1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-N,N-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]pyrazole-4-carboxylate, yl]phenyl]methyl]-1,2,4-triazol-3-amine (these compounds may be prepared from the methods described in WO2017/055473, WO2017/055469, WO2017/093348 and WO2017/118689); 2-[6-(4-chlorophenoxy)-2WO 2024/100069

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(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol (this compound may be prepared from the methods WO2017/029179); 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3-pyridyl]-1-(1,2,4-triazol-1described vl)propan-2-ol (this compound may be prepared from the methods described in WO2017/029179); 3-[2-(1chlorocyclopropyl)-3-(2-fluorophenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO2016/156290); 3-[2-(1-chlorocyclopropyl)-3-(3-chloro-2-fluorophenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile (this compound may be prepared from the methods described in WO2016/156290); (4-phenoxyphenyl)methyl 2-amino-6-methyl-pyridine-3-carboxylate (this compound may be prepared from the methods described in WO2014/006945); 2,6-Dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrole-1,3,5,7(2H,6H)-tetrone (this compound may be prepared from the methods described in WO2011/138281) N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide; N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide; (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide (this compound may be prepared from the methods described in WO2018/153707); N'-(2-chloro-5-methyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine; N'-[2-chloro-4-(2-fluorophenoxy)-5-methyl-phenyl]-N-ethyl-N-methyl-formamidine (this compound may be prepared from the methods described in WO2016/202742); 2-(diffuoromethyl)-N-[(3S)-3-ethyl-1,1-dimethylindan-4-yllpyridine-3-carboxamide (this compound may be prepared from the methods described in (5-methyl-2-pyridyl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone, methylisoxazol-5-yl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone (these compounds may be prepared from the methods described in WO2017/220485); 2-oxo-N-propyl-2-[4-[5-(trifluoromethyl)-1,2,4oxadiazol-3-yl]phenyl]acetamide (this compound may be prepared from the methods described in WO2018/065414); ethyl 1-[[5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl]methyl]pyrazole-4-carboxylate (this compound may be prepared from the methods described in WO2018/158365); 2,2-difluoro-N-methyl-2-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]acetamide, N-I(E)-methoxviminomethyll-4-I5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide, N-[(Z)-methoxyiminomethyl]-4-[5-(trifluoromethyl)-1,2,4oxadiazol-3-yl]benzamide, N-[N-methoxy-methyl-carbonimidoyl]-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]benzamide (these compounds may be prepared from the methods described in WO2018/202428).

The compounds of the invention may also be used in combination with anthelmintic agents. Such anthelmintic agents include, compounds selected from the macrocyclic lactone class of compounds such as ivermectin, avermectin, abamectin, emamectin, eprinomectin, doramectin, selamectin, moxidectin, nemadectin and milbemycin derivatives as described in EP0357460, EP0444964 and EP0594291. Additional anthelmintic agents include semisynthetic and biosynthetic avermectin/milbemycin derivatives such as those described in US5,015,630, WO9415944 and WO9522552. Additional anthelmintic agents include the benzimidazoles such as albendazole, cambendazole, fenbendazole, flubendazole, mebendazole, oxfendazole, oxibendazole, parbendazole, and other members of the class. Additional anthelmintic agents include imidazothiazoles and tetrahydropyrimidines such as tetramisole, levamisole, pyrantel pamoate, oxantel or morantel. Additional anthelmintic agents include flukicides, such as triclabendazole and clorsulon and the cestocides, such as praziguantel and epsiprantel.

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The compounds of the invention may be used in combination with derivatives and analogues of the paraherquamide/marcfortine class of anthelmintic agents, as well as the antiparasitic oxazolines such as those disclosed in US5478855, US4639771 and DE-19520936.

The compounds of the invention may be used in combination with derivatives and analogues of the general class of dioxomorpholine antiparasitic agents as described in WO9615121 and also with anthelmintic active cyclic depsipeptides such as those described in WO9611945, WO9319053, WO9325543, EP0626375, EP0382173, WO9419334, EP0382173, and EP0503538.

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

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

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

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

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

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

PCT/EP2023/081044

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

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

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

Bactericides: chlortetracycline, oxytetracycline, streptomycin.

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

The following mixtures of the compounds of Formula (I) with active ingredients are preferred. The abbreviation "TX" means one compound selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below): a compound selected from the group of substances consisting of petroleum oils + TX, 1,1-bis(4chlorophenyl)-2-ethoxyethanol + TX, 2,4-dichlorophenyl benzenesulfonate + TX, 2-fluoro-N-methyl-N-1naphthylacetamide + TX, 4-chlorophenyl phenyl sulfone + TX, acetoprole + TX, aldoxycarb + TX, amidithion + TX, amidothioate + TX, amiton + TX, amiton hydrogen oxalate + TX, amitraz + TX, aramite + TX, arsenous oxide + TX, azobenzene + TX, azothoate + TX, benomyl + TX, benoxafos + TX, benzyl benzoate + TX, bixafen + TX, brofenvalerate + TX, bromocyclen + TX, bromophos + TX, bromopropylate + TX, buprofezin + TX, butocarboxim + TX, butoxycarboxim + TX, butylpyridaben + TX, calcium polysulfide + TX, camphechlor + TX, carbanolate + TX, carbophenothion + TX, cymiazole + TX, chinomethionat + TX, chlorbenside + TX, chlordimeform + TX, chlordimeform hydrochloride + TX, chlorfenethol + TX, chlorfenson + TX, chlorfensulfide + TX, chlorobenzilate + TX, chloromebuform + TX, chloromethiuron + TX, chloropropylate + TX, chlorothiophos + TX, cinerin I + TX, cinerin II + TX, cinerins + TX, closantel + TX, coumaphos + TX, crotamiton + TX, crotoxyphos + TX, cufraneb + TX, cyanthoate + TX, DCPM + TX, DDT + TX, demephion + TX, demephion-O + TX, demeton-O + TX, demeton-O-methyl + TX, demeton-O + TX, demeton-O-methyl + TX, demeton-S + TX, WO 2024/100069

demeton-S-methyl + TX, demeton-S-methylsulfon + TX, dichlofluanid + TX, dichlorvos + TX, dicliphos + TX, dienochlor + TX, dimefox + TX, dinex + TX, dinex-diclexine + TX, dinocap-4 + TX, dinocap-6 + TX, dinocton + TX, dinopenton + TX, dinosulfon + TX, dinoterbon + TX, dioxathion + TX, diphenyl sulfone + TX, disulfiram + TX, DNOC + TX, dofenapyn + TX, doramectin + TX, endothion + TX, eprinomectin + TX, ethoate-methyl + TX, 5 etrimfos + TX, fenazaflor + TX, fenbutatin oxide + TX, fenothiocarb + TX, fenpyrad + TX, fenpyroximate + TX, fenpyrazamine + TX, fenson + TX, fentrifanil + TX, flubenzimine + TX, flucycloxuron + TX, fluenetil + TX, fluorbenside + TX, FMC 1137 + TX, formetanate + TX, formetanate hydrochloride + TX, formparanate + TX, gamma-HCH + TX, glyodin + TX, halfenprox + TX, hexadecyl cyclopropanecarboxylate + TX, isocarbophos + TX, jasmolin I + TX, jasmolin II + TX, jodfenphos + TX, lindane + TX, malonoben + TX, mecarbam + TX, 10 mephosfolan + TX, mesulfen + TX, methacrifos + TX, methyl bromide + TX, metolcarb + TX, mexacarbate + TX, milbemycin oxime + TX, mipafox + TX, monocrotophos + TX, morphothion + TX, moxidectin + TX, naled + TX, 4-chloro-2-(2-chloro-2-methyl-propyl)-5-[(6-iodo-3-pyridyl)methoxy]pyridazin-3-one + TX, nifluridide + TX, nikkomycins + TX, nitrilacarb + TX, nitrilacarb 1:1 zinc chloride complex + TX, omethoate + TX, oxydeprofos + TX, oxydisulfoton + TX, pp'-DDT + TX, parathion + TX, permethrin + TX, phenkapton + TX, 15 phosalone + TX, phosfolan + TX, phosphamidon + TX, polychloroterpenes + TX, polynactins + TX, proclonol + TX, promacyl + TX, propoxur + TX, prothidathion + TX, prothoate + TX, pyrethrin I + TX, pyrethrin II + TX, pyrethrins + TX, pyridaphenthion + TX, pyrimitate + TX, quinalphos + TX, quintiofos + TX, R-1492 + TX, phosglycin + TX, rotenone + TX, schradan + TX, sebufos + TX, selamectin + TX, sophamide + TX, SSI-121 + TX, sulfiram + TX, sulfluramid + TX, sulfotep + TX, sulfur + TX, diflovidazin + TX, tau-fluvalinate + TX, TEPP + TX, terbam + TX, tetradifon + TX, tetrasul + TX, thiafenox + TX, thiocarboxime + TX, thiofanox + TX, 20 thiometon + TX, thioquinox + TX, thuringiensin + TX, triamiphos + TX, triarathene + TX, triazophos + TX, triazuron + TX, trifenofos + TX, trinactin + TX, vamidothion + TX, vaniliprole + TX, bethoxazin + TX, copper dioctanoate + TX, copper sulfate + TX, cybutryne + TX, dichlone + TX, dichlorophen + TX, endothal + TX, fentin + TX, hydrated lime + TX, nabam + TX, quinoclamine + TX, quinonamid + TX, simazine + TX, triphenyltin acetate + TX, triphenyltin hydroxide + TX, crufomate + TX, piperazine + TX, thiophanate + TX, chloralose + 25 TX, fenthion + TX, pyridin-4-amine + TX, strychnine + TX, 1-hydroxy-1H-pyridine-2-thione + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide + TX, 8-hydroxyquinoline sulfate + TX, bronopol + TX, copper hydroxide + TX, cresol + TX, dipyrithione + TX, dodicin + TX, fenaminosulf + TX, formaldehyde + TX, hydrargaphen + TX, kasugamycin + TX, kasugamycin hydrochloride hydrate + TX, nickel bis(dimethyldithiocarbamate) + TX, 30 nitrapyrin + TX, octhilinone + TX, oxolinic acid + TX, oxytetracycline + TX, potassium hydroxyquinoline sulfate + TX, probenazole + TX, streptomycin + TX, streptomycin sesquisulfate + TX, tecloftalam + TX, thiomersal + TX, Adoxophyes orana GV + TX, Agrobacterium radiobacter + TX, Amblyseius spp. + TX, Anagrapha falcifera NPV + TX, Anagrus atomus + TX, Aphelinus abdominalis + TX, Aphidius colemani + TX, Aphidoletes aphidimyza + TX, Autographa californica NPV + TX, Bacillus sphaericus Neide + TX, Beauveria brongniartii + 35 TX, Chrysoperla carnea + TX, Cryptolaemus montrouzieri + TX, Cydia pomonella GV + TX, Dacnusa sibirica + TX, Diglyphus isaea + TX, Encarsia formosa + TX, Eretmocerus eremicus + TX, Heterorhabditis bacteriophora and H. megidis + TX, Hippodamia convergens + TX, Leptomastix dactylopii + TX, Macrolophus caliginosus + TX, Mamestra brassicae NPV + TX, Metaphycus helvolus + TX, Metarhizium anisopliae var.

