PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PF76014-54369-PCT	**FOR FURTHER ACTION**	See Form PCT/IPEA/416
International application No. PCT/EP2014/069900	International filing date *(day/month/year)* 18.09.2014	Priority date *(day/month/year)* 19.09.2013

International Patent Classification (IPC) or national classification and IPC
INV. C07D405/14

Applicant
BASF SE

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☒ *(sent to the applicant and to the International Bureau)* a total of 24 sheets, as follows:
      ☒ sheets of the description, claims and/or drawings which have been amended and/or sheets containing rectifications authorized by this Authority, unless those sheets were superseded or cancelled, and any accompanying letters (see Rules 46.5, 66.8, 70.16, 91.2, and Section 607 of the Administrative Instructions).
      ☐ sheets containing rectifications, where the decision was made by this Authority not to take them into account because they were not authorized by or notified to this Authority at the time when this Authority began to draw up this report, and any accompanying letters (Rules 66.4bis, 70.2(e), 70.16 and 91.2).
      ☐ superseded sheets and any accompanying letters, where this Authority either considers that the superseding sheets contain an amendment that goes beyond the disclosure in the international application as filed, or the superseding sheets were not accompanied by a letter indicating the basis for the amendments in the application as filed, as indicated in item 4 of Box No. I and the Supplemental Box (see Rule 70.16(b)).
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing, in the form of an Annex C/ST.25 text file, as indicated in the Supplemental Box Relating to Sequence Listing (see paragraph 3*ter* of Annex C of the Administrative Instructions).

4. This report contains indications relating to the following items:
   - ☒ Box No. I Basis of the report
   - ☐ Box No. II Priority
   - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - ☐ Box No. IV Lack of unity of invention
   - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - ☐ Box No. VI Certain documents cited
   - ☐ Box No. VII Certain defects in the international application
   - ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand	Date of completion of this report
15.07.2015	15.09.2015

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/EP2014/069900

Box No. I Basis of the report

1. With regard to the **language**, this report is based on

   ☒ the international application in the language in which it was filed

   ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of:
   - ☐ international search (under Rules 12.3(a) and 23.1(b))
   - ☐ publication of the international application (under Rule 12.4(a))
   - ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a) and (b))

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

   Description, Pages

   1-155 as originally filed

   Claims, Numbers

   1-28 filed on 15-07-2015

   ☐ a sequence listing - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since either they are considered to go beyond the disclosure as filed, or they were not accompanied by a letter indicating the basis for the amendments in the application as filed, as indicated in the Supplemental Box (Rules 70.2(c) and (c-*bis*)):
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:

5. ☐ This report has been established:
   - ☐ taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rules 66.1(d-*bis*) and 70.2(e)).
   - ☐ without taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91(Rules 66.4*bis* and 70.2(e)).

6. ☒ With regard to top-up searches (Rules 66.1*ter* and 70.2(f)):
   - ☒ A top-up search was carried out by this Authority on 19.08.2015 (all discovered documents are listed in the Supplemental Box Relating to Top-up Search).
     - ☐ Additional relevant documents have been discovered during the top-up search.
   - ☐ No top-up search was carried out by this Authority because it would serve no useful purpose.

7. ☐ Supplementary international search report(s) from Authority(ies) has/have been received and taken into account in establishing this report (Rule 45bis.8(b) and (c)).

* *If item 4 applies, some or all of those sheets may be marked "superseded".*

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-28
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-28
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-28
	No:	Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1 Reference is made to the following documents:

D1 EP 2 633 756 A1 (MEIJI SEIKA PHARMA CO LTD [JP]) 4 September 2013 (2013-09-04)

D2 EP 0 432 600 A2 (BAYER AG [DE]) 19 June 1991 (1991-06-19)

2 Novelty

D1 and D2 disclose iminopyridine derivatives as pesticides and herbicides. The present application differs from D1 and D2 due to the nature of the side chain attached to the imine. Thus the subject matter of claims 1-28 meets the requirements of novelty pursuant to Article 33(2) PCT.

3 Inventive step

The current application discloses iminopyridine derivatives as pesticides. D1 is the closest prior art for the assessment of inventive step and discloses iminopyridines having the same activity. The current application differs from the compounds of D1 due to the presence of the cyano group in the side chain attached to the imine. The problem to be solved in the light of D1 is the provision of further iminopyridine compounds for use as pesticides. The problem appears to have been solved by the compounds which have been prepared on pages 143-150 and tested on pages 151-155 where W^1-W^4 corresponds to W.Het-1, W.Het-2, W.Het-3 or W.Het-4. Given the structural differences between the current application and D1, the skilled person would not have predicted the qualitative retention of the desired activity as pesticides for the compounds of the current application. Therefore the subject-matter of claims 1-28 appears to meet the requirements of Article 33(3) PCT.

4 As to claims 23-24 and 27, it should be noted that the patentability can be dependent upon the formulation of the claims. The EPO, for example, does not recognise as patentable claims to the use of a compound in medical treatment, but may allow claims to a product, in particular substances or compositions for use in a first or further medical treatment.

Reitstötter ◆ Kinzebach

PATENTS · TRADEMARKS · DESIGNS

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Ludwigshafen, 15.07.2015

International Patent Application PCT/EP2014/069900
BASF SE
Our Reference: M/54369-PCT

To the Written Opinion according to PCT rule 43*bis*.1 dated October 14, 2014:

Enclosed we submit replacement pages 156 to 177 with an amended set of claims 1 to 28.

It is respectfully requested to start the international preliminary examination on the basis of said replacement pages together with description pages 1 to 155 as originally filed.

To assist the International Preliminary Examining Authority, we attach a marked-up copy of the replacement pages showing all amendments made to the previous claims.

In case the International Searching Authority is not yet prepared to acknowledge patentability of the claimed invention, we would prefer receiving a further Written Opinion. In the event that the Examiner does not intend to issue a further Written Opinion, we request that the Examiner contact the undersigned by telephone prior to drawing up the International Preliminary Report on Patentability.

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As to the amendments:

New claim 1 is based on claim 1 as originally field, wherein W^1, W^2, W^3 and W^4 has been specified to W.-Het 1, W.-Het 2, W.-Het 3 and W.-Het 4. Support for this amendment can be found on page 42 of the description.

The radical R^w has been specified to R^{w3}, R^{w4}, R^{w5} and R^{w6}, wherein these radicals have one of the meanings given for R^w. Support for this amendment can be found on page 43 lines 1 to 2 in connection with page 41 lines 3 to 4.

New claims 15, 16 and 18 have been adjusted to the scope of new claim 1.

New claims 2 to 14, 17 and 19 to 28 corresponds to claims 2 to 14, 17 and 19 to 28 as originally field.

As to patentability:

In the Written Opinion, the Examiner has already acknowledged patentability for compounds where W^1, W^2, W^3 and W^4 corresponds to W.-Het 1, W.-Het 2, W.-Het 3 and W.-Het 4 as defined on page 42 of the description.

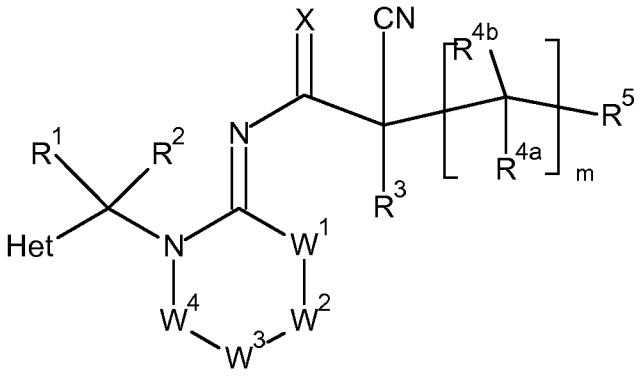
Consequently, the amended claims meet the requirements of the PCT and a favourable International Preliminary Report on Patentability is herewith solicited.

