(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 April 2013 (11.04.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/050434 A1

(51) International Patent Classification:
C07D 487/04 (2006.01) *A01N 43/90* (2006.01)
C07D 498/04 (2006.01)

(21) International Application Number:
PCT/EP2012/069557

(22) International Filing Date:
4 October 2012 (04.10.2012)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
11184138.3 6 October 2011 (06.10.2011) EP

(71) Applicant: **BAYER INTELLECTUAL PROPERTY GMBH** [DE/DE]; Alfred-Nobel-Str. 10, 40789 Monheim (DE).

(72) Inventors: **SUDAU, Alexander**; Brucher Weg 5a, 42799 Leichlingen (DE). **HELMKE, Hendrik**; Zum Morgengraben 22, 65835 Liederbach (DE). **HILLEBRAND, Stefan**; Lothringer Straße 22, 41462 Neuss (DE). **MATTES, Amos**; Alte Schulstraße 112 F, 40674 Langenfeld (DE). **RODEFELD, Lars**; Am Scherfenbrand 110 A, 51375 Leverkusen (DE). **WASNAIRE, Pierre**; Christophstraße 55, 40225 Düsseldorf (DE). **BENTING, Jürgen**; Amselstraße 7, 42799 Leichlingen (DE). **DAHMEN, Peter**; Altebrücker Straße 61, 41470 Neuss (DE). **WACHENDORFF-NEUMANN, Ulrike**; Oberer Markenweg 85, 56566 Neuwied (DE). **DESBORDES, Philippe**; Rue du Docteur Mouisset, F-69006 Lyon (FR). **REBSTOCK, Anne-Sophie**; Avenue de Birmingham, F-69004 Lyon (FR).

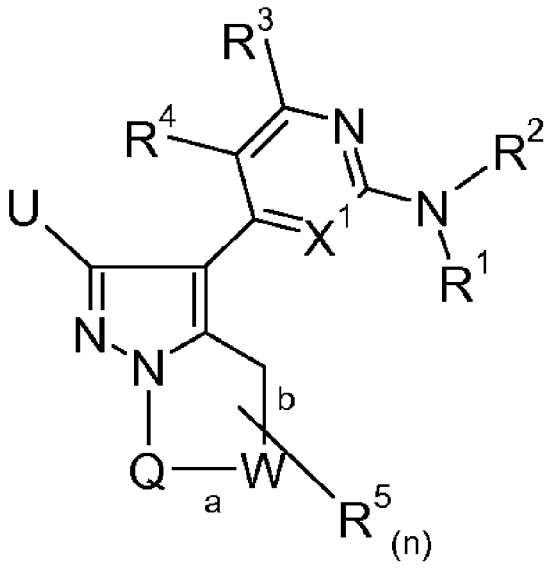
(74) Agent: **BAYER INTELELLCTUAL PROPERTY GMBH**; Alfred-Nobel-Straße 10, 40789 Monheim (DE).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV,

[Continued on next page]

(54) Title: HETEROCYCLYLPYRI(MI)DINYLPYRAZOLE



(I)

(57) Abstract: Heterocyclylpyri(mi)dinylpyrazole of the formula (I), in which R^1 to R^5, X^1, U, Q, W, a, b and n have the meanings given in the description, and agrochemically active salts, to their use and to methods and compositions for controlling phytopathogenic harmful fungi in and/or on plants or in and/or on seed of plants and for reducing mycotoxins in plants and parts of the plants, to processes for preparing such compounds and compositions and treated seed and also to their use for controlling phytopathogenic harmful fungi in agriculture, horticulture, forestry, in animal husbandry, in the protection of materials, in the domestic and hygiene field and for the reduction of mycotoxins in plants and parts of the plants.

WO 2013/050434 A1

MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

Published:

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

Heterocyclylpyri(mi)dinylpyrazole

The invention relates to novel Heterocyclylpyri(mi)dinylpyrazole and their agrochemically active salts, to their use and to methods and compositions for controlling phytopathogenic harmful fungi in and/or on plants or in and/or on seed of plants and for reducing mycotoxins in plants and parts of the plants, to processes for preparing such compounds and compositions and treated seed and also to their use for controlling phytopathogenic harmful fungi in agriculture, horticulture, forestry, in animal husbandry, in the protection of materials, in the domestic and hygiene field and for the reduction of mycotoxins in plants and parts of the plants.

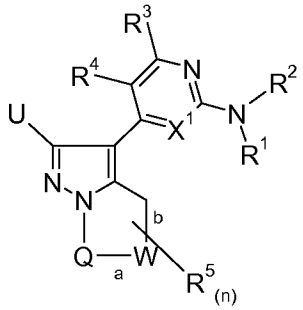
It is already known that certain Arylpyrazoles can be employed as fungicidal crop protection agents (see WO 2009/076440, WO 2003/49542, WO 2001/30154, EP-A 2 402 337, EP-A 2 402 338, EP-A 2 402 339, EP-A 2 402 340, EP-A 2 402 343, EP-A 2 402 344 and EP-A 2 40 2345). However, the fungicidal activity of these compounds is, in particular at low application rates, not always sufficient.

Since the ecological and economic demands made on modern crop protection agents are increasing constantly, for example with respect to activity spectrum, toxicity, selectivity, application rate, formation of residues and favourable manufacture, and there can furthermore be problems, for example, with resistance, there is a constant need to develop novel crop protection agents, in particular fungicides which, at least in some areas, have advantages over the known fungicides.

Surprisingly, it has now been found that the present Heterocyclylpyri(mi)dinylpyrazole solve at least in some aspects the problems mentioned above and are suitable for use as crop protection agents, in particular as fungicides.

Some Arylazoles are already known as pharmaceutically active compounds (see for example WO 1998/52937, EP-A 1 553 096, WO 2004/29043, WO 1998/52940, WO 2000/31063, WO 1995/31451, WO 2002/57265 and WO 2000/39116, *Bioorg. Med..Chem. Lett.* **2004** , 14, 19, 4945-4948), but not their surprising fungicidal activity.

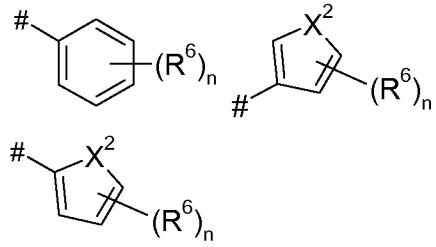
The invention provides compounds of the formula **(I)**,



(I)

in which the symbols have the following meanings:

U represents structures of the general formula



X^1 represents C-H or N,

X^2 represents S or O,

W represents C, N each of which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

or represents O

a,b represent a single or double bond

with the provisio that "a" and "b" represent a single bond if W equals O and "a" represents a single bond if Q equals C=C,

n is 0,1,2, 3 or 4

Q represents C, C-C, C=C or C-C-C, each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5

R^1 represents $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$, $C(O)NR^7R^8$, $C(S)NR^7R^8$, $C(=NR^9)R^{10}$, $C(=NR^9)OR^{10}$, $C(=NR^9)NR^9R^{10}$, $SO(=NR^9)R^{10}$, $SO_2NR^7R^8$, SO_2R^7

or represents C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_8-alkynyl, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

R^2 represents cyano, formyl, OR^7, SR^7, $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$,

or represents C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_8-alkynyl, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

with the provisio that R^1 is not C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C1-C_6-alkyl or amino-C_1-C_6-alkyl if R^2 is C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C_1-C_6-alkyl or amino-C_1-C_6-alkyl and vice-versa,

R^3 and R^4 represent independently of each other H, F, Cl, Br, I, cyano, nitro, OH, SH,

or represent C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_6-C_{14}-aryl, C_1-C_4-alkoxy, O-(C_6-C_{14}-aryl), S-(C_1-C_4-alkyl), S(O)-(C_1-C_6-alkyl), C(O)-(C_1-C_6-alkyl), C_3-C_8-trialkylsilyl, heteroaryl, heterocyclyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11}

or form together with the carbon atoms, which they are attached to, an optionally mono- or multi identical or different by halogen, oxygen, cyano or C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_6-haloalkyl, C_1-C_4-haloalkoxy, C_3-C_6-cycloalkyl substituted cycle with 5 to 8 ring atoms, whereas the cycle consists of carbon atoms but may also contain 1 to 4 heteroatoms selected from oxygen, sulphur or NR^{14},

R^5 represents as substituent for C: H, Cyano, Halogen, OH, =O, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_1-C_6-alkoxy, C_3-C_6-cycloalkyl, C_3-C_8-allenyl, C_3-C_8-trialkylsilyl, C_4-C_8-cycloalkenyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, acyloxy-C_1-C_6-alkyl, heteroaryl-C_1-C_6-alkyl, aryl-C_1-C_6-alkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_4-alkyl-C(O)-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)-C_1-C_4-alkyl, heterocyclyl-C(O)-C_1-C_4-alkyl, C_1-C_4-alkyl-C(O)O-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)O-C_1-C_4-alkyl, heterocyclyl-C(O)O- C_1-C_4-alkyl, heterocyclyl-C_1-C_6-alkyl, C_6-C_{10}-aryl, heterocyclyl, heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, Br, I, cyano, NH-C(O)R^9, NR^9R^{10}, C(O)R^9, C(O)OR^9, C(O)NR^9R^{10}, SO_2R^9, OC(O)R^9

or represents C(O)NR^9R^{10}, C(O)R^9, C(O)OR^9, S(O)$_2R^9$, C(S)NR^9R^{10}, C(S)R^9, S(O)$_2NR^9R^{10}$, =N(OR^9),

and represents as substituent for N: H, OH, C_1-C_6-alkyl, C_2-C_6-alkenyl-C_1-C_6-alkyl, C_2-C_6-alkinyl-C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_6-cycloalkyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, acyloxy-C_1-C_6-alkyl, heteroaryl-C_1-C_6-alkyl, aryl-C_1-C_6-alkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_4-alkyl-C(O)-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)-C_1-C_4-alkyl, heterocyclyl-C(O)-C_1-C_4-alkyl, C_1-C_4-alkyl-C(O)O-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)O-C_1-C_4-alkyl, heterocyclyl-C(O)O- C_1-C_4-alkyl, heterocyclyl-C_1-C_6-alkyl, C_6-C_{10}-aryl, heterocyclyl, heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, Br, I, cyano, NH-C(O)R^9, NR^9R^{10}, C(O)R^9, C(O)OR^9, C(O)NR^9R^{10}, SO_2R^9, OC(O)R^9

or represents C(O)NR^9R^{10}, C(O)R^9, C(O)OR^9, S(O)$_2R^9$, C(S)NR^9R^{10}, C(S)R^9, S(O)$_2NR^9R^{10}$,

R^6 represents H, cyano, halogen

or represents C_1-C_6-alkyl, C_3-C_6-cycloalkyl, heterocyclyl, C_2-C_8-alkenyl, C_2-C_8-alkinyl, C_1-C_8-alkoxy, C_2-C_8-alkynyloxy, C_1-C_8-alkylthio, C_3-C_8-trialkylsilyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano,

R^7 and R^8 represent H, $C(S)R^{12}$, $C(O)R^{12}$, SO_2R^{12}, $C(O)OR^{12}$, OR^{12} or $C(O)NR^{12}R^{13}$

or represent C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_6-C_{14}-aryl, heterocyclyl or heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, OH, =O, cyano, C_1-C_6-alkyl, O-$C(O)R^9$, O-$P(O)(OR^9)_2$, O-$B(OR^9)_2$ or O-(C_1-C_4-alkyl),

R^9 and R^{10} represent C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, aryl, benzyl, phenethyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano

or represent H,

R^{11} represents OH, F, Cl, Br, I, cyano, =O, NH-$C(O)R^9$, NR^9R^{10}, $C(O)R^9$, $C(O)OR^9$, $C(O)NR^9R^{10}$, SO_2R^9, $OC(O)R^9$

or represents C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, O-(C_3-C_8-cycloalkyl), S-(C_3-C_8-cycloalkyl), C_6-C_{14}-aryl, O-(C_6-C_{14}-aryl), S-(C_6-C_{14}-aryl), heterocyclyl or heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, C_1-C_6-alkyl or C_1-C_4-alkoxy,

R^{12} and R^{13} represent H

or represents C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_6-C_{14}-aryl, heterocyclyl oder heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, C_1-C_6-alkyl or C_1-C_4-alkoxy,

R^{14} represents H, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, $C(S)R^{15}$, $C(O)R^{15}$, SO_2R^{15}, $C(O)OR^{15}$,

R^{15} represent H

or represents C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_6-C_{14}-aryl, benzyl, phenethyl, phenoxymethyl, heterocyclyl oder heteroaryl, each of which is optionally

mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, or methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, methylsulfanyl, nitro, trifluormethyl, difluormethyl, $C(O)R^{12}$, $C(O)OR^{12}$, $C(O)NR^{12}R^{13}$, SO_2R^{12}, $OC(O)R^{12}$

and also agrochemically active salts thereof.

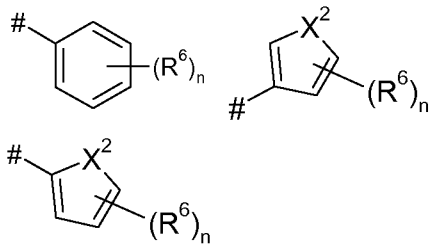
The invention also provides the use of the compounds of the formula **(I)** as fungicides.

Heterocyclylpyri(mi)dinylpyrazole of the formula **(I)** according to the invention and also their agrochemically active salts are highly suitable for controlling phytopathogenic harmful fungi and for the reduction of mycotoxins. The compounds according to the invention mentioned above have in particular strong fungicidal activity and can be used both in crop protection, in the domestic and hygiene field, in the protection of materials and for the reduction of mycotoxins in plants and parts of the plants.

The compounds of the formula **(I)** can be present both in pure form and as mixtures of various possible isomeric forms, in particular of stereoisomers, such as E and Z, threo and erythro, and also optical isomers, such as R and S isomers or atropisomers, and, if appropriate, also of tautomers. What is claimed are both the E and the Z isomers, and the threo and erythro, and also the optical isomers, mixtures of these isomers, and also the possible tautomeric forms.

Preference is given to compounds of the formula **(I)** in which one or more of the symbols have one of the meanings below:

U represents structures of the general formula



,

X^1 represents C-H,

X^2 represents S or O,

W represents C, N each of which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

or represents O,

a,b represent a single or double bond

with the provisio that "a" and "b" represent a single bond if W equals O and "a" represents a single bond if Q equals C=C,

n is 0,1,2, 3 or 4,

Q represents C, C-C, C=C or C-C-C, each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5,

R^1 represents $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$, $C(O)NR^7R^8$, $C(S)NR^7R^8$, $C(=NR^9)R^{10}$, $C(=NR^9)OR^{10}$, $C(=NR^9)NR^9R^{10}$, $SO(=NR^9)R^{10}$, $SO_2NR^7R^8$, SO_2R^7

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH_2CH=CH_2$, $-C\equiv CH$, $-C\equiv CCH_3$, $-CH_2C\equiv CH$, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

R^2 represents $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$

or represents C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_8-alkynyl, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11}

with the provisio that R^1 is not C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C1-C_6-alkyl or amino-C_1-C_6-alkyl if R^2 is C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C_1-C_6-alkyl or amino-C_1-C_6-alkyl and vice-versa,

R^3 and R^4 represent independently of each other H, F, Cl, Br, I, cyano,

or represents methyl, ethyl, cyclopropyl, $-CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, phenyl, methoxy, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

R^5 represents as substituent for C: H, Cyano, Halogen, OH, =O, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH_2CH=CH_2$, $-C\equiv CH$, $-C\equiv CCH_3$, $-CH_2C\equiv CH$, methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, $-O-CH_2C\equiv CH$, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano

or represents $C(O)NR^9R^{10}$, $C(O)R^9$, $C(O)OR^9$, $S(O)_2R^9$, $C(S)NR^9R^{10}$, $C(S)R^9$, $S(O)_2NR^9R^{10}$, $=N(OR^9)$,

and represents as substituent for N: H, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -$CH_2CH=CH_2$, -C≡CH, -C≡CCH_3, -CH_2C≡CH, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano,

or represents $C(O)NR^9R^{10}$, $C(O)R^9$, $C(O)OR^9$, $S(O)_2R^9$, $C(S)NR^9R^{10}$, $C(S)R^9$, $S(O)_2NR^9R^{10}$,

R^6 represents H, Cl, F, Cyano

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $CH=CH_2$, -$CH_2CH=CH_2$, -CH_2C≡CH , -C≡CH, methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, methylthio, ethylthio, n-propylthio, iso-propylthio, tertbutylthio, n-butylthio, sec-butylthio, iso-butylthio, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11}

R^7 and R^8 represent H, $C(S)R^{12}$, $C(O)R^{12}$, SO_2R^{12}, $C(O)OR^{12}$, OR^{12} or $C(O)NR^{12}R^{13}$

or represent methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, -$CH=CH_2$, -$CH_2CH=CH_2$, -CH_2C≡CH, -C≡CH, phenyl, naphthalenyl, benzyl, phenethyl, phenoxymethyl, pyridinyl, pyrazinyl, pyrimidinyl, furanyl, thienyl, thietanyl, oxetanyl, pyrazolyl, imidazolyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, pyrrolidinyl, piperidinyl, indanyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, OH, =O, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, or methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, methylsulfanyl, nitro, trifluormethyl, difluormethyl, acetyl, methoxycarbonyl, ethoxycarbonyl, O-$C(O)R^9$,

R^9 and R^{10} represent methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -$CH=CH_2$, -$CH_2CH=CH_2$, -CH_2C≡CH , -C≡CH, phenyl, benzyl, phenethyl each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano,

or represent H,

R^{11} represents OH, =O, F, Cl, Br, I, cyano, NH-$C(O)R^9$, NR^9R^{10}, $C(O)R^9$, $C(O)OR^9$, $C(O)NR^9R^{10}$, SO_2R^9, $OC(O)R^9$

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -CH=CH_2, -CH_2CH=CH_2, -CH_2C≡CH , -C≡CH, phenyl, methoxy, ethoxy, tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 5-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-Isoxazolin-4-yl, 4-Isoxazolin-4-yl, 2-Isoxazolin-5-yl, 3-Isoxazolin-5-yl, 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 2-piperazinyl, furan-2-yl, furan-3-yl, thiophen-2-yl, thiophen-3-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, 1H-pyrrol-1-yl, 1H-pyrrol-2-yl, 1H-pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl, Imidazol-1-yl, Imidazol-2-yl, Imidazol-4-yl, Pyridin-2-yl, Pyridin-3-yl, pyridin-4-yl, pyridazin-3-yl, pyridazin-4-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, methyl, ethyl, methoxy,

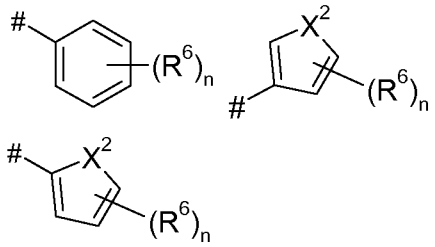
R^{12} and R^{13} represent H

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -CH=CH_2, -CH_2CH=CH_2, -CH_2C≡CH , -C≡CH, phenyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl or methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy,

and also agrochemically active salts thereof.

Particular preference is given to compounds of the formula **(I)** in which one or more of the symbols have one of the meanings below:

U represents structures of the general formula



,

X^1 represents C-H,

X^2 represents S or O,

W represents C, N each of which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

or represents O,

a,b represent a single or double bond

with the provisio that "a" and "b" represent a single bond if W equals O and "a" represents a single bond if Q equals C=C,

n is 0,1,2, 3 or 4,

Q represents C, C-C or C=C each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5,

R^1 and R^2 represent independently from each other formamido, formyl, acetyl, n-propionyl, isobutyryl, 2-methylbutanoyl, 3-methylbutanoyl, 3,3-dimethylbutanoyl, methoxyacetyl, (2-methoxyethoxy)acetyl, 3,3,3-trifluoropropanoyl, cyanoacetyl, lactoyl, 2-hydroxy-2-methylpropanoyl, (methylsulfanyl)acetyl, 2-(4-chlorophenoxy)propanoyl, phenylacetyl, 2-phenylpropanoyl, 2-(4-fluorophenyl)propanoyl, 2-fluorophenyl)propanoyl, 3-phenylpropanoyl, 3-(4-chlorophenyl)propanoyl, 2-(4-fluorophenyl)propanoyl, 2-(2-fluorophenyl)propanoyl, cyclopentylacetyl, cyclopropylacetyl, cyclopropylcarbonyl, (1-methylcyclopropyl)carbonyl, (2-methylcyclopropyl)carbonyl, (1-chlorocyclopropyl)carbonyl, cyclobutylcarbonyl, 2,3-dihydro-1H-inden-2-ylcarbonyl, (2-phenylcyclopropyl)carbonyl, methacryloyl, 3-methylbut-2-enoyl, 4-methylpent-3-enoyl, benzoyl, 4-fluorobenzoyl, 3-thienylcarbonyl, 2-thienylcarbonyl, tetrahydrofuran-2-ylcarbonyl, tetrahydrofuran-3-ylcarbonyl, tetrahydro-2H-pyran-4-ylcarbonyl, tetrahydro-2H-pyran-3-ylcarbonyl, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, tert-butoxycarbonyl, 1-cyclopropyl-cyclopropylcarbonyl, cyclopentylcarbonyl, trifluoroacetyl, difluoroacetyl, 1,3-dithiolan-2-ylcarbonyl, 2-fluoro-2-methylpropanoyl, 2-fluoropropanoyl, 2-fluoro-2-methylpropanoyl, 2-fluoropropanoyl, 5-oxohexanoyl, (4-oxocyclohexyl)carbonyl, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, propoxycarbonyl, sec-butoxycarbonyl,

R^3 represents H, F, Cl, Methyl,

R^4 represents H, F, Cl, Methyl,

R^5 represents as substituent for C: H, Cyano, F, OH, =O, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, cyclopropyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano

and represents as substituent for N: H, methyl, ethyl, n-propyl, iso-propyl, cyclopropyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano

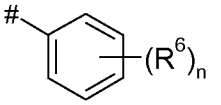
or represents Acetyl, Propionyl, Isobutyryl, Methoxycarbonyl, Ethoxycarbonyl, Methylcarbamoyl, Dimethylcarbamoyl, Diethylcarbamoyl, Methylsulfonyl, Ethylsulfonyl,

R^6 represents H, Cl, F, Methyl, Ethyl, Cyano, difluoromethyl, trifluoromethyl

and also agrochemically active salts thereof.

Very particular preference is given to compounds of the formula **(I)** in which one or more of the symbols have one of the meanings below:

U represents structures of the general formula



,

X^1 represents C-H,

W represents C which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

a and b represent a single bond,

n is 0,1 or 2,

Q represents C or C-C , each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5,

R^1 and R^2 represent independently from each other acetyl, n-propionyl, isobutyryl, 2-methylbutanoyl, 3-methylbutanoyl, lactoyl, phenylacetyl, cyclopropylacetyl, cyclopropylcarbonyl, (2-methylcyclopropyl)carbonyl, cyclobutylcarbonyl, benzoyl, 3-thienylcarbonyl, 2-thienylcarbonyl, tetrahydrofuran-3-ylcarbonyl, 3,3,3-trifluoropropanoyl,

tetrahydro-2H-pyran-4-ylcarbonyl, 3-phenylpropanoyl, 2-phenylpropanoyl, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, propoxycarbonyl, sec-butoxycarbonyl,

R^3 represents H,

R^4 represents H, F,

R^5 represents H, Cyano, F, OH, =O, methyl, ethyl, n-propyl, Cyclopropyl, Haloalkyl, Cyanoalkyl,

R^6 represents H, F

and also agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula **(I)** in which

X^1 represents CH,

where the other substituents have one or more of the meanings mentioned above

and to the agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula (**I**) in which

R^1 represents $C(O)R^7$, $C(O)OR^7$,

where the other substituents have one or more of the meanings mentioned above,

and to the agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula (I) in which

R^2 represents acetyl, n-propionyl, isobutyryl, cyclopropylacetyl, cyclopropylcarbonyl, methoxycarbonyl, ethoxycarbonyl,

where the other substituents have one or more of the meanings mentioned above,

and to the agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula (I) in which

R^3 and R^4 represent H,

where the other substituents have one or more of the meanings mentioned above,

and to the agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula (I) in which

R^6 represents H, F, Cl, Methyl

where the other substituents have one or more of the meanings mentioned above,

and to the agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula (I) in which

W represents nitrogen

where the other substituents have one or more of the meanings mentioned above,

and to the agrochemically active salts thereof.