WO 2024/100069

PCT/EP2023/081044

acridum + TX, Metarhizium anisopliae var. anisopliae + TX, Neodiprion sertifer NPV and N. lecontei NPV + TX, Orius spp. + TX, Paecilomyces fumosoroseus + TX, Phytoseiulus persimilis + TX, Steinernema bibionis + TX. Steinernema carpocapsae + TX. Steinernema feltiae + TX. Steinernema glaseri + TX. Steinernema riobrave + TX, Steinernema riobravis + TX, Steinernema scapterisci + TX, Steinernema spp. + TX, 5 Trichogramma spp. + TX, Typhlodromus occidentalis + TX, Verticillium lecanii + TX, apholate + TX, bisazir + TX, busulfan + TX, dimatif + TX, hemel + TX, hempa + TX, metepa + TX, methyl apholate + TX, morzid + TX, penfluron + TX, tepa + TX, thiohempa + TX, thiotepa + TX, tretamine + TX, uredepa + TX, (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol + TX, (E)-tridec-4-en-1-yl acetate + TX, (E)-6-methylhept-2en-4-ol + TX, (E,Z)-tetradeca-4,10-dien-1-yl acetate + TX, (Z)-dodec-7-en-1-yl acetate + TX, (Z)-hexadec-11-10 enal + TX, (Z)-hexadec-11-en-1-yl acetate + TX, (Z)-hexadec-13-en-11-yn-1-yl acetate + TX, (Z)-icos-13-en-10-one + TX, (Z)-tetradec-7-en-1-al + TX, (Z)-tetradec-9-en-1-ol + TX, (Z)-tetradec-9-en-1-yl acetate + TX, (7E,9Z)-dodeca-7,9-dien-1-yl acetate + TX, (9Z,11E)-tetradeca-9,11-dien-1-yl acetate + TX, (9Z,12E)tetradeca-9,12-dien-1-yl acetate + TX, 14-methyloctadec-1-ene + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one + TX, alpha-multistriatin + TX, brevicomin + TX, codlelure + TX, codlemone + TX, cuelure + TX, 15 disparlure + TX, dodec-8-en-1-yl acetate + TX, dodec-9-en-1-yl acetate + TX, dodeca-8,10-dien-1-yl acetate + TX, dominicalure + TX, ethyl 4-methyloctanoate + TX, eugenol + TX, frontalin + TX, grandlure + TX, grandlure I + TX, grandlure II + TX, grandlure III + TX, grandlure IV + TX, hexalure + TX, ipsdienol + TX, ipsenol + TX, japonilure + TX, lineatin + TX, litlure + TX, looplure + TX, medlure + TX, megatomoic acid + TX, methyl eugenol + TX, muscalure + TX, octadeca-2,13-dien-1-yl acetate + TX, octadeca-3,13-dien-1-yl acetate + TX, orfralure + TX, oryctalure + TX, ostramone + TX, siglure + TX, sordidin + TX, sulcatol + TX, tetradec-11-en-1-yl acetate 20 + TX, trimedlure + TX, trimedlure A + TX, trimedlure B<sub>1</sub> + TX, trimedlure B<sub>2</sub> + TX, trimedlure C + TX, trunc-call + TX, 2-(octylthio)ethanol + TX, butopyronoxyl + TX, butoxy(polypropylene glycol) + TX, dibutyl adipate + TX, dibutyl phthalate + TX, dibutyl succinate + TX, diethyltoluamide + TX, dimethyl carbate + TX, dimethyl phthalate + TX, ethyl hexanediol + TX, hexamide + TX, methoquin-butyl + TX, methylneodecanamide + TX, oxamate + 25 TX, picaridin + TX, 1-dichloro-1-nitroethane + TX, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane + TX, 1,2dichloropropane with 1,3-dichloropropene + TX, 1-bromo-2-chloroethane + TX, 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate + TX, 2,2-dichlorovinyl 2-ethylsulfinylethyl methyl phosphate + TX, 2-(1,3-dithiolan-2yl)phenyl dimethylcarbamate + TX, 2-(2-butoxyethoxy)ethyl thiocyanate + TX, 2-(4,5-dimethyl-1,3-dioxolan-2yl)phenyl methylcarbamate + TX, 2-(4-chloro-3,5-xylyloxy)ethanol + TX, 2-chlorovinyl diethyl phosphate + TX, 30 2-imidazolidone + TX, 2-isovalerylindan-1,3-dione + TX, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate + TX, 2-thiocyanatoethyl laurate + TX, 3-bromo-1-chloroprop-1-ene + TX, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate + TX, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate + TX, 5,5-dimethyl-3oxocyclohex-1-enyl dimethylcarbamate + TX, acethion + TX, acrylonitrile + TX, aldrin + TX, allosamidin + TX, allyxycarb + TX, alpha-ecdysone + TX, aluminium phosphide + TX, aminocarb + TX, anabasine + TX, 35 athidathion + TX, azamethiphos + TX, Bacillus thuringiensis delta endotoxins + TX, barium hexafluorosilicate + TX, barium polysulfide + TX, barthrin + TX, Bayer 22/190 + TX, Bayer 22408 + TX, beta-cyfluthrin + TX, beta-cypermethrin + TX, bioethanomethrin + TX, biopermethrin + TX, bis(2-chloroethyl) ether + TX, borax + TX, bromfenvinfos + TX, bromo-DDT + TX, bufencarb + TX, butacarb + TX, butathiofos + TX, butonate + TX,