(Michael Pohl) aks

Enclosures:
New set of amended claims (marked-up and clean version)

Claims

1. An N-acylimino compound of formula (I):



(I)

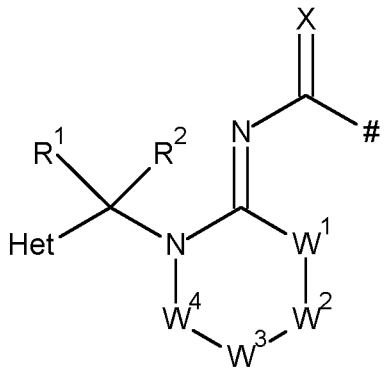
wherein

m is an integer selected from 0, 1, 2, 3, 4, 5 or 6;

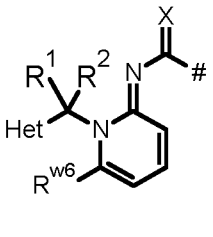
X is O or S;

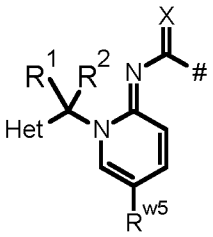
Het is a 5 or 6 membered carbon-bound or nitrogen-bound heterocyclic or heteroaromatic ring, comprising 1, 2, 3, 4 or 5 carbon atoms and 1, 2 or 3 heteroatoms as ring members, which are independently selected from sulfur, oxygen or nitrogen, wherein the carbon, sulfur and nitrogen ring members can independently be partly or fully oxidized, and wherein each ring is optionally substituted by k identical or different substituents R^6, wherein k is an integer selected from 0, 1, 2, 3 or 4;

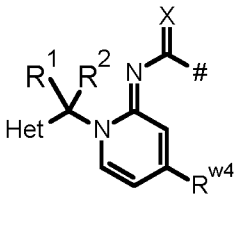
wherein the moiety of the formula

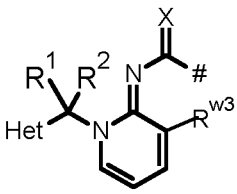


represents a radical A selected from the group consisting of W.Het-1, W.Het-2, W.Het-3, W.Het-4:


W.Het-1


W.Het-2


W.Het-3


W.Het-4

wherein # denotes the bond to the remainder of the molecule,

wherein

each R^{w3}, R^{w4}, R^{w5}, R^{w6}independently from each other, is selected from the group consisting hydrogen, halogen, cyano, azido, nitro, SCN, SF_5, C_1-C_{10}-alkyl, C_3-C_8-cycloalkyl, C_2-C_{10}-alkenyl, C_2-C_{10}-alkynyl, and wherein the carbon atoms of the aforementioned aliphatic and cycloaliphatic radicals may be unsubstituted or may be partly or fully halogenated and/or may optionally be substituted with 1, 2 or 3 identical or different radicals R^7, OR^8, $NR^{9a}R^{9b}$, $S(O)_nR^8$, $S(O)_nNR^{9a}R^{9b}$, $C(=O)R^{7a}$, $C(=O)NR^{9a}R^{9b}$, $C(=O)OR^8$, $C(=S)R^{7a}$, $C(=S)NR^{9a}R^{9b}$, $C(=S)OR^8$, $C(=S)SR^8$, $C(=NR^{17})R^{7a}$, $C(=NR^{17})NR^{9a}R^{9b}$ and $Si(R^{11})_2R^{12}$;

R^1, R^2 are independently from each other selected from the group consisting of hydrogen, halogen, CN, SCN, nitro, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, wherein each of the two aforementioned radicals are unsubstituted, partly or completely halogenated or may carry any combination of 1, 2 or 3 radicals R^7, $Si(R^{11})_2R^{12}$, OR^8, OSO_2R^8, $S(O)_nR^8$, $S(O)_nNR^{9a}R^{9b}$, $NR^{9a}R^{9b}$, $C(=O)NR^{9a}R^{9b}$, $C(=S)NR^{9a}R^{9b}$, $C(=O)OR^8$, $C(=O)R^{7a}$, $C(=S)R^{7a}$,
phenyl, benzyl, where the phenyl ring in the last two radicals is unsubstituted or optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10},
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 identical or different heteroatoms as ring members, which are selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or
R^1 and R^2 form, together with the carbon atom, which they attached to, a 3-, 4-, 5- or 6-membered saturated or partly unsaturated carbocyclic or heterocyclic ring, wherein each of the carbon atoms of said cycle are unsubstituted or may carry any combination of 1 or 2 identical or different radicals R^7,
or
R^1 and R^2 may together be =O, $=CR^{13}R^{14}$, =S, $=NR^{17}$, $=NOR^{16}$ or $=NNR^{9a}R^{9b}$;

R^3 is selected from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, wherein each of the 4 last mentioned radicals are unsubstituted, partly or completely halogenated or carries 1 or 2 radicals R^7, it being also possible for cycloalkyl to carry 1, 2, 3, 4, 5 or 6 C_1-C_4-alkyl groups,
$S(O)_nNR^{9a}R^{9b}$, $NR^{9a}R^{9b}$, $C(=O)OR^8$, $C(=O)NR^{9a}R^{9b}$, $C(=S)NR^{9a}R^{9b}$, $C(=O)R^{7a}$, $C(=S)R^{7a}$, NR^{9a}-$C(=O)R^{7a}$, NR^{9a}-$C(=S)R^{7a}$, NR^{9a}-$S(O)_nR^{8a}$,
phenyl, benzyl, where the phenyl ring in the last two mentioned radicals is unsubstituted or may be substituted with one or more, e.g. 1, 2, 3, 4 or 5 identical or different substituents R^{10},
and a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

R^{4a}, R^{4b} are selected each independently from one another and independently from the integer of m from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, and C_3-C_8-cycloalkyl, wherein each of the four last mentioned radicals are unsubstituted, partly or completely halogenated,
or
R^{4a} and R^{4b} may form together with the carbon atom they are bound to, a 3, 4, 5 or 6 membered aliphatic ring, wherein each of the carbon atoms of the ring may be unsubstituted or may be partly or fully halogenated, and/or may carry 1, 2, 3, 4, 5 or 6 radicals selected from C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

or
R^{4a} and R^{4b} may together form =O, $=CR^{13}R^{14}$, =S, $=NR^{17}$, $=NOR^{16}$, $=NNR^{9a}R^{9b}$,

R^5 is selected from the group consisting of hydrogen, halogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, wherein each of the four last mentioned radicals are unsubstituted, partly or completely halogenated or carries 1 or 2 radicals R^7, it being also possible for cycloalkyl radicals to carry 1, 2, 3, 4, 5 or 6 C_1-C_4-alkyl groups,
$S(O)_nNR^{9a}R^{9b}$, $NR^{9a}R^{9b}$, $C(=O)OR^8$, $C(=O)NR^{9a}R^{9b}$, $C(=S)NR^{9a}R^{9b}$, $C(=O)R^{7a}$, $C(=S)R^{7a}$, NR^{9a}-$C(=O)R^{7a}$, NR^{9a}-$C(=S)R^{7a}$, NR^{9a}-$S(O)_nR^{8a}$,
a moitey Q-phenyl, where the phenyl ring is optionally substituted with one or more, e.g. 1, 2, 3, 4 or 5 identical or different substituents R^{10},
and a moiety Q-Het#, where

Het# represents a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are selected from oxygen, nitrogen and/or sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized, and

Q irrespectively of its occurrence, is a single bond, NR^{9a}, NR^{9a}-C_1-C_4-alkylene, -O-C(=O)-, $-NR^{9a}$-C(=O)-, -O-$S(=O)_2$-, $-NR^{9a}$-$S(=O)_2$-, -O-C(=O)-C_1-C_4-alkylene or $-NR^{9a}$-C(=O)-C_1-C_4-alkylene, where the heteroatom in the last 6 moieties is bound to the carbon atom of $C(CN)R^3$ or $CR^{4a}R^{4a}$, respectively;

or, if m = 0,

R^3 and R^5 together may also form with the carbon atom they are bound to, a 3, 4, 5 or 6 membered saturated partially unsaturated carbocycle or heterocycle, wherein the carbocycle or heterocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b}, and where the heterocycle has 1 or 2 non-adjacent identical or different heteroatoms or heteroatom moieties as ring memberes, which are selected from O, S, N and N-R^{17c}, or