Very particular preference is furthermore given to compounds of the formula (I) in which

W represents carbon

where the other substituents have one or more of the meanings mentioned above,

and to the agrochemically active salts thereof.

The radical definitions given above can be combined with one another as desired. Moreover, individual definitions may not apply.

Depending on the nature of the substituents defined above, the compounds of the formula **(I)** have acidic or basic properties and can form salts, if appropriate also inner salts, or adducts with inorganic or organic acids or with bases or with metal ions. If the compounds of the formula **(I)** carry amino, alkylamino or other groups which induce basic properties, these compounds can be reacted with acids to give salts, or they are directly obtained as salts in the synthesis. If the compounds of the formula **(I)** carries hydroxyl, carboxyl or other groups which induce acidic properties, these compounds can be reacted with bases to give salts. Suitable bases are, for example, hydroxides, carbonates, bicarbonates of the alkali metals and alkaline earth metals, in particular those of sodium, potassium, magnesium and calcium, furthermore ammonia, primary, secondary and tertiary amines having (C_1-C_4)-alkyl groups, mono-, di- and trialkanolamines of (C_1-C_4)-alkanols, choline and also chlorocholine.

The salts obtainable in this manner also have fungicidal properties.

Examples of inorganic acids are hydrohalic acids, such as hydrogen fluoride, hydrogen chloride,

hydrogen bromide and hydrogen iodide, sulphuric acid, phosphoric acid and nitric acid, and acidic salts, such as $NaHSO_4$ and $KHSO_4$. Suitable organic acids are, for example, formic acid, carbonic acid and alkanoic acids, such as acetic acid, trifluoroacetic acid, trichloroacetic acid and propionic acid, and also glycolic acid, thiocyanic acid, lactic acid, succinic acid, citric acid, benzoic acid, cinnamic acid, oxalic acid, alkylsulphonic acids (sulphonic acids having straight-chain or branched alkyl radicals of 1 to 20 carbon atoms), arylsulphonic acids or aryldisulphonic acids (aromatic radicals, such as phenyl and naphthyl, which carry one or two sulphonic acid groups), alkylphosphonic acids (phosphonic acids having straight-chain or branched alkyl radicals of 1 to 20 carbon atoms), arylphosphonic acids or aryldiphosphonic acids (aromatic radicals, such as phenyl and naphthyl, which carry one or two phosphonic acid radicals), where the alkyl and aryl radicals may carry further substituents, for example p-toluenesulphonic acid, salicylic acid, p-aminosalicylic acid, 2-phenoxybenzoic acid, 2-acetoxybenzoic acid, etc.

Suitable metal ions are in particular the ions of the elements of the second main group, in particular calcium and magnesium, of the third and fourth main group, in particular aluminium, tin and lead, and also of the first to eighth transition group, in particular chromium, manganese, iron, cobalt, nickel, copper, zinc and others. Particular preference is given to the metal ions of the elements of the fourth period. Here, the metals can be present in various valencies that they can assume.

Optionally substituted groups may be mono- or polysubstituted, where in the case of polysubstitution the substituents may be identical or different.

In the definitions of the symbols given in the formulae above, collective terms were used which are generally representative for the following substituents:

halogen: fluorine, chlorine, bromine and iodine;

aryl: an unsubstituted or optionally substituted 6- to 14-membered partially or fully unsaturated mono-, bi- or tricyclic ring system having up to 3 ring members selected from the groups C(=O), (C=S), where at least one of the rings of the ring system is fully unsaturated, such as, for example (but not limited thereto) benzene, naphthalene, tetrahydronaphthalene, anthracene, indane, phenanthrene, azulene;

alkyl: saturated, straight-chain or branched hydrocarbon radicals having 1 to 8 carbon atoms, for example (but not limited thereto) C_1-C_6-alkyl, such as methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 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 and 1-ethyl-2-methylpropyl;

alkenyl: unsaturated, straight-chain or branched hydrocarbon radicals having 2 to 8 carbon atoms and a double bond in any position, for example (but not limited thereto) C_2-C_6-alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl and 1-ethyl-2-methyl-2-propenyl;

alkynyl: straight-chain or branched hydrocarbon groups having 2 to 8 carbon atoms and a triple bond in any position, for example (but not limited thereto) C_2-C_6-alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-methyl-2-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 3-methyl-1-butynyl, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-3-pentynyl, 2-methyl-4-pentynyl, 3-methyl-1-pentynyl, 3-methyl-4-pentynyl, 4-methyl-1-pentynyl, 4-methyl-2-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 3,3-dimethyl-1-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl and 1-ethyl-1-methyl-2-propynyl;

alkoxy: saturated, straight-chain or branched alkoxy radicals having 1 to 8 carbon atoms, for example (but not limited thereto) C_1-C_6-alkoxy, such as methoxy, ethoxy, propoxy, 1-methylethoxy, butoxy, 1-methylpropoxy, 2-methylpropoxy, 1,1-dimethylethoxy, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy,1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and 1-ethyl-2-methylpropoxy;

alkylthio: saturated, straight-chain or branched alkylthio radicals having 1 to 8 carbon atoms, for example (but not limited thereto) C_1-C_6-alkylthio, such as methylthio, ethylthio, propylthio, 1-methylethylthio, butylthio, 1-methylpropylthio, 2-methylpropylthio, 1,1-dimethylethylthio, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropylthio and 1-ethyl-2-methylpropylthio;

alkoxycarbonyl: an alkoxy group having 1 to 6 carbon atoms (as mentioned above) which is attached to the skeleton via a carbonyl group (-CO-);

alkylsulphanyl: saturated, straight-chain or branched alkylsulphanyl radicals having 1 to 6 carbon atoms, for example (but not limited thereto) C_1-C_6-alkylsulphanyl, such as methylsulphanyl, ethylsulphanyl, propylsulphanyl, 1-methylethylsulphanyl, butylsulphanyl, 1-methylpropylsulphanyl, 2-methylpropylsulphanyl, 1,1-dimethylethylsulphanyl, pentylsulphanyl, 1-methylbutylsulphanyl, 2-methylbutylsulphanyl, 3-methylbutylsulphanyl, 2,2-dimethylpropylsulphanyl, 1-ethylpropylsulphanyl, hexylsulphanyl, 1,1-dimethylpropylsulphanyl, 1,2-dimethylpropylsulphanyl, 1-methylpentylsulphanyl, 2-methylpentylsulphanyl, 3-methylpentylsulphanyl, 4-methylpentylsulphanyl, 1,1-dimethylbutylsulphanyl, 1,2-dimethylbutylsulphanyl, 1,3-dimethylbutylsulphanyl, 2,2-dimethylbutylsulphanyl, 2,3-dimethylbutylsulphanyl, 3,3-dimethylbutylsulphanyl, 1-ethylbutylsulphanyl, 2-ethylbutylsulphanyl, 1,1,2-trimethylpropylsulphanyl, 1,2,2-trimethylpropylsulphanyl, 1-ethyl-1-methylpropylsulphanyl and 1-ethyl-2-methylpropylsulphanyl;

alkylsulphinyl: saturated, straight-chain or branched alkylsulphinyl radicals having 1 to 8 carbon atoms, for example (but not limited thereto) C_1-C_6-alkylsulphinyl, such as methylsulphinyl, ethylsulphinyl, propylsulphinyl, 1-methylethylsulphinyl, butylsulphinyl, 1-methylpropylsulphinyl, 2-methylpropylsulphinyl, 1,1-dimethylethylsulphinyl, pentylsulphinyl, 1-methylbutylsulphinyl, 2-methylbutylsulphinyl, 3-methylbutylsulphinyl, 2,2-dimethylpropylsulphinyl, 1-ethylpropylsulphinyl, hexylsulphinyl, 1,1-dimethylpropylsulphinyl, 1,2-dimethylpropylsulphinyl, 1-methylpentylsulphinyl, 2-methylpentyl sulphinyl, 3-methylpentylsulphinyl, 4-methylpentylsulphinyl, 1,1-dimethylbutylsulphinyl, 1,2-dimethylbutylsulphinyl, 1,3-dimethylbutylsulphinyl, 2,2-dimethylbutylsulphinyl, 2,3-dimethylbutylsulphinyl, 3,3-dimethylbutylsulphinyl, 1-ethylbutylsulphinyl, 2-ethylbutylsulphinyl, 1,1,2-trimethylpropylsulphinyl, 1,2,2-trimethylpropylsulphinyl, 1-ethyl-1-methylpropylsulphinyl and 1-ethyl-2-methylpropylsulphinyl;

alkylsulphonyl: saturated, straight-chain or branched alkylsulphonyl radicals having 1 to 8 carbon

atoms, for example (but not limited thereto) C_1-C_6-alkylsulphonyl, such as methylsulphonyl, ethylsulphonyl, propylsulphonyl, 1-methylethylsulphonyl, butylsulphonyl, 1-methylpropylsulphonyl, 2-methylpropylsulphonyl, 1,1-dimethylethylsulphonyl, pentylsulphonyl, 1-methylbutylsulphonyl, 2-methylbutylsulphonyl, 3-methylbutylsulphonyl, 2,2-dimethylpropylsulphonyl, 1-ethylpropylsulphonyl, hexylsulphonyl, 1,1-dimethylpropylsulphonyl, 1,2-dimethylpropylsulphonyl, 1-methylpentylsulphonyl, 2-methylpentylsulphonyl, 3-methylpentylsulphonyl, 4-methylpentylsulphonyl, 1,1-dimethylbutylsulphonyl, 1,2-dimethylbutylsulphonyl, 1,3-dimethylbutylsulphonyl, 2,2-dimethylbutylsulphonyl, 2,3-dimethylbutylsulphonyl, 3,3-dimethylbutylsulphonyl, 1-ethylbutylsulphonyl, 2-ethylbutylsulphonyl, 1,1,2-trimethylpropylsulphonyl, 1,2,2-trimethylpropylsulphonyl, 1-ethyl-1-methylpropylsulphonyl and 1-ethyl-2-methylpropylsulphonyl;

cycloalkyl: monocyclic, saturated hydrocarbon groups having 3 to 10 carbon ring members, for example (but not limited thereto) cyclopropyl, cyclopentyl and cyclohexyl;

haloalkyl: straight-chain or branched alkyl groups having 1 to 8 carbon atoms (as mentioned above), where in these groups some or all of the hydrogen atoms may be replaced by halogen atoms as mentioned above, for example (but not limited thereto) C_1-C_3-haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl and 1,1,1-trifluoroprop-2-yl;

haloalkoxy: straight-chain or branched alkoxy groups having 1 to 8 carbon atoms (as mentioned above), where in these groups some or all of the hydrogen atoms may be replaced by halogen atoms as mentioned above, for example (but not limited thereto) C_1-C_3-haloalkoxy, such as chloromethoxy, bromomethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 1-chloroethoxy, 1-bromoethoxy, 1-fluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy, pentafluoroethoxy and 1,1,1-trifluoroprop-2-oxy;

haloalkylthio: straight-chain or branched alkylthio groups having 1 to 8 carbon atoms (as mentioned above), where in these groups some or all of the hydrogen atoms may be replaced by halogen atoms as mentioned above, for example (but not limited thereto) C_1-C_3-haloalkylthio, such as chloromethylthio, bromomethylthio, dichloromethylthio, trichloromethylthio, fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorofluoromethylthio, dichlorofluoromethylthio, chlorodifluoromethylthio, 1-chloroethylthio, 1-bromoethylthio, 1-fluoroethylthio, 2-fluoroethylthio, 2,2-difluoroethylthio, 2,2,2-

trifluoroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, 2,2,2-trichloroethylthio, pentafluoroethylthio and 1,1,1-trifluoroprop-2-ylthio;

heteroaryl: a 5 or 6-membered completely unsaturated monocyclic ring system which contains one to four heteroatoms from the group consisting of oxygen, nitrogen and sulphur; if the ring contains a plurality of oxygen atoms, these are not directly adjacent;

5-membered heteroaryl which contains one to four nitrogen atoms or one to three nitrogen atoms and one sulphur or oxygen atom: 5-membered heteroaryl groups which, in addition to carbon atoms, may contain one to four nitrogen atoms or one to three nitrogen atoms and one sulphur or oxygen atom as ring members, for example (but not limited thereto) 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5- oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazolyl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,4-triazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl and 1,3,4-triazol-2-yl;

5-membered heteroaryl which is attached via nitrogen and contains one to four nitrogen atoms, or benzo-fused 5-membered heteroaryl which is attached via nitrogen and contains one to three nitrogen atoms: 5-membered heteroaryl groups which, in addition to carbon atoms, may contain one to four nitrogen atoms and one to three nitrogen atoms, respectively, as ring members and in which two adjacent carbon ring members or a nitrogen and an adjacent carbon ring member may be bridged by a buta-1,3-dien-1,4-diyl group in which one or two carbon atoms may be replaced by nitrogen atoms, where these rings are attached to the skeleton via one of the nitrogen ring members, for example (but not limited thereto) 1-pyrrolyl, 1-pyrazolyl, 1,2,4-triazol-1-yl, 1-imidazolyl, 1,2,3-triazol-1-yl, 1,3,4-triazol-1-yl;

6-membered heteroaryl which contains one to four nitrogen atoms: 6-membered heteroaryl groups which, in addition to carbon atoms, may contain one to three or one to four nitrogen atoms as ring members, for example (but not limited thereto) 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl, 1,2,4-triazin-3-yl and 1,2,4,5-tetrazin-3-yl;

benzo-fused 5-membered heteroaryl which contains one to three nitrogen atoms or one nitrogen atom and one oxygen or sulphur atom: for example (but not limited thereto) 1H-indol-1-yl, 1H-indol-2-yl, 1H-indol-3-yl, 1H-indol-4-yl, 1H-indol-5-yl, 1H-indol-6-yl, 1H-indol-7-yl, 1H-benzimidazol-1-yl, 1H-benzimidazol-2-yl, 1H-benzimidazol-4-yl, benzimidazol-5-yl, 1H-indazol-1-yl, 1H-indazol-3-yl, 1H-indazol-4-yl, 1H-indazol-5-yl, 1H-indazol-6-yl, 1H-indazol-7-yl, 2H-indazol-2-yl, 1-benzofuran-2-yl, 1-benzofuran-3-yl, 1-benzofuran-4-yl, 1-benzofuran-5-yl, 1-benzofuran-6-yl, 1-benzofuran-7-yl, 1-benzothiophen-2-yl, 1-benzothiophen-3-yl, 1-benzothiophen-4-yl, 1-benzothiophen-5-yl, 1-

benzothiophen-6-yl, 1-benzothiophen-7-yl, 1,3-benzothiazol-2-yl and 1,3-benzoxazol-2-yl,

benzo-fused 6-membered heteroaryl which contains one to three nitrogen atoms: for example (but not limited thereto) quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-5-yl, quinolin-6-yl, quinolin-7-yl, quinolin-8-yl, isoquinolin-1-yl, isoquinolin-3-yl, isoquinolin-4-yl, isoquinolin-5-yl, isoquinolin-6-yl, isoquinolin-7-yl, and isoquinolin-8-yl;

heterocyclyl: a three- to fifteen-membered saturated or partially unsaturated heterocycle which contains one to four heteroatoms from the group consisting of oxygen, nitrogen and sulphur: mono-, bi- or tricyclic heterocycles which contain, in addition to carbon ring members, one to three nitrogen atoms and/or one oxygen or sulphur atom or one or two oxygen and/or sulphur atoms; if the ring contains a plurality of oxygen atoms, these are not directly adjacent; such as, for example (but not limited thereto), oxiranyl, aziridinyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothienyl, 3-tetrahydrothienyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 5-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 1,2,4-oxadiazolidin-3-yl, 1,2,4-oxadiazolidin-5-yl, 1,2,4-thiadiazolidin-3-yl, 1,2,4-thiadiazolidin-5-yl, 1,2,4-triazolidin-3-yl, 1,3,4-oxadiazolidin-2-yl, 1,3,4-thiadiazolidin-2-yl, 1,3,4-triazolidin-2-yl, 2,3-dihydrofur-2-yl, 2,3-dihydrofur-3-yl, 2,4-dihydrofur-2-yl, 2,4-dihydrofur-3-yl, 2,3-dihydrothien-2-yl, 2,3-dihydrothien-3-yl, 2,4-dihydrothien-2-yl, 2,4-dihydrothien-3-yl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-isoxazolin-4-yl, 4-isoxazolin-4-yl, 2-isoxazolin-5-yl, 3-isoxazolin-5-yl, 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2,3-dihydropyrazol-1-yl, 2,3-dihydropyrazol-2-yl, 2,3-dihydropyrazol-3-yl, 2,3-dihydropyrazol-4-yl, 2,3-dihydropyrazol-5-yl, 3,4-dihydropyrazol-1-yl, 3,4-dihydropyrazol-3-yl, 3,4-dihydropyrazol-4-yl, 3,4-dihydropyrazol-5-yl, 4,5-dihydroopyrazol-1-yl, 4,5-dihydropyrazol-3-yl, 4,5-dihydropyrazol-4-yl, 4,5-dihydropyrazol-5-yl, 2,3-dihydrooxazol-2-yl, 2,3-dihydrooxazol-3-yl, 2,3-dihydrooxazol-4-yl, 2,3-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 3,4-dihydrooxazol-5-yl, 3,4-dihydrooxazol-2-yl, 3,4-dihydrooxazol-3-yl, 3,4-dihydrooxazol-4-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1,3-dioxan-5-yl, 2-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 2-hexahydropyrimidinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl, 2-piperazinyl, 1,3,5-hexahydrotriazin-2-yl and 1,2,4-hexahydrotriazin-3-yl;

Not included are combinations which contradict natural laws and which the person skilled in the art would therefore have excluded based on his expert knowledge. Excluded are, for example, ring structures having three or more adjacent oxygen atoms.

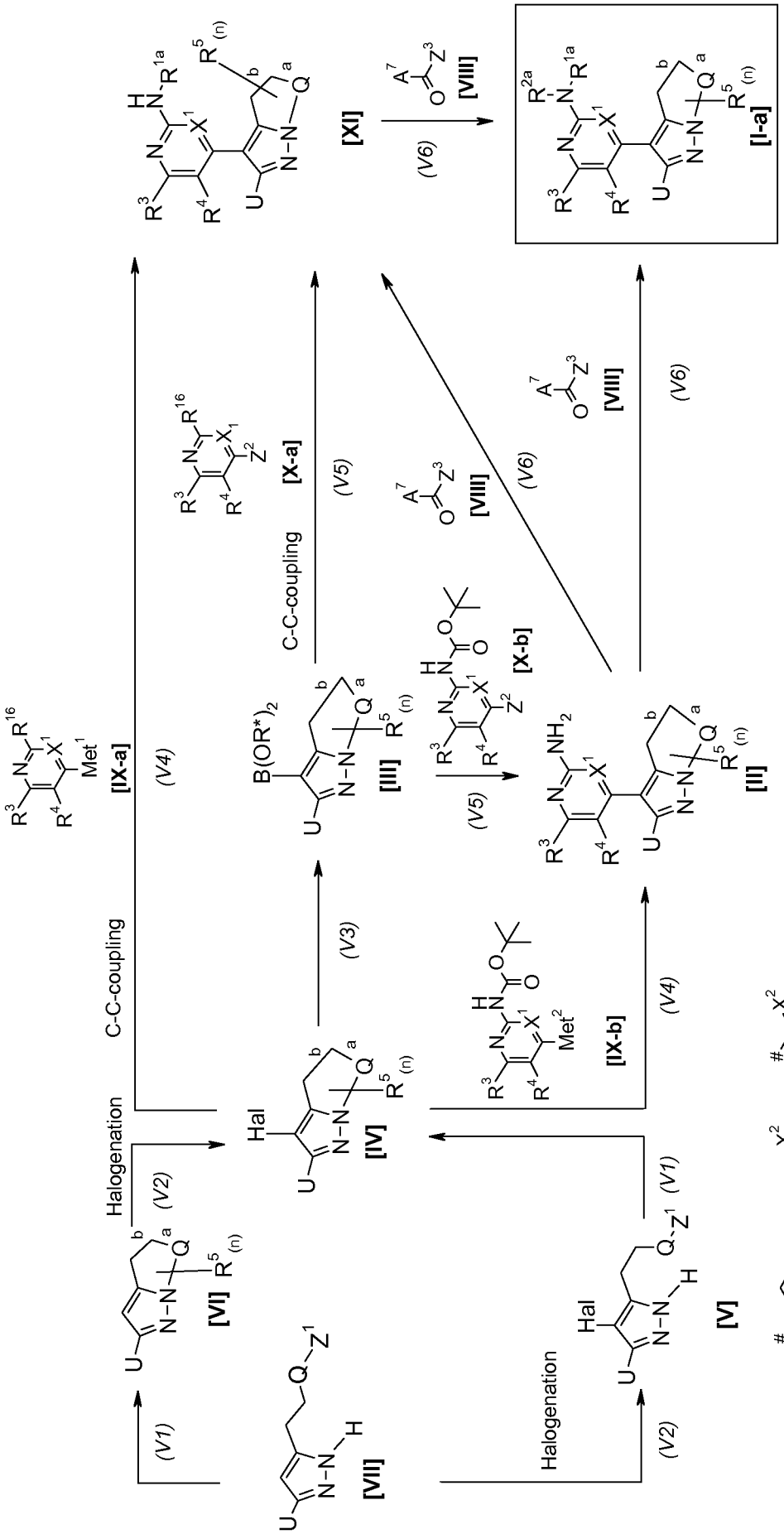
The present invention furthermore relates to a process for preparing Heterocyclylpyri(mi)dinylpyrazole of the formula **[I]** according to the invention.

Explanation of the Processes and Intermediates

The Heterocyclylpyri(mi)dinylpyrazole according to the invention of the formula **[I]** can be prepared in different ways. Below, the possible processes are firstly shown schematically and then described in detail. Unless otherwise indicated, the residues stated have the meanings given below the schemes.

The Heterocyclylpyri(mi)dinylpyrazole according to the invention of the formula **[I]** can be produced by process A according to the following scheme.