calcium arsenate + TX, calcium cyanide + TX, carbon disulfide + TX, carbon tetrachloride + TX, cartap hydrochloride + TX, cevadine + TX, chlorbicyclen + TX, chlordane + TX, chlordecone + TX, chloroform + TX, chloropicrin + TX, chlorphoxim + TX, chlorprazophos + TX, cis-resmethrin + TX, cismethrin + TX, clocythrin + TX, copper acetoarsenite + TX, copper arsenate + TX, copper oleate + TX, coumithoate + TX, cryolite + TX, 5 CS 708 + TX, cyanofenphos + TX, cyanophos + TX, cyclethrin + TX, cythioate + TX, d-tetramethrin + TX, DAEP + TX, dazomet + TX, decarbofuran + TX, diamidafos + TX, dicapthon + TX, dichlofenthion + TX, dicresyl + TX, dicyclanil + TX, dieldrin + TX, diethyl 5-methylpyrazol-3-yl phosphate + TX, dilor + TX, dimefluthrin + TX, dimetan + TX, dimethrin + TX, dimethylvinphos + TX, dimetilan + TX, dinoprop + TX, dinosam + TX, dinoseb + TX, diofenolan + TX, dioxabenzofos + TX, dithicrofos + TX, DSP + TX, ecdysterone + TX, EI 1642 + TX, 10 EMPC + TX, EPBP + TX, etaphos + TX, ethiofencarb + TX, ethyl formate + TX, ethylene dibromide + TX, ethylene dichloride + TX, ethylene oxide + TX, EXD + TX, fenchlorphos + TX, fenethacarb + TX, fenitrothion + TX, fenoxacrim + TX, fenpirithrin + TX, fensulfothion + TX, fenthion-ethyl + TX, flucofuron + TX, fosmethilan + TX, fospirate + TX, fosthietan + TX, furathiocarb + TX, furethrin + TX, guazatine + TX, guazatine acetates + TX, sodium tetrathiocarbonate + TX, halfenprox + TX, HCH + TX, HEOD + TX, heptachlor + TX, heterophos + 15 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-20 potassium + TX, metam-sodium + TX, methanesulfonyl fluoride + TX, methocrotophos + TX, methoprene + TX, methothrin + TX, methoxychlor + TX, methyl isothiocyanate + TX, methylchloroform + TX, methylene chloride + TX, metoxadiazone + TX, mirex + TX, naftalofos + TX, naphthalene + TX, NC-170 + TX, nicotine + TX, nicotine sulfate + TX, nithiazine + TX, nornicotine + TX, O-5-dichloro-4-iodophenyl O-ethyl ethylphosphonothioate + TX, O,O-diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate + TX, O,Odiethyl O-6-methyl-2-propylpyrimidin-4-yl phosphorothioate + TX, O,O,O',O'-tetrapropyl dithiopyrophosphate + 25 TX, oleic acid + TX, para-dichlorobenzene + TX, parathion-methyl + TX, pentachlorophenol + TX, pentachlorophenyl laurate + TX, PH 60-38 + TX, phenkapton + TX, phosnichlor + TX, phosphine + TX, phoximmethyl + TX, pirimetaphos + TX, polychlorodicyclopentadiene isomers + TX, potassium arsenite + TX, potassium thiocyanate + TX, precocene I + TX, precocene II + TX, precocene III + TX, primidophos + TX, 30 profluthrin + TX, promecarb + TX, prothiofos + TX, pyrazophos + TX, pyresmethrin + TX, quassia + TX, quinalphos-methyl + TX, quinothion + TX, rafoxanide + TX, resmethrin + TX, rotenone + TX, kadethrin + TX, ryania + TX, ryanodine + TX, sabadilla) + TX, schradan + TX, sebufos + TX, SI-0009 + TX, thiapronil + TX, sodium arsenite + TX, sodium cyanide + TX, sodium fluoride + TX, sodium hexafluorosilicate + TX, sodium pentachlorophenoxide + TX, sodium selenate + TX, sodium thiocyanate + TX, sulcofuron + TX, sulcofuron-35 sodium + TX, sulfuryl fluoride + TX, sulprofos + TX, tar oils + TX, tazimcarb + TX, TDE + TX, tebupirimfos + TX, temephos + TX, terallethrin + TX, tetrachloroethane + TX, thicrofos + TX, thiocyclam + TX, thiocyclam hydrogen oxalate + TX, thionazin + TX, thiosultap + TX, thiosultap-sodium + TX, tralomethrin + TX, transpermethrin + TX, triazamate + TX, trichlormetaphos-3 + TX, trichloronat + TX, trimethacarb + TX,

tolprocarb + TX, triclopyricarb + TX, triprene + TX, veratridine + TX, veratrine + TX, XMC + TX, zetamethrin + TX, zinc phosphide + TX, zolaprofos + TX, and meperfluthrin + TX, tetramethylfluthrin + TX, bis(tributyltin) oxide + TX, bromoacetamide + TX, ferric phosphate + TX, niclosamide-olamine + TX, tributyltin oxide + TX. pyrimorph + TX, trifenmorph + TX, 1,2-dibromo-3-chloropropane + TX, 1,3-dichloropropene + TX, 3,4-5 dichlorotetrahydrothiophene 1,1-dioxide + TX, 3-(4-chlorophenyl)-5-methylrhodanine + TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid + TX, 6-isopentenylaminopurine + TX, 2-fluoro-N-(3-methoxyphenyl)-9Hpurin-6-amine + TX, benclothiaz + TX, cytokinins + TX, DCIP + TX, furfural + TX, isamidofos + TX, kinetin + TX, Myrothecium verrucaria composition + TX, tetrachlorothiophene + TX, xylenols + TX, zeatin + TX, potassium ethylxanthate + TX, acibenzolar + TX, acibenzolar-S-methyl + TX, Reynoutria sachalinensis extract 10 + TX, alpha-chlorohydrin + TX, antu + TX, barium carbonate + TX, bisthiosemi + TX, brodifacoum + TX, bromadiolone + TX, bromethalin + TX, chlorophacinone + TX, cholecalciferol + TX, coumachlor + TX, coumafuryl + TX, coumatetralyl + TX, crimidine + TX, difenacoum + TX, difethialone + TX, diphacinone + TX, ergocalciferol + TX, flocoumafen + TX, fluoroacetamide + TX, flupropadine + TX, flupropadine hydrochloride + TX, norbormide + TX, phosacetim + TX, phosphorus + TX, pindone + TX, pyrinuron + TX, scilliroside + TX, 15 sodium fluoroacetate + TX, thallium sulfate + TX, warfarin + TX, 2-(2-butoxyethoxy)ethyl piperonylate + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone + TX, farnesol with nerolidol + TX, verbutin + TX, MGK 264 + TX, piperonyl butoxide + TX, piprotal + TX, propyl isomer + TX, S421 + TX, sesamex + TX, sesasmolin + TX, sulfoxide + TX, anthraquinone + TX, copper naphthenate + TX, copper oxychloride + TX, dicyclopentadiene + TX, thiram + TX, zinc naphthenate + TX, ziram + TX, imanin + TX, ribavirin + TX, mercuric oxide + TX, 20 thiophanate-methyl + TX, azaconazole + TX, bitertanol + TX, bromuconazole + TX, cyproconazole + TX, difenoconazole + TX, diniconazole + TX, epoxiconazole + TX, fenbuconazole + TX, fluquinconazole + TX, flusilazole + TX, flutriafol + TX, furametpyr + TX, hexaconazole + TX, imazalil + TX, imibenconazole + TX, ipconazole + TX, metconazole + TX, myclobutanil + TX, paclobutrazole + TX, pefurazoate + TX, penconazole + TX, prothioconazole + TX, pyrifenox + TX, prochloraz + TX, propiconazole + TX, pyrisoxazole + TX, simeconazole + TX, tebuconazole + TX, tetraconazole + TX, triadimefon + TX, triadimenol + TX, triflumizole + 25 TX, triticonazole + TX, ancymidol + TX, fenarimol + TX, nuarimol + TX, bupirimate + TX, dimethirimol + TX, ethirimol + TX, dodemorph + TX, fenpropidin + TX, fenpropimorph + TX, spiroxamine + TX, tridemorph + TX, cyprodinil + TX, mepanipyrim + TX, pyrimethanil + TX, fenpiclonil + TX, fludioxonil + TX, benalaxyl + TX, furalaxyl + TX, metalaxyl -+ TX, Rmetalaxyl + TX, ofurace + TX, oxadixyl + TX, carbendazim + TX, debacarb 30 + TX, fuberidazole + TX, thiabendazole + TX, chlozolinate + TX, dichlozoline + TX, myclozoline + TX, procymidone + TX, vinclozoline + TX, boscalid + TX, carboxin + TX, fenfuram + TX, flutolanil + TX, mepronil + TX, oxycarboxin + TX, penthiopyrad + TX, thifluzamide + TX, dodine + TX, iminoctadine + TX, azoxystrobin + TX, dimoxystrobin + TX, enestroburin + TX, fenaminstrobin + TX, flufenoxystrobin + TX, fluoxastrobin + TX, kresoxim-methyl + TX, metominostrobin + TX, trifloxystrobin + TX, orysastrobin + TX, picoxystrobin + TX, 35 pyraclostrobin + TX, pyrametostrobin + TX, pyraoxystrobin + TX, ferbam + TX, mancozeb + TX, maneb + TX, metiram + TX, propineb + TX, zineb + TX, captafol + TX, captan + TX, fluoroimide + TX, folpet + TX, tolylfluanid + TX, bordeaux mixture + TX, copper oxide + TX, mancopper + TX, oxine-copper + TX, nitrothal-isopropyl + TX, edifenphos + TX, iprobenphos + TX, phosdiphen + TX, tolclofos-methyl + TX, anilazine + TX,