R^3 and R^5 may together form =O or =S;

where, independently of their occurrence,

n is 0, 1 or 2;

R^6 is selected from the group consisting of halogen, cyano, azido, nitro, SCN, SF_5, C_1-C_{10}-alkyl, C_3-C_8-cycloalkyl, C_2-C_{10}-alkenyl, C_2-C_{10}-alkynyl, and wherein the carbon atoms of the aforementioned aliphatic and cyclo-aliphatic radicals may optionally be further substituted independently from one another with 1, 2 or 3 radicals R^7, OR^8, $NR^{17a}R^{17b}$, $S(O)_nR^8$, $S(O)_nNR^{17a}R^{17b}$, $C(=O)R^{7a}$, $C(=O)NR^{17a}R^{17b}$, $C(=O)OR^8$, $C(=S)R^{7a}$, $C(=S)NR^{17a}R^{17b}$, $C(=S)OR^8$, $C(=S)SR^8$, $C(=NR^{17})R^{7a}$, $C(=NR^{17})NR^{17a}R^{17b}$, $Si(R^{11})_2R^{12}$;
phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10},
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,
or two of R^6 present on one ring carbon may together form =O, $=CR^{13}R^{14}$, =S, $=NR^{17}$, $=NOR^{16}$, $=NNR^{9a}R^{9b}$,
or two R^6 together form a linear C_2-C_7 alkylene chain, thus forming, together with the ring atom(s) to which they are bound, a 3-, 4-, 5-, 6-, 7- or 8-membered ring, where 1 or 2 CH_2 moieties of the alkylene chain may be replaced by 1 or 2 heteroatom moieties selected from O, S and NR^{17c} and/or 1 or 2 of the CH_2 groups of the alkylene chain may be replaced by a group C=O, C=S and/or $C=NR^{17}$; and where the alkylene chain is unsubstituted or may be substituted with 1, 2, 3, 4, 5 or 6 radicals selected from the group consisting of halogen, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-haloalkylthio, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6-haloalkynyl, phenyl which may be substituted with 1, 2, 3, 4 or 5 radicals R^{10}, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S,

NO, SO and SO_2, as ring members, where the heterocyclic ring may be substituted with 1, 2, 3, 4 or 5 radicals R^{10};

R^7 independently of its occurrence, is selected from the group consisting of cyano, azido, nitro, -SCN, SF_5, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl, $Si(R^{11})_2R^{12}$, OR^8, OSO_2R^8, $S(O)_nR^8$, $S(O)_nNR^{17a}R^{17b}$, $NR^{17a}R^{17b}$, $C(=O)NR^{17a}R^{17b}$, $C(=S)NR^{17a}R^{17b}$, $C(=O)OR^8$, $C(=O)R^{15}$, $C(=S)R^{15}$, $C(=NR^{17})R^{15}$,
phenyl, phenyl-C_1-C_4-alkyl, where the phenyl ring in the last two groups is optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, and
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,
or two R^7 present on one carbon atom may together form =O, $=CR^{13}R^{14}$, =S, $=NR^{17}$, $=NOR^{16}$, $=NNR^{9a}R^{9b}$,
or two R^7 may form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated or partly unsaturated carbocyclic or heterocyclic ring together with the carbon atoms to which the two R^7 are bonded, where the heterocyclic ring comprises 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10};

R^{7a} independently of its occurrence, is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkylthio, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, and
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different

substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

R^{7b} is selected from the group consisting of halogen, cyano, C_1-C_{10}-alkyl, C_3-C_8-cycloalkyl, C_2-C_{10}-alkenyl, C_2-C_{10}-alkynyl, C_3-C_8-cycloalkenyl, and wherein the carbon atoms of the aforementioned aliphatic and cycloaliphatic radicals may be partly or completely halogenated, and/or be substituted with 1, 2,3 or 4, in particular 1, 2 or 3, identical or different radicals R^7,
OR^8, $NR^{17a}R^{17b}$, $S(O)_nR^8$, $S(O)_nNR^{17a}R^{17b}$, $C(=O)R^{7a}$, $C(=O)NR^{17a}R^{17b}$, $C(=O)OR^8$, $C(=S)R^{7a}$, $C(=S)NR^{17a}R^{17b}$, $C(=S)OR^8$, $C(=NR^{17})R^{7a}$, $C(=NR^{17})NR^{17a}R^{17b}$, $Si(R^{11})_2R^{12}$;
phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, and
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,
or two of R^{7b} present on one ring carbon may together form =O, =S or $=CR^{13}R^{14}$,
or two R^{7b} together form a linear C_2-C_7 alkylene chain, thus forming, together with the ring atom(s) to which they are bound, a 3-, 4-, 5-, 6-, 7- or 8-membered ring, where 1 or 2 CH_2 moieties of the alkylene chain may be replaced by 1 or 2 heteroatom moieties selected from O, S and NR^{17c} and/or 1 or 2 of the CH_2 groups of the alkylene chain may be replaced by a group C=O, C=S and/or $C=NR^{17}$; and where the alkylene chain is unsubstituted or may be substituted with 1, 2, 3, 4, 5 or 6 radicals selected from the group consisting of halogen, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-haloalkylthio, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6-haloalkynyl, phenyl which may be substituted with 1, 2, 3, 4 or 5 radicals R^{10}, and a 3-, 4-, 5-, 6- or 7-membered saturated, partially unsaturated or aromatic heterocyclic ring containing 1, 2 or 3 heteroatoms or heteroatom groups selected from N, O, S, NO, SO and SO_2, as ring members, where the heterocyclic ring may be substituted with 1, 2, 3, 4 or 5 radicals R^{10};

R^8 independently of its occurrence, is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, C_3-C_8-

halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, C(=O)R^{15}, C(=O)$NR^{17a}R^{17b}$, C(=S)$NR^{17a}R^{17b}$, C(=O)OR^{16}, phenyl, phenyl-C_1-C_4-alkyl, where the phenyl ring in the last two mentioned radicals is unsubstituted or substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, and

a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

R^{8a} independently of its occurrence, is selected from the group consisting of C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, wherein each of the five last mentioned radicals are unsubstituted, partly or completely halogenated,

phenyl, benzyl, where the phenyl ring in the last two mentioned radicals is unsubstituted or may be substituted with one or more, e.g. 1, 2, 3, 4 or 5 identical or different substituents R^{10},

and a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

R^{9} independently of its occurrence, is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl,

phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10};

a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic C-bound heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different

substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

R^{9a}, R^{9b} are each independently from one another selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-haloalkylthio, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl,
$S(O)_nR^{16}$, $-S(O)_nNR^{17a}R^{17b}$, $C(=O)R^{15}$, $C(=O)OR^{16}$, $C(=O)NR^{17a}R^{17b}$, $C(=S)R^{15}$, $C(=S)SR^{16}$, $C(=S)NR^{17a}R^{17b}$, $C(=NR^{17})R^{15}$;
phenyl, benzyl, 1-phenethyl or 2-phenethyl, where the phenyl ring in the last four mentioned radicals is unsubstituted or may be substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}; and
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic C-bound heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,
or,

R^{9a} and R^{9b} are together a C_2-C_7 alkylene chain and form a 3-, 4-, 5-, 6-, 7- or 8-membered saturated, partly saturated or unsaturated aromatic ring together with the nitrogen atom they are bonded to, wherein the alkylene chain may contain one or two heteroatoms, which are, independently of each other, selected from oxygen, sulfur or nitrogen, and where the alkylene chain may optionally be substituted with 1, 2, 3 or 4 radicals selected from halogen, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-haloalkylthio, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl, phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, and a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic C-bound heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or

R^{9a} and R^{9b} together may form $=CR^{13}R^{14}$, $=NR^{17}$, $=NOR^{16}$, $=NNR^{17a}R^{17b}$ moiety;