Scheme 1


Halogenation
C-C-coupling
C-C-coupling
Halogenation
(V1)
(V2)
(V3)
(V4)
(V5)
(V6)
[VII]
[VI]
[IV]
[V]
[IX-a]
[IX-b]
[X-a]
[X-b]
[XI]
[VIII]
[III]
[II]
[I-a]
U—# =

Met^1= e.g. -$Sn(Bu)_3$, -$B(OR^*)_2$

Met^2= e.g. -$B(OR^*)_2$

$B(OR^*)_2$= e.g. -$B(OiPr)_2$, -$B(OH)_2$, -B(pinacolato)

Z^1= e.g. Cl, Br, I, -OTos, -OMs,

Z^2= e.g. Cl, Br

Z^3= e.g. Cl, -OH

A^7 = e.g. R^7, -OR^7

Q = C, C-C, C-Si

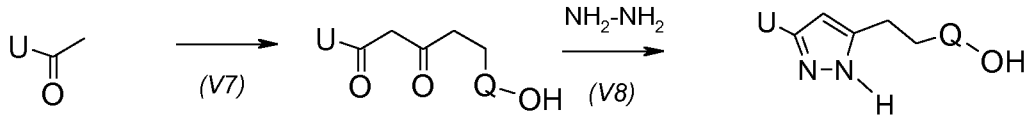
R^{1a} = $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$, $C(O)NR^7R^8$

R^{2a} = $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$, $C(O)NR^7R^8$

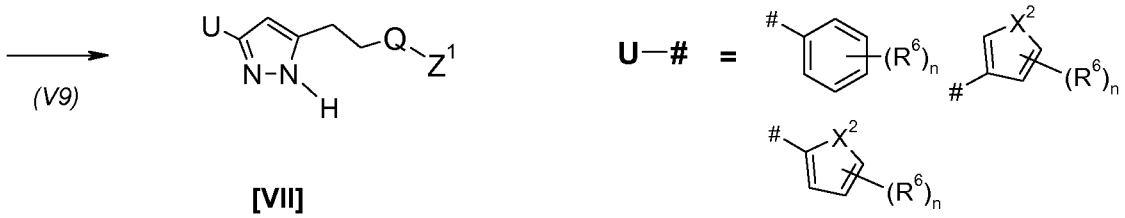
R^{16} = H, Hal, S-alkyl, NR^1R^2

In addition, the intermediates of the formula **[VII]** can be prepared by process B (Scheme 2)

Scheme 2



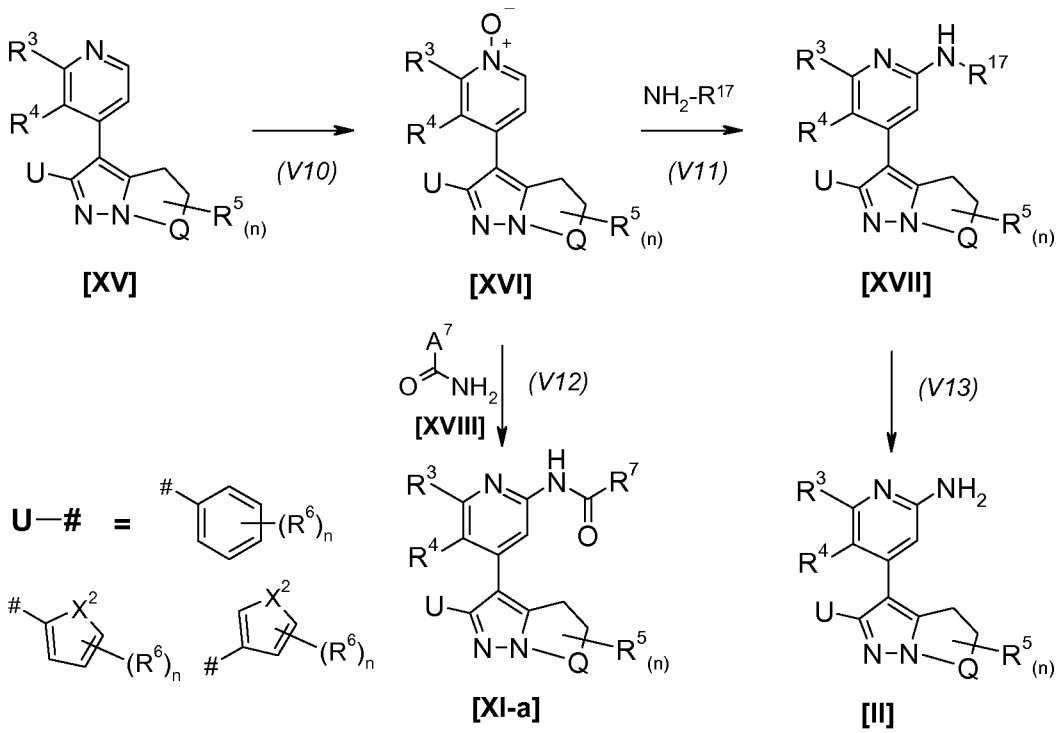
[XII] **[XIII]** **[XIV]**



[VII]

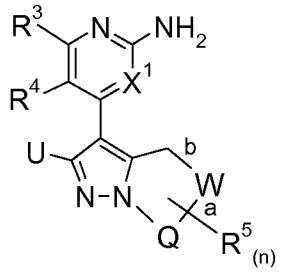
In addition, the intermediates of the formula **[I-g]** and the intermediates of the general formula **[II]** can also be produced by process C (Scheme 3)

Scheme 3



R^{17} = e.g. tert-butyl, benzyl,

Compounds of the formula **[II]**



[II]

wherein the symbols Q, W, X^1, R^3, R^4 and R^5 have the aforesaid general, preferred, particularly preferred, quite particularly preferred, most preferred or especially preferred meanings, and salts thereof, are novel.

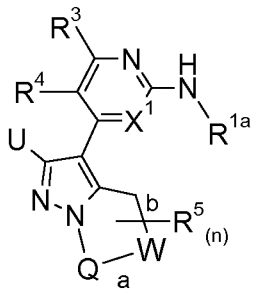
For example the compounds of the type **[II]** listed in the following table are novel:

No.	Name	U	X^1	-Q-W-C- (subst. by R^5)	a	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
[II-1]	4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine	4-Fluorophenyl	CH	$-CH_2-CH_2-CH_2-$	single	1.02	295.2
[II-2]	4-[2-(3-chloro-4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine	3-chloro-4-fluorophenyl	CH	$-CH_2-CH_2-CH_2-$	single	1.28	329.1
[II-3]	4-[2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine	3,4-difluorophenyl	CH	$-CH_2-CH_2-CH_2-$	single	1.08	313.1

R^5 = H

a = single bond

Compounds of the formula **[XI]**



[XI]

wherein the symbols Q, X^1, R^{1a}, R^3, R^4 and R^5 have the aforesaid general, preferred, particularly preferred, quite particularly preferred, most preferred or especially preferred meanings, and salts thereof, are novel.

For example the compounds of the type **[XI]** listed in the following table are novel:

No.	Name	U	R^{1a}	-Q-W-C- (subst. by R^5)	R5	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
[XI-1]	N-[4-(4-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl)pyridin-2-yl]acetamide	phenyl	acetyl	$-CH_2-CH_2-CH(Me)-$	Me	1.6	333.3
[XI-2]	N-[4-(4-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl)pyridin-2-yl]propanamide	phenyl	propionyl	$-CH_2-CH_2-CH(Me)-$	Me	1.87	347.3
[XI-3]	N-{4-[2-(4-fluorophenyl)-5-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}acetamide	4-fluorophenyl	acetyl	$-CH_2-CH(Me)-CH_2-$	Me	1.64	351.2
[XI-4]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}cyclopropanecarboxamide	4-fluorophenyl	cyclopropylcarbonyl	$-CH_2-CH_2-CH_2-$	H	1.73	363.3
[XI-5]	2-methoxy-N-[4-(4-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl)pyridin-2-yl]acetamide	4-fluorophenyl	methoxyacetyl	$-CH_2-CH_2-CH(Me)-$	Me	2.22	363.2
[XI-6]	N-{4-[6-ethyl-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}acetamide	4-fluorophenyl	acetyl	$-CH(Et)-CH_2-CH_2-$	Et	1.96	365.1
[XI-7]	N-{4-[2-(4-fluorophenyl)-5-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	4-fluorophenyl	propionyl	$-CH_2-CH(Me)-CH_2-$	Me	1.91	365.2
[XI-8]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-2-methylpropanamide	4-fluorophenyl	isobutyryl	$-CH_2-CH_2-CH_2-$	H	1.97	365.5
[XI-9]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanethioamide	4-fluorophenyl	propanethioyl	$-CH_2-CH_2-CH_2-$	H	2.74	367.1
[XI-10]	N-{4-[2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	3,4-difluorophenyl	propionyl	$-CH_2-CH_2-CH_2-$	H	1.93	369.5
[XI-11]	2-cyclopropyl-N-[4-(4-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-	phenyl	cyclopropylacetyl	$-CH_2-CH_2-CH(Me)-$	Me	2.32	373.3

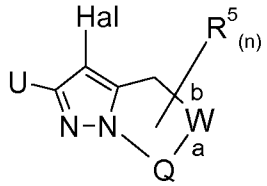
No.	Name	U	R^{1a}	-Q-W-C- (subst. by R^5)	R5	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
	yl)pyridin-2-yl]acetamide						
[XI-12]	N-{4-[2-(5-chloro-3-thienyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	5-chloro-3-thienyl	propionyl	$-CH_2-CH_2-CH_2-$	H	1.95	373.1
[XI-13]	2-cyclopropyl-N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}acetamide	4-fluorophenyl	cyclopropylacetyl	$-CH_2-CH_2-CH_2-$	H	2.08	377.5
[XI-14]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}cyclobutanecarboxamide	4-fluorophenyl	cyclobutanoyl	$-CH_2-CH_2-CH_2-$	H	2.08	377.1
[XI-15]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-3-methylbutanamide	4-fluorophenyl	3-methylbutanoyl	$-CH_2-CH_2-CH_2-$	H	2.2	379.2
[XI-16]	N-{4-[6-ethyl-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	4-fluorophenyl	propanoyl	$-CH(Et)-CH_2-CH_2-$	Et	2.3	379.1
[XI-17]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-2-methylbutanamide	4-fluorophenyl	2-methylbutanoyl	$-CH_2-CH_2-CH_2-$	H	2.23	379.2
[XI-18]	N-{4-[2-(4-fluorophenyl)-5-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-2-methoxyacetamide	4-fluorophenyl	methoxyacetyl	$-CH_2-CH(Me)-CH_2-$	Me	2.3	381.1
[XI-19]	N-{4-[2-(4-fluorophenyl)-4-methoxy-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	4-fluorophenyl	propionyl	$-CH_2-CH_2-CH(OMe)-$	OMe	1.72	381.2
[XI-20]	N-{4-[2-(5-chloro-3-thienyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}cyclopropanecarboxamide	5-chloro-3-thienyl	cyclopropanoyl	$-CH_2-CH_2-CH_2-$	H	2.02	385.1
[XI-21]	N-{4-[2-(5-chloro-3-thienyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-2-methylpropanamide	5-chloro-3-thienyl	isobutyryl	$-CH_2-CH_2-CH_2-$	H	2.24	387.1

No.	Name	U	R^{1a}	-Q-W-C- (subst. by R^5)	R5	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
[XI-22]	2-cyclopropyl-N-{4-[2-(4-fluorophenyl)-5-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}acetamide	4-fluorophenyl	cyclopropylacetyl	$-CH_2-CH(Me)-CH_2-$	Me	2.36	391.2
[XI-23]	N-{4-[2-(4-fluorophenyl)-7-methyl-4,5-dihydropyrazolo[1,5-c][1,3]oxazin-3-yl]pyridin-2-yl}cyclopropanecarboxamide	4-fluorophenyl	cyclopropanoyl	$-CH(Me)-O-CH_2-CH_2-$	Me	2.33	393.2
[XI-24]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}tetrahydrofuran-3-carboxamide	4-fluorophenyl	tetrahydrofuran-3-ylcarbonyl	$-CH_2-CH_2-CH_2-$	H	1.69	393.4
[XI-25]	N-{4-[2-(5-chloro-3-thienyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-2-cyclopropylacetamide	5-chloro-3-thienyl	cyclopropylacetyl	$-CH_2-CH_2-CH_2-$	H	2.4	399.1
[XI-26]	2-cyclopropyl-N-{4-[6-ethyl-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}acetamide	4-fluorophenyl	cyclopropylacetyl	$-CH(Et)-CH_2-CH_2-$	Et	2.81	405.1
[XI-27]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}tetrahydro-2H-pyran-4-carboxamide	4-fluorophenyl	tetrahydro-2H-pyran-4-ylcarbonyl	$-CH_2-CH_2-CH_2-$	H	1.77	407.5
[XI-28]	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-3-phenylpropanamide	4-fluorophenyl	3-phenylpropanoyl	$-CH_2-CH_2-CH_2-$	H	2.62	427.4

X^1 = CH

R^3, R^4 = H

Compounds of the formula **[IV]**



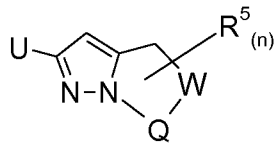
[IV]

wherein the symbols W, Q, R^5 have the aforesaid general, preferred, particularly preferred, quite particularly preferred, most preferred or especially preferred meanings, and salts thereof, are novel.

For example the compounds of the type **[IV]** listed in the following table are novel:

No.	Name	U	Hal	-Q-W-C- (subst. by R^5)	R^5	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
[IV-1]	3-bromo-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	4-fluorophenyl	Br	$-CH_2-CH_2-CH_2-$	H	3.21	283.0
[IV-2]	3-bromo-2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	3,4-difluorophenyl	Br	$-CH_2-CH_2-CH_2-$	H	3.54	301.0
[IV-3]	3-bromo-2-(3-chloro-4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	3-chloro-4-fluorophenyl	Br	$-CH_2-CH_2-CH_2-$		4.01	315.0
[IV-4]	3-iodo-4-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	phenyl	I	$-CH_2-CH_2-CH(Me)-$	Me	3.56	325.1
[IV-5]	2-(4-fluorophenyl)-3-iodo-6-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	4-fluorophenyl	I	$-CH(Me)-CH_2-CH_2-$	Me	3.78	343.0
[IV-6]	2-(5-chloro-3-thienyl)-3-iodo-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridine	5-chloro-3-thienyl	I	$-CH_2-CH_2-CH_2-CH_2-$	H	4.49	364.9
[IV-7]	3-iodo-5-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	phenyl	I	$-CH_2-CH(Me)-CH_2-$	Me	3.74	343.0

Compounds of the formula **[VI]**



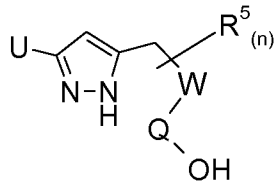
[VI]

wherein the symbols W, Q, R^5 have the aforesaid general, preferred, particularly preferred and very particularly preferred meanings, and salts thereof, are novel.

For example the compounds of the type **[VI]** listed in the following table are novel:

No.	Name	U	-Q-W-C- (subst. by R^5)	R^5	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
[VI-1]	2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	4-fluorophenyl	$-CH_2-CH_2-CH_2-$	H	2.39	203.1
[VI-2]	2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	3,4-difluorophenyl	$-CH_2-CH_2-CH_2-$	H	2.69	221.2
[VI-3]	2-(3-chloro-4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole	3-chloro-4-fluorophenyl	$-CH_2-CH_2-CH_2-$	H	3.07	237.1

Compounds of the formula **[XIV]**



[XIV]

wherein the symbols W, Q, R^5 have the aforesaid general, preferred, particularly preferred and very particularly preferred meanings, and salts thereof, are novel.

For example the compounds of the type **[XIV]** listed in the following table are novel:

No.	Name	U	-Q-W-C- (subst. by R^5)	R^5	LogP (pH 2.3)[1]	$[M+H]^+$ Peak[2]
[XIV-1]	3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]propan-1-ol	4-fluorophenyl	$-CH_2-CH_2-CH_2-$	H	1.50	221.1
[XIV -2]	3-[3-(3,4-difluorophenyl)-1H-pyrazol-5-yl]propan-1-ol	3,4-difluorophenyl	$-CH_2-CH_2-CH_2-$	H	1.73	239.1
[XIV -3]	3-[3-(3-chloro-4-fluorophenyl)-1H-pyrazol-5-yl]propan-1-ol	3-chloro-4-fluorophenyl	$-CH_2-CH_2-CH_2-$	H	1.97	255.0
[XIV-4]	4-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]butan-1-ol	4-fluorophenyl	$-CH_2-CH_2-CH_2-CH_2-$	H	1.72	235.2
[XIV-5]	3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]butan-1-ol	4-fluorophenyl	$-CH(Me)-CH_2-CH_2-$	Me	1.74	235.1

[1] In the determination of the logP values, the methods described below were used.

[2] The mass stated is the peak of the isotope pattern of the $[M+H]^+$ ion with the highest intensity; if the $[M-H]^-$ ion was detected, the mass value is marked with a 2.

The production of the compounds with the general formula **[I-a]** by process A can be effected as follows:

A compound with the general formula **[VII]** is halogenated and a compound of the formula **[V]** is obtained. This is converted to a compound of the type **[IV]** by cyclisation. Alternatively, a compound with the general formula **[VII]** is cyclised and a compound of the type **[VI]** is obtained. Compounds of the formula **[VI]** can be halogenated whereas compounds of the type **[IV]** are obtained. The compounds of the general formula **[IV]** can be reacted with substrates of the formula **[IX-a]** in a C-C coupling, whereby compounds of the formula **[XI]** are obtained (Scheme 1).

Alternatively, the pyrazole compounds of the general formula **[IV]** can be converted into compounds of the type **[III]** by reaction with a boronic acid ester. These can be converted into compounds of the formula **[XI]** by reaction with a substrate of the formula **[X-a]** in a C-C coupling reaction (Scheme 1).

Alternatively, compounds of the type **[IV]** can be converted into compounds of the formula **[II]** by reaction with a substrate of the formula **[IX-b]** in a C-C coupling reaction and subsequent deprotection. These compounds can be converted into compounds of the formula **[I-a]** by reaction with an excess of

substrates of the formula **[VIII]**. Alternatively, compounds of the formula [II] can be converted into compounds of the formula **[XI]**, depending on the reaction conditions and number of equivalents **[VIII]** used.

Furthermore, compounds of the type **[XI]** can be converted into compounds of the formula **[I-a]** (where R^{2a} <> R^{1a}) by reaction with a substrate of the formula **[VIII]** (scheme 1).

The synthesis of the intermediates with the general formula **[VII]** by process B can be effected as follows:

Compounds of the general formula **[XII]** and converted into structures of the formula **[XIII]** by reaction with 5-7 membered lactones or esters according to known methods. The 1,3-diketo compounds of the structure **[XIII]** can be converted with hydrazine into structures of the formula **[XIV]**. By the reaction of compounds of the structure **[XIV]** with an activating reagent (such as tosyl chloride or mesyl chloride) pyrazoles of the structure **[VII]** are obtained. (scheme 2).

The synthesis of intermediates of the formula **[XI-a]** and intermediates with the general formula **[II]** by process C can be effected as follows:

A pyridine compound of the formula **[XV]** is converted into the N-oxide of the formula **[XVI]**. The reaction of the latter with a suitable electrophilic species such as tosyl anhydride in the presence or followed by treatment with a suitable nucleophile such as a primary amine (NH_2R^{17}) amine yields a compound of formula **[XVII]**. Furthermore, the aminopyridine of the formula **[XVII]** (in which R^{17} represents a cleavable protecting group such as tert butyl or benzyl) can be converted into the free aminopyridine of the formula **[II]** by treatment with acid or under reductive conditions.

Alternatively, a compound of the formula **[XVI]** can be converted into the acylaminopyridine of formula **[XI-a]** by reaction of the N-oxide with an acylisocyanate, in-situ generated from the carboxamide **[XVIII]** and oxalyl chloride. (scheme 3)

Step (V1)

One possibility for the synthesis of compounds of the formula **[VI]** is shown in Scheme 1.

Compounds of the formula **[VI]** can be synthesized by cyclisation of compounds of the type **[VII]** (whereas Z^1 stands for a leaving group e.g. -Cl, -OMs) in the presence of a base.

As solvents for the reaction, all usual solvents inert under the reaction conditions, such as for example cyclic and acyclic ethers (e.g. diethyl ether, tetrahydrofuran, dioxan), aromatic hydrocarbons (e.g. benzene, toluene, xylene), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon

tetrachloride), halogenated aromatic hydrocarbons (e.g. chlorobenzene, dichlorobenzene), nitriles (e.g. acetonitrile), carboxylic acid esters (e.g. ethyl acetate), amides (e.g. N,N-dimethylformamide, N,N-dimethylacetamide), dimethyl sulphoxide or 1,3-dimethyl-2-imidazolinone, can be used or the reaction can be effected in mixtures of two or more of these solvents. The preferred solvents are dimethylformamide and tetrahydrofurane.

Bases which can be used for this reaction are for example lithium hexamethyldisilazide (LiHMDS), potassium carbonate, caesium carbonate and sodium hydride. The preferred base is sodium hydride. As a rule at least 1 equivalent of base is used.

The reaction can be performed in the presence of an additional organic or inorganic salt (lithium iodide, tetrabutylammonium iodide).

The reaction is normally effected at temperatures of 0°C – 100°C and preferably at 20°C – 30°C, but it can also be effected at the reflux temperature of the reaction mixture. The reaction time varies depending on the scale of the reaction and the reaction temperature, but generally lies between a few minutes and 48 hours.

Alternatively, this cyclisation can also be affected by treatment of the hydroxypyrazole compound **[XIV]** in the presence of an activating agent (e.g. thionyl chloride) and direct convertion to the bicyclopyrazole **[VI]** under the reaction conditions (e.g. in the presence of ammonia as described in *Tetrahedron Letters* **2010**, 51, 52, 6799-6801).

Likewise, these synthesis methods can also be used for the conversion of the halogenated pyrazoles of the formula **[V]** into compounds of the formula **[IV]**.

Step (V2)

One possibility for the synthesis of compounds of the formula **[V]** is shown in Scheme 1.

The halogenated pyrazoles of the formula **[V]** can be produced by literature methods. One method for the production of suitable halogenated pyrazoles is for example the bromination of corresponding pyrazoles **[VII]** (e.g. described in Heterocycles 1984, 22, 11, 2523-2527 and WO2010/68242) by reaction with bromine in halogenated solvents (dichloromethane or chloroform). The reaction can be performed at temperatures between room temperature and refluxing temperature of the solvent.

In analogy, intermediates of the formula **[VI]** can be converted into compounds of the formula **[IV]**.

Step (V3)

One possibility for the synthesis of compounds of the formula **[III]** is shown in Scheme 1.

Compounds of the formula **[III]** can be produced by described methods e.g. via reaction of the halopyrazoles **[IV]** with boronic acid esters such as for example bispinacolatodiboron (4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane) in the presence of a catalyst such as for example 1,1'-bis(diphenyl-phosphino)ferrocene-palladium(II) dichloride in the presence of a base and a suitable solvent (see US 0,018,156 A, WO 2007/024843 or EP-A 1 382 603).

As the solvent, all common solvents inert under the reaction conditions, such as for example sulphoxides (e.g. dimethyl sulphoxide), cyclic ethers (e.g. dioxan) and amides (e.g. N,N-dimethylformamide) can be used and the reaction can be effected in mixtures of two or more of these solvents. The preferred solvents are dimethyl sulphoxide and dioxan.

The reaction will normally be effected at temperatures of 80°C – 120°C, and the preferred reaction temperature is about 85°C – 90°C. The reaction time varies depending on the scale of the reaction and the reaction temperature, but generally lies between one hour and 16 hours.

Other synthetic methods described in the literature can likewise be used for the production of the compounds of the formula **[III]**. For example compounds of the formula **[III]** can be produced by metallation of the halogenated pyrazoles **[IV]** with bases such as for example n-butyllithium and reaction with boronic acid esters such as for example trimethyl borate and subsequent reaction of the pyrazole-boronic acid obtained with pinacol (see e.g. *J. Het. Chem.* **2004**, 41, 931-940 or EP-A 1 382 603 and WO 2007/16392).

Step (V4)

A possibility for the synthesis of compounds of the formula **[XI]** and **[XII]** is shown in Scheme 1.