benthiavalicarb + TX, blasticidin-S + TX, chloroneb + TX, chlorothalonil + TX, cyflufenamid + TX, cymoxanil + TX, cyclobutrifluram + TX, diclocymet + TX, diclomezine + TX, dicloran + TX, diethofencarb + TX, dimethomorph + TX, flumorph + TX, dithianon + TX, ethaboxam + TX, etridiazole + TX, famoxadone + TX. fenamidone + TX, fenoxanil + TX, ferimzone + TX, fluazinam + TX, fluopicolide + TX, flusulfamide + TX, 5 fluxapyroxad + TX, fenhexamid + TX, fosetyl-aluminium + TX, hymexazol + TX, iprovalicarb + TX, cyazofamid + TX, methasulfocarb + TX, metrafenone + TX, pencycuron + TX, phthalide + TX, polyoxins + TX, propamocarb + TX, pyribencarb + TX, proquinazid + TX, pyroquilon + TX, pyriofenone + TX, quinoxyfen + TX, quintozene + TX, tiadinil + TX, triazoxide + TX, tricyclazole + TX, triforine + TX, validamycin + TX, valifenalate + TX, zoxamide + TX, mandipropamid + TX, flubeneteram + TX, isopyrazam + TX, sedaxane + TX, benzovindiflupyr 10 + 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-dioxopyrrolo[4,5][1,4]dithiino[1,2-c]isothiazole-3-carbonitrile + TX, 2-(difluoromethyl)-N-[3-ethyl-1,1-dimethyl-indan-4-yl]pyridine-3-carboxamide + TX, 4-(2,6-difluorophenyl)-6-methyl-5-phenyl-pyridazine-3-carbonitrile + TX, (R)-3-(difluoromethyl)-1-methyl-N-[1,1,3-trimethylindan-4-yl]pyrazole-4-carboxamide + TX, 4-(2-bromo-4-15 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, Ivbenmixianan + TX, dichlobentiazox + TX, mandestrobin + TX, 3-(4,4-difluoro-3,4dihydro-3,3-dimethylisoquinolin-1-yl)quinolone TX. 2-[2-fluoro-6-[(8-fluoro-2-methyl-3quinolyl)oxy]phenyl]propan-2-ol + TX, oxathiapiprolin + TX, tert-butyl N-[6-[[[(1-methyltetrazol-5-yl)-phenyl-20 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-4yl]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-[3,5bis(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]-2-25 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-(4chlorophenyl)pyrazol-3-yl]oxymethyl]-3-methyl-phenyl]-4-methyl-tetrazol-5-one + TX, 1-methyl-4-[3-methyl-2-30 [[2-methyl-4-(3,4,5-trimethylpyrazol-1-yl)phenoxy]methyl]phenyl]tetrazol-5-one + TX, aminopyrifen + TX, ametoctradin + TX, amisulbrom + TX, penflufen + TX, (Z,2E)-5-[1-(4-chlorophenyl)pyrazol-3-yl]oxy-2methoxyimino-N,3-dimethyl-pent-3-enamide + TX, florylpicoxamid + TX, fenpicoxamid + TX, tebufloquin + TX, ipflufenoquin + 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)-35 1-methyl-pyrazole-4-carboxamide + TX, benzothiostrobin + TX, phenamacril + TX, 5-amino-1,3,4-thiadiazole-2-thiol zinc salt (2:1) + TX, fluopyram + TX, 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-

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WO 2024/100069 PCT/EP2023/081044

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hydroxy-3-(1,2,4-triazol-1-yl)propyl]-3-pyridyl]oxy]benzonitrile + TX, metyltetraprole + TX,  $\alpha$ - (1,1dimethylethyl)- \( \alpha \)- [4'- (trifluoromethoxy) [1, 1'-biphenyl]-4-yl] -5- pyrimidinemethanol + TX, fluoxapiprolin + TX, 4-[[6-[2-(2.4-difluorophenyl)-1.1-difluoro-2-hydroxy-3-(1.2.4-triazol-1-yl)propyl]-3enoxastrobin pyridyl]oxy] benzonitrile + TX, 4-[[6-[2-(2,4-difluorophenyl)-1,1-difluoro-2-hydroxy-3-(5-sulfanyl-1,2,4-triazol-1yl)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)ethyllethane-1,2-diamine + TX: N'-[5-bromo-2-methyl-6-[(1S)-1-methyl-2propoxy-ethoxy]-3-pyridyl]-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-[(1R)-1-methyl-2propoxy-ethoxyl-3-pyridyll-N-ethyl-N-methyl-formamidine + TX, N'-[5-bromo-2-methyl-6-(1-methyl-2-propoxyethoxy)-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)-3pyridyl]-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-methylformamidine + TX (this compound may be prepared from the methods described in IPCOM000249876D); Nisopropyl-N'-[5-methoxy-2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenyl-ethyl)phenyl]-N-methyl-formamidine+ N'-[4-(1-cyclopropyl-2,2,2-trifluoro-1-hydroxy-ethyl)-5-methoxy-2-methyl-phenyl]-N-isopropyl-N-methylformamidine + TX (these compounds may be prepared from the methods described in WO2018/228896); Nethyl-N'-[5-methoxy-2-methyl-4-[2-trifluoromethyl)oxetan-2-yl]phenyl]-N-methyl-formamidine + TX, N-ethyl-N'-[5-methoxy-2-methyl-4-[2-trifuoromethyl)tetrahydrofuran-2-yl]phenyl]-N-methyl-formamidine + TX (these compounds may be prepared from the methods described in WO2019/110427); N-[(1R)-1-benzyl-3-chloro-1methyl-but-3-enyl]-8-fluoro-quinoline-3-carboxamide + TX, N-[(1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl]-8fluoro-quinoline-3-carboxamide + TX, N-[(1R)-1-benzyl-3,3,3-trifluoro-1-methyl-propyl]-8-fluoro-quinoline-3carboxamide + 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] dimethyl-butyl]-7,8-difluoro-quinoline-3-carboxamide + TX, 8-fluoro-N-[(1R)-1-[(3-fluorophenyl)methyl]-1,3dimethyl-butyl]quinoline-3-carboxamide + TX, 8-fluoro-N-[(1S)-1-[(3-fluorophenyl)methyl]-1,3-dimethylbuty[]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-1methyl-but-3-enyl)-8-fluoro-quinoline-3-carboxamide + TX, N-((1S)-1-benzyl-3-chloro-1-methyl-but-3-enyl)-8fluoro-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-3,3dimethyl-1-(6-methylpyrazolo[1,5-a]pyridin-3-yl)isoguinoline + TX, 4,4-difluoro-3,3-dimethyl-1-(7methylpyrazolo[1,5-a]pyridin-3-yl)isoquinoline + TX, 1-(6-chloro-7-methyl-pyrazolo[1,5-a]pyridin-3-yl)-4,4difluoro-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-isoguinoline + TX, 1-(4,5dimethylbenzimidazol-1-yl)-4,4-difluoro-3,3-dimethyl-isoquinoline + TX, 6-chloro-4,4-difluoro-3,3-dimethyl-1-

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in WO2018/065414);

ethyl

(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-

in WO2016/156085); N-methoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]cyclopropanecarboxamide + TX, N,2-dimethoxy-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]propanamide + TX, N-ethyl-2-methyl-N-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]propanamide + TX, 1-methoxy-3-methyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]urea + TX, 1,3-dimethoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + 3-ethyl-1-methoxy-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methyl]urea + TX, (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)-1,2,4oxadiazol-3-yl]phenyl]methyl]isoxazolidin-3-one + TX, ethyl 1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3vl]phenyl]methyl]pyrazole-4-carboxylate + TX, N,N-dimethyl-1-[[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3yl]phenyl]methyl]-1,2,4-triazol-3-amine + TX. The compounds in this paragraph may be prepared from the methods described in WO2017/055473, WO2017/055469, WO2017/093348 and WO2017/118689; 2-[6-(4chlorophenoxy)-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 WO2017/029179); 2-[6-(4-bromophenoxy)-2-(trifluoromethyl)-3pyridyl]-1-(1,2,4-triazol-1-yl)propan-2-ol + TX (this compound may be prepared from the methods described in WO2017/029179); 3-[2-(1-chlorocyclopropyl)-3-(2-fluorophenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile + TX (this compound may be prepared from the methods described in WO2016/156290); 3-[2-(1chlorocyclopropyl)-3-(3-chloro-2-fluoro-phenyl)-2-hydroxy-propyl]imidazole-4-carbonitrile ΤX prepared the methods described in WO2016/156290); (4-(this compound may be from phenoxyphenyl)methyl 2-amino-6-methyl-pyridine-3-carboxylate + TX (this compound may be prepared from methods described in WO2014/006945); 2,6-Dimethyl-1H,5H-[1,4]dithiino[2,3-c:5,6-c']dipyrrolethe 1,3,5,7(2H,6H)-tetrone + TX (this compound may be prepared from the methods described in WO2011/138281); N-methyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzenecarbothioamide + Nmethyl-4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]benzamide + TX; (Z,2E)-5-[1-(2,4-dichlorophenyl)pyrazol-3yl]oxy-2-methoxyimino-N,3-dimethyl-pent-3-enamide + TX (this compound may be prepared from the methods described in WO2018/153707); N'-(2-chloro-5-methyl-4-phenoxy-phenyl)-N-ethyl-N-methyl-formamidine + TX; N'-[2-chloro-4-(2-fluorophenoxy)-5-methyl-phenyl]-N-ethyl-N-methyl-formamidine + TX (this compound may be prepared from the methods described in WO2016/202742); 2-(difluoromethyl)-N-[(3S)-3-ethyl-1,1-dimethylindan-4-yl]pyridine-3-carboxamide + TX (this compound may be prepared from the methods described in WO2014/095675); (5-methyl-2-pyridyl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone + TX, (3methylisoxazol-5-yl)-[4-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]phenyl]methanone + TX (these compounds may be prepared from the methods described in WO2017/220485); 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

carboxylate + TX (this compound may be prepared from the methods described in WO2018/158365); 2,2-

1-[[5-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl]methyl]pyrazole-4-

WO 2024/100069

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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-[N-methoxy-C-methyl-carbonimidoyl]-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 WO2018/202428), chloroinconazide + TX, flumetylsulforim + TX, fluoxytioconazole + TX, flufenoxadiazam +TX, metarylpicoxamid +TX.