R^{9c}, R^{9d} are each independently from one another selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6 haloalkynyl, $S(O)_nR^{16}$, $-S(O)_nNR^{17a}R^{17b}$, $C(=O)R^{15}$, $C(=O)OR^{16}$, $C(=O)NR^{17a}R^{17b}$, $C(=S)R^{15}$, $C(=S)SR^{16}$, $C(=S)NR^{17a}R^{17b}$, $C(=NR^{17})R^{15}$;
phenyl, benzyl, where the phenyl ring in the last two mentioned radicals is unsubstituted or may be substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}; and
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic C-bound heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;

R^{10} independently of its occurrence, is selected from the group consisting of halogen, cyano, azido, nitro, SCN, SF_5, C_1-C_{10}-alkyl, C_3-C_8-cycloalkyl, C_2-C_{10}-alkenyl, C_2-C_{10}-alkynyl, wherein the carbon atoms of the aforementioned aliphatic and cycloaliphatic radicals may optionally be substituted with 1, 2, 3, 4 or 5 identical or different radicals R^{10a},
$Si(R^{11})_2R^{12}$, OR^{16}, $OS(O)_nR^{16}$, $-S(O)_nR^{16}$, $S(O)_nNR^{17a}R^{17b}$, $NR^{17a}R^{17b}$, $C(=O)R^{15}$, $C(=S)R^{15}$, $C(=O)OR^{16}$, $-C(=NR^{17})R^{15}$, $C(=O)NR^{17a}R^{17b}$, $C(=S)NR^{17a}R^{17b}$,
phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different radicals selected from OH, halogen, cyano, nitro, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy and C_1-C_6-haloalkoxy, and
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is unsubstituted or may be substituted with 1, 2, 3, 4 or 5 substituents selected independently from one another from halogen, cyano, NO_2, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy and C_1-C_6-haloalkoxy, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;
or

two R^{10} present together on one carbon ring atom of a saturated or partly unsaturated heterocyclic radical may form =O, $=CR^{13}R^{14}$, =S, $=NR^{17}$, $=NOR^{16}$, $=NNR^{17a}R^{17b}$;

or,

two R^{10} on adjacent carbon ring atoms may also be a bivalent radical selected from $CH_2CH_2CH_2CH_2$, CH=CH-CH=CH, N=CH-CH=CH, CH=N-CH=CH, N=CH-N=CH, $OCH_2CH_2CH_2$, $OCH=CHCH_2$, $CH_2OCH_2CH_2$, OCH_2CH_2O, OCH_2OCH_2, $CH_2CH_2CH_2$, $CH=CHCH_2$, CH_2CH_2O, CH=CHO, CH_2OCH_2, $CH_2C(=O)O$, $C(=O)OCH_2$, $O(CH_2)O$, $SCH_2CH_2CH_2$, $SCH=CHCH_2$, $CH_2SCH_2CH_2$, SCH_2CH_2S, SCH_2SCH_2, CH_2CH_2S, CH=CHS, CH_2SCH_2, $CH_2C(=S)S$, $C(=S)SCH_2$, $S(CH_2)S$, $CH_2CH_2NR^{17}$, $CH_2CH=N$, $CH=CH-NR^{17}$, OCH=N, SCH=N and form together with the carbon atoms to which the two R^{10} are bonded to a 5-membered or 6-membered partly saturated or unsaturated, aromatic carbocyclic or heterocyclic ring, wherein the ring may optionally be substituted with one or two substituents selected from =O, OH, CH_3, OCH_3, halogen, cyano, halomethyl and halomethoxy;

R^{10a} independently of its occurrence, is selected from the group consisting of halogen, cyano, NO_2, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6-haloalkynyl, $Si(R^{11})_2R^{12}$, OR^{16}, $OS(O)_nR^{16}$, $-S(O)_nR^{16}$, $S(O)_nNR^{17a}R^{17b}$, $NR^{17a}R^{17b}$, $C(=O)R^{15}$, $C(=S)R^{15}$, $C(=O)OR^{16}$, $-C(=NR^{17})R^{15}$, $C(=O)NR^{17a}R^{17b}$, $C(=S)NR^{17a}R^{17b}$, phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different radicals selected from OH, halogen, cyano, nitro, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy and C_1-C_6-haloalkoxy;

R^{11}, R^{12} independently of their occurrence, are selected from the group consisting of C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-alkoxy-C_1-C_4-alkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_2-C_6-alkynyl, C_2-C_6-haloalkynyl, C_3-C_8-cycloalkyl, C_3-C_8-halocycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, C_3-C_8-halocycloalkyl-C_1-C_4-alkyl, C_1-C_6-haloalkoxy-C_1-C_4-alkyl, phenyl and benzyl, where the phenyl ring in last two radicals are unsubstituted or substituted with 1, 2, 3, 4 or 5 identical or different radicals selected from halogen, OH, cyano, NO_2, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy and C_1-C_6-haloalkoxy;

R^{13}, R^{14} independently of their occurrence, are selected from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_1-C_4-alkoxy-C_1-C_4-alkyl, phenyl and benzyl;

R^{15} independently of its occurrence, is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, wherein the four last mentioned aliphatic and cycloaliphatic radicals may be unsubstituted, partially or fully halogenated and/or oxygenated and/or may carry 1 or 2 radicals selected from C_1-C_4 alkoxy;
phenyl, benzyl and pyridyl, wherein the last three radicals may be unsubstituted, partially or fully halogenated and/or to carry 1, 2 or 3 substituents selected from C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6 haloalkoxy, (C_1-C_6-alkoxy)carbonyl, (C_1-C_6-alkyl)amino or di-(C_1-C_6-alkyl)amino;

R^{16} independently of its occurrence, is selected from the group consisting of hydrogen, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, wherein the five last mentioned aliphatic and cycloaliphatic radicals may be unsubstituted, partially or fully halogenated and/or oxygenated and/or may carry 1 or 2 radicals selected from C_1-C_4 alkoxy,
phenyl, benzyl and pyridyl, wherein the last three radicals may be unsubstituted, partially or fully halogenated and/or to carry 1, 2 or 3 substituents selected from C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6 haloalkoxy, (C_1-C_6-alkoxy)carbonyl, (C_1-C_6-alkyl)amino or di-(C_1-C_6-alkyl)amino;

R^{17} independently of its occurrence, is selected from the group consisting of hydrogen, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, trimethylsilyl, triethylsilyl, *tert*butyldimethylsilyl,
C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, wherein the four last mentioned aliphatic and cycloaliphatic radicals may be unsubstituted, partially or fully halogenated and/or oxygenated and/or may carry 1 or 2 radicals selected from C_1-C_4-alkoxy,
phenyl, benzyl, pyridyl, phenoxy, wherein the four last mentioned radicals may be unsubstituted, partially or fully halogenated and/or carry 1, 2 or 3 substituents selected from C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6 haloalkoxy and (C_1-C_6-alkoxy)carbonyl,

R^{17a}, R^{17b} are each independently from one another selected from the group consisting of hydrogen, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylsulfinyl, C_1-C_6-alkylsulfonyl, C_1-C_6-haloalkylthio, trimethylsilyl, triethylsilyl, *tert*butyldimethylsilyl,
C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, wherein the four last mentioned aliphatic and cyclo-aliphatic radicals may be unsubstituted, partially or fully halogenated and/or oxygenated and/or may carry 1 or 2 radicals selected from C_1-C_4-alkoxy,
phenyl, benzyl, pyridyl, phenoxy, wherein the four last mentioned radicals may be unsubstituted, partially or fully halogenated and/or carry 1, 2 or 3 substituents selected from C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6 haloalkoxy and (C_1-C_6-alkoxy)carbonyl,
or,
R^{17a} and R^{17b} may together be a C_2-C_6 alkylene chain forming a 3- to 7-membered saturated, partly saturated or unsaturated ring together with the nitrogen atom R^{17a} and R^{17b} are bonded to, wherein the alkylene chain may contain 1 or 2 heteroatoms selected, independently of each other, from oxygen, sulfur or nitrogen, and may optionally be substituted with halogen, C_1-C_4-haloalkyl, C_1-C_4-alkoxy or C_1-C_4-haloalkoxy, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized;
or

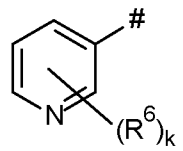
R^{17a} and R^{17b} together may form $=CR^{13}R^{14}$, $=NR^{17}$ or $=NOR^{16}$ moiety;

R^{17c} independently of its occurrence, is selected from the group consisting of hydrogen, CN, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkynyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkyl-C_1-C_4-alkyl, wherein the five last mentioned aliphatic and cycloaliphatic radicals may be unsubstituted, partially or fully halogenated and/or oxygenated and/or may carry 1 or 2 radicals selected from C_1-C_4 alkoxy,
phenyl, benzyl and pyridyl, wherein the last three radicals may be unsubstituted, partially or fully halogenated and/or to carry 1, 2 or 3 substituents selected from C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-alkoxy, C_1-C_6 haloalkoxy, (C_1-C_6-alkoxy)carbonyl, (C_1-C_6-alkyl)amino or di-(C_1-C_6-alkyl)amino;

the stereoisomers, tautomers and the salts thereof.