Compounds of the formula **[XI]** can be produced for example by coupling of the halogenated pyrazoles **[IV]** with metallated heterocycles of the formula **[IX-a]** (wherein Met^1 stands for a borate ester or boronic acid such as for example $B(OiPr)_3$, $B(OH)_2$) in the presence of a catalyst, a base, if necessary a ligand and a suitable solvent at suitable temperatures by known literature procedures (*Top. Curr. Chem.* **2002**, 219, 11; *Organomet. Chem.* **1999**, 28, 147 and literature cited therein, **2005**, 7, 21, 4753-4756). (Scheme 1)

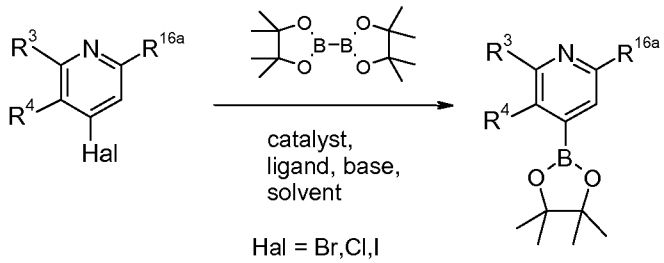
In analogy, the synthesis of the pyrazoles **[II]** from the compounds of the type **[IV]** described in Scheme 1 can be effected with this process.

Compounds of the formula **[XI]** can also be produced for example by coupling of the halopyrazoles **[IV]** with metallated heterocycles of the formula **[IX-a]** (wherein Met^1 stands for a tin-compound such as for example $Sn(n\text{-}Bu)_3$) in the presence of a catalyst, if necessary an inorganic or organic halide salt, if necessary a ligand and a suitable solvent at suitable temperatures by known literature procedures (see

Synthesis **1992**, 803-815).

Compounds of the formula **[IX-a1]** (wherein X^1 stands for C-H) are commercially available or can be produced by literature procedures. One method for the production of suitable haloheterocycles **[IX-a1]** is the reaction of haloheterocycles of the formula **[XXVIII]** with bispinacolatodiboron in the presence of a catalyst (such as for example $Pd(OAc)_2$ or $PdCl_2(dppf)$), if necessary a ligand (such as for example 1,3-bis(2,6-diisopropylphenyl)-4,5-dihydroimidazolium chloride), a base (such as for example potassium acetate or sodium acetate) and a solvent (such as for example tetrahydrofuran or dimethyl sulphoxide) by methods described in the literature (*Bioorg. Med. Chem. Lett.* **2006**, 16, 5, 1277-1281 and WO 2011/042389) (Scheme 4).

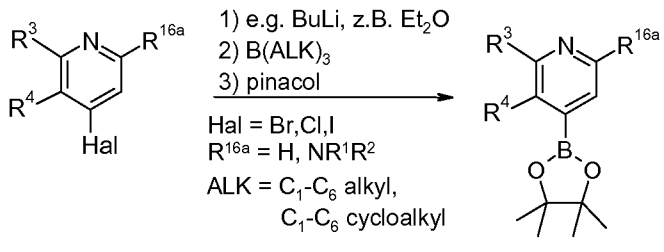
Scheme 4



[XXVIII] **[IX-a1]**

Alternatively, compounds of the formula **[IX-a1]** (wherein X^1 stands for C-H) can also be prepared by other known literature methods. One method for the production of suitable heterocycles **[IX-a1]** is the metallation of the halopyridine **[XXVIII]** with a base (such as for example n-butyllithium) in a solvent (such as for example diethyl ether or tetrahydrofuran) and subsequent reaction with a boronic acid ester (such as for example $B(i\text{-}PrO)_3$ or $B(OMe)_3$) and pinacol by known literature methods (*Synthesis* **2004**, 4, 469-483 and literature described therein) (Scheme 5).

Scheme 5



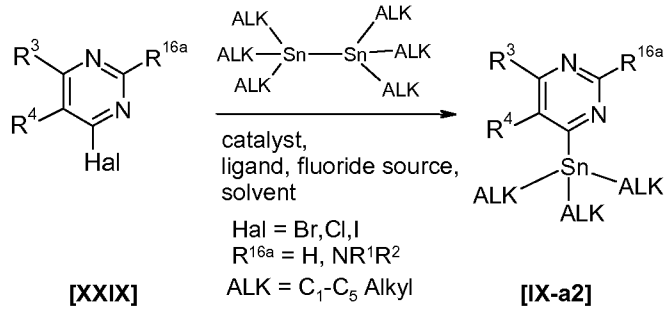
[XXVIII] **[IX-a1]**

In analogy, compounds of the type **[IX-b]** can be synthetised according to literature described methods (WO 2011/042389) by reaction of the respective haloheterocycle precursor (replacement of Met^2 by Cl, Br, I in **[IX-b]**) with bispinacolatodiboron in the presence of a catalyst.

Compounds of the formula **[IX-a2]** (wherein X^1 stands for N) are commercially available or can be produced by literature procedures. One method for the production of suitable haloheterocycles **[IX-a2]**

is the reaction of haloheterocycles of the formula **[XXIX]** with hexaalkylditin compounds (such as for example 1,1,1,2,2,2-hexabutylditin) in the presence of a catalyst (such as for example bis(triphenylphosphine)palladium(II) acetate), if necessary a fluoride ion source (such as for example tetrabutylammonium fluoride) and a solvent (such as for example tetrahydrofuran or diethyl ether) by methods described in the literature (WO 2003/095455 or WO 2007/104538) (Scheme 6).

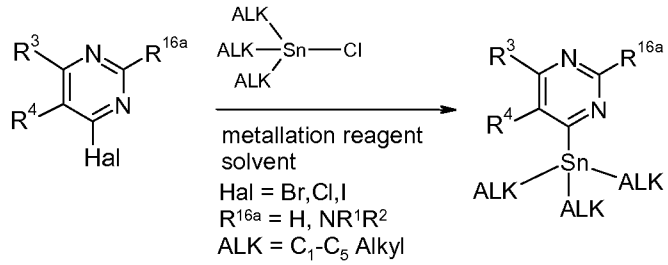
Scheme 6



[XXIX] **[IX-a2]**

Alternatively, compounds of the formula **[IX-a2]** (wherein X^1 stands for N) can also be prepared by other known literature methods. One method for the production of suitable haloheterocycles **[IX-a2]** is the metallation of the halopyridine **[XXIX]** using a metallation reagent (an alkyllithium compound such as for example n-butyllithium or a Grignard reagent such as for example isopropylmagnesium chloride) in a solvent (such as for example diethyl ether or tetrahydrofuran) and subsequent reaction with a trialkyltin halogen compound (such as for example Bu_3SnCl) by known literature methods (WO 2008/008747 or *Tetrahedron* **1994**, 275-284 and literature described therein) (Scheme 7).

Scheme 7



[XXIX] **[IX-a2]**

Compounds of the formula **[XXVIII]** and **[XXIX]** are commercially available or can be prepared for example by acylation of corresponding amine (in the case $R^{16} = -NH_2$) by known literature methods (e.g. *J. Org. Chem.* **2004**, *69*, 543-548). Another method for the preparation of the compounds of the type **[XXVIII]** and **[XXIX]** consists in the halogenation of the corresponding hydroxyheterocycles analogously to the halogenation methods stated for the synthesis of the compounds **[X-a1]** and **[X-b2]**.

In the coupling of the halopyrazoles **[IV]** with metallated heterocycles of the formula **[IX-a]** (wherein Met stands for a borate ester or boronic acid such as for example $B(OiPr)_3$ or $B(OH)_2$), the selection of solvent, base, temperature, catalysts and added ligands if necessary can vary depending on the borate

ester substrate used and comprises the possible variations described under step **(V5)** for the C-C coupling of compound of the formula **[III]** with substrates of the formula **[X-a]**.

In the coupling of the halopyrazoles **[IV]** with metallated heterocycles of the formula **[IX-a]** (wherein Met^1 stands for an alkyltin bearing group such as for example $Sn(Bu)_3$), the selection of a catalyst, if necessary an inorganic or organic halide salt, if necessary a ligand and a suitable solvent at suitable temperatures can vary depending on the alkyltin substrate used.

As the solvent for the reaction of compounds of the formula **[IX-a]**, all usual solvents inert under the reaction conditions, such as for example cyclic and acyclic ethers (diethyl ether, dimethoxymethane, diethylene glycol dimethyl ether, tetrahydrofuran, dioxan, diisopropyl ether, tert-butyl methyl ether), aromatic hydrocarbons (e.g. benzene, toluene, xylene), amides (e.g. dimethylformamide, dimethyl-acetamide, N-methylpyrrolidone) and sulphoxides (e.g. dimethyl sulphoxide) can be used or the reaction can be performed in mixtures of two or more of these solvents. The preferred solvent is dimethylformamide.

Halide salts for the reaction of compounds of the formula **[IX-a]** which are preferably used in the process according to the invention are for example copper halides (e.g. CuBr or CuI), caesium halides (CsF) and tetraalkylammonium halides (TBAF).

The halide salts are preferably used in the process according to the invention in a proportion of 1 to 400 mol.%, based on the organic tin compound. However, mixtures of the halide salts can also be used in proportions of 1-400 mol.%. The addition of a mixture of copper iodide and caesium fluoride in proportions of 1- 200 mol.% is particularly preferable.

As catalysts for the reaction of compounds of the formula **[IX-a]** with halogenated pyrazoles of the formula **[IV]** the same catalysts can be used as are described below for the production of the compounds of the formula **[I],** by reaction of the compounds of the formula **[III]** and **[X-a]** described for step V5.

The quantity of catalyst, based on the heteroaromatics **[IX-a]** bearing the leaving group Met^1, is preferably 0.001 to 0.5 mol.% and particularly preferably 0.01 to 0.2 mol.%.

The catalyst can contain phosphorus-containing or arsenic-containing ligands or phosphorus-containing or arsenic-containing ligands can be added separately to the reaction mixture. As phosphorus-containing ligands, preferably tri-n-alkylphosphanes, triarylphosphanes, dialkylaryl-phosphanes, alkyldiarylphosphanes and/or heteroarylphosphanes, such as tripyridylphosphane and trifurylphosphane, wherein the three substituents on the phosphorus can be the same or different, can be chiral or achiral and wherein one or more substituents can link the phosphorus groups of several phosphanes, wherein

one part of this linkage can also be a metal atom, are suitable. Particularly preferable are phosphanes such as triphenylphosphane, tri-tert-butylphosphane and tricyclohexyl-phosphane. As arsenic-containing ligands, for example tri-n-alkylarsanes and triarylarsanes, wherein the three substituents on the arsenic can be the same or different, are suitable.

The total concentration of ligands, based on the heteroaromatics **[IX-a]** bearing the leaving group Met^1, is preferably up to 1 mol.%, particularly preferably 0.01 to 0.5 mol.%.

To effect the process according to the invention, advantageously the educts, the solvent, the base, the halide salt, the catalyst and if necessary the ligand are thoroughly mixed and reacted preferably at a temperature of 0°C– 200°C, particularly preferably at 60-150°C. The reaction time varies depending on the scale of the reaction and the reaction temperature, but generally lies between a few minutes and 48 hours. Other than as a one-pot reaction, the reaction can also be run such that the various reactants are metered in a controlled manner in the course of the reaction, whereby different metering variants are possible.

The processes according to the invention are in general performed under normal pressure. However it is also possible to operate under increased or reduced pressure. The reaction is in general performed using a blanket gas such as for example argon or nitrogen.

The molar reactant ratio of the halopyrazole **[IV]** to the organotin compound **[IX-a2]** is preferably 0.9 to 2.

After completion of the reaction, the catalyst arising as a solid is removed by filtration, the crude product freed from the solvent or solvents and then purified by methods known to those skilled in the art and appropriate for the particular product, e.g. by recrystallization, distillation, sublimation, zone melting, melt crystallization or chromatography.

Step (V5)

One possibility for the synthesis of compounds of the formula **[XI]** and for the synthesis of compounds of the formula **[II]** is shown in Scheme 1.

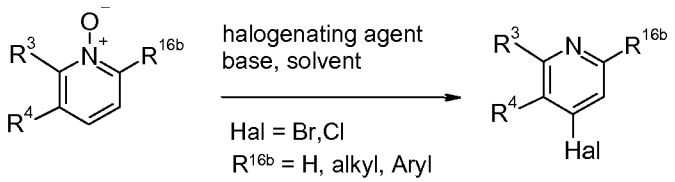
Compounds of the formula **[XI]** can be produced for example by coupling of the pyrazoleboronic acids **[III]** with heterocycles of the formula **[X-a]** (wherein Z^2 represents a leaving group such as for example Cl or Br) in the presence of a catalyst, a base and a suitable solvent at suitable temperatures by known literature procedures (*Top. Curr. Chem.* **2002**, 219, 11; *Organomet. Chem.* **1999**, 28, 147 and literature cited therein).

In a similar manner, compounds of the formula **[II]** can be produced by coupling of the pyrazoleboronic

acids **[III]** with heterocycles of the formula **[X-b]**.

Compounds of the formula **[X-a]** (wherein X^1 stands for C-H) are commercially available or can be produced by literature procedures (Scheme 8). One method for the production of suitable haloheterocycles **[X-a1]** is the reaction of the pyridine N-oxides with halogenating agents (e.g. PCl_3, $POCl_3$, $SOCl_2$ or methanesulphonyl chloride) (see *Bioorg. Med. Chem. Lett.* **2007**, 17, 7, 1934-1937).

Scheme 8

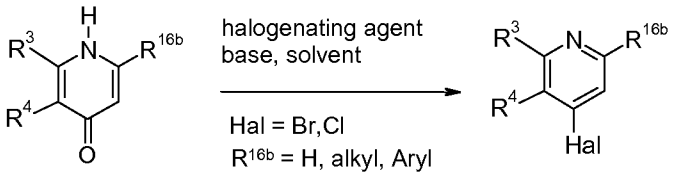


[XXX] **[X-a1]**

The pyridine N-oxides **[XXX]** are known or can be produced by oxidation of the corresponding pyridines (e.g. with H_2O_2, H_2O_2 + methyltrioxorhenium, m-chloroperoxybenzoic acid, dimethyl-dioxirane or H_2O_2 + manganese tetrakis(2,6-dichlorophenyl)porphyrin) by procedures described in the literature (*ARKIVOC* **2001** (i) 242-268 and references contained therein).

A further method for the production of suitable haloheterocycles **[X-a1]** is the reaction of the 4-hydroxypyridine compounds **[XXXI]** with halogenating agents (e.g. PCl_3, $POCl_3$) by known literature procedures (*Pol. J. Chem.* **1981**, 55, 4, 925 - 929) (Scheme 9).

Scheme 9

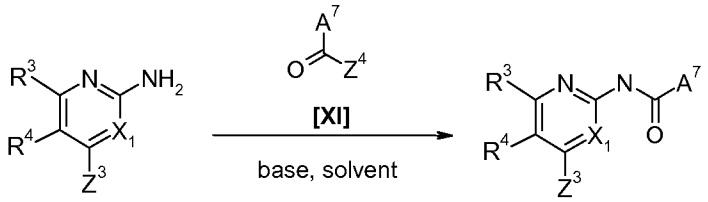


[XXXI] **[X-a1]**

The hydroxypyridines **[XXXI]** are known.

Alternatively, compounds of the formula **[X-a]** (wherein X^1 stands for C-H) are commercially available or can be produced by literature methods (Scheme 10). One method for the production of suitable haloheterocycles **[X-a-2]** is the reaction of aminoheterocycles of the formula **[XXXII]** with acid chlorides in the presence of a base and a solvent (*Synth. Commun.* **1997**, 27, 5, 861-870).

Scheme 10



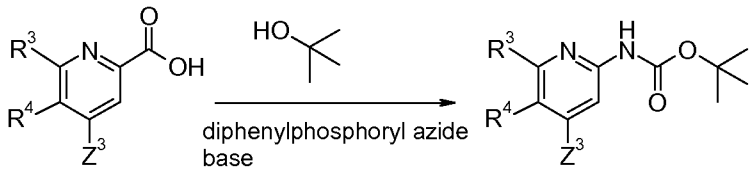
[XXXII] [X-a-2]

The aminoheterocycles **[XXXII]** (wherein X^1 stands for C-H) are known or can be produced by removal of the N–BOC protective group from compounds of the formula **[X-b-1]** by procedures described in the literature (*Aust. J. Chem.* **1982**, 35, 10, 2025-2034 and references contained therein).

The aminoheterocycles **[XXXII]** (wherein X^1 stands for N) are known or can be produced by halogenation of the hydroxy compounds (Z^3= -OH) by procedures described in the literature (e.g. after *J. Med. Chem.* **2006**, 49, 14, 4409-4424).

Compounds of the formula **[X-b]** (wherein X^1 stands for C-H) are commercially available or can be produced by literature methods (Scheme 11). One method for the production of suitable N-Boc-haloheterocycles **[X-b-1]** is the reaction of suitable acids (e.g. 4-bromo-picolinic acid) **[XXXIII]** with diphenylphosphoryl azide and tert-butanol (*Aust. J. Chem.* **1982**, 35, 2025-2034, *J. Med. Chem.* **1992**, 35, 15, 2761-2768 or US 5,112,837 A).

Scheme 11

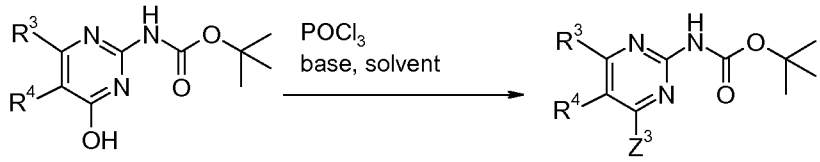


[XXXIII] [X-b-1]

The carboxylic acids **[XXXIII]** are known or can be produced from commercially available precursors by procedures described in the literature (see e.g. EP-A 1 650 194), for example from the commercially available pyridine-2-carboxylic acid by reaction with thionyl chloride in dimethylformamide. Alternatively, compounds of the general formula **[XXXIII]** can also be produced by oxidation of commercially available 4-halo-2-methyl-pyridine derivatives by known literature procedures (*Aust. J. Chem.* **1982**, 35, 2025-2034).

Compounds of the formula **[X-b]** (wherein X^1 stands for N) are commercially available or can be produced by literature methods (Scheme 12). One method for the production of suitable N-Boc-haloheterocycles **[X-b-2]** is the chlorination of the hydroxy compounds (e.g. (4-hydroxy-pyrimidin-2-yl)carbamate) with phosphorus oxychloride (*Chem. Pharm. Bull.* **2003**, 51, 8, 975-977).

Scheme 12



[XXXIV] [X-b-2]

The hydroxy compounds **[XXXIV]** are known or can be produced from commercially available precursors by procedures described in the literature (*Chem. Pharm. Bull.* **2003**, 51, 8, 975-977).

As the solvent for the synthesis of compounds of the formula **[XI]** and **[II]** all usual solvents inert under the reaction conditions, such as for example alcohols (e.g. methanol, ethanol, 1-propanol, 2-propanol, ethylene glycol, 1-butanol, 2-butanol, tert-butanol), cyclic and acyclic ethers (diethyl ether, dimethoxymethane, diethylene glycol dimethyl ether, tetrahydrofuran, dioxan, diisopropyl ether, tert-butyl methyl ether), aromatic hydrocarbons (e.g. benzene, toluene, xylene), hydrocarbons (e.g. hexane, iso-hexane, heptane, cyclohexane), ketones (e.g. acetone, ethyl methyl ketone, iso-butyl methyl ketone), nitriles (e.g. acetonitrile, propionitrile, butyronitrile) and amides (e.g. dimethyl-formamide, dimethylacetamide, N-methylpyrrolidone) and water can be used or the reaction can be effected in mixtures of two or more of these solvents. The preferred solvent is dioxan.

Bases which are preferably used in the process according to the invention are alkali and alkaline earth metal hydroxides, alkali and alkaline earth metal carbonates, alkali metal hydrogen carbonates, alkali and alkaline earth metal acetates, alkali and alkaline earth metal alcoholates, and primary, secondary and tertiary amines. Preferred bases are alkali metal carbonates such as for example caesium carbonate, sodium carbonate and potassium carbonate.

In the process according to the invention, the base is preferably used in a proportion of 100 to 1000 mol.%, based on the aromatic boronic acid. The preferred proportion is 600 to 800 mol.%.

As catalysts, for example palladium metal, palladium compounds and/or nickel compounds can be used. The catalysts can also be applied onto a solid carrier, such as activated charcoal or aluminium oxide. Palladium catalysts wherein the palladium is present in the oxidation state (0) or (II), such as tetrakis(triphenylphosphine)-palladium, bis(triphenylphosphine)palladium dichloride, bis(diphenyl-phosphino)ferrocenepalladium dichloride, palladium ketonates, palladium acetylacetonates (such as for example palladium bisacetylacetonate), nitrilepalladium halides (such as for example bis-(benzonitrile)palladium dichloride, bis(acetonitrile)-palladium dichloride), palladium halides ($PdCl_2$, Na_2PdCl_4, Na_2PdCl_6), allylpalladium halides, palladium biscarboxylates (such as for example palladium-II acetate) and tetrachloropalladic acid are preferred. Particularly preferred catalysts are tetrakis(triphenylphosphine)-palladium, bis(triphenylphosphine)-palladium dichloride and bis-(diphenylphosphino)ferrocenepalladium dichloride. The palladium compound can also be generated in

situ, such as for example palladium(II) acetate from palladium(II) chloride and sodium acetate.

The quantity of catalyst, based on the heteroaromatics **[X-a]** and **[X-b]** bearing the leaving group Z^2, is preferably 0.001 to 0.5 mol.% and particularly preferably 0.01 to 0.2 mol.%.

The catalyst can contain phosphorus-containing ligands or phosphorus-containing ligands can be added separately to the reaction mixture. Preferably suitable as phosphorus-containing ligands are tri-n-alkylphosphanes, triarylphosphanes, dialkylarylphosphanes, alkyldiarylphosphanes and/or heteroarylphosphanes, such as tripyridylphosphane and trifurylphosphane, wherein the three substituents on the phosphorus can be the same or different and wherein one or more substituents can link the phosphorus groups of several phosphanes, wherein one part of this linkage can also be a metal atom. Particularly preferable are phosphanes such as triphenylphosphane, tri-tert-butylphosphane and tricyclohexylphosphane.

The total concentration of phosphorus-containing ligands, based on the heteroaromatics **[X-a]** and **[X-b]** bearing the leaving group Z^3 is preferably up to 1 mol.%, particularly preferably 0.01 to 0.5 mol.%.

To effect the process according to the invention, expediently the educts, the solvent, the base, the catalyst and if appropriate the ligand are thoroughly mixed and reacted preferably at a temperature of 0°C – 200°C, particularly preferably at 100-170°C. The reaction time varies depending on the scale of the reaction and the reaction temperature, but generally lies between a few minutes and 48 hours. Other than as a one-pot reaction, the reaction can also be run such that the various reactants are metered in a controlled way in the course of the reaction, different metering variants being possible.

The molar reactant ratio of the heteroaromatic **[X-a]** and **[X-b]** to the organoboron compound **[III]** is preferably 0.9 to 1.5.

The processes according to the invention are generally performed under normal pressure. It is however also possible to operate under increased or reduced pressure. The reaction is generally performed with the use of a blanket gas such as for example argon or nitrogen. After completion of the reaction, the catalyst arising as a solid is removed by filtration, the crude product freed from the solvent or solvents and then purified by methods known to those skilled in the art and appropriate for the particular product, e.g. by recrystallization, distillation, sublimation, zone melting, melt crystallization or chromatography.

Step (V6)

One possibility for the synthesis of compounds of the formula **[I-a]** is shown in Scheme 1.

A compound with the general formula **[I-a]**, in which R^{1a} and R^{2a} stands for $C(O)OR^7$*, $C(O)SR^7$*, $C(S)OR^7$*, $C(O)R^7$* or $C(S)R^7$*(symmetrically or unsymmetrically bisacylated aminopyridines), can be

synthesized, analogously to procedures described in the literature (see e.g. WO 2004/052880 and e.g. T.W. Greene, P. G. M. Wuts, *Protective Groups in Organic Synthesis*, **1999**, John Wiley & Sons, Inc.), by a coupling reaction of a compound with the corresponding general formula **[II]** with a substrate of the general formula **[VIII]** (with Z^3 e.g. = Cl, Br, F or -OH) if necessary in the presence of an acid scavenger/base wherein the definitions of the residues R^3, R^4, R^6, W, Q and X^1 in the above schemes correspond to the aforesaid definitions.