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

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

The active ingredient mixture of the compounds selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) is preferably in a mixing ratio of from 100:1 to 1:100, 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, and still more especially from 5:1 to 1:5 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 selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below), and one or more active ingredients as described above can be applied, for example, in a single "ready-mix" form, in a combined spray mixture composed from separate formulations of the single active ingredient components, such as a "tank-mix", and in a combined use of the single active ingredients when applied in a sequential manner, i.e. one after the other with a reasonably short period, such as a few hours or

days. The order of applying a compound as selected from compounds of formula (I), or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) and the active ingredient(s) 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.
- Another aspect of the invention is related to the use of a compound of formula (I) according to the invention or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below), of a composition comprising at least one compound of formula (I) or at least one preferred individual compound as defined herein, or of a fungicidal or insecticidal mixture comprising at least one compound of formula (I) or at least one preferred individual compound as defined herein, in admixture with other fungicides or insecticides as described above, for controlling or preventing infestation of plants, e.g. useful plants such as crop plants, propagation material thereof, e.g. seeds, harvested crops, e.g. harvested food crops, or non-living materials by insects or by phytopathogenic microorganisms, preferably fungal organisms.
  - A further aspect of invention is related to a method of controlling or preventing an infestation of plants, e.g. useful plants such as crop plants, propagation material thereof, e.g. seeds, harvested crops, e.g. harvested food crops, or of non-living materials by phytopathogenic or spoilage microorganisms or organisms potentially harmful to man, especially fungal organisms, which comprises the application of a compound of formula (I) according to the invention or of a preferred individual compound as defined herein, or compounds as listed in Table A-1 to A-22 or compounds selected from compounds I.a.2, I.a.3, I.a.4, I.c.2, I.s.2, I.c.3 or I.s.3 listed in Table P (below) as active ingredient to the plants, to parts of the plants or to the locus thereof, to the propagation material thereof, or to any part of the non-living materials.

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- Controlling or preventing means reducing infestation by insects or by phytopathogenic or spoilage microorganisms or organisms potentially harmful to man, especially fungal organisms, to such a level that an improvement is demonstrated.
- A preferred method of controlling or preventing an infestation of crop plants by phytopathogenic microorganisms, especially fungal organisms, or insects which comprises the application of a compound of

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formula (I) according to the invention, or an agrochemical composition which contains at least one compound of formula (I), is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen or insect. However, the compounds of formula (I) according to the invention can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula (I) may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

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

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

The term "g a.i./ha" as used herein refer to the application rate given in gram [g] of active ingredient [a.i.] per unit of surface [ha]. The unit hectare (symbol ha) is the metric unit of area that equals a square with 100 m side (1 hm²) or 10,000 square meters. Hectare is a commonly used unit of area in the metric system.

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

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

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

Such compositions may be produced in conventional manner, e.g., by mixing the active ingredients with appropriate formulation inerts (diluents, solvents, fillers and optionally other formulating ingredients such as surfactants, biocides, anti-freeze, stickers, thickeners and compounds that provide adjuvancy effects). Also conventional slow release formulations may be employed where long lasting efficacy is intended. Particularly

formulations to be applied in spraying forms, such as water dispersible concentrates (e.g. EC, SC, DC, OD, SE, EW, EO and the like), wettable powders and granules, may contain surfactants such as wetting and dispersing agents and other compounds that provide adjuvancy effects, e.g. the condensation product of formaldehyde with naphthalene sulphonate, an alkylarylsulphonate, a lignin sulphonate, a fatty alkyl sulphate, and ethoxylated alkylphenol and an ethoxylated fatty alcohol.

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

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

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

The 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.

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

### Emulsifiable concentrates:

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 %

30 <u>Dusts</u>:

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

**Granules**:

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

The disclosure in the present application makes available each and every combination of embodiments disclosed herein.

The compounds according to the following Tables A-1 to A-22 may 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 any of Tables A-1 to A-22 below, the presence of one or more possible asymmetric carbon atoms in a compound of formula (I) according to the invention means that the compounds may occur in chiral isomeric forms, i.e., enantiomeric or diastereomeric forms.

The examples which follow are intended to illustrate the invention and show preferred compounds of formula (I).

Table 1 discloses substituent definitions for R<sup>1</sup> and R<sup>2</sup> of the formula (I) according to the invention.

20 Table 1: substituent definitions for R<sup>1</sup> and R<sup>2</sup> of the formula (I) according to the invention

Compound No.	R <sup>2</sup>	R <sup>3</sup>
1	Н	Н
2	Н	CI
3	CI	Н
4	CI	CI

Table A-1 to A-22 disclose specific compounds of the invention of formula (I)

Table A-1: This table provides 4 compounds of formula (I.a),

Table A-2: This table provides 4 compounds of formula (I.b):

5 wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-3:</u> This table provides 4 compounds of formula (l.c):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

Table A-4: This table provides 4 compounds of formula (I.d):

$$CH_3$$
 $CH_3$ 
 $CH_3$ 
 $CH_3$ 
 $CH_3$ 
 $R^2$ 
 $CH_3$ 
 $R^3$ 
 $R^3$ 

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

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Table A-5: This table provides 4 compounds of formula (I.e):

$$H_3C$$
 $CH_3$ 
 $CH_3$ 

Table A-6: This table provides 4 compounds of formula (I.f):

$$CH_3$$
 $CH_3$ 
 $CH_3$ 

5 wherein  $R^2$  and  $R^3$  are as defined in Table 1.

Table A-7: This table provides 4 compounds of formula (I.g):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

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Table A-8: This table provides 4 compounds of formula (l.h):

Table A-9: This table provides 4 compounds of formula (I.i):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

5 <u>Table A-10:</u> This table provides 4 compounds of formula (I.j):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-11:</u> This table provides 4 compounds of formula (l.k):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-12:</u> This table provides 4 compounds of formula (I.m):

Table A-13: This table provides 4 compounds of formula (l.n):

5 wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

Table A-14: This table provides 4 compounds of formula (I.o):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-15:</u> This table provides 4 compounds of formula (I.p):

$$CH_3$$
 $CH_3$ 
 $CH_3$ 

wherein  $R^2$  and  $R^3$  are as defined in Table 1.

Table A-16: This table provides 4 compounds of formula (I.q):

5 wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-17:</u> This table provides 4 compounds of formula (l.r):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

Table A-18: This table provides 4 compounds of formula (l.s):

$$H_3C$$
 $CH_3$ 
 $CH_3$ 

Table A-19: This table provides 4 compounds of formula (l.t):

$$CH_3$$
 $CH_3$ 
 $CH_3$ 

5 wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-20:</u> This table provides 4 compounds of formula (l.u):

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

Table A-21: This table provides 4 compounds of formula (I.v):

WO 2024/100069

wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

<u>Table A-22:</u> This table provides 4 compounds of formula (I.w):

$$H_3C$$
 $CH_3$ 
 $CH_3$ 

5 wherein R<sup>2</sup> and R<sup>3</sup> are as defined in Table 1.

### **EXAMPLES**

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

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

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

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

<sup>1</sup>H NMR measurements were recorded on a Bruker 400MHz spectrometer, chemical shifts are given in ppm relevant to a TMS (<sup>1</sup>H) standard. Spectra measured in deuterated solvents as indicated. Either one of the LCMS methods below was used to characterize the compounds. The characteristic LCMS values obtained for each compound were the retention time ("Rt", recorded in minutes) and the measured molecular ion (M+H)<sup>+</sup> or (M-H)<sup>-</sup>.

### **LC-MS methods**

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LCMS Method 1: Spectra were recorded on a Mass Spectrometer from Waters (SQD2 or QDA Single quadrupole mass spectrometer) equipped with an electrospray source (Polarity: Positive and Negative Polarity Switch), Capillary: 0.8-3.00 kV, Cone range: 25 Source Temperature: 120-150°C, Desolvation Temperature: 500-600°C, Cone Gas Flow: 50 L/h, Desolvation Gas Flow: 1000 L/h, Mass range: 110 to 850 Da) and an Acquity UPLC from Waters: Quaternary solvent manager, heated column compartment, diode-array detector. Column: Acquity UPLC HSS T3 C18, 1.8 μm, 30 x 2.1 mm, Temp: 40 °C, DAD Wavelength range (nm): 200 to 400, Solvent Gradient: A = water + 5% Acetonitrile + 0.1 % HCOOH, B= Acetonitrile + 0.05 % HCOOH: gradient: 0 min 10% B; 0.-0.2 min 10-50% B; 0.2-0.6 min 50-100% B; 0.6-1.3 min 100% B; 1.3-1.4 min 100-10% B; Flow (mL/min) 0.6.