2. The compound of claim 1, wherein

Het is selected from the group consisting of radicals of the following formula Het-1 to Het-24: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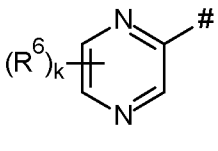
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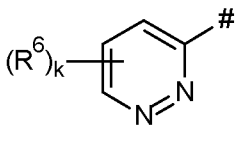
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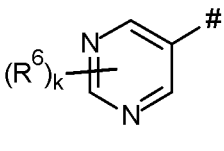
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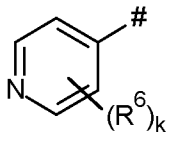
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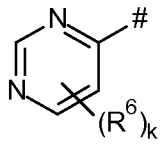
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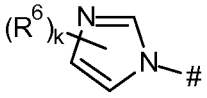
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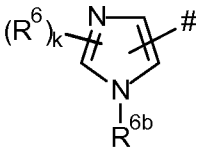
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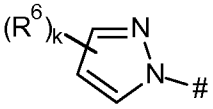
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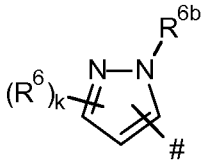
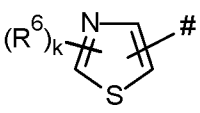

Het-10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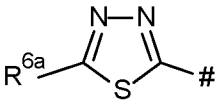
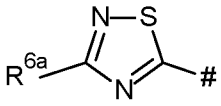

Het-12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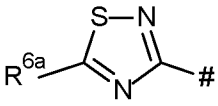
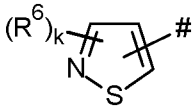
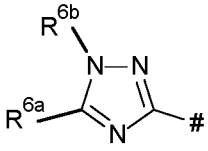
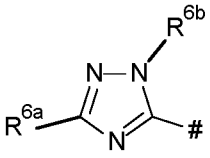
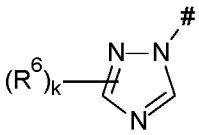
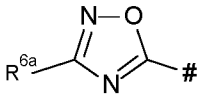

Het-14

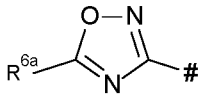

Het-15

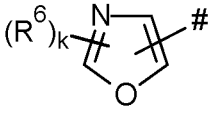

Het-16

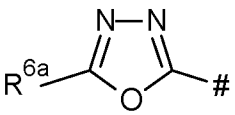

Het-17

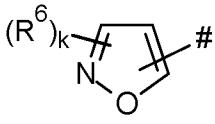

Het-18

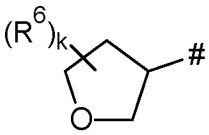

Het-19


Het-20


Het-21


Het-22


Het-23


Het-24

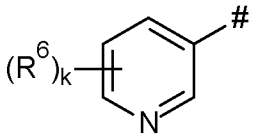
wherein # denotes the bond the remainder of the molecule in formula (I), and wherein k is 0, 1 or 2; and

R^{6a} is hydrogen or has one of the meanings given for R^6 and

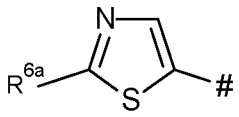
R^{6b} is hydrogen, C_1-C_4-alkyl or C_1-C_4-haloalkyl.

3. The compound of claim 2, wherein

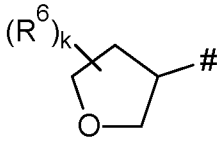
Het is selected from the group consisting of radicals of formulae Het-1, Het-11a and Het-24,



Het-1



Het-11a



Het-24 ,

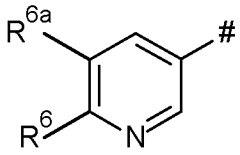
wherein # denotes the bond the remainder of the molecule in formula (I), and wherein

R^6 is selected from halogen, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy and C_1-C_4-haloalkyl;

R^{6a} is selected from hydrogen, halogen, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy and C_1-C_4-haloalkyl; and

k is 0, 1 or 2.

4. The compound of claim 3, wherein Het is Het-1a



Het-1a ,

wherein # denotes the bond the remainder of the molecule in formula (I),

R^6 is selected from halogen, C_1-C_4-alkyl and C_1-C_4-haloalkyl and

R^{6a} is hydrogen or halogen, in particular hydrogen.

5. The compound of any of the preceding claims, wherein

R^1, R^2 are independently from each other selected from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_6-halocycloalkyl;

or

R^1 and R^2 may together be $=CR^{13}R^{14}$;

or

R^1 and R^2 form, together with the carbon atom, which they attached to, a 3- to 5-membered saturated carbocyclic ring.

6. The compound of claim 5, wherein both R^1 and R^2 are hydrogen.

7. The compound of any of the preceding claims, wherein

R^3 is selected from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, $NR^{9a}R^{9b}$ and NR^{9a}-$C(=O)R^{7a}$.

8. The compound of claim 7, wherein

R^3 is selected from the group consisting of hydrogen, fluorine, chlorine, C_1-C_4-alkyl, NHC(=O)-C_1-C_4-alkyl and CN.

9. The compound of any of the preceding claims, wherein m is 0 or 1.

10. The compounds of any of the preceding claims, wherein

m is 1, and

R^{4a}, R^{4b} are selected independently from one another from hydrogen, methyl and halogen or R^{4a} and R^{4b} together are =O.

11. The compound of any of the preceding claims, wherein

R^5 is hydrogen, halogen, CN, $NR^{9a}R^{9b}$, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, $C(=O)OR^8$, $C(=O)NR^{9a}R^{9b}$, $C(=S)NR^{9a}R^{9b}$, $C(=O)R^{7a}$, $C(=S)R^{7a}$, Q-phenyl, where phenyl is unsubstituted or substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, or Q-Het#, where Het# is unsubstituted or substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10},
and where Q, irrespectively of its occurrence, is a bond, NR^{9a}, NR^{9a}-C(=O), OC(=O), $NR^{9a}CH_2$, $OC(=O)CH_2$, or $NR^{9a}C(=O)CH_2$.

12. The compound of any of the preceding claims, wherein

R^5 is hydrogen, halogen, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl and phenyl, which is unsubstituted or carries 1, 2, 3, 4 or 5 identical or different substituents R^{10}.