Acid halides **[VIII]** (Z^3 = Cl) or the corresponding carboxylic acids **[VIII]** (Z^3 = OH) are commercially available or preparable by processes described in the literature. In addition, a substrate with the general formula **[VIII]**, with Z^3 = Cl, can be prepared from the corresponding acid (Z^3 = OH) by chlorination using known literature processes (R. C. Larock, *Comprehensive Organic Transformations*, 2nd Edition, **1999**, Wiley-VCH, page 1929 ff. and literature cited therein).

As the solvent, all usual solvents inert under the reaction conditions, such as for example cyclic and acyclic ethers (e.g. diethyl ether, tetrahydrofuran, dioxan), aromatic hydro-carbons (e.g. benzene, toluene, xylene), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride), halogenated aromatic hydrocarbons (e.g. chlorobenzene, dichlorobenzene) and nitriles (e.g. acetonitrile) can be used or the reaction can be effected in mixtures of two or more of these solvents. The preferred solvents are tetrahydrofuran and dichloromethane.

At least one equivalent of an acid scavenger / a base (e.g. Hünig base, triethylamine or commercially available polymeric acid scavengers) relative to the starting material of the general formula **[II]** is used. If the starting material is a salt, at least two equivalents of the acid scavenger are needed.

The reaction is normally effected at temperatures of 0°C – 100°C and preferably at 20°C – 30°C, but it can also be effected at the reflux temperature of the reaction mixture. The reaction time varies depending on the scale of the reaction and the reaction temperature, but generally lies between a few minutes and 48 hours.

To effect the process **(V6)** according to the invention for the production of the compounds of the formula **[I-a]** in general 0.2 to 5 mol, preferably 0.5 to 1 mol, of amino derivative of the formula **[II]** is used per mol of the carboxylic acid halide of the formula **[VIII]**. The workup is effected by evaporation of the volatile components under vacuum and treatment of the crude material with ammoniacal methanol solution (7 molar).

After completion of the reaction, the compounds **[I-a]** are separated from the reaction mixture by one of the usual separation techniques. If necessary, the compounds are purified by recrystallization, distillation or chromatography.

Alternatively, a compound of the formula **[I-a]** can also by synthesized from the corresponding compound of the formula **[II]** with a substrate of the formula **[VIII]** with Z^3 = -OH in the presence of a coupling reagent analogously to procedures described in the literature (e.g. *Tetrahedron* **2005**, 61, 10827-10852, and references cited therein).

Suitable coupling reagents are for example peptide coupling reagents (for example, N-(3-dimethyl-aminopropyl)-N'-ethyl-carbodiimide mixed with 4-dimethylamino-pyridine, N-(3-dimethylamino-propyl)-N'-ethyl-carbodiimide mixed with 1-hydroxy-benzotriazole, bromo-tripyrrolidino-phosphonium hexafluorophosphate, O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate, etc.).

If necessary, a base, such as for example triethylamine or Hünig base can be used in the reaction.

As the solvent, all usual solvents inert under the reaction conditions, such as for example alcohols (e.g. methanol, ethanol, propanol), cyclic and acyclic ethers (e.g. diethyl ether, tetrahydrofuran, dioxan), aromatic hydrocarbons (e.g. benzene, toluene, xylene), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride), halogenated aromatic hydrocarbons (e.g. chlorobenzene, dichlorobenzene), nitriles (e.g. acetonitrile) and amides (e.g. N,N-dimethylformamide, N,N-dimethylacetamide) can be used or the reaction can be performed in mixtures of two or more of these solvents. The preferred solvent is dichloromethane.

The reaction is normally performed at temperatures of 0°C – 100°C and preferably at 0°C – 30°C, but it can also be performed at the reflux temperature of the reaction mixture. The reaction time varies depending on the scale of the reaction and the reaction temperature, but generally lies between a few minutes and 48 hours.

After completion of the reaction, the compounds **[I-a]** are separated from the reaction mixture by one of the usual separation techniques. If necessary, the compounds are purified by recrystallization, distillation or chromatography.

Likewise, these synthesis methods can also be used for the conversion of compounds of the formula **[XI-a]** into compounds of the formula **[I-a]** as shown in scheme 1.

Step (V7)

One possibility for the synthesis of compounds of the formula **[XIII]** is shown in Scheme 2.

Compounds of the general formula **[XIII]** (where Q stands for $-CH_2-$, $-CH_2-CH_2-$) may be obtained, according to known literature methods (US5344992, WO2005/121106) by reacting a compound of general formula **[XII]** with an ester such as γ-butyrolactone in the presence of a base (e.g. sodium

hydride, sodium methylate) and optionally an alcohol (e.g. ethanol). Typical solvents include ethers (e.g. diethylether, THF), amides (DMF or NMP) and aromatic hydrocarbons (e.g. toluene, benzene), or mixtures of the respective solvents can be applied. The reaction temperature can be varied from room temperature to the boiling point of the reaction mixture. The reaction time varies depending on the scale of the reaction and the reaction temperature, but is generally between a couple of minutes and 48 hours.

After the reaction has ended, compounds **[XIII]** are removed from the reaction mixture using one of the customary separation techniques. If required, the compounds are purified by recrystallisation, distillation or chromatography, or they can, if appropriate, also be used for the next step without prior purification.

Compounds of the general formula **[XII]** are commercially available or can be synthetised according to known synthesis methods (Jerry March *Advanced Organic Chemistry*, 4th edition Wiley, **1991**, page 539ff and ref therein).

Step (V8)

One possibility for the synthesis of compounds of the formula **[XIV]** is shown in Scheme 2.

Compounds of the general formula **[XIV]** may be obtained, according to known literature methods (WO2005/51945), by reacting a 1,3-diketone of general formula **[XIII]** with hydrazine or a hydrated form thereof. Inert solvent such as cyclic or acyclic ethers (e.g. diethyl ether, tetrahydrofuran, dioxane), alcohols (e.g. methanol or ethanol) can be used. The reaction can be carried out in mixtures of two or more of these solvents. A base e.g. triethylamine may be used if desired. The reaction temperature can be varied from 10°C to 50°C but room temperature is preferred. The reaction time varies depending on the scale of the reaction and the reaction temperature, but is generally between a couple of minutes and 48 hours. The reaction can be performed in a microwave apparatus (e.g. CEM Explorer) at elevated temperature, which may shorten the reaction time. After the reaction has ended, compounds **[XIV]** are removed from the reaction mixture using one of the customary separation techniques. If required, the compounds are purified by recrystallisation, distillation or chromatography.

Step (V9)

One possibility for the synthesis compounds of the formula **[VII]** is shown in Scheme 2.

Compounds of the general formula **[VII]** (whereby Z^1 stands for a leaving group e.g. Cl, Br, OMs, OTos), may be obtained by converting the hydroxypyrazole of the general formula **[XIV]** according to known literature methods (R. C. Larock, *Comprehensive Organic Transformations*, 2nd Edition, **1999**, Wiley-VCH, page 689 ff. and literature cited therein). One possibility for such transformation is the reaction with methanesulfonyl chloride in the presence of a base (e.g. Triethylamine) and a suitable solvent (e.g. dichloromethane).

Step (V10)

One possibility for the synthesis of compounds of the formula **[XVI]** is shown in Scheme 3.

A compound of the formula **[XV]** is converted into a compound of the formula **[XVI]** by treatment with an oxidant (e.g. hydrogen peroxide, m-chloroperbenzoic acid) in a suitable solvent (e.g. dichloromethane, acetone, acetic acid, tetrahydrofuran) according to known literature methods (US6423713). The reaction can be performed at temperatures ranging from 0°C to reflux and for a time from 30min to about 48 hours.

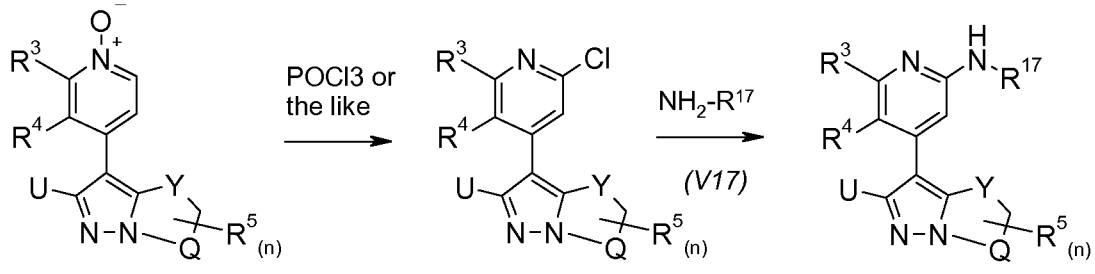
Step (V11)

One possibility for the synthesis of compounds of the formula **[XVII]** is shown in Scheme 3.

The N-oxide compounds of formula **[XVI]** are converted into aminopyridines of formula **[XVII]** by treatment with a suitable electrophilic species (such as phosphorous oxychloride, tosyl anhydride, bromo-tris(1-pyrrolidinyl)phosphonium hexafluorophosphate) in the presence of or followed by the treatment with a suitable nucleophile R^{17}-NH_2 (e.g. tert-butylamine, allylamine, benzylamine) according to known literature methods (*Org. Lett.* **2010**, 12, 22, 5254-5257 or WO2010 / 10154). A suitable base can optionally be used (e.g. diisopropylethylamine or triethylamine).

Alternatively, the intermediates from the activation (e.g. by $POCl_3$) such as **[XXVIII]** can be isolated and reacted with nucleophilic amines R^{17}-NH_2 in a separate reaction to compounds of the formula **[XVII]**, as described by literature methods (EP1402900 and US2010 / 168185). (scheme 13)

Scheme 13



[XVI] **[XXVIII]** **[XVII]**

Step (V12)

An N-oxide of formula **[XVI]** can be converted into a compound of formula **[XI-a]** by using an activating reagent (such as oxalyl chloride) in the presence of a carboxamide **[XVIII]** as described in the literature (*Org. Lett.* **2006**, 8, 9, 1929-1932).

Step (V13)

A compound of formula **[XVII]**, in which R^{17} represents a suitable protecting group, can be transformed into a compound of the general formula **[II]** according to literature described methods (WO2010 / 10154 or US2010 / 168185) for instance by treatment with acids (trifluoroacetic acid, hydrobromic acid, hydrochloric acid). Alternatively the cleavage can be performed under reductive conditions (e.g. with ammonium formate using a catalysts as described in EP1787991 or with ethanol-water using Wilkinson Catalyst $Rh(PPh_3)_3Cl$ (as described in US2005 / 245530).

In the field of veterinary medicine the compounds according to the invention are suitable, with favourable warm blood toxicity, for controlling parasitic protozoa which occur in animal breeding and animal husbandry in livestock, breeding, zoo, laboratory, experimental and domestic animals. They are active against all or specific stages of development of the protozoa.

Agricultural livestock are, for example mammals, such as, sheep, goats, horses, donkeys, camels, buffaloes, rabbits, and in particular cattle and pigs; or poultry such as turkeys, ducks, geese, and in particular chickens, and as the case may be insects such as bees.

Domestic animals are for example mammals, such as hamsters, guinea pigs, rats, mice or in particular dogs, cats; or cage birds.

According to a preferred embodiment mammals the compounds according to the invention are administered to birds.

According to another preferred embodiment the compounds according to the invention are administered to birds.

By controlling the parasitic protozoa it is intended to reduce or prevent illness, cases of deaths and performance reductions (in the case of meat, milk, wool, hides, eggs, honey and the like), so that more economical and simpler animal keeping is made possible by the use of the active compounds according to the invention.

The term "controlling" as used herein with regard to the animal health field, means that the active compounds are effective in reducing the incidence of the respective parasite in an animal infected with such parasites to innocuous levels. More specifically, "controlling", as used herein, means that the active compound is effective in killing the respective parasite, inhibiting its growth, or inhibiting its proliferation.

In the veterinary field and in animal keeping, the administration of the active compounds according to the invention is carried out in the known manner directly or enterally, parenterally, dermally or nasally

in the form of suitable preparations. Enteral administration of the active compounds takes place, for example, orally in the form of powders, suppositories, tablets, capsules, pastes, drinks, granules, drenches, boli, medicated feed or drinking water. Dermal administration takes place, for example, in the form of dipping, spraying, bathing, washing, pouring on and spotting on, and dusting. Parenteral administration takes place, for example, in the form of injection (intramuscular, subcutaneous, intravenous, intraperitoneal) or by means of implants. Administration can be carried out prophylactically or therapeutically.

The following parasitic protozoa may be mentioned by way of example and by way of preference - but without any limitation:

Mastigophora (Flagellata), such as, for example, Trypanosomatidae, for example, Trypanosoma b. brucei, T.b. gambiense, T.b. rhodesiense, T. congolense, T. cruzi, T. evansi, T. equinum, T. lewisi, T. percae, T. simiae, T. vivax, Leishmania brasiliensis, L. donovani, L. tropica, such as, for example, Trichomonadidae, for example, Giardia lamblia, G. canis.

Sarcomastigophora (Rhizopoda), such as Entamoebidae, for example, Entamoeba histolytica, Hartmanellidae, for example, Acanthamoeba sp., Harmanella sp.

Apicomplexa (Sporozoa), such as Eimeridae, for example, Eimeria acervulina, E. adenoides, E. alabahmensis, E. anatis, E. anserina, E. arloingi, E. ashata, E. auburnensis, E. bovis, E. brunetti, E. canis, E. chinchillae, E. clupearum, E. columbae, E. contorta, E. crandalis, E. debliecki, E. dispersa, E. ellipsoidales, E. falciformis, E. faurei, E. flavescens, E. gallopavonis, E. hagani, E. intestinalis, E. iroquoina, E. irresidua, E. labbeana, E. leucarti, E. magna, E. maxima, E. media, E. meleagridis, E. meleagrimitis, E. mitis, E. necatrix, E. ninakohlyakimovae, E. ovis, E. parva, E. pavonis, E. perforans, E. phasani, E. piriformis, E. praecox, E. residua, E. scabra, E. spec., E. stiedai, E. suis, E. tenella, E. truncata, E. truttae, E. zuernii, Globidium spec., Isospora belli, I. canis, I. felis, I. ohioensis, I. rivolta, I. spec., I. suis, Cystisospora spec., Cryptosporidium spec., in particular C. parvum; such as Toxoplasmadidae, for example, Toxoplasma gondii, Hammondia heydornii, Neospora caninum, Besnoitia besnoitii; such as Sarcocystidae, for example, Sarcocystis bovicanis, S. bovihominis, S. ovicanis, S. ovifelis, S. neurona, S. spec., S. suihominis, such as Leucozoidae, for example, Leucozytozoon simondi, such as Plasmodiidae, for example, Plasmodium berghei, P. falciparum, P. malariae, P. ovale, P. vivax, P. spec., such as Piroplasmea, for example, Babesia argentina, B. bovis, B. canis, B. spec., Theileria parva, Theileria spec., such as Adeleina, for example, Hepatozoon canis, H. spec.

A further subject of the invention relates to the nonmedicinal use of the Heterocyclylpyri(mi)dinylpyrazole according to the invention or mixtures thereof for the control of undesired microorganisms and for the reduction of mycotoxins in plants and plant parts.

A further subject of the invention relates to an agent for the control of undesired microorganisms and for the reduction of mycotoxins in plants and plant parts, comprising at least one Heterocyclylpyri(mi)dinylpyrazole according to the present invention.

In addition, the invention relates to a method for the control of undesired microorganisms and for the reduction of mycotoxins in plants and plant parts, characterized in that the Heterocyclylpyri(mi)dinylpyrazoles according to the invention are applied onto the microorganisms and/or in their habitat.

The substances according to the invention have potent microbicidal activity and can be employed for controlling unwanted microorganisms, such as fungi and bacteria, in crop protection and in the protection of materials.

The present invention furthermore relates to a crop protection composition for controlling unwanted microorganisms, in particular unwanted fungi, which comprises the active compounds according to the invention. These are preferably fungicidal compositions which comprise agriculturally suitable auxiliaries, solvents, carriers, surfactants or extenders.

Moreover, the invention relates to a method for controlling unwanted microorganisms, characterized in that the active compounds according to the invention are applied to the phytopathogenic fungi and/or their habitat.

According to the invention, a carrier is a natural or synthetic organic or inorganic substance with which the active compounds are mixed or bonded for better applicability, in particular for application to plants or plant parts or seed. The carrier, which may be solid or liquid, is generally inert and should be suitable for use in agriculture.

Suitable solid or liquid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and natural or synthetic silicates, resins, waxes, solid fertilizers, water, alcohols, especially butanol, organic solvents, mineral and vegetable oils and derivatives of these. Mixtures of such carriers may also be used. Suitable solid carriers for granules are: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite, dolomite, and synthetic granules of inorganic and organic meals, and also granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks.

Suitable liquefied gaseous extenders or carriers are liquids which are gaseous at ambient temperature and under atmospheric pressure, for example aerosol propellants, such as halogenated hydrocarbons, and also butane, propane, nitrogen and carbon dioxide.

Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, or else natural phospholipids such as cephalins and lecithins and synthetic phospholipids can be used in the formulations. Other possible additives are mineral and vegetable oils.

If the extender used is water, it is also possible to employ, for example, organic solvents as auxiliary solvents. Essentially, suitable liquid solvents are: aromatics such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons such as chlorobenzenes, chloroethylenes or dichloromethane, aliphatic hydrocarbons such as cyclohexane or paraffins, for example mineral oil fractions, mineral and vegetable oils, alcohols such as butanol or glycol and their ethers and esters, ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents such as dimethylformamide and dimethyl sulphoxide, and also water.

The compositions according to the invention may comprise additional further components, such as, for example, surfactants. Suitable surfactants are emulsifiers and/or foam formers, dispersants or wetting agents having ionic or nonionic properties, or mixtures of these surfactants. Examples of these are salts of polyacrylic acid, salts of lignosulphonic acid, salts of phenolsulphonic acid or naphthalenesulphonic acid, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty amines, substituted phenols (preferably alkylphenols or arylphenols), salts of sulphosuccinic esters, taurine derivatives (preferably alkyl taurates), phosphoric esters of polyethoxylated alcohols or phenols, fatty esters of polyols, and derivatives of the compounds containing sulphates, sulphonates and phosphates, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates, protein hydrolyzates, lignosulphite waste liquors and methylcellulose. The presence of a surfactant is required if one of the active compounds and/or one of the inert carriers is insoluble in water and when the application takes place in water. The proportion of surfactants is between 5 and 40 per cent by weight of the composition according to the invention.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic colorants such as alizarin colorants, azo colorants and metal phthalocyanine colorants, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

If appropriate, other additional components may also be present, for example protective colloids, binders, adhesives, thickeners, thixotropic substances, penetrants, stabilizers, sequestering agents, complex formers. In general, the active compounds can be combined with any solid or liquid additive customarily used for formulation purposes.

The compositions and formulations according to the invention generally comprise between 0.05 and 99% by weight, 0.01 and 98% by weight, preferably between 0.1 and 95% by weight, particularly preferably

between 0.5 and 90% of active compound, very particularly preferably between 10 and 70% by weight.

The active compounds or compositions according to the invention can be used as such or, depending on their respective physical and/or chemical properties, in the form of their formulations or the use forms prepared therefrom, such as aerosols, capsule suspensions, cold-fogging concentrates, warm-fogging concentrates, encapsulated granules, fine granules, flowable concentrates for the treatment of seed, ready-to-use solutions, dustable powders, emulsifiable concentrates, oil-in-water emulsions, water-in-oil emulsions, macrogranules, microgranules, oil-dispersible powders, oil-miscible flowable concentrates, oil-miscible liquids, foams, pastes, pesticide coated seed, suspension concentrates, suspoemulsion concentrates, soluble concentrates, suspensions, wettable powders, soluble powders, dusts and granules, water-soluble granules or tablets, water-soluble powders for the treatment of seed, wettable powders, natural products and synthetic substances impregnated with active compound, and also microencapsulations in polymeric substances and in coating materials for seed, and also ULV cold-fogging and warm-fogging formulations.

The formulations mentioned can be prepared in a manner known per se, for example by mixing the active compounds with at least one customary extender, solvent or diluent, emulsifier, dispersant, and/or binder or fixative, wetting agent, water repellent, if appropriate desiccants and UV stabilizers and, if appropriate, dyes and pigments, defoamers, preservatives, secondary thickeners, adhesives, gibberellins and also further processing auxiliaries.

The compositions according to the invention include not only formulations which are already ready for use and can be applied with a suitable apparatus to the plant or the seed, but also commercial concentrates which have to be diluted with water prior to use.

The active compounds according to the invention can be present as such or in their (commercial) formulations and in the use forms prepared from these formulations as a mixture with other (known) active compounds, such as insecticides, attractants, sterilants, bactericides, acaricides, nematicides, fungicides, growth regulators, herbicides, fertilizers, safeners and/or semiochemicals.

The treatment according to the invention of the plants and plant parts with the active compounds or compositions is carried out directly or by action on their surroundings, habitat or storage space using customary treatment methods, for example by dipping, spraying, atomizing, irrigating, evaporating, dusting, fogging, broadcasting, foaming, painting, spreading-on, watering (drenching), drip irrigating and, in the case of propagation material, in particular in the case of seeds, furthermore as a powder for dry seed treatment, a solution for seed treatment, a water-soluble powder for slurry treatment, by incrusting, by coating with one or more coats, etc. It is furthermore possible to apply the active compounds by the ultra-low volume method or to inject the active compound preparation or the active compound itself into the soil.

The invention furthermore includes a method for treating seed.

The invention furthermore relates to seed which has been treated in accordance with one of the methods described in the previous paragraph. The seeds according to the invention are used in methods for the protection of seed from undesirable microorganisms. In these methods, seed treated with at least one active compound according to the invention is employed.

The active compounds or compositions according to the invention are also suitable for treating seed. A large part of the damage to crop plants caused by harmful organisms is triggered by the infection of the seed during storage or after sowing both during and after germination of the plant. This phase is particularly critical since the roots and shoots of the growing plant are particularly sensitive, and even small damage may result in the death of the plant. Accordingly, there is great interest in protecting the seed and the germinating plant by using appropriate compositions.

The control of phytopathogenic fungi by treating the seed of plants has been known for a long time and is the subject of continuous improvements. However, the treatment of seed entails a series of problems which cannot always be solved in a satisfactory manner. Thus, it is desirable to develop methods for protecting the seed and the germinating plant which dispense with, or at least reduce considerably, the additional application of crop protection agents after sowing or after emergence of the plants. It is furthermore desirable to optimize the amount of active compound employed in such a way as to provide optimum protection for the seed and the germinating plant from attack by phytopathogenic fungi, but without damaging the plant itself by the active compound employed. In particular, methods for the treatment of seed should also take into consideration the intrinsic fungicidal properties of transgenic plants in order to achieve optimum protection of the seed and the germinating plant with a minimum of crop protection agents being employed.

The present invention therefore also relates to a method for the protection of seed and germinating plants, from attack by phytopathogenic fungi, by treating the seed with a composition according to the invention. The invention also relates to the use of the compositions according to the invention for treating seed for protecting the seed and the germinating plant against phytopathogenic fungi. Furthermore, the invention relates to seed treated with a composition according to the invention for protection against phytopathogenic fungi.

The control of phytopathogenic fungi which damage plants post-emergence is carried out primarily by treating the soil and the above-ground parts of plants with crop protection agents. Owing to the concerns regarding a possible impact of the crop protection agents on the environment and the health of humans and animals, there are efforts to reduce the amount of active compounds applied.