LCMS Method 2: Spectra were recorded on a Mass Spectrometer from Agilent Technologies (6410 Triple Quadrupole mass spectrometer) equipped with an electrospray source (Polarity: positive or negative ions, MS2 Scan, Capillary: 4.00 kV, Fragmentor: 100 V, Desolvation Temperature: 350°C, Gas Flow: 11 L/min, Nebulizer Gas: 45 psi, Mass range: 110 to 1000 Da) and a 1200 Series HPLC from Agilent: quaternary pump, heated column compartment and VWD detector. Column: KINETEX EVO C18, 2.6 μm, 50 x 4.6 mm, Temp: 40 °C, Detector VWD Wavelength: 254 nm, Solvent Gradient: A = water + 5% Acetonitrile + 0.1 % HCOOH, B= Acetonitrile + 0.1 % HCOOH: gradient: 0 min 10% B, 90%A; 0.9-1.8 min 100% B; 1.8-2.2 min 100-10% B; 2.2-2.5 min 10%B; Flow (mL/min) 1.8.

# Formulation Examples

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

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

35 Powders for dry seed treatment a) b) c) active ingredients 25 % 50 % 75 %

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 light mineral oil
 5 %
 5 %
 5 %

 highly dispersed silicic acid
 5 %
 5 %

 Kaolin
 65 %
 40 %

 Talcum
 20 %

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

### Emulsifiable concentrate

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

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

 Dusts
 a)
 b)
 c)

 Active ingredients
 5 %
 6 %
 4 %

 Talcum
 95 %

 Kaolin
 94 %

 mineral filler
 96 %

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

## Extruder granules

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	Active ingredients	15 %
25	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.

## 30 <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.

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#### Suspension concentrate

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

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

## Flowable concentrate for seed treatment

	active ingredients	40 %
15	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 %
20	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 flowable concentrate from which solutions of any desired dilution can be obtained by dilution with water, that can be used directly for seed treatment.

## 25 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

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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.

## 5 **ABBREVIATIONS**

BOC-ALA-OH N-(tert-Butoxycarbonyl)-L-alanine or Boc-L-alanine

CDCl3 deuterated chloroform

DCM dichloromethane
DMSO dimethyl sulfoxide

10 DMSO-d6 deuterated Dimethyl sulfoxide

ee enantiomeric excess

EtOAc ethylacetate

HPLC high performance liquid chromatography

hr/hrs hour/hours

15 LCMS Liquid Chromatography Mass Spectrometry (LC-MS or LCMS)

ppm parts per million

PYBOP benzotriazol-1-yloxytripyrrolidinophosphonium hexafluorophosphate

rh relative humidity

rt room temperature (rt or RT)

20 Rt retention time

ssp. subspecies

THF tetrahydrofurane

MTBE methyl tert-butyl ether or tert-butyl methyl ether (TBME)

UV Ultraviolet

## 25 **PREPARATORY EXAMPLES**

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

**Example P1:** This example illustrates the preparation of [2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (Compound Le 2-Table P)

30 I.s.3, Table P)

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$$H_3C$$
 $CH_3$ 
 $CH_3$ 

(Compound I.s.3, Table P)

### a) Preparation of 1-(3-chloro-2-pyridyl)propan-2-one

To a solution of 3-chloro-2-methyl-pyridine (6 g, 47.03 mmol) in THF (1.4 mL/mmol) at -78 °C was added dropwise a solution of butyllithium (1.1 equiv., 51.736 mmol, 1.6 mol/L). The mixture was stirred for 90 min at -78 °C. *N,N*-dimethylacetamide (1 equiv., 47.03 mmol) was added dropwise and the reaction was allowed to warm up to rt and stirring was continued for a further 2 h. A solution of water and hydrochloric acid (37% aqueous, 4.6 mL, 0.061 mL/mmol) was slowly added (mixture became yellow) and stirring was continued for another 30 minutes. EtOAc and brine were added. The aqueous layer was collected then washed with EtOAc twice. The combined organic layers were washed with brine and dried over Na<sub>2</sub>SO<sub>4</sub>. The solvent was removed under vacuo (carefully because the product is volatile), then the crude mixture was purified through silica gel to give 1-(3-chloro-2-pyridyl)propan-2-one (5.8g, 34 mmol, 73%)

LCMS: retention time 0.66 min, m/z 170.1 [M+H+]

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>-d) δ ppm 8.48 (dd, 1 H) 7.72 (dd, 1 H) 7.22 (dd, 1 H) 4.13 (s, 2 H), 2.28 (s, 3 H)

### b) Preparation of 3-(3-chloro-2-pyridyl)butan-2-one

To a suspension of sodium hydride (60 mass%) (33 mmol, 1.3 g) in THF (31 mL) was added at -10°C, a solution of 1-(3-chloro-2-pyridyl)propan-2-one (31 mmol, 5.3 g) in THF (31 mL). The mixture was stirred for 30 min at -10°C, then iodomethane (33 mmol, 4.7 g, 2.1 mL) was added and the reaction mixture was stirred for 1h at -10 °C. The reaction was quenched with water and the solution was extracted with EtOAc. The combined organic layers were washed with sodium thiosulfate solution and brine, dried over sodium sulfate, filtered, concentrated and purified by column chromatography to give 3-(3-chloro-2-pyridyl)butan-2-one (3.8 g, 21 mmol, 66% yield) as a yellow oil.

LCMS: retention time 1.26 min, m/z 183.8 [M+H+]

1H NMR (400 MHz, CDCl<sub>3</sub>-*d*) *d*) δ ppm 8.51 (dd, 1 H) 7.73 (dd, 1 H) 7.20 (dd, 1 H) 4.38 (d, 1 H) 2.11 (s, 3 H) 1.49 (d, 3 H)

## 25 <u>c) Preparation of rac-(2S,3S)-3-(3-chloro-2-pyridyl)butan-2-ol</u>

To a solution of 3-(3-chloro-2-pyridyl)butan-2-one (3.8 g, 21 mmol) in THF (100 mL) under argon atmosphere was added zinc chloride (15 mL, 29 mmol). The reaction mixture was stirred for 30min at rt. Then the reaction mixture was cooled to 0°C and sodium borohydride (0.90 g, 23 mmol) was carefully added portionwise. The

reaction mixture was stirred for 30min at 0°C. A saturated solution of ammonium chloride was carefully added at 0°C followed by water and the solution was extracted with EtOAc. The combined organic layers were washed with brine, dried over sodium sulfate, filtered and concentrated. The crude was purified by column chromatography to give rac-(2S,3S)-3-(3-chloro-2-pyridyl)butan-2-ol (2.8 g, 15 mmol, 73% Yield) as a single diastereomer. Other diastereomer obtained in minor quantity.

LCMS: retention time 1.26 min, m/z 183.8 [M+H+]

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<sup>1</sup>H NMR (400 MHz, CDCl₃-d)) δ ppm 8.44 (dd, 1 H) 7.72 (dd, 1 H) 7.16 (dd, 1 H) 4.12 (dd, 1 H) 3.44 (dd, 1 H) 1.36 (d, 3 H), 1.18 (d, 3 H).

# d) Preparation of (2S,3S)-3-(3-chloro-2-pyridyl)butan-2-ol

To a solution of rac-(2S,3S)-3-(3-chloro-2-pyridyl)butan-2-ol (A, 1.8 g, 9.7 mmol) in MTBE (7.2 g, 9.7 mL) was added vinyl acetate (4.2 g, 4.5 mL, 48 mmol) followed by Lipase acrylic resin/Novozyme 435 (Sigma L4777) K-1918 (0.65 g). The reaction mixture was stirred for 24h at 35 °C. LCMS showed 12% of conversion by UV integration. 400 mg of the enzyme were added, and the reaction mixture was stirred for 24h at 35 °C. LCMS showed improvement with 40% conversion. Another 400 mg of the enzyme were added, and the reaction mixture was stirred for 24h at 35 °C. LCMS showed improvement with 48% conversion. The enzyme was filtered off and it was washed with EtOAc. The crude product was purified by column chromatography to give (2S,3S)-3-(3-chloro-2-pyridyl)butan-2-ol (0.87 g, 4.6862 mmol, 48% Yield) as a colourless oil.