13. The compound of any of claims 1 to 9, wherein

m is 0, and

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3, 4, 5 or 6 membered saturated carbocyle, wherein the carbocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b}, or

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3, 4, 5 or 6 membered saturated heterocycle having 1 or 2 non adjacent heteroatoms as ring members wich are selected from O and S, wherein the heterocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b},

wherein

R^{7b} is selected from the group consisting of halogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, and C_2-C_6-alkynyl, and wherein the carbon atoms of the aforementioned aliphatic radicals may optionally be partly or completely halogenated, and wherein one or two radicals R^{7b} may also be

C_3-C_6-cycloalkyl, which is unsubstituted or carries 1, 2, 3, 4 or 5 radicals selected from fluorine, chlorine or methyl,

phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10a}, or a

a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10a}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,

or two of R^{7b} present on one ring carbon may together form =O or =S,

or two R^{7b} together form a linear C_2-C_7 alkylene chain, thus forming, together with the carbon atom to which they are bound, a 3-, 4-, 5- or 6-membered ring, where 1 or 2 CH_2 moieties of the alkylene chain may be replaced by 1 or 2 heteroatom moieties selected from O and S, and where the alkylene chain is unsubstituted or may be substituted with 1, 2, 3, 4, 5 or 6 radicals selected from the group consisting of halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy,

R^{10a} is selected from the group consisting of halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

or

R^3 and R^5 together form =O.

14. The compound of any claim 13, wherein

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3 or 4 membered saturated carbocyle, wherein the carbocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b}, or

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3, 4, 5 or 6 membered saturated heterocycle having 1 or 2 non adjacent heteroatoms as ring members wich are selected from O and S, wherein the heterocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b},

wherein

R^{7b} is selected from the group consisting of halogen, C_1-C_4-alkyl, and wherein one radical R^{7b} may also be

phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10a}, where

R^{10a} is selected from the group consisting of halogen, CN, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy.

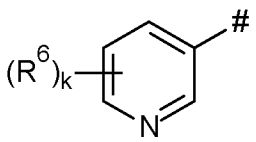
15. The compounds of any of the preceding claims, wherein

R^{w3}, R^{w4}, R^{w5} and R^{w6} are, independently of each other, selected from hydrogen, halogen, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy, C_1-C_4-alkyl and C_1-C_4-haloalkyl.

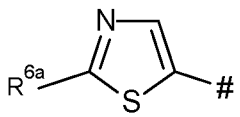
16. The compound of claim 15, wherein the radical A is W.Het-2.

17. The compound of claim 16, wherein R^{w5} is hydrogen.

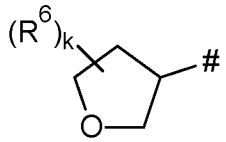
18. The compound of claim 15, 16 or 17, wherein the radical A is W.Het-2 and wherein Het is selected from the group consisting of radicals of formulae Het-1, Het-11a and Het-24,



Het-1



Het-11a



Het-24 ,

wherein # denotes the bond the remainder of the molecule in formula (I), and wherein

R^6 is selected from halogen, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy and C_1-C_4-haloalkyl;

R^{6a} is selected from hydrogen, halogen, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_4-haloalkoxy and C_1-C_4-haloalkyl; and

k is 0, 1 or 2.

19. The compound of any of claims 15 to 18, wherein

m is 0 or 1;

R^1, R^2 are independently from each other selected from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_1-C_6-haloalkyl, C_2-C_6-halocycloalkyl;

or

R^1 and R^2 may together be $=CR^{13}R^{14}$;

or

R^1 and R^2 form, together with the carbon atom, which they attached to, a 3- to 5-membered saturated carbocyclic ring;

R^3 is selected from the group consisting of hydrogen, halogen, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, $NR^{9a}R^{9b}$ and NR^{9a}-$C(=O)R^{7a}$;

R^5 is hydrogen, halogen, CN, $NR^{9a}R^{9b}$, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl, $C(=O)OR^8$, $C(=O)NR^{9a}R^{9b}$, $C(=S)NR^{9a}R^{9b}$, $C(=O)R^{7a}$, $C(=S)R^{7a}$, Q-phenyl, where phenyl is unsubstituted or substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10}, or Q-$Het^{\#}$, where $Het^{\#}$ is unsubstituted or substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10},

and where Q, irrespectively of its occurrence, is a bond, NR^{9a}, NR^{9a}-C(=O), OC(=O), $NR^{9a}CH_2$, $OC(=O)CH_2$, or $NR^{9a}C(=O)CH_2$.

if m is 1,

R^{4a}, R^{4b} are selected independently from one another from hydrogen, methyl and halogen or R^{4a} and R^{4b} together are =O, or

if m is 0,

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3, 4, 5 or 6 membered saturated carbocyle, wherein the carbocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b}, or

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3, 4, 5 or 6 membered saturated heterocycle having 1 or 2 non adjacent heteroatoms as

ring members wich are selected from O and S, wherein the heterocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b},

wherein

R^{7b} is selected from the group consisting of halogen, C_1-C_6-alkyl, C_1-C_6-alkoxy, and C_2-C_6-alkynyl, and wherein the carbon atoms of the aforementioned aliphatic radicals may optionally be partly or completely halogenated, and wherein one or two radicals R^{7b} may also be
C_3-C_6-cycloalkyl, which is unsubstituted or carries 1, 2, 3, 4 or 5 radicals selected from fluorine, chlorine or methyl,
phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10a}, or a
a 3-, 4-, 5-, 6- or 7- membered saturated, partly saturated or unsaturated aromatic heterocyclic ring comprising 1, 2 or 3 heteroatoms as ring members, which are identical or different and selected from oxygen, nitrogen and sulfur, where the heterocyclic ring is optionally substituted with 1, 2, 3 or 4 identical or different substituents R^{10a}, and wherein the nitrogen and/or the sulfur atom(s) of the heterocyclic ring may optionally be oxidized,
or two of R^{7b} present on one ring carbon may together form =O or =S,
or two R^{7b} together form a linear C_2-C_7 alkylene chain, thus forming, together with the carbon atom to which they are bound, a 3-, 4-, 5- or 6-membered ring, where 1 or 2 CH_2 moieties of the alkylene chain may be replaced by 1 or 2 heteroatom moieties selected from O and S, and where the alkylene chain is unsubstituted or may be substituted with 1, 2, 3, 4, 5 or 6 radicals selected from the group consisting of halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy,

R^{10a} is selected from the group consisting of halogen, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy;

or

R^3 and R^5 together form =O.

20. The compound of any of claims 15 to 18, wherein

both R^1 and R^2 are hydrogen;

R^3 is selected from the group consisting of hydrogen, fluorine, chlorine, C_1-C_4-alkyl, NHC(=O)-C_1-C_4-alkyl and CN;

R^5 is hydrogen, halogen, CN, C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_3-C_6-cycloalkyl, C_3-C_6-halocycloalkyl and phenyl, which is unsubstituted or carries 1, 2, 3, 4 or 5 identical or different substituents R^{10};

if m is 1,

R^{4a}, R^{4b} are each hydrogen or R^{4a} and R^{4b} together are =O, or

if m is 0,

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3 or 4 membered saturated carbocyle, wherein the carbocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b}, wherein or

R^3 and R^5 together with the carbon atom, to which they are bound, form a 3, 4, 5 or 6 membered saturated heterocycle having 1 or 2 non adjacent heteroatoms as ring members wich are selected from O and S, wherein the heterocycle may be unsubstituted or may carry 1, 2, 3, 4, 5 or 6 radicals R^{7b},

R^{7b} is selected from the group consisting of halogen, C_1-C_4-alkyl, and wherein one radical R^{7b} may also be
phenyl, optionally substituted with 1, 2, 3, 4 or 5 identical or different substituents R^{10a}, where

R^{10a} is selected from the group consisting of halogen, CN, C_1-C_4-alkyl, C_1-C_4-haloalkyl, C_1-C_4-alkoxy and C_1-C_4-haloalkoxy.

21. The compound of any of the preceding claims, wherein
X is O.

22. An agricultural or veterinary composition for combating animal pests comprising at least one compound as defined in any of claims 1 to 21 and at least one inert liquid and/or solid acceptable carrier and optionally, if desired, at least one surfactant.

23. The use of a compound as defined in any of claims 1 to 21 for combating or controlling invertebrate pests, for protecting growing plants from attack or infestation by invertebrate pests, for protecting plant propagation material, especially seeds, from soil insects, or for protect the seedlings roots and shoots of plants from soil and foliar insects.

24. A method for combating or controlling invertebrate pests, which method comprises contacting said pest or its food supply, habitat or breeding grounds with a pesticidally effective amount of at least one compound as defined in any one of claims 1 to 21.