One of the advantages of the present invention is that the particular systemic properties of the active compounds and compositions according to the invention mean that treatment of the seed with these

active compounds and compositions not only protects the seed itself, but also the resulting plants after emergence, from phytopathogenic fungi. In this manner, the immediate treatment of the crop at the time of sowing or shortly thereafter can be dispensed with.

It is also considered to be advantageous that the active compounds or compositions according to the invention can be used in particular also for transgenic seed where the plant growing from this seed is capable of expressing a protein which acts against pests. By treating such seed with the active compounds or compositions according to the invention, even by the expression of the, for example, insecticidal protein, certain pests may be controlled. Surprisingly, a further synergistic effect may be observed here, which additionally increases the effectiveness of the protection against attack by pests.

The compositions according to the invention are suitable for protecting seed of any plant variety which is employed in agriculture, in the greenhouse, in forests or in horticulture and viticulture. In particular, this takes the form of seed of cereals (such as wheat, barley, rye, triticale, sorghum/millet and oats), maize, cotton, soya beans, rice, potatoes, sunflower, bean, coffee, beet (for example sugar beet and fodder beet), peanut, oilseed rape, poppy, olive, coconut, cacao, sugar cane, tobacco, vegetables (such as tomato, cucumbers, onions and lettuce), turf and ornamentals (see also hereinbelow). The treatment of the seed of cereals (such as wheat, barley, rye, triticale and oats), maize and rice is of particular importance.

As also described further below, the treatment of transgenic seed with the active compounds or compositions according to the invention is of particular importance. This refers to the seed of plants containing at least one heterologous gene which allows the expression of a polypeptide or protein having insecticidal properties. The heterologous gene in transgenic seed can originate, for example, from microorganisms of the species Bacillus, Rhizobium, Pseudomonas, Serratia, Trichoderma, Clavibacter, Glomus or Gliocladium. Preferably, this heterologous gene is from Bacillus sp., the gene product having activity against the European corn borer and/or the Western corn rootworm. Particularly preferably, the heterologous gene originates from Bacillus thuringiensis.

Within the context of the present invention, the composition according to the invention is applied to the seed either alone or in a suitable formulation. Preferably, the seed is treated in a state in which it is stable enough to avoid damage during treatment. In general, the seed may be treated at any point in time between harvest and sowing. The seed usually used has been separated from the plant and freed from cobs, shells, stalks, coats, hairs or the flesh of the fruits. Thus, it is possible to use, for example, seed which has been harvested, cleaned and dried to a moisture content of less than 15% by weight. Alternatively, it is also possible to use seed which, after drying, has been treated, for example, with water and then dried again.

When treating the seed, care must generally be taken that the amount of the composition according to the invention applied to the seed and/or the amount of further additives is chosen in such a way that the

germination of the seed is not adversely affected, or that the resulting plant is not damaged. This must be borne in mind in particular in the case of active compounds which can have phytotoxic effects at certain application rates.

The compositions according to the invention can be applied directly, i.e. without containing any other components and undiluted. In general, it is preferred to apply the compositions to the seed in the form of a suitable formulation. Suitable formulations and methods for treating seed are known to the person skilled in the art and are described, for example, in the following documents: US 4,272,417 A, US 4,245,432 A, US 4,808,430 A, US 5,876,739 A, US 2003/0176428 A1, WO 2002/080675 A1, WO 2002/028186 A2.

The active compounds which can be used in accordance with the invention can be converted into the customary seed-dressing formulations, such as solutions, emulsions, suspensions, powders, foams, slurries or other coating compositions for seed, and also ULV formulations.

These formulations are prepared in a known manner, by mixing the active compounds with customary additives such as, for example, customary extenders and also solvents or diluents, colorants, wetting agents, dispersants, emulsifiers, antifoams, preservatives, secondary thickeners, adhesives, gibberellins and also water.

Colorants which may be present in the seed-dressing formulations which can be used in accordance with the invention are all colorants which are customary for such purposes. In this context, not only pigments, which are sparingly soluble in water, but also dyes, which are soluble in water, may be used. Examples which may be mentioned are the colorants known by the names Rhodamin B, C.I. Pigment Red 112 and C.I. Solvent Red 1.

Suitable wetting agents which may be present in the seed-dressing formulations which can be used in accordance with the invention are all substances which promote wetting and which are conventionally used for the formulation of agrochemical active compounds. Preference is given to using alkylnaphthalenesulphonates, such as diisopropyl- or diisobutylnaphthalenesulphonates.

Suitable dispersants and/or emulsifiers which may be present in the seed-dressing formulations which can be used in accordance with the invention are all nonionic, anionic and cationic dispersants conventionally used for the formulation of agrochemical active compounds. Preference is given to using nonionic or anionic dispersants or mixtures of nonionic or anionic dispersants. Suitable nonionic dispersants which may be mentioned are, in particular, ethylene oxide/propylene oxide block polymers, alkylphenol polyglycol ethers and tristryrylphenol polyglycol ether, and their phosphated or sulphated derivatives. Suitable anionic dispersants are, in particular, lignosulphonates, polyacrylic acid salts and arylsulphonate/formaldehyde condensates.

Antifoams which may be present in the seed-dressing formulations which can be used in accordance with the invention are all foam-inhibiting substances conventionally used for the formulation of agrochemical active compounds. Silicone antifoams and magnesium stearate can preferably be used.

Preservatives which may be present in the seed-dressing formulations which can be used in accordance with the invention are all substances which can be employed for such purposes in agrochemical compositions. Dichlorophene and benzyl alcohol hemiformal may be mentioned by way of example.

Secondary thickeners which may be present in the seed-dressing formulations which can be used in accordance with the invention are all substances which can be employed for such purposes in agrochemical compositions. Cellulose derivatives, acrylic acid derivatives, xanthan, modified clays and finely divided silica are preferred.

Adhesives which may be present in the seed-dressing formulations which can be used in accordance with the invention are all customary binders which can be employed in seed-dressing products. Polyvinylpyrrolidone, polyvinyl acetate, polyvinyl alcohol and tylose may be mentioned as being preferred.

Gibberellins which can be present in the seed-dressing formulations which can be used in accordance with the invention are preferably the gibberellins A1, A3 (= gibberellic acid), A4 and A7; gibberellic acid is especially preferably used. The gibberellins are known (cf. R. Wegler "Chemie der Pflanzenschutz- und Schädlingsbekämpfungsmittel" [Chemistry of crop protection agents and pesticides], vol. 2, Springer Verlag, 1970, p. 401-412).

The seed-dressing formulations which can be used in accordance with the invention can be employed for the treatment of a wide range of seed, including the seed of transgenic plants, either directly or after previously having been diluted with water. In this context, additional synergistic effects may also occur in cooperation with the substances formed by expression.

All mixers which can conventionally be employed for the seed-dressing operation are suitable for treating seed with the seed-dressing formulations which can be used in accordance with the invention or with the preparations prepared therefrom by addition of water. Specifically, a procedure is followed during the seed-dressing operation in which the seed is placed into a mixer, the specific desired amount of seed-dressing formulations, either as such or after previously having been diluted with water, is added, and everything is mixed until the formulation is distributed uniformly on the seed. If appropriate, this is followed by a drying process.

The active compounds or compositions according to the invention have a potent microbicidal activity and can be employed for controlling undesirable microorganisms, such as fungi and bacteria, in crop

protection and in the protection of materials.

Fungicides can be employed in crop protection for controlling Plasmodiophoromycetes, Oomycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes and Deuteromycetes.

Bactericides can be employed in crop protection for controlling Pseudomonadaceae, Rhizobiaceae, Enterobacteriaceae, Corynebacteriaceae and Streptomycetaceae.

The fungicidal compositions according to the invention can be used for the curative or protective control of phytopathogenic fungi. Accordingly, the invention also relates to curative and protective methods for controlling phytopathogenic fungi using the active compounds or compositions according to the invention, which are applied to the seed, the plant or plant parts, the fruit or the soil in which the plants grow.

The compositions according to the invention for controlling phytopathogenic fungi in crop protection comprise an effective, but non-phytotoxic amount of the active compounds according to the invention. "Effective, but non-phytotoxic amount" means an amount of the composition according to the invention which is sufficient to control the fungal disease of the plant in a satisfactory manner or to eradicate the fungal disease completely, and which, at the same time, does not cause any significant symptoms of phytotoxicity. In general, this application rate may vary within a relatively wide range. It depends on a plurality of factors, for example on the fungus to be controlled, the plant, the climatic conditions and the ingredients of the compositions according to the invention.

The fact that the active compounds are well tolerated by plants at the concentrations required for controlling plant diseases permits the treatment of above-ground parts of plants, of propagation stock and seeds, and of the soil.

All plants and plant parts can be treated in accordance with the invention. By plants are understood here all plants and plant populations such as desired and undesired wild plants or crop plants (including naturally occurring crop plants). Crop plants can be plants which can be obtained by conventional breeding and optimization methods or by biotechnological and genetic engineering methods or combinations of these methods, including the transgenic plants and including the plant varieties which can or cannot be protected by varietal property rights. Plant parts are to be understood as meaning all parts and organs of plants above and below the ground, such as shoot, leaf, flower and root, examples which may be mentioned being leaves, needles, stalks, stems, flowers, fruit bodies, fruits, seeds, roots, tubers and rhizomes. Parts of plants also include harvested plants and vegetative and generative propagation material, for example seedlings, tubers, rhizomes, cuttings and seeds.

The active compounds according to the invention are suitable for the protection of plants and plant

organs, for increasing the harvest yields, for improving the quality of the harvested crop, while being well tolerated by plants, having favourable toxicity to warm-blooded species and being environmentally friendly. They may be preferably employed as crop protection agents. They are active against normally sensitive and resistant species and also against all or some stages of development.

The following plants may be mentioned as plants which can be treated according to the invention: cotton, flax, grapevine, fruit, vegetables, such as *Rosaceae sp.* (for example pome fruits such as apples and pears, but also stone fruits such as apricots, cherries, almonds and peaches, and soft fruits such as strawberries), *Ribesioidae sp., Juglandaceae sp., Betulaceae sp., Anacardiaceae sp., Fagaceae sp., Moraceae sp., Oleaceae sp., Actinidaceae sp., Lauraceae sp., Musaceae sp.* (for example banana plants and banana plantations), *Rubiaceae sp.* (for example coffee), *Theaceae sp., Sterculiceae sp., Rutaceae sp.* (for example lemons, oranges and grapefruit); *Solanaceae sp.* (for example tomatoes), *Liliaceae sp., Asteraceae sp.* (for example lettuce), *Umbelliferae sp., Cruciferae sp., Chenopodiaceae sp., Cucurbitaceae sp.* (for example cucumber), *Alliaceae sp.* (for example leeks, onions), *Papilionaceae sp.* (for example peas); major crop plants such as *Gramineae sp.* (for example maize, turf, cereals such as wheat, rye, rice, barley, oats, millet and triticale), *Poaceae sp.* (for example sugar cane), *Asteraceae sp.* (for example sunflower), *Brassicaceae sp.* (for example white cabbage, red cabbage, broccoli, cauliflower, Brussels sprouts, pak choi, kohlrabi, small radishes, and also oilseed rape, mustard, horseradish and cress), *Fabacae sp.* (for example beans, peanuts), *Papilionaceae sp.* (for example soya beans), *Solanaceae sp.* (for example potatoes), *Chenopodiaceae sp.* (for example sugar beet, fodder beet, Swiss chard, beetroot); useful plants and ornamental plants in gardens and forests; and in each case genetically modified types of these plants.

As already mentioned above, it is possible to treat all plants and their parts according to the invention. In a preferred embodiment, wild plant species and plant cultivars, or those obtained by conventional biological breeding methods, such as crossing or protoplast fusion, and also parts thereof, are treated. In a further preferred embodiment, transgenic plants and plant cultivars obtained by genetic engineering, if appropriate in combination with conventional methods (Genetically Modified Organisms), and parts thereof are treated. The term “parts” or “parts of plants” or “plant parts” has been explained above. Particularly preferably, plants of the plant cultivars which are in each case commercially available or in use are treated according to the invention. Plant cultivars are to be understood as meaning plants having new properties ("traits") and which have been obtained by conventional breeding, by mutagenesis or by recombinant DNA techniques. They can be cultivars, varieties, bio- or genotypes.

The method of treatment according to the invention can be used in the treatment of genetically modified organisms (GMOs), e.g. plants or seeds. Genetically modified plants (or transgenic plants) are plants in which a heterologous gene has been stably integrated into the genome. The expression “heterologous gene” essentially means a gene which is provided or assembled outside the plant and when introduced in

the nuclear, chloroplastic or mitochondrial genome gives the transformed plant new or improved agronomic or other properties by expressing a protein or polypeptide of interest or by downregulating or silencing other gene(s) which are present in the plant (using for example antisense technology, cosuppression technology or RNAi technology [RNA interference]). A heterologous gene that is located in the genome is also called a transgene. A transgene that is defined by its particular location in the plant genome is called a transformation or transgenic event.

Depending on the plant species or plant varieties, their location and growth conditions (soils, climate, vegetation period, diet), the treatment according to the invention may also result in superadditive ("synergistic") effects. Possible are thus, for example, the following effects which exceed the effects which were actually to be expected: reduced application rates and/or a widening of the activity spectrum and/or an increase in the activity of the active compounds and compositions which can be used according to the invention, better plant growth, increased tolerance to high or low temperatures, increased tolerance to drought or to water or soil salt content, increased flowering performance, easier harvesting, accelerated maturation, higher harvest yields, bigger fruits, larger plant height, greener leaf colour, earlier flowering, higher quality and/or a higher nutritional value of the harvested products, higher sugar concentration within the fruits, better storage stability and/or processability of the harvested products.

At certain application rates, the active compounds according to the invention may also have a strengthening effect on plants. Accordingly, they are suitable for mobilizing the defence system of the plant against attack by unwanted phytopathogenic fungi and/or microorganisms and/or viruses. This may, if appropriate, be one of the reasons for the enhanced activity of the combinations according to the invention, for example against fungi. Plant-strengthening (resistance-inducing) substances are to be understood as meaning, in the present context, also those substances or combinations of substances which are capable of stimulating the defence system of plants in such a way that, when subsequently inoculated with unwanted phytopathogenic fungi, the treated plants display a substantial degree of resistance to these unwanted phytopathogenic fungi. Thus, the substances according to the invention can be employed for protecting plants against attack by the abovementioned pathogens within a certain period of time after the treatment. The period within which protection is brought about generally extends from 1 to 10 days, preferably 1 to 7 days, after the treatment of the plants with the active compounds.

Plants and plant varieties which are preferably treated according to the invention include all plants which have genetic material which imparts particularly advantageous, useful traits to these plants (whether obtained by breeding and/or biotechnological means).

Plants and plant varieties which are also preferably treated according to the invention are resistant against one or more biotic stress factors, i.e. said plants have a better defence against animal and

microbial pests, such as against nematodes, insects, mites, phytopathogenic fungi, bacteria, viruses and/or viroids.

Plants and plant varieties which may also be treated according to the invention are those plants which are resistant to one or more abiotic stress factors. Abiotic stress conditions may include, for example, drought, cold temperature exposure, heat exposure, osmotic stress, waterlogging, increased soil salinity, increased exposure to minerals, exposure to ozone, exposure to strong light, limited availability of nitrogen nutrients, limited availability of phosphorus nutrients or shade avoidance.

Plants and plant varieties which may also be treated according to the invention are those plants characterized by enhanced yield characteristics. Enhanced yield in said plants can be the result of, for example, improved plant physiology, growth and development, such as water use efficiency, water retention efficiency, improved nitrogen use, enhanced carbon assimilation, improved photosynthesis, increased germination efficiency and accelerated maturation. Yield can furthermore be affected by improved plant architecture (under stress and non-stress conditions), including early flowering, flowering control for hybrid seed production, seedling vigour, plant size, internode number and distance, root growth, seed size, fruit size, pod size, pod or ear number, seed number per pod or ear, seed mass, enhanced seed filling, reduced seed dispersal, reduced pod dehiscence and lodging resistance. Further yield traits include seed composition, such as carbohydrate content, protein content, oil content and composition, nutritional value, reduction in anti-nutritional compounds, improved processability and better storage stability.

Plants that may be treated according to the invention are hybrid plants that already express the characteristics of heterosis, or hybrid effect, which results in generally higher yield, vigour, health and resistance towards biotic and abiotic stress factors. Such plants are typically made by crossing an inbred male-sterile parent line (the female parent) with another inbred male-fertile parent line (the male parent). Hybrid seed is typically harvested from the male-sterile plants and sold to growers. Male-sterile plants can sometimes (e.g. in corn) be produced by detasseling (i.e. the mechanical removal of the male reproductive organs or male flowers) but, more typically, male sterility is the result of genetic determinants in the plant genome. In that case, and especially when seed is the desired product to be harvested from the hybrid plants, it is typically useful to ensure that male fertility in hybrid plants, which contain the genetic determinants responsible for male sterility, is fully restored. This can be accomplished by ensuring that the male parents have appropriate fertility restorer genes which are capable of restoring the male fertility in hybrid plants that contain the genetic determinants responsible for male sterility. Genetic determinants for male sterility may be located in the cytoplasm. Examples of cytoplasmic male sterility (CMS) were for instance described for Brassica species. However, genetic determinants for male sterility can also be located in the nuclear genome. Male-sterile plants can also be obtained by plant biotechnology methods such as genetic engineering. A particularly useful means of obtaining male-sterile plants is described in WO 89/10396 in which, for example, a

ribonuclease such as a barnase is selectively expressed in the tapetum cells in the stamens. Fertility can then be restored by expression in the tapetum cells of a ribonuclease inhibitor such as barstar.

Plants or plant varieties (obtained by plant biotechnology methods such as genetic engineering) which may be treated according to the invention are herbicide-tolerant plants, i.e. plants made tolerant to one or more given herbicides. Such plants can be obtained either by genetic transformation, or by selection of plants containing a mutation imparting such herbicide tolerance.

Herbicide-tolerant plants are for example glyphosate-tolerant plants, i.e. plants made tolerant to the herbicide glyphosate or salts thereof. For example, glyphosate-tolerant plants can be obtained by transforming the plant with a gene encoding the enzyme 5-enolpyruvylshikimate-3-phosphate synthase (EPSPS). Examples of such EPSPS genes are the AroA gene (mutant CT7) of the bacterium *Salmonella typhimurium*, the CP4 gene of the bacterium *Agrobacterium sp.*, the genes encoding a petunia EPSPS, a tomato EPSPS, or an Eleusine EPSPS. It can also be a mutated EPSPS. Glyphosate-tolerant plants can also be obtained by expressing a gene that encodes a glyphosate oxidoreductase enzyme. Glyphosate-tolerant plants can also be obtained by expressing a gene that encodes a glyphosate acetyltransferase enzyme. Glyphosate-tolerant plants can also be obtained by selecting plants containing naturally occurring mutations of the abovementioned genes.

Other herbicide-resistant plants are for example plants which have been made tolerant to herbicides inhibiting the enzyme glutamine synthase, such as bialaphos, phosphinothricin or glufosinate. Such plants can be obtained by expressing an enzyme detoxifying the herbicide or a mutant glutamine synthase enzyme that is resistant to inhibition. One such efficient detoxifying enzyme is, for example, an enzyme encoding a phosphinothricin acetyltransferase (such as the bar or pat protein from Streptomyces species for example). Plants expressing an exogenous phosphinothricin acetyltransferase have been described.

Further herbicide-tolerant plants are also plants that have been made tolerant to the herbicides inhibiting the enzyme hydroxyphenylpyruvatedioxygenase (HPPD). Hydroxyphenylpyruvatedioxygenases are enzymes that catalyse the reaction in which para-hydroxyphenylpyruvate (HPP) is transformed into homogentisate. Plants tolerant to HPPD inhibitors can be transformed with a gene encoding a naturally occurring resistant HPPD enzyme, or a gene encoding a mutated HPPD enzyme. Tolerance to HPPD inhibitors can also be obtained by transforming plants with genes encoding certain enzymes enabling the formation of homogentisate despite the inhibition of the native HPPD enzyme by the HPPD inhibitor. Tolerance of plants to HPPD inhibitors can also be improved by transforming plants with a gene encoding an enzyme prephenate dehydrogenase in addition to a gene encoding an HPPD-tolerant enzyme.

Further herbicide-resistant plants are plants that have been made tolerant to acetolactate synthase (ALS) inhibitors. Known ALS inhibitors include, for example, sulphonylurea, imidazolinone, triazolopyrimidines, pyrimidinyl oxy(thio)benzoates, and/or sulphonylaminocarbonyltriazolinone herbicides. Different mutations

in the ALS enzyme (also known as acetohydroxy acid synthase, AHAS) are known to confer tolerance to different herbicides and groups of herbicides. The production of sulphonylurea-tolerant plants and imidazolinone-tolerant plants has been described in the international publication WO 1996/033270. Further sulphonylurea- and imidazolinone-tolerant plants have also been described, for example in WO 2007/024782.

Other plants tolerant to imidazolinone and/or sulphonylurea can be obtained by induced mutagenesis, by selection in cell cultures in the presence of the herbicide or by mutation breeding.

Plants or plant varieties (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are insect-resistant transgenic plants, i.e. plants made resistant to attack by certain target insects. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such insect resistance.

In the present context, the term "insect-resistant transgenic plant" includes any plant containing at least one transgene comprising a coding sequence encoding:

1) an insecticidal crystal protein from *Bacillus thuringiensis* or an insecticidal portion thereof, such as the insecticidal crystal proteins listed online at:

http://www.lifesci.sussex.ac.uk/Home/Neil_Crickmore/Bt/, or insecticidal portions thereof, for example proteins of the Cry protein classes Cry1Ab, Cry1Ac, Cry1F, Cry2Ab, Cry3Ae or Cry3Bb or insecticidal portions thereof; or

2) a crystal protein from *Bacillus thuringiensis* or a portion thereof which is insecticidal in the presence of a second other crystal protein from *Bacillus thuringiensis* or a portion thereof, such as the binary toxin made up of the Cy34 and Cy35 crystal proteins; or

3) a hybrid insecticidal protein comprising parts of two different insecticidal crystal proteins from *Bacillus thuringiensis*, such as a hybrid of the proteins of 1) above or a hybrid of the proteins of 2) above, for example the Cry1A.105 protein produced by maize event MON98034 (WO 2007/027777); or

4) a protein of any one of points 1) to 3) above wherein some, particularly 1 to 10, amino acids have been replaced by another amino acid to obtain a higher insecticidal activity to a target insect species, and/or to expand the range of target insect species affected, and/or because of changes induced in the encoding DNA during cloning or transformation, such as the Cry3Bb1 protein in maize events MON863 or MON88017, or the Cry3A protein in maize event MIR 604;

5) an insecticidal secreted protein from *Bacillus thuringiensis* or *Bacillus cereus*, or an insecticidal portion thereof, such as the vegetative insecticidal proteins (VIP) listed at:

http://www.lifesci.sussex.ac.uk/Home/Neil_Crickmore/Bt/vip.html, e.g. proteins from the VIP3Aa protein class; or

6) a secreted protein from *Bacillus thuringiensis* or *Bacillus cereus* which is insecticidal in the presence of a second secreted protein from *Bacillus thuringiensis* or *B. cereus*, such as the binary toxin made up of the VIP1A and VIP2A proteins;

7) a hybrid insecticidal protein comprising parts from different secreted proteins from *Bacillus thuringiensis* or *Bacillus cereus*, such as a hybrid of the proteins in 1) above or a hybrid of the proteins in 2) above; or

8) a protein of any one of points 1) to 3) above wherein some, particularly 1 to 10, amino acids have been replaced by another amino acid to obtain a higher insecticidal activity to a target insect species, and/or to expand the range of target insect species affected, and/or because of changes induced in the encoding DNA during cloning or transformation (while still encoding an insecticidal protein), such as the VIP3Aa protein in cotton event COT 102.