S,S stereoisomer isolated as major compound: 93%ee confirmed by chiral HPLC.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>-*d*) δ ppm: 8.41 (dd, 1 H) 7.69 (dd, 1 H) 7.15 (dd, 1 H) 4.28 (dd, 1 H) 3.30 (dd, 1 H) 1.28 (dd, 6 H)

e) Preparation of [(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-(tert-butoxycarbonylamino) propanoate

(2S,3S)-3-(3-chloro-2-pyridyl)butan-2-ol (0.8 g, 4.3092 mmol) was dissolved in dichloromethane (34.47 mL) under Argon atmosphere at room temperature. The reaction mixture was cooled to 0°C. Then *N*-(tert-butoxycarbonyl)-L-alanine (BOC-ALA-OH) (0.89687 g, 4.7401 mmol, 1.1000) and 4-dimethyl aminopyridine (0.053 g, 0.43 mmol) were added. Then 1-(3-dimethylaminopropyl-3-ethylcarbodiimide hydrochloride (1.74 g, 8.62 mmol,) was added slowly. The reaction mixture was warmed to room temperature and stirred for 15 hours. The volatiles evaporated and the crude material was purified by column chromatography to give [(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-(tert-butoxycarbonyl amino)propanoate (1.2g, 3.4 mmol, 78%)

30 LCMS: retention time 1.24 min, m/z 357.4 [M+H+]

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>-*d*) δ ppm 8.47 (dd, 1 H) 7.66 (dd, 1 H) 7.11 (dd, 1 H) 5.35 - 5.42 (m, 1 H) 5.05 - 5.19 (m, 1 H) 4.31 (br s, 1 H) 3.67 (dd, 1 H) 1.45 (s, 9 H) 1.30 (d, 3 H) 1.16 (d, 3 H)

f) Preparation of [(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]ammonium chloride

WO 2024/100069

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[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] 2S)-2-(tert-butoxycarbonylamino)propanoate (1.3 g, 3.6 mmol) was dissolved in dichloromethane (18 mL) under Argon atmosphere at room temperature. Then hydrochloric acid (4.0 mol/L) in dioxane (9.1 mL, 36 mmol) was added slowly. The yellow reaction mixture was stirred for 1 hour at room temperature. The reaction mixture evaporated to dryness and the crude material as such was used for the next step [(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxoethyl]ammonium chloride (1.1g, 3.80 mmol).

<sup>1</sup>H NMR (400 MHz, DMSO-*d*6) δ ppm 8.55 (dd, 1 H) 7.96 (dd, 1 H) 7.36 (dd, 1 H) 6.52 (br s, 3 H) 5.34 (dd, 1 H) 4.00 - 4.14 (m, 1 H) 3.59 - 3.65 (m, 1 H) 1.44 (d, 3 H) 1.24 (d, 3 H) 1.11 (d, 3 H)

g) Preparation of [(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(3-hydroxy-4-methoxy-pyridine-2-carbonyl)amino]propanoate (Compound I.a.3, Table P)

(compound I.a.3, Table P)

[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]ammonium;chloride (1.1 g, 3.8 mmol, 1.0) was dissolved in dichloromethane (19 mL) under Argon atmosphere at room temperature. Then 3-hydroxy-4-methoxypyridine-2-carboxylic acid (0.70 g, 4.1 mmol) was added followed by benzotriazol-1-yloxytripyrrolidinophosphonium hexafluorophosphate (PYBOP) (2.2 g, 4.1 mmol) and N,N-diisopropylethylamine (2.1 mL, 1.6 g, 12 mmol). The reaction mixture was stirred at room temperature for 2 hours. The reaction mixture was evaporated and the crude material was purified by column chromatography to give brownish gummy mass [(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(3-hydroxy-4-methoxy-pyridine-2-carbonyl)amino]propanoate (Compound I.a.3)

20 LCMS: retention time 1.51 min, m/z 407.8 [M+H+]

 $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>- $^{2}$ d)  $^{5}$  ppm 12.18 (br s, 1 H) 8.52 (br d, 1 H) 8.46 (dd, 1h) 7.99 (d, 1 H) 7.65 (dd, 1 H) 7.11 (dd, 1 H) 6.87 (d, 1 H) 5.40 - 5.48 (m, 1 H) 4.68 - 4.76 (m, 1 H) 3.95 (s, 3 H) 3.66 - 3.74 (m, 1 H) 1.55 (d, 3 H) 1.32 (d, 3 H) 1.19 (d, 3 H)

h) Preparation of [2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (Compound I.s.3, Table P)

[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(3-hydroxy-4-methoxy-pyridine-2-carbonyl)amino] propanoate (Compound I.a.3, 0.065 g, 0.1594 mmol) was dissolved in acetone (0.82 mL) under Argon atmosphere at room temperature. Then sodium iodide (0.024 g, 0.1594 mmol) and sodium carbonate (0.0512 g, 0.4782 mmol) were added followed by chloromethyl isobutyrate (0.0407 mL, 0.044 g). The reaction mixture was stirred for 12 hours at room temperature. The reaction mixture was filtered and purified by column chromatography to obtain [2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxoethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (Compound I.s.3)

LCMS: retention time 1.20 min, m/z 508.1 [M+H+]

 $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>- $^{2}$ d)  $\delta$  ppm 8.41 - 8.52 (m, 2 H) 8.29 (d, 1 H) 7.67 (dd, 1 H) 7.07 - 7.16 (m, 1 H) 6.95 (d,1 H) 5.76 - 5.83 (m, 2 H) 5.36 - 5.48 (m, 1 H) 4.76 (quin, 1 H) 3.90 (s, 3 H) 3.66 - 3.75 (m, 1 H) 2.49-2.63 (m, 1 H) 1.55 (d, 3 H) 1.27 - 1.37 (m, 3 H) 1.13 - 1.21 (m, 9 H).

5 Further examples of synthesized compounds of formula (I) are shown in Table P.

Table P: Synthesized compounds and Spectral and Physical Chemical Data.

Compound No.	IUPAC name	Structure	Rt (min)	[M+H] <sup>+</sup> (measured)	Method	MP °C
l.a.2	[(1S,2S)-2-(5-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(3-hydroxy-4-methoxy-pyridine-2-carbonyl)amino]propanoate	OH ON NO	1.10	408.3	1	72-74
I.a.3	[(1S,2S)-2-(3-chloro-2- pyridyl)-1-methyl-propyl] (2S)-2-[(3-hydroxy-4- methoxy-pyridine-2- carbonyl)amino]propanoate		1.51	407.8, 409.8	2	
l.a.4	[(1S,2S)-2-(3,5-dichloro-2- pyridyl)-1-methyl-propyl] (2S)-2-[(3-hydroxy-4- methoxy-pyridine-2- carbonyl)amino]propanoate	OH ON NO	2.10	444.0	2	
I.c.2	[(1S,2S)-2-(5-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate		1.19	464.3	1	
I.s.2	[2-[[(1S)-2-[(1S,2S)-2-(5-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		1.17	508.3	1	

WO 2024/100069

Compound No.	IUPAC name	Structure	Rt (min)	[M+H] <sup>+</sup> (measured)	Method	MP °C
I.c.3	[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate		1.20	464.3, 466.3	1	102 - 104
I.s.3	[2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate	H <sub>3</sub> C CH <sub>3</sub> O O CH <sub>3</sub>	1.20	508.1, 510.1	1	
l.b.4	[(1S,2S)-2-(3,5-dichloro-2- pyridyl)-1-methyl-propyl] (2S)-2-[(3-acetoxy-4- methoxy-pyridine-2- carbonyl)amino]propanoate	CH <sub>3</sub> O CH <sub>3</sub>	1.21	484.3	1	
I.d.4	[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl] butanoate	CH <sub>3</sub> CH	1.29	512.4	1	
l.e.4	[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl] 2-methylpropanoate		1.30	512.3	1	

Compound No.	IUPAC name	Structure	Rt (min)	[M+H] <sup>+</sup> (measured)	Method	WP °C
I.f.4	[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxoethyl]carbamoyl]-4-methoxy-3-pyridyl] 2-methylbutanoate		2.78	526.1	2	
l.g.4	[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxoethyl]carbamoyl]-4-methoxy-3-pyridyl] 3-methylbutanoate		2.77	526.1	2	
l.m.4	[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(3-ethoxycarbonyloxy-4-methoxy-pyridine-2-carbonyl)amino]propanoate		1.24	514.1	1	
l.p.4	[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[[3-(acetoxymethoxy)-4-methoxy-pyridine-2-carbonyl]amino]propanoate		1.45	514.1	1	
l.s.4	[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		1.38	542.1	1	83 - 84

#### **BIOLOGICAL EXAMPLES**

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**Example B1:** Blumeria graminis f. sp. tritici (Erysiphe graminis f. sp. tritici) / wheat / leaf disc preventative (Powdery mildew on wheat)

Wheat leaf segments cv. Kanzler are placed on agar in a multiwell plate (24-well format) and sprayed with the test compound formulated with DMSO and Tween20 and diluted in water. The leaf disks are inoculated by shaking powdery mildew infected plants above the test plates 1 day after application. The inoculated leaf disks are incubated at 20°C and 60% rh under a light regime of 24 h darkness followed by 12 h light / 12 h darkness in a climate chamber and the activity of a compound is assessed as percent disease control compared to untreated when an appropriate level of disease damage appears on untreated check leaf segments (6 - 8 days after application).