25. A method for protecting growing plants from attack or infestation by invertebrate pests, which method comprises contacting a plant, or soil or water in which the plant is growing, with a pesticidally effective amount of at least one compound as defined in any of claims 1 to 21.

26. A method for the protection of plant propagation material, especially seeds, from soil insects and of the seedlings roots and shoots from soil and foliar insects comprising contacting the plant propagation material before sowing and/or after pregermination with at least one compound as defined in any one of claims 1 to 21.

27. A method for treating animals infested or infected by parasites or preventing animals of getting infected or infested by parasites or protecting animals against infestation or infection by parasites which comprises administering or applying to the animals a parasiticidally effective amount of a compound as defined in any of claims 1 to 21.

28. The compound as defined in any of claims 1 to 21 for the use in the treatment animals infested or infected by parasites, for preventing animals of getting infected or infested by parasites or for protecting animals against infestation or infection by parasites.

Señor Director
NUEVAS CREACIONES
Superintendencia de Industria y Comercio
E. S. D.

Referencia	:	Expediente No. **16.098.496**
Asunto	:	Solicitud de patente para: **"COMPUESTOS HETEROCÍCLICOS DE N-ACILIMINO"**
Solicitante	:	BASF SE
Fecha de solicitud	:	19 de abril de 2016

RESPUESTA A PRIMER EXAMEN DE PATENTABILIDAD

ANDRÉS RINCÓN USCÁTEGUI, identificado como aparece al pie de mi firma, en mi condición de apoderado de la sociedad solicitante de la patente de la referencia, doy respuesta al Oficio 12329, notificado por fijación en lista el 23 de agosto de 2017, para lo cual pedí plazo adicional el 16 de noviembre de 2017.

I. ESTADO DE LA TÉCNICA

Su Despacho determinó dos documentos como relevantes para realizar el análisis de patentabilidad de la presente patente de invención:

1. D1, referido al documento EP2633756 titulado "Pest control composition comprising an iminopyridine derivative" que se relaciona con un compuesto insecticida derivado de imino piridina.

2. D2, referido al documento US4988712 titulado "Insecticidal azolylmethyl-1,2-dihydropyridines" que se relaciona con un compuesto insecticida derivado de piridina ciano sustituido.

II. EN CUANTO A LA SUPUESTA FALTA DE NIVEL INVENTIVO

1. En relación con la objeción elevada hacia la supuesta falta de nivel inventivo de la presente invención, mi representada manifiesta respetuosamente su desacuerdo y señala

que parece ser que el Sr. Examinador ha escogido diferentes características del arte previo a fin de obtener la invención reivindicada.

De hecho, el arte previo no indica en ningún momento qué parámetros o características son esenciales, y no aclara de ninguna manera cuál de muchas opciones posibles sería exitosa.

2. Los compuestos reivindicados en esta solicitud requieren que el átomo de carbono en la posición α con respecto a las porciones acilo tenga un grupo CN, y forme así un radical $C(R^3)\mathbf{CN}[CR^{4a}R^{4b}]mR^5$.

3. El documento D1: EP 2 633 756 se refiere, entre otros, a compuestos heterocíclicos de N-acilimino, es decir, a compuestos de la Fórmula (I), en donde R es $C(=O)R^1$, que pueden usarse como agentes para el control de plagas.

En estos compuestos, R^1 puede ser pentafluorofenilo, alquilo, alquenilo o alquenilo, R5 puede ser, entre otros, alquilcarbonilo, alquenilcarbonilo, alquenilcarbonilo, fenilcarbonilo, etc. De hecho, en D1 se menciona que el grupo alquilo puede sustituirse, entre otros, con CN.

Sin embargo, en D1 no se divulga ni se sugiere la posición específica del grupo CN. Además, ninguno de los compuestos muestra similitud estructural con los compuestos reivindicados de la Fórmula (I). Por lo contrario, D1 se centra principalmente en compuestos en donde R de la Formula (I) de D1 es un radical alquil(tio)carbonilo halogenado o un radical alquilcarbonimidoílo halogenado, que no coincide con el patrón de sustitución del compuesto de la Fórmula (I) de la presente invención.

4. A su vez, el documento D2: US 4,988,712 se refiere a compuestos heterocíclicos insecticidas, en donde el grupo ciano se une directamente al imino-nitrógeno (=N-CN).

En particular, D2 no divulga ni sugiere un compuesto N-acilimino ($=N\text{-}(C=O)\text{-}C(R^3)\mathbf{CN}[CR^{4a}R^{4b}]_mR^5$). Por lo tanto, los compuestos de D2 tienen una estructura diferente en comparación con los compuestos de acuerdo con la invención, además de los compuestos de D1.

5. El Examinador argumenta que la relación bioisostérica entre ciano y halógeno (se presume que el Sr. Examinador quiso decir halógeno y no hidrógeno) es conocida en el estado de la técnica. Sin embargo, las estructuras de los compuestos de D1 y D2 son totalmente diferentes y no comparables. Una sustitución simple de halógeno con un grupo ciano no produciría el compuesto reivindicado. Como se mencionó anteriormente, D1 menciona que el grupo alquilo puede ser sustituido, entre otros, con CN. Sin embargo, D1 no divulga ni sugiere la posición específica del grupo CN.

Además, una persona del oficio de nivel medio sabe que las modificaciones pequeñas dentro de la estructura de la molécula son admisibles, y que las propiedades biológicas permanecen intactas. También sabe que incluso pequeñas modificaciones de las características obligatorias, a saber, el grupo CN unido al átomo de carbono en posición α con respecto a la porción acilo (-(C=X)-C(R^3)**CN**[C$R^{4a}R^{4b}$]mR^5) podría provocar una pérdida completa de actividad.

Los compuestos de la Fórmula (I) de acuerdo con la invención difieren de los compuestos de D1 y D2 en que los compuestos de la Fórmula (I) requieren estrictamente que el átomo de carbono en posición α con respecto a la porción acilo tenga un grupo CN, y forme así un radical C(R^3)**CN**[C$R^{4a}R^{4b}$]mR^5.

Un objetivo de la presente invención es proporcionar compuestos que muestren acción insecticida. Este objetivo se resolvió mediante los compuestos reivindicados según se demuestra mediante los ejemplos de trabajo y el informe de prueba que se adjunta más abajo.

Los datos del informe de prueba incluso demuestran que los compuestos reivindicados muestran una acción insecticida mejorada en comparación con los compuestos del arte previo.

Por lo tanto, la pregunta que debe responderse con respecto a la actividad inventiva es si una persona del oficio de nivel medio podría o no prever razonablemente que los compuestos de la Fórmula (I) tendrían una acción insecticida mejorada.

6. En el informe de prueba adjunto, el compuesto A, en donde el radical en posición α con respecto a la porción acilo es c-C_3H_4CN, fue comparado con respecto a su acción insecticida con los compuestos V-1, en donde el radical en posición α con respecto a la porción acilo es CF_3, V-2, en donde el radical en posición α con respecto a la porción acilo es CF_2H, y V-3, en donde el radical en posición α con respecto a la porción acilo es CF_2CF_2.

El compuesto de acuerdo con la invención muestra acción insecticida en todas las especies de insectos evaluadas, incluso acción insecticida mejorada en comparación con el compuesto del arte previo.

Una persona del oficio de nivel medio no podría haber previsto de manera evidente o razonable a partir de la enseñanza de D1 y D2 que los compuestos de la Fórmula (I) de la presente mostraran una acción insecticida mejorada.

Ciertamente, D1 sugiere que el alquilo en la posición correspondiente a la posición de la porción inventiva $C(R^3)$**CN**$[CR^{4a}R^{4b}]mR^5$ puede sustituirse, entre otros, con CN.

Sin embargo, D1 no sugiere que el sustituyente deba estar ubicado en la posición α con respecto al grupo carbonilo de la porción acilo, y menos aún que CN deba estar ubicado en dicha posición. En cambio, D1 sugiere radicales halo-sustituidos.