Of course, insect-resistant transgenic plants, as used herein, also include any plant comprising a combination of genes encoding the proteins of any one of the above classes 1 to 8. In one embodiment, an insect-resistant plant contains more than one transgene encoding a protein of any one of the above classes 1 to 8, to expand the range of target insect species affected or to delay insect resistance development to the plants, by using different proteins insecticidal to the same target insect species but having a different mode of action, such as binding to different receptor binding sites in the insect.

Plants or plant varieties (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are tolerant to abiotic stress factors. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such stress resistance. Particularly useful stress-tolerant plants include the following:

a. plants which contain a transgene capable of reducing the expression and/or the activity of the poly(ADP-ribose)polymerase (PARP) gene in the plant cells or plants;

b. plants which contain a stress tolerance-enhancing transgene capable of reducing the expression and/or the activity of the PARG-encoding genes of the plants or plant cells;

c. plants which contain a stress tolerance-enhancing transgene coding for a plant-functional enzyme of the nicotinamide adenine dinucleotide salvage biosynthesis pathway, including nicotinamidase, nicotinate phosphoribosyltransferase, nicotinic acid mononucleotide adenyltransferase, nicotinamide adenine dinucleotide synthetase or nicotinamide phosphoribosyltransferase.

Plants or plant varieties (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention show altered quantity, quality and/or storage stability of the harvested product and/or altered properties of specific ingredients of the harvested product such as, for example:

1) Transgenic plants which synthesize a modified starch which is altered with respect to its chemophysical traits, in particular the amylose content or the amylose/amylopectin ratio, the degree of branching, the average chain length, the distribution of the side chains, the viscosity behaviour, the gel resistance, the grain size and/or grain morphology of the starch in comparison to the synthesized starch in wild-type plant cells or plants, such that this modified starch is better suited for certain applications.

2) Transgenic plants which synthesize non-starch carbohydrate polymers or which synthesize non-starch carbohydrate polymers with altered properties in comparison to wild-type plants without genetic modification. Examples are plants which produce polyfructose, especially of the inulin and levan type, plants which produce alpha-1,4-glucans, plants which produce alpha-1,6-branched alpha-1,4-glucans, and plants producing alternan.

3) Transgenic plants which produce hyaluronan.

Plants or plant varieties (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, such as cotton plants, with altered fibre characteristics. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such altered fibre characteristics and include:

a) plants, such as cotton plants, which contain an altered form of cellulose synthase genes;

b) plants, such as cotton plants, which contain an altered form of rsw2 or rsw3 homologous nucleic acids;

c) plants, such as cotton plants, with an increased expression of sucrose phosphate synthase;

d) plants, such as cotton plants, with an increased expression of sucrose synthase;

e) plants, such as cotton plants, wherein the timing of the plasmodesmatal gating at the basis of the fibre cell is altered, for example through downregulation of fibre-selective β-1,3-glucanase;

f) plants, such as cotton plants, which have fibres with altered reactivity, for example through the expression of the N-acetylglucosaminetransferase gene including nodC and chitin synthase genes.

Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, such as oilseed rape or related Brassica plants, with

altered oil profile characteristics. Such plants can be obtained by genetic transformation or by selection of plants containing a mutation imparting such altered oil characteristics and include:

a) plants, such as oilseed rape plants, which produce oil having a high oleic acid content;

b) plants, such as oilseed rape plants, which produce oil having a low linolenic acid content;

c) plants, such as oilseed rape plants, which produce oil having a low level of saturated fatty acids.

Particularly useful transgenic plants which may be treated according to the invention are plants which comprise one or more genes which encode one or more toxins and are the transgenic plants available under the following trade names: YIELD GARD® (for example maize, cotton, soya beans), KnockOut® (for example maize), BiteGard® (for example maize), BT-Xtra® (for example maize), StarLink® (for example maize), Bollgard® (cotton), Nucotn® (cotton), Nucotn 33B® (cotton), NatureGard® (for example maize), Protecta® and NewLeaf® (potato). Examples of herbicide-tolerant plants which may be mentioned are maize varieties, cotton varieties and soya bean varieties which are available under the following trade names: Roundup Ready® (tolerance to glyphosate, for example maize, cotton, soya beans), Liberty Link® (tolerance to phosphinothricin, for example oilseed rape), IMI® (tolerance to imidazolinone) and SCS® (tolerance to sulphonylurea, for example maize). Herbicide-resistant plants (plants bred in a conventional manner for herbicide tolerance) which may be mentioned include the varieties sold under the name Clearfield® (for example maize).

Particularly useful transgenic plants which may be treated according to the invention are plants containing transformation events, or a combination of transformation events, and that are listed for example in the databases for various national or regional regulatory agencies (see for example http://gmoinfo.jrc.ec.europa.eu/).

Moreover, in the protection of materials, the active compounds or compositions according to the invention can be employed for protecting industrial materials against attack and destruction by unwanted microorganisms, such as, for example, fungi and insects.

Furthermore, the compounds according to the invention can be used alone or in combinations with other active compounds as antifouling compositions.

Industrial materials in the present context are understood as meaning non-living materials which have been prepared for use in industry. For example, industrial materials which are intended to be protected by active compounds according to the invention from microbial change or destruction can be adhesives, sizes, paper, wallpaper, and board, textiles, carpets, leather, wood, paints and plastic articles, cooling lubricants and other materials which can be infected with, or destroyed by, microorganisms. Parts of

production plants and buildings, for example cooling-water circuits, cooling and heating systems and ventilation and air-conditioning units, which may be impaired by the proliferation of microorganisms may also be mentioned within the scope of the materials to be protected. Industrial materials which may be mentioned within the scope of the present invention are preferably adhesives, sizes, paper and board, leather, wood, paints, cooling lubricants and heat-transfer liquids, particularly preferably wood. The active compounds or compositions according to the invention may prevent disadvantageous effects, such as rotting, decay, discoloration, decoloration or formation of mould. Moreover, the compounds according to the invention can be employed for protecting objects which come into contact with saltwater or brackish water, in particular hulls, screens, nets, buildings, moorings and signalling systems, against fouling.

The method according to the invention for controlling unwanted fungi can also be employed for protecting storage goods. Here, storage goods are to be understood as meaning natural substances of vegetable or animal origin or processed products thereof of natural origin, for which long-term protection is desired. Storage goods of vegetable origin, such as, for example, plants or plant parts, such as stems, leaves, tubers, seeds, fruits, grains, can be protected freshly harvested or after processing by (pre)drying, moistening, comminuting, grinding, pressing or roasting. Storage goods also include timber, both unprocessed, such as construction timber, electricity poles and barriers, or in the form of finished products, such as furniture. Storage goods of animal origin are, for example, hides, leather, furs and hairs. The active compounds according to the invention may prevent disadvantageous effects, such as rotting, decay, discoloration, decolouration or formation of mould.

Some pathogens of fungal diseases which can be treated according to the invention may be mentioned by way of example, but not by way of limitation:

diseases caused by powdery mildew pathogens, such as, for example, Blumeria species, such as, for example, Blumeria graminis; Podosphaera species, such as, for example, Podosphaera leucotricha; Sphaerotheca species, such as, for example, Sphaerotheca fuliginea; Uncinula species, such as, for example, Uncinula necator;

diseases caused by rust disease pathogens, such as, for example, Gymnosporangium species, such as, for example, Gymnosporangium sabinae; Hemileia species, such as, for example, Hemileia vastatrix; Phakopsora species, such as, for example, Phakopsora pachyrhizi and Phakopsora meibomiae; Puccinia species, such as, for example, Puccinia recondita, Puccinia graminis, Puccinia striiformis or Puccinia triticina; Uromyces species, such as, for example, Uromyces appendiculatus;

diseases caused by pathogens from the group of the Oomycetes, such as, for example, Albugo species, such as, for example, Albugo candida; Bremia species, such as, for example, Bremia lactucae; Peronospora species, such as, for example, Peronospora pisi or P. brassicae; Phytophthora species, such as, for example,

Phytophthora infestans; Plasmopara species, such as, for example, Plasmopara viticola; Pseudoperonospora species, such as, for example, Pseudoperonospora humuli or Pseudoperonospora cubensis; Pythium species, such as, for example, Pythium ultimum;

leaf blotch diseases and leaf wilt diseases caused, for example, by Alternaria species, such as, for example, Alternaria solani; Cercospora species, such as, for example, Cercospora beticola; Cladiosporium species, such as, for example, Cladiosporium cucumerinum; Cochliobolus species, such as, for example, Cochliobolus sativus (conidia form: Drechslera, syn: Helminthosporium) or Cochliobolus miyabeanus; Colletotrichum species, such as, for example, Colletotrichum lindemuthanium; Cycloconium species, such as, for example, Cycloconium oleaginum; Diaporthe species, such as, for example, Diaporthe citri; Elsinoe species, such as, for example, Elsinoe fawcettii; Gloeosporium species, such as, for example, Gloeosporium laeticolor; Glomerella species, such as, for example, Glomerella cingulata; Guignardia species, such as, for example, Guignardia bidwelli; Leptosphaeria species, such as, for example, Leptosphaeria maculans or Leptosphaeria nodorum; Magnaporthe species, such as, for example, Magnaporthe grisea; Mycosphaerella species, such as, for example, Mycosphaerella graminicola, Mycosphaerella arachidicola or Mycosphaerella fijiensis; Phaeosphaeria species, such as, for example, Phaeosphaeria nodorum; Pyrenophora species, such as, for example, Pyrenophora teres or Pyrenophora tritici repentis; Ramularia species, such as, for example, Ramularia collo-cygni or Ramularia areola; Rhynchosporium species, such as, for example, Rhynchosporium secalis; Septoria species, such as, for example, Septoria apii or Septoria lycopersici; Typhula species, such as, for example, Typhula incarnata; Venturia species, such as, for example, Venturia inaequalis;

root and stem diseases caused, for example, by Corticium species, such as, for example, Corticium graminearum; Fusarium species, such as, for example, Fusarium oxysporum; Gaeumannomyces species, such as, for example, Gaeumannomyces graminis; Plasmodiophora species, such as, for example, Plasmodiophora brassicae; Rhizoctonia species, such as, for example, Rhizoctonia solani; Sarocladium species, such as, for example, Sarocladium oryzae; Sclerotium species, such as, for example, Sclerotium oryzae; Tapesia species, such as, for example, Tapesia acuformis; Thielaviopsis species, such as, for example, Thielaviopsis basicola;

ear and panicle diseases (including corn cobs) caused, for example, by Alternaria species, such as, for example, Alternaria spp.; Aspergillus species, such as, for example, Aspergillus flavus; Cladosporium species, such as, for example, Cladosporium cladosporioides; Claviceps species, such as, for example, Claviceps purpurea; Fusarium species, such as, for example, Fusarium culmorum; Gibberella species, such as, for example, Gibberella zeae; Monographella species, such as, for example, Monographella nivalis;

diseases caused by smut fungi, such as, for example, Sphacelotheca species, such as, for example, Sphacelotheca reiliana; Tilletia species, such as, for example, Tilletia caries, T. controversa; Urocystis species, such as, for example, Urocystis occulta; Ustilago species, such as, for example, Ustilago nuda, U. nuda tritici;

fruit rot caused, for example, by Aspergillus species, such as, for example, Aspergillus flavus; Botrytis species, such as, for example, Botrytis cinerea; Penicillium species, such as, for example, Penicillium expansum and Penicillium purpurogenum; Sclerotinia species, such as, for example, Sclerotinia sclerotiorum;

Verticilium species, such as, for example, Verticilium alboatrum;

seed- and soil-borne rot and wilt diseases, and also diseases of seedlings, caused, for example, by Alternaria species, such as, for example, Alternaria brassicicola; Aphanomyces species, such as, for example, Aphanomyces euteiches; Ascochyta species, such as, for example, Ascochyta lentis; Aspergillus species, such as, for example, Aspergillus flavus; Cladosporium species, such as, for example, Cladosporium herbarum; Cochliobolus species, such as, for example, Cochliobolus sativus (conidia form: Drechslera, Bipolaris Syn: Helminthosporium); Colletotrichum species, such as, for example, Colletotrichum coccodes; Fusarium species, such as, for example, Fusarium culmorum; Gibberella species, such as, for example, Gibberella zeae; Macrophomina species, such as, for example, Macrophomina phaseolina; Microdochium species, such as, for example, Microdochium nivale; Monographella species, such as, for example, Monographella nivalis; Penicillium species, such as, for example, Penicillium expansum; Phoma species, such as, for example, Phoma lingam; Phomopsis species, such as, for example, Phomopsis sojae; Phytophthora species, such as, for example, Phytophthora cactorum; Pyrenophora species, such as, for example, Pyrenophora graminea; Pyricularia species, such as, for example, Pyricularia oryzae; Pythium species, such as, for example, Pythium ultimum; Rhizoctonia species, such as, for example, Rhizoctonia solani; Rhizopus species, such as, for example, Rhizopus oryzae; Sclerotium species, such as, for example, Sclerotium rolfsii; Septoria species, such as, for example, Septoria nodorum; Typhula species, such as, for example, Typhula incarnata;

Verticillium species, such as, for example, Verticillium dahliae;

cancerous diseases, galls and witches' broom caused, for example, by Nectria species, such as, for example, Nectria galligena;

wilt diseases caused, for example, by Monilinia species, such as, for example, Monilinia laxa;

deformations of leaves, flowers and fruits caused, for example, by Exobasidium species, such as, for

example, Exobasidium vexans; Taphrina species, such as, for example, Taphrina deformans;

degenerative diseases of woody plants caused, for example, by Esca species, such as, for example, Phaeomoniella chlamydospora, Phaeoacremonium aleophilum or Fomitiporia mediterranea; Ganoderma species, such as, for example, Ganoderma boninense;

diseases of flowers and seeds caused, for example, by Botrytis species, such as, for example, Botrytis cinerea;

diseases of plant tubers caused, for example, by Rhizoctonia species, such as, for example, Rhizoctonia solani; Helminthosporium species, such as, for example, Helminthosporium solani;

diseases caused by bacterial pathogens, such as, for example, Xanthomonas species, such as, for example, Xanthomonas campestris pv. oryzae; Pseudomonas species, such as, for example, Pseudomonas syringae pv. lachrymans; Erwinia species, such as, for example, Erwinia amylovora.

Preference is given to controlling the following diseases of soya beans:

Fungal diseases on leaves, stems, pods and seeds caused, for example, by alternaria leaf spot (Alternaria spec. atrans tenuissima), anthracnose (Colletotrichum gloeosporoides dematium var. truncatum), brown spot (Septoria glycines), cercospora leaf spot and blight (Cercospora kikuchii), choanephora leaf blight (Choanephora infundibulifera trispora (Syn.)), dactuliophora leaf spot (Dactuliophora glycines), downy mildew (Peronospora manshurica), drechslera blight (Drechslera glycini), frogeye leaf spot (Cercospora sojina), leptosphaerulina leaf spot (Leptosphaerulina trifolii), phyllostica leaf spot (Phyllosticta sojaecola), pod and stem blight (Phomopsis sojae), powdery mildew (Microsphaera diffusa), pyrenochaeta leaf spot (Pyrenochaeta glycines), rhizoctonia aerial, foliage, and web blight (Rhizoctonia solani), rust (Phakopsora pachyrhizi, Phakopsora meibomiae), scab (Sphaceloma glycines), stemphylium leaf blight (Stemphylium botryosum), target spot (Corynespora cassiicola).

Fungal diseases on roots and the stem base caused, for example, by black root rot (Calonectria crotalariae), charcoal rot (Macrophomina phaseolina), fusarium blight or wilt, root rot, and pod and collar rot (Fusarium oxysporum, Fusarium orthoceras, Fusarium semitectum, Fusarium equiseti), mycoleptodiscus root rot (Mycoleptodiscus terrestris), neocosmospora (Neocosmospora vasinfecta), pod and stem blight (Diaporthe phaseolorum), stem canker (Diaporthe phaseolorum var. caulivora), phytophthora rot (Phytophthora megasperma), brown stem rot (Phialophora gregata), pythium rot (Pythium aphanidermatum, Pythium irregulare, Pythium debaryanum, Pythium myriotylum, Pythium ultimum), rhizoctonia root rot, stem decay, and damping-off (Rhizoctonia solani), sclerotinia stem decay (Sclerotinia sclerotiorum), sclerotinia southern blight (Sclerotinia rolfsii), thielaviopsis root rot (Thielaviopsis basicola).

Microorganisms capable of degrading or changing the industrial materials which may be mentioned are,

for example, bacteria, fungi, yeasts, algae and slime organisms. The active compounds according to the invention preferably act against fungi, in particular moulds, wood-discoloring and wood-destroying fungi (Basidiomycetes), and against slime organisms and algae. Microorganisms of the following genera may be mentioned as examples: Alternaria, such as Alternaria tenuis; Aspergillus, such as Aspergillus niger; Chaetomium, such as Chaetomium globosum; Coniophora, such as Coniophora puetana; Lentinus, such as Lentinus tigrinus; Penicillium, such as Penicillium glaucum; Polyporus, such as Polyporus versicolor; Aureobasidium, such as Aureobasidium pullulans; Sclerophoma, such as Sclerophoma pityophila; Trichoderma, such as Trichoderma viride; Escherichia, such as Escherichia coli; Pseudomonas, such as Pseudomonas aeruginosa; Staphylococcus, such as Staphylococcus aureus.

In addition, the active compounds according to the invention also have very good antimycotic activity. They have a very broad antimycotic activity spectrum, in particular against dermatophytes and yeasts, moulds and diphasic fungi (for example against Candida species, such as Candida albicans, Candida glabrata), and Epidermophyton floccosum, Aspergillus species, such as Aspergillus niger and Aspergillus fumigatus, Trichophyton species, such as Trichophyton mentagrophytes, Microsporon species such as Microsporon canis and audouinii. The list of these fungi by no means limits the mycotic spectrum covered, but is only for illustration.

Accordingly, the active compounds according to the invention can be used both in medical and in non-medical applications.

When using the active compounds according to the invention as fungicides, the application rates can be varied within a relatively wide range, depending on the kind of application. The application rate of the active compounds according to the invention is

- when treating plant parts, for example leaves: from 0.1 to 10 000 g/ha, preferably from 10 to 1000 g/ha, particularly preferably from 50 to 300 g/ha (when the application is carried out by watering or dripping, it is even possible to reduce the application rate, especially when inert substrates such as rock wool or perlite are used);

- when treating seed: from 2 to 200 g per 100 kg of seed, preferably from 3 to 150 g per 100 kg of seed, particularly preferably from 2.5 to 25 g per 100 kg of seed, very particularly preferably from 2.5 to 12.5 g per 100 kg of seed;

- when treating the soil: from 0.1 to 10 000 g/ha, preferably from 1 to 5000 g/ha.

The doses herein indicated are given as illustrative examples of the method according to the invention. A person skilled in the art will know how to adapt the application doses, notably according to the nature of the plant or crop to be treated.

The combination according to the invention can be used in order to protect plants within a certain time range after the treatment against pests and/or phytopathogenic fungi and/or microorganisms. The time range, in which protection is effected, spans in general 1 to 28 days, preferably 1 to 14 days, more preferably 1 to 10 days, even more preferably 1 to 7 days after the treatment of the plants with the combinations or up to 200 days after the treatment of plant propagation material.

Furthermore combinations and compositions according to the invention may also be used to reduce the contents of mycotoxins in plants and the harvested plant material and therefore in foods and animal feed stuff made therefrom. Especially but not exclusively the following mycotoxins can be specified: Deoxynivalenole (DON), Nivalenole, 15-Ac-DON, 3-Ac-DON, T2- und HT2- Toxins, Fumonisines, Zearalenone Moniliformine, Fusarine, Diaceotoxyscirpenole (DAS), Beauvericine, Enniatine, Fusaroproliferine, Fusarenole, Ochratoxines, Patuline, Ergotalkaloides und Aflatoxines, which are caused for example by the following fungal diseases: *Fusarium spec.*, like *Fusarium acuminatum, F. avenaceum, F. crookwellense, F. culmorum, F. graminearum (Gibberella zeae), F. equiseti, F. fujikoroi, F. musarum, F. oxysporum, F. proliferatum, F. poae, F. pseudograminearum, F. sambucinum, F. scirpi, F. semitectum, F. solani, F. sporotrichoides, F. langsethiae, F. subglutinans, F. tricinctum, F. verticillioides* and others but also by *Aspergillus spec.*, *Penicillium spec.*, *Claviceps purpurea, Stachybotrys spec.* and others.

Die erfindungsgemäßen Wirkstoffe eignen sich auch auf dem Veterinärsektor bei günstiger Warmblütertoxizität zur Bekämpfung von parasitischen Protozoen, die in der Tierhaltung und Tierzucht bei Nutz-, Zucht-, Zoo-, Labor-, Versuchs- und Hobbytieren vorkommen. Sie sind dabei gegen alle oder einzelne Entwicklungsstadien der Schädlinge wirksam.

Landwirtschaftliche Nutz- oder Zuchttiere sind z.B. Säugetiere wie Schafe, Ziegen, Pferde, Esel, Kamele, Büffel, Kaninchen sowie insbesondere Rinder und Schweine oder Vögel wie Puten, Enten, Gänse und insbesondere Hühner oder gegebenenfalls auch Insekten, wie z. B. Bienen.

Haustiere sind z.B. Säugetiere wie Hamster, Meerschweinchen, Ratten, Mäuse sowie insbeonsdere Hunde und Katzen oder auch Stubenvögel.

Eine bevorzugte Ausführungsform ist die Anwendung bei Vögeln. Eine weitere bevorzugte Ausführungsform ist die Anwendung bei Säugetieren.

Durch die Anwendung sollen Krankheiten, Todesfälle und Leistungsminderungen (z. B. bei Fleisch, Milch, Wolle, Häuten, Eiern, Honig usw.) verhindert oder vermindert werden, so dass durch den Einsatz der erfindungsgemäßen Wirkstoffe eine wirtschaftlichere und einfachere Tierhaltung möglich ist.

Die Anwendung der erfindungsgemäßen Wirkstoffe erfolgt im Veterinärsektor und bei der Tierhaltung in an sich bekannter Weise direkt oder in Form von geeigneten Zubereitungen enteral, parenteral, dermal, nasal. Die enterale Anwendung der Wirkstoffe geschieht z.B. oral in Form von Pulver, Zäpfchen, Tabletten, Kapseln, Pasten, Tränken, Granulaten, Drenchen, Boli, medikiertem Futter oder Trinkwasser. Die dermale Anwendung geschieht z.B. in Form des Tauchens (Dippen), Sprühens

(Sprayen), Badens, Waschens, Aufgießens (pour-on and spot-on) und des Einpuderns. Die parenterale Anwendung geschieht z.B. in Form der Injektion (intramusculär, subcutan, intravenös, intraperitoneal) oder durch Implantate. Die Anwendung kann sowohl prophylaktisch als auch therapeutisch erfolgen.

Zu den parasitischen Protozoen zählen:

Mastigophora (Flagellata) wie z.B. Trypanosomatidae z.B. Trypanosoma b. brucei, T.b. gambiense, T.b. rhodesiense, T. congolense, T. cruzi, T. evansi, T. equinum, T. lewisi, T. percae, T. simiae, T. vivax, Leishmania brasiliensis, L. donovani, L. tropica, wie z.B. Trichomonadidae z.B. Giardia lamblia, G. canis.

Sarcomastigophora (Rhizopoda) wie Entamoebidae z.B. Entamoeba histolytica, Hartmanellidae z.B. Acanthamoeba sp., Harmanella sp.