Compounds I.b.4, I.c.2, I.p.4, I.s.2 and I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

### Example B2: Botryotinia fuckeliana (Botrytis cinerea) / liquid culture (Gray mould)

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

Compounds I.a.2., I.b.4, I.c.3, I.d.4, I.p.4 and I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

#### Example B3: Glomerella lagenarium (Colletotrichum lagenarium) / liquid culture (Anthracnose)

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

Compounds I.a.2, I.a.3, I.c.3, I.d.4, I.m.4 and I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

## 30 **Example B4:** *Monographella nivalis* (Microdochium nivale) / liquid culture (foot rot cereals)

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

Compound I.a.2, I.a.3, I.b.4, I.d.4, I.e.4, I.m.4, I.p.4, I.s.2, I.s.3 and I.s.4 at 200 ppm in the formulation gives at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

### Example B5: Mycosphaerella arachidis (Cercospora arachidicola) / liquid culture (early leaf spot)

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

Compounds I.a.2, I.a.3,I.b.4, I.c.2, I.c.3, I.d.4, I.s.2, I.p.4, I.s.3 and I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

#### Example B6: Mycosphaerella graminicola (Septoria tritici) / liquid culture (Septoria blotch)

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

Compounds I.a.2, I.a.3, I.b.4, I.c.2, I.c.3, I.d.4, I.m.4, I.p.4, I.s.2, I.s.3 and I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

## 20 **Example B7:** Phakopsora pachyrhizi / liquid culture (Asian soybean rust):

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Whole soybean plants are treated with the recited active ingredients 4 weeks after planting. 1 day after spraying leaf disks are cut from the first trifoliate leaf. Five repetitions at each rate are conducted. The leaf disks are inoculated one day after treatment. Evaluation of the leaf disks is conducted 11 to 14 days after inoculation and the activity is derived from the relation of the treated vs untreated, infested check.

Compounds I.a.3, I.b.4, I.c.2, I.c.3, I.d.4, I.e.4, I.m.4, I.p.4, I.s.2, I.s.3, I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

### Example B8: Puccinia recondita f. sp. tritici / wheat / leaf disc preventative (Brown rust)

Wheat leaf segments cv. Kanzler are placed on agar in multiwell plates (24-well format) and sprayed with the formulated test compound diluted in water. The leaf disks are inoculated with a spore suspension of the fungus 1 day after application. The inoculated leaf segments are incubated at 19°C and 75% rh under a light regime of 12 h light / 12 h darkness in a climate cabinet and the activity of a compound is assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf segments (7 – 9 days after application).

Compound I.a.2, I.a.3, I.b.4, I.c.2, I.c.3, I.e.4, I.m.4, I.p.4, I.s.2, I.s.3 and I.s.4 at 200 ppm in the formulation give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Example B9: Comparison of activity against Glomerella lagenarium

IUPAC name	Structure	Activity at 20 ppm (%)
[2-[[(1S)-2-[2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		70
[2-[[(1S)-2-[(1R,2R)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		20
[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		100

**Example B10:** Comparison of activity against Monographella nivalis

IUPAC name	Structure	Activity at 2.2 ppm (%)
[2-[[(1S)-2-[2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		90
[2-[[(1S)-2-[(1R,2R)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		70
[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		100

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Example B11: Comparison of activity against Phakopsora pachyrhizi

IUPAC name	Structure	Activity at 7.4 ppm (%)	
[2-[[(1S)-2-[2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		50	
[2-[[(1S)-2-[(1R,2R)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		0	
[2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate		70	

## **CLAIMS**

1. A compound of formula (I) wherein

wherein

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 $R^1$  is selected from hydrogen,  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl, or  $C_1$ - $C_6$  alkylcarboxylmethylene;

R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen or chloro; and wherein all three stereogenic centers possess a (*S*)-configuration; or an agronomically acceptable salt or a N-oxide thereof.

- 10 2. The compound according to claim 1, wherein R<sup>2</sup> and R<sup>3</sup> are chloro or R<sup>2</sup> is chloro and R<sup>3</sup> is hydrogen.
  - 3. The compound according to claim 2, wherein R<sup>2</sup> is chloro and R<sup>3</sup> is hydrogen.
  - 4. The compound according to claim 1 to 3, wherein R<sup>1</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub> alkylcarbonyl or C<sub>1</sub>-C<sub>3</sub> alkylcarboxylmethylene.
- 15 5. The compound according to claim 4, wherein R¹ is hydrogen, acetyl, propionyl or isobutyryloxymethylene.
  - 6. The compound according to claim 1 to 5, wherein the compound of formula (I) is selected from [(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate (compound I.c.3);
- [2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (compound I.s.3);
  - [(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate (compound I.c.4); and
  - [2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (compound I.s.4).

- 7. An agrochemical composition comprising a fungicidally effective amount of a compound according to any one of claim 1 to 6 to control or prevent the phytopathogenic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants, or genetically modified soybeans, for example Bt soybeans.
- 8. The composition according to claim 7, further comprising at least one additional active ingredient and/or an agrochemically-acceptable diluent or carrier.
  - 9. A method of combating, preventing or controlling the phytopathogic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants, or genetically modified soybeans, for example Bt soybeans, which comprises applying to the phytopathogic fungi *Phakopsora pachyrhizi*, to the locus of the phytopathogic fungi *Phakopsora pachyrhizi*, or to a plant susceptible to attack by the phytopathogic fungi *Phakopsora pachyrhizi*, or to propagation material thereof, a fungicidally effective amount of a compound of formula (I)

wherein

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 $R^1$  is selected from hydrogen,  $C_1$ - $C_6$  alkylcarbonyl,  $C_1$ - $C_6$  alkoxycarbonyl or  $C_1$ - $C_6$  alkylcarboxylmethylene;

R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen or chloro; and wherein all three stereogenic centers possess a (*S*)-configuration;

or an agronomically acceptable salt or a N-oxide thereof.

- 10. The method according to claim 9, wherein in the compound of formula (I) R<sup>2</sup> and R<sup>3</sup> are chloro or R<sup>2</sup> is chloro and R<sup>3</sup> is hydrogen.
  - 11. The method according to claim 10, wherein in the compound of formula (I) R<sup>2</sup> is chloro and R<sup>3</sup> is hydrogen.
  - 12. The method according to claim 9 to 11, wherein  $R^1$  is selected from hydrogen,  $C_1$ - $C_3$  alkylcarbonyl or  $C_1$ - $C_3$  alkylcarboxylmethylene.
- The method according to claim 9, wherein the compound of formula (I) is selected from [(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate (compound I.c.3);

74

[2-[[(1S)-2-[(1S,2S)-2-(3-chloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (compound I.s.3);

[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propyl] (2S)-2-[(4-methoxy-3-propanoyloxy-pyridine-2-carbonyl)amino]propanoate (compound I.c.4); and

- 5 [2-[[(1S)-2-[(1S,2S)-2-(3,5-dichloro-2-pyridyl)-1-methyl-propoxy]-1-methyl-2-oxo-ethyl]carbamoyl]-4-methoxy-3-pyridyl]oxymethyl 2-methylpropanoate (compound I.s.4).
  - 14. A method according to any of claims 9 to 13 wherein the method comprises applying to the soybean plants a fungicidal composition comprising a compound of formula (I) as defined in any of claims 1 to 6, or a salt, or N-oxide thereof.
- 15. Use of a compound according to any one of claims 1 to 6 for combating, preventing or controlling the phytopathogic fungi *Phakopsora pachyrhizi* (Asian soybean rust) on soybean plants, or genetically modified soybeans, for example Bt soybeans.

# INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2023/081044

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D213/81 A01N43/40
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

C. DOCUMENTS CONSIDERED TO BE RELEVANT			
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	
х	WO 2020/208095 A1 (SYNGENTA CROP PROTECTION AG [CH]) 15 October 2020 (2020-10-15) abstract page 1; examples page 12 - page 13 example 1b table 2 page 65, paragraph 3 claims	1-15	
х	WO 2019/068809 A1 (SYNGENTA PARTICIPATIONS AG [CH]) 11 April 2019 (2019-04-11) cited in the application abstract examples claims	1–15	

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Further documents are listed in the continuation of Box C.	See patent family annex.
* Special categories of cited documents:  "A" document defining the general state of the art which is not considered to be of particular relevance  "E" earlier application or patent but published on or after the international filling date  "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)  "O" document referring to an oral disclosure, use, exhibition or other means  "P" document published prior to the international filing date but later than the priority date claimed	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention  "X" document of particular relevance;; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone  "Y" document of particular relevance;; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art  "&" document member of the same patent family
Date of the actual completion of the international search	Date of mailing of the international search report
21 November 2023	07/12/2023
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer  Stix-Malaun, Elke

# **INTERNATIONAL SEARCH REPORT**

International application No
PCT/EP2023/081044

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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