Asimismo, D2 no sugiere de ninguna manera que el imino-nitrógeno pueda tener un grupo acilo que forma compuestos heterocíclicos N-acilimino.

En consecuencia, una persona del oficio de nivel medio no podría haber previsto de manera evidente o razonable que los compuestos que tienen una porción $C(R^3)$**CN**$[CR^{4a}R^{4b}]mR^5$ muestren una actividad superior a la de los compuestos halo-sustituidos de D1.

Por lo tanto, mi representada considera que los compuestos reivindicados poseen y se basan en una clara actividad inventiva.

7. Por otro lado, es importante señalar que la Autoridad Examinadora Preliminar Internacional ya reconoció la novedad y la actividad inventiva de las reivindicaciones pendientes (ver copia de IPRP adjunto).

8. Por lo tanto, un técnico con conocimientos medios en la materia no estaba en condiciones de plantearse el problema, tampoco de resolverlo en la forma en que se reivindica y tampoco de prever el resultado, por lo que la presente solicitud posee la altura inventiva para que se le conceda el privilegio solicitado.

En consecuencia de lo anterior, la invención de la presente solicitud tiene nivel inventivo en vista de los documentos D1 y D2 del estado de la técnica citados por el Examinador.

III. PETICIÓN

Puesto que se ha dado respuesta a todas las objeciones formuladas por la Dirección de Nuevas Creaciones, se solicita proceder al otorgamiento de la solicitud de patente.

IV. ANEXOS

1. Evidencia técnica suministrada por el cliente (Informe de Prueba);

2. Copia de IPRP.

Señor Director,

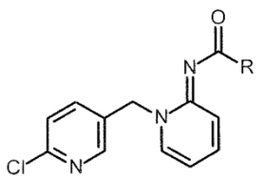
ANDRÉS RINCÓN USCÁTEGUI
C.C. 79.780.910 de Bogotá
T.P. 114.908

COLO-16452-0841-0148-5
SGD\SGD\ID-299092\WPC16452
No. M-2017-299092\SGD

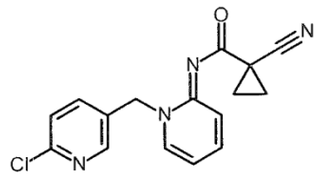
Informe de prueba

El compuesto A, que corresponde al compuesto E1.3 de la presente solicitud, fue evaluado con respecto a su acción insecticida mediante los métodos descritos a continuación.

A los fines comparativos, se han preparado los compuestos V-1, V-2 y V-3, que representan el arte previo. Los compuestos V-1, V-2 y V-3 son representativos de EP2 633 756 (D1, Tabla B, página 69). Los compuestos V-1, V-2 y V-3 difieren del compuesto de la presente solicitud en el reemplazo del grupo c-C_3H_4CN por un grupo CF3 (V-1), un grupo CF_2H (V-2) y un grupo CF_2CF_3 (V-3).



R = CF_3 (V-1)
R = CF_2H (V-2)
R = CF_2CF_3 (V-3)



A

1. <u>Evaluación de la acción insecticida</u>

Los compuestos fueron evaluados con respecto a su acción insecticida.

Picudo del algodonero *(Anthonomus grandis)*

Para evaluar el control del picudo del algodonero (*Anthonomus grandis*), la unidad de prueba consistió en placas de microtitulación de 96 cavidades que contenían una dieta para insectos y 5-10 huevos de *A. grandis*. Los compuestos se formularon usando una solución que contenía 75% v/v de agua y 25% v/v de DMSO. Se pulverizaron diferentes concentraciones de compuestos formulados sobre la dieta para insectos a 5 µl, usando un microatomizador construido a medida, en dos replicaciones. Después de la aplicación, se incubaron placas de microtitulación a alrededor de 25 ± 1° C y alrededor de 75 ± 5% de humedad relativa durante 5 días. Luego se evaluó visualmente la mortalidad de los huevos y de las larvas.

Saltamontes marrón del arroz *(Nilaparvata lugens)*

Plántulas de arroz de 4 a 5 semanas de vida se limpiaron y se lavaron 24 h antes de la pulverización. Los compuestos activos se formularon en 1:1 de acetona:agua (vol:vol), y se agregó 0,01% vol/vol de tensioactivo (Kinetic®HV). Las plántulas colocadas en macetas se pulverizaron con 5-6 ml de solución de prueba, se secaron al aire, se cubrieron con cajas Mylar y se inocularon con 10 adultos. Las plantas de arroz tratadas se mantuvieron a alrededor de 28-29° C y a una humedad relativa de alrededor de 50-60%. El porcentaje de mortalidad se registró después de 72 horas.

Gusano cogollero del sur *(Spodoptera eridania)*, larvas de segunda etapa

Los compuestos activos se formularon mediante un distribuidor de líquidos Tecan en ciclohexanona al 100% como una solución de 10.000 ppm suministrada en tubos. La solución de 10.000 ppm se diluyó en forma serial en ciclohexanona al 100% para obtener soluciones provisorias. Esto sirvió como soluciones de reserva para las cuales se obtuvieron diluciones finales mediante el Tecan en 50% acetona:50% agua (v/v) en viales de vidrio de 10 o 20 ml. Un tensioactivo no iónico (Kinetic®) se incluyó en la solución a un volumen de 0,01% (v/v). Los viales luego se introdujeron en un pulverizador electrostático automatizado equipado con una boquilla atomizadora para la aplicación a plantas/insectos.

Se cultivaron 2 plantas de frijoles de lima (variedad Sieva) en una maceta y se seleccionaron para el tratamiento en la etapa de la primera hoja verdadera. Las soluciones de prueba se pulverizaron sobre el follaje con un pulverizador electrostático automatizado para plantas, equipado con una boquilla de pulverización atomizadora. Cada maceta se colocó en una bolsa de plástico perforada con un cierre zip. Aproximadamente de 10 a 11 larvas de gusanos cogolleros se colocaron en la bolsa, que se cerró con cierre zip.

Las plantas de prueba se mantuvieron en una cámara de crecimiento a alrededor de 25º C y con alrededor de 20-40% de humedad relativa durante 4 días, para así evitar la exposición directa a la luz fluorescente (fotoperíodo de 24 horas) e impedir la acumulación de calor en las bolsas. La mortalidad y la reducción en la alimentación se evaluaron 4 días después del tratamiento, en comparación con plantas de control sin tratar.

Cigarra verde del arroz *(Nephotettix virescens)*

Las plántulas de arroz de cuatro a cinco semanas de vida con la porción de hoja superior cortada se limpiaron y se lavaron 24 horas antes de la pulverización. Los compuestos activos se formularon en 1:1 acetona:agua (vol:vol), y se agregó 0,01% vol/vol de tensioactivo (Kinetic® HV). Las plántulas de arroz en macetas se pulverizaron con 5-6 ml de solución de prueba, se secaron al aire, se cubrieron con cajas Mylar y se inocularon con 10 adultos. Las plantas de arroz tratadas se mantuvieron a alrededor de 28-29° C y con una humedad relativa de alrededor de 50-60%. El porcentaje de mortalidad se registró después de 72 horas.

Los datos de mortalidad [%] de A y de V-1 a V-3, en comparación con los controles sin tratar, se enumeran en la tabla 1.

Tabla 1:

Especie de insecto	**ppm**	**V-1**	**V-2**	**V-3**	**A**
Gusano cogollero del sur (*Prodenia eridania*)	100	25	50	75	80
Picudo del algodonero (*Anthonomus grandis*)	2500	50	50	50	100
Cigarra verde del arroz (Nephotettix nigropictus)	500	25	90	100	100
	3	No evaluado	83	25	100
	0,3	No evaluado	50	25	50
Saltamontes marrón del arroz *(Nilaparvata lugens)*	10	25	50	90	100
	3	No evaluado	No evaluado	25	90
	0,3	No evaluado	No evaluado	No evaluado	25

2. Conclusión

Los resultados que se muestran en la tabla 1 demuestran que los compuestos de acuerdo con la invención muestran, para todas las especies de insectos, acción insecticida mejorada en comparación con los compuestos del arte previo.