Apicomplexa (Sporozoa) wie Eimeridae z.B. Eimeria acervulina, E. adenoides, E. alabahmensis, E. anatis, E. anserina, E. arloingi, E. ashata, E. auburnensis, E. bovis, E. brunetti, E. canis, E. chinchillae, E. clupearum, E. columbae, E. contorta, E. crandalis, E. debliecki, E. dispersa, E. ellipsoidales, E. falciformis, E. faurei, E. flavescens, E. gallopavonis, E. hagani, E. intestinalis, E. iroquoina, E. irresidua, E. labbeana, E. leucarti, E. magna, E. maxima, E. media, E. meleagridis, E. meleagrimitis, E. mitis, E. necatrix, E. ninakohlyakimovae, E. ovis, E. parva, E. pavonis, E. perforans, E. phasani, E. piriformis, E. praecox, E. residua, E. scabra, E. spec., E. stiedai, E. suis, E. tenella, E. truncata, E. truttae, E. zuernii, Globidium spec., Isospora belli, I. canis, I. felis, I. ohioensis, I. rivolta, I. spec., I. suis, Cystisospora spec., Cryptosporidium spec., insbesondere C. parvum, wie Toxoplasmadidae z.B. Toxoplasma gondii, Hammondia heydornii, Neospora caninum, Besnoitia besnoitii, wie Sarcocystidae z.B. Sarcocystis bovicanis, S. bovihominis, S. ovicanis, S. ovifelis, S. neurona, S. spec., S. suihominis wie Leucozoidae z.B. Leucozytozoon simondi, wie Plasmodiidae z.B. Plasmodium berghei, P. falciparum, P. malariae, P. ovale, P. vivax, P. spec., wie Piroplasmea z.B. Babesia argentina, B. bovis, B. canis, B. spec., Theileria parva, Theileria spec., wie Adeleina z.B. Hepatozoon canis, H. spec.

The preparation of the active substances according to the invention of the formula **[I]** follows from the following examples. However, the invention is not restricted to these examples.

Production of intermediates of the formula [XIII] by route *(V7)*:

1-(4-fluorophenyl)-6-hydroxyhexane-1,3-dione [XIII-1]

To a mixture of sodium hydride (60%, 20g, 0.5 mol) in 1L dry diethyl ether is added at 0°C under argon 2mL Ethanol followed by γ-butyrolacton (18.8 g, 0.21 mol), Then, a solution of 4-Fluorophenyl acetophenone (29.0 g , 0.21 mol) in 100mL diethyl ether is added slowly at 0°C and the resulting suspension is allowed to warm slowly to room temperature. The reaction mixture is stirred 72h at RT. To the resulting reddish-brown suspension is added 20mL Ethanol, followed by 200mL ammonium chloride solution. The organic phase is separated and the aqueous phase is extracted with ethyl acetate.

The combined extracts are dried and the volatiles removed in vacuo. Then, the oily residue is triturated with hexane and 1-(4-fluorophenyl)-6-hydroxyhexane-1,3-dione is obtained as solid (28g, 81%).

^{1}H-NMR (400MHz, CD_3CN): δ = 8.03-7.98 (m, 2H), 7.28–7.22 (m, 2H), 6.37 (s, 1H), 3.57 (t, 2H), 2.53 (t, 2H), 1.86-1.70 (m, 2H) ppm

The following compounds are prepared in analogous manner:

1-(3,4-difluorophenyl)-6-hydroxyhexane-1,3-dione [XIII-2]

^{1}H-NMR (400MHz, CD_3CN): δ = 16.1 (s, 1H), 7.80-7.70 (m, 2H), 7.45-7.35 (m, 1H), 6.30 (s, 1H), 3.55 (t, 2H), 2.53 (t, 2H), 1.80 (m, 2H) ppm

1-(3-chloro-4-fluorophenyl)-6-hydroxyhexane-1,3-dione [XIII-3]

^{1}H-NMR (400MHz, CD_3CN): δ = 16.1 (s, 1H), 8.05-8.03 (dd, 1H), 7.91-7.87 (m, 1H), 7.40-7.30 (m, 1H), 6.34 (s, 1H), 4.14 (s, 1H), 3.54 (t, 2H), 2.52 (t, 2H), 1.85-1.80 (m, 2H) ppm

1-(4-fluorophenyl)-7-hydroxyheptane-1,3-dione [XIII-4]

^{1}H-NMR (400MHz, DMSO-d_6): δ = 16.3 (s, 1H), 8.08-8.01 (m, 2H), 7.38-7.34 (m, 2H), 6.56 (s, 1H), 4.42-4.36 (m, 2H), 4.26 (s, 1H), 3.45-3.30 (m, 2H), 1.70-1.60 (m, 2H), 1.55-1.40 (m, 2H) ppm

logP (pH 2.7): 2.30

MS (ESI): 239.1 ($[M+H]^+$)

Production of intermediates of the formula [XIV] by route *(V8)*:

3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]propan-1-ol [XIV-1]

To a solution of 1-(4-fluorophenyl)-6-hydroxyhexane-1,3-dione (38g, 0.17 mol) in 150mL ethanol and hydrazine hydrate (17.05g, 0.34 mol) is added slowly over a 30min period (exothermic up to 35°C). The resulting solution is stirred for 1h at RT then the mixture is added to aqueous ammonium chloride. Extraction with ethyl acetate and evaporation of the organic phase results in the crude product. The product is purified by trituration with 2x 200mL n-hexane and the product is obtained as solid (29g, 77%).

^{1}H-NMR (400 MHz, d_6-DMSO): δ = 12.56 (s, 1H), 7.80-7.76 (m, 2H), 7.20 (m, 2H), 6.45 (s, 1H), 4.52 (m, 1H), 3.47-3.32 (q, 2H), 2.64 (m, 2H), 1.80-1.70 (m, 2H) ppm

logP (pH 2.7): 1.51

MS (ESI): 221.1 ([M+H]$^+$)

The following compounds are prepared in analogous manner:

3-[3-(3,4-difluorophenyl)-1H-pyrazol-5-yl]propan-1-ol [XIV-2]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.69-7.64 (m, 1H), 7.57-7.53 (m, 1H), 7.30-7.23 (m, 1H), 6.41 (s, 1H), 3.53 (t, 2H), 2.74 (t, 2H), 1.85-1.77 (m, 2H) ppm

logP (pH 2.7): 1.73

MS (ESI): 239.1 ([M+H]$^+$)

3-[3-(3-chloro-4-fluorophenyl)-1H-pyrazol-5-yl]propan-1-ol [XIV-3]

^{1}H-NMR (400 MHz, DMSO-d_6): δ = 12.68 (s, 1H), 7.93-7.91 (m, 1H), 7.76 (m, 1H), 7.44-7.40 (m, 1H), 6.54 (s, 1H), 4.52 (m, 1H), 3.51-3.40 (q, 2H), 2.65 (m, 2H), 1.80-1.70 (m, 2H) ppm

logP (pH 2.7): 1.96

MS (ESI): 255.1 ([M+H]$^+$)

4-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]butan-1-ol [XIV-4]

^{1}H-NMR (400 MHz, DMSO-d_6): δ = 12.56 (s, 1H), 7.78 (m, 2H), 7.20 (m, 2H), 6.44 (s, 1H), 4.39 (m, 1H), 3.44-3.41 (q, 2H), 2.60 (m, 2H), 1.64-1.60 (m, 2H), 1.50-1.40 (m, 2H) ppm

logP (pH 2.7): 1.72

MS (ESI): 235.1 ([M+H]$^+$)

3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]butan-1-ol [XIV-5]

^{1}H-NMR (400 MHz, DMSO-d_6): δ = 12.57 (s, 1H), 7.78 (m, 2H), 7.20 (m, 2H), 6.45 (s, 1H), 4.45 (m, 1H), 3.43-3.34 (m, 2H), 3.00 (m, 1H), 1.84-1.75 (m, 1H), 1.70-1.62 (m, 1H), 1.21 (d, 3H) ppm

logP (pH 2.7): 1.74

MS (ESI): 235.1 ([M+H]$^+$)

Production of intermediates of the formula [VII] by route *(V9)*:

3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]propyl methanesulfonate [VII-1]

To a solution of 3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]propan-1-ol (28.6g, 0.13mol) in 250mL dichloromethane is added at 10°C Diisopropylethylamine (25.2g, 0.195mol, 1.5eq), and methanesulfonyl chloride (17.8g, 0.156mol, 1.2eq). The mixture is stirred 30min at 10°C and then allowed to warm to rt over 30min. 50mL aqueous Na_2CO_3 solution is added and the phases are separated. After drying of the solvent 52g of the product is obtained.

logP (pH 2.7): 2.00

MS (ESI): 299.1 ($[M+H]^+$)*

*The crude product consists of a mixture of mesylate and chloride (~ 7:3 ratio) by LCMS and is being used without further purification in the next step.

The following compounds are prepared in analogous manner:

3-[3-(3-chloro-4-fluorophenyl)-1H-pyrazol-5-yl]propyl methanesulfonate [VII-2]

logP (pH 2.7): 2.42

MS (ESI): 333.1 ($[M+H]^+$)

3-[3-(3,4-difluorophenyl)-1H-pyrazol-5-yl]propyl methanesulfonate [VII-3]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.69-7.64 (m, 1H), 7.56-7.53 (m, 1H), 7.32-7.25 (m, 1H), 6.46 (s, 1H), 4.24 (t, 2H), 3.01 (s, 3H), 2.78 (t, 2H), 1.20 (t, 2H) ppm

logP (pH 2.7): 2.17

MS (ESI): 317.1 ($[M+H]^+$)

Production of intermediates of the formula [VI] by route *(V1)*:

2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [VI-1]

To a solution of crude 3-[3-(4-fluorophenyl)-1H-pyrazol-5-yl]propyl methanesulfonate (52g, 0.122mol), in 300mL N,N'-Dimethylformamide is added at 10°C sodium iodide (1.84g, 12.2mmol). Then, sodium hydride (60%, 5.4g, 0.134mol) is added portionwise and the mixture is stirred for 30min at 10°C followed by 1h at room temperature. To the resulting brown suspension is added saturated ammonium chloride and the mixture is extracted with ethyl acetate. The extracts are dried and evaporated in vacuo. The obtained crude product is purified by trituration with 50mL water + 50mL n-hexane. After drying of the solid in vacuo 22.9g (90%) of the product is obtained and is being used without further purification in the next step.

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.81-7.78 (m, 2H), 7.16-7.12 (m, 2H), 6.31 (s, 1H), 4.12 (t, 2H), 2.90 (t, 2H), 2.59 (m, 2H). ppm

logP (pH 2.7): 2.39

MS (ESI): 203.1 ($[M+H]^+$)

The following compounds are prepared in analogous manner:

2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [VI-2]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.68-7.60 (m, 1H), 7.58-7.52 (m, 1H), 7.27-7.24 (m, 1H), 6.31 (s, 1H), 4.09 (t, 2H), 2.89 (m, 2H), 2.57 (m, 2H) ppm

logP (pH 2.7): 2.69

MS (ESI): 221.2 ($[M+H]^+$)

2-(3-chloro-4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [VI-3]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.86-7.84 (m, 1H), 7.73-7.69 (m, 1H), 7.26-7.21 (m, 1H), 6.31 (s, 1H), 4.07 (t, 2H), 2.88 (m, 2H), 2.61-2.53 (m, 2H) ppm

logP (pH 2.7): 3.07

MS (ESI): 237.1 ($[M+H]^+$)

Production of intermediates of the formula [IV] by route *(V2)*:

3-bromo-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [IV-1]

To a solution of 2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole (44.5g, 0.22mol) in 600mL chloroform is added at 0°C N-bromosuccinimide (117g, 0.66mol). The mixture is stirred 17h at RT. Thereafter, the mixture is diluted with water and extracted with dichloromethane. The combined organic phases are dried and evaporated. The obtained crude material is purified by column chromatography on silica (6cm x 40cm, eluent dichloromethane). The obtained product is further purified by trituration with a MTBE-hexane mixture and 38.2g (61%) of the product are obtained as solid.

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.89-7.84 (m, 2H), 7.20-7.14 (m, 2H), 4.16 (t, 2H), 2.87 (t, 2H), 2.64-2.55 (m, 2H) ppm

logP (pH 7): 3.21

MS (ESI): 283.0 ($[M+H]^+$)

The following compounds are prepared in analogous manner:

3-bromo-2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [IV-2]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.78-7.71 (m, 1H), 7.71-7.67 (m, 1H), 7.35-7.28 (m, 1H), 4.16 (t, 2H), 2.87 (t, 2H), 2.64-2.56 (m, 2H) ppm

logP (pH 2.5): 3.54

MS (ESI): 301.0 ($[M+H]^+$)

3-bromo-2-(3-chloro-4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [IV-3]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.97-7.94 (dd, 1H), 7.84-7.81 (m, 1H), 7.29 (t, 1H), 4.16 (t, 2H), 2.87 (t, 2H), 2.64-2.55 (m, 2H) ppm

logP (pH 2.5): 4.01

MS (ESI): 315.0 ($[M+H]^+$)

3-iodo-4-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [IV-4)

^{1}H-NMR (400 MHz, DMSO-d6): δ = 7.75-7.72 (m, 2H), 7.45-7.41 (m, 2H), 7.38-7.33 (m, 1H), 4.27-4.20 (m, 1H), 4.13-4.07 (m, 1H), 3.31-3.24 (m, 1H), 2.83-2.74 (m, 1H), 2.18-2.10(m, 1H), 1.32 (d, 3H) ppm

logP (pH 2.5): 3.56

MS (ESI): 325.1 ([M+H]$^+$)

2-(4-fluorophenyl)-3-iodo-6-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [IV-5]

^{1}H-NMR (400 MHz, DMSO-d6): δ = 7.81-7.76 (m, 2H), 7.30-7.24 (m, 2H), 4.52-4.47 (m, 1H), 2.84-2.73 (m, 3H), 2.18-2.10 (m, 1H), 1.42 (d, 3H) ppm

logP (pH 2.5): 3.78

MS (ESI): 343.0 ([M+H]$^+$)

2-(5-chloro-3-thienyl)-3-iodo-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridine [IV-6]

^{1}H-NMR (400 MHz, DMSO-d6): δ = 7.85 (d, 1H), 7.45 (d, 1H), 4.06 (t, 2H), 2.60 (t, 2H), 2.00-1.93 (m, 2H), 1.85-1.79 (m, 2H) ppm

logP (pH 2.5): 4.49

MS (ESI): 364.9 ([M+H]$^+$)

3-iodo-5-methyl-2-phenyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [IV-7]

^{1}H-NMR (400 MHz, DMSO-d6): δ = 7.81-7.76 (m, 2H), 7.30-7.24 (m, 2H), 4.39-4.35 (dd, 1H), 3.82-3.77 (dd, 1H), 3.12-3.02 (m, 1H), 2.99-2.89 (dd, 1H), 2.46-2.40 (dd, 1H), 1.21 (d, 3H) ppm

logP (pH 2.5): 3.74

MS (ESI): 343.0 ([M+H]$^+$)

Production of intermediates of the formula [III] by route *(V3)*:

2-(4-fluorophenyl)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [III-1]

Under argon 3-bromo-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole (21.0g, 75mmol) is dissolved in 300mL dry THF. At -70°C n-Butyllithium (2.5M, 33mL, 1.1eq) is added via syringe and the mixture is stirred for 20 min. Thereafter a solution of 2-Isopropoxy-4,4,5,5,-tetramethyl-1,3,2-dioxaborolane (15.3g, 82mmol, 1.1eq) in 30mL THF is added and the mixture is stirred for 1h at -70°C.Thereafter, the reaction mixture is quenched with aqueous ammoniumchloride and the mixture is allowed to warm up and extracted with ethyl acetate at RT. After evaporation and drying the solvent is evaporated. The crude oil is mixed with 100mL n-hexane and the n-Hexan is decanted of the oily residue. The residue is purified by column chromatography on silica gel (Cyclohexan zu EE Gradient)

and 10.4g product (49%) are obtained.

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.89-7.85 (m, 2H), 7.11-7.07 (m, 2H), 4.09 (t, 2H), 2.94 (t, 2H), 2.57 (m, 2H), 1.27 (s, 12H) ppm

logP (pH 2.7): 3.97

MS (ESI): 329.2 ([M+H]+)

The following compounds were prepared in analogous manner:

2-(3,4-difluorophenyl)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [III-2]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.90-7.82 (m, 1H), 7.75-7.68 (m, 1H), 7.28-7.20 (m, 1H), 4.09 (t, 2H), 2.94 (t, 2H), 2.57 (m, 2H), 1.29 (s, 12H) ppm

logP (pH 2.7): 4.30

MS (ESI): 347.1 ([M+H]+)

2-(3-chloro-4-fluorophenyl)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole [III-3]

^{1}H-NMR (400 MHz, CD_3CN): δ = 8.10-8.08 (m, 1H), 7.88-7.80 (m, 1H), 7.24-7.20 (t, 1H), 7.28-7.20 (m, 1H), 4.09 (t, 2H), 2.94 (t, 2H), 2.57 (m, 2H), 1.29 (s, 12H) ppm

logP (pH 2.7): 4.73

MS (ESI): 363.1 ([M+H]+)

Production of intermediates of the formula [II] by route *(V4)*:

4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine [II-1]

3-bromo-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole (700mg, 2.5mmol) and tert-butyl [4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl]carbamate (727mg, 2.27mmol, 1.1eq) are dissolved in 10mL 1,4-dioxan. To this mixture is added Bis(tricyclohexylphosphine)palladium(II)-dichloride (168mg, 0.22mmol, 0.1eq) and 5.4mL sodium carbonate solution (2 molar). The reaction mixture is flushed with argon for 5 mins and then sealed. Next the mixture is heated for 12 mins at 150°C in the microwave (Biotage). After cooling, insoluble components are filtered off over Celite and the residue washed with 1,4-dioxan. The organic phase is evaporated and the crude product purified by

column chromatography over silica gel using dichloromethane / methanol (95:5) as eluent. After evaporation of the solvents 530mg (72%) of 4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine are obtained as a colourless solid.

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.84-7.82 (d, 1H), 7.49-7.44 (m, 2H), 7.11-7.05 (m, 2H), 6.40-6.38 (dd, 1H), 6.34 (s, 1H), 4.75 (s, 2H), 4.14 (t, 2H), 3.00 (t, 2H), 2.66-2.59 (m, 2H) ppm

logP (pH 2.7): 1.02

MS (ESI): 295.2 ([M+H]+)

The following compounds were prepared in analogous manner:

4-[2-(3-chloro-4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine [II-2]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.85-7.83 (d, 1H), 7.57-7.55 (dd, 1H), 7.42-7.38 (m, 1H), 7.21 (t, 1H), 6.44-6.42 (dd, 1H), 6.36 (s, 1), 4.91 (s, 2H), 4.15 (t, 2H), 3.01 (t, 2H), 2.67-2.46 (m, 2H), ppm

logP (pH 2.7): 1.28

MS (ESI): 329.1 ([M+H]+)

4-[2-(3,4-difluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-amine [II-3]

^{1}H-NMR (400 MHz, CD_3CN): δ = 7.86-7.85 (d, 1H), 7.38-7.33 (m, 1H), 7.27-7.19 (m, 2H), 6.43-6.41 (dd, 1H), 6.34 (s, 1), 4.77 (s, 2H, br), 4.15 (t, 2H), 2.99 (t, 2H), 2.67-2.59 (m, 2H), ppm

logP (pH 2.7): 1.08

MS (ESI): 313.1 ([M+H]+)

Production of compounds of the formula [XI] by route *(V4)*:

N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}cyclopropanecarboxamide [XI-1]

140mg (0.50 mmol) of N-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-yl]cyclopropanecarboxamide and 172mg (1.2eq 0.60 mmol) of 3-bromo-2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazole are dissolved in 3.5mL 1,4-dioxan. To this are added 36mg of bis(tricyclohexylphosphine)-palladium(II)dichloride (0.05mmol, 0.1eq) and 1.2mL sodium carbonate solution (2M in H_2O). The reaction mixture is flushed for 5 mins with argon and then sealed. Next the mixture is heated for 12mins at 120°C in the microwave (CEM Explorer). After cooling, insoluble

components are filtered off and the salt residue washed with 1,4-dioxan. The organic phase is evaporated and the crude product purified by silica gel chromatography (eluent cyclohexane / ethyl acetate). 85mg (43%) of N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}cyclopropanecarboxamide are obtained as a colourless solid.

^{1}H-NMR (400 MHz, CD_3CN): δ = 8.84 (s, 1H), 8.08-8.06 (m, 2H), 7.47-7.44 (m, 2H), 7.12-7.06 (m, 2H), 6.80-6.76 (dd, 1H), 4.15 (t, 2H), 3.02 (t, 2H), 2.68-2.60 (m, 2H), 1.82-1.73 (m, 1H), 0.88-0.82 (m, 4H) ppm

logP (pH 2.7): 1.76

MS (ESI): 363.1 ([M+H]+)

Production of compounds of the formula [I-a] by route *(V6)*:

N-(cyclopropylcarbonyl)-N-{4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}cyclopropanecarboxamide {Example No 14}

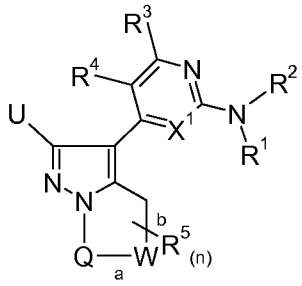
154mg (0.5mmol) of 4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-amine and 193mg (1.5mmol, 3eq) of Huenigs base are dissolved in 4mL tetrahydrofuran. To this are added 156mg of cyclopropanecarbonyl chloride (1.5mmol, 3eq) and the reaction mixture is stirred for 20 hrs at room temperature. Then the reaction mixture is treated with water and extracted with ethyl acetate. The organic phases are dried over Na2SO4 and the solvents are removed under vacuum. The crude material is purified by column chromatography on silica (eluent cyclohexane / ethyl acetate). 180 mg (75%) of N-(cyclopropylcarbonyl)-N-{4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}cyclopropanecarboxamide are obtained as a colourless solid.

^{1}H-NMR (400 MHz, DMSO-d6): δ = 8.50-8.48 (d, 1H), 7.38-7.34 (m, 2H), 7.25-7.24 (m, 1H), 7.18-7.13 (m, 3H), 4.16 (t, 2H), 2.84 (t, 2H), 2.10-2.00 (m, 2H), 1.95-1.90 (m, 2H), 1.85-1.80 (m, 2H), 0.90-0.75 (m, 8H) ppm

logP (pH 2.7): 3.28

MS (ESI): 445.2 ([M+H]+)

The compounds of the formula **[I]** named in the following Tables I and II are also obtained by the aforesaid methods.



(I)

Table 1

Table 1

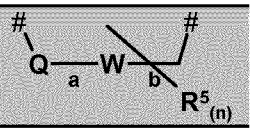
Ex.	R^1	R^2	X^1	R^3	R^4	U	W	
1	acetyl	acetyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2(CH_2)_2$
2	acetyl	acetyl	CH	H	H	phenyl	CH_2	$CH_2CH_2(CH_2)_2$
3	isobutyryl	propanoyl	CH	H	H	4-fluorophenyl	O	$CH(CH_3)O(CH_2)_2$
4	acetyl	acetyl	CH	H	H	thiophen-3-yl	CH_2	$CH_2CH_2(CH_2)_2$
5	acetyl	propanoyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2CH_2$
6	acetyl	propanoyl	CH	H	H	4-fluorophenyl	CH_2	$CH(CH_3)CH_2CH_2$
7	propanoyl	propanoyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2CH_2$
8	acetyl	acetyl	CH	H	H	thiophen-2-yl	CH_2	$CH_2CH_2(CH_2)_2$
9	propanoyl	cyclopropylcarbonyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2CH_2$
10	acetyl	acetyl	CH	H	H	4-fluorophenyl	O	$CH(CH_3)O(CH_2)_2$
11	ethoxycarbonyl	cyclopropylcarbonyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2CH_2$
12	cyclopropylcarbonyl	cyclopropylcarbonyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2CH_2$
13	3-methylbutanoyl	3-methylbutanoyl	CH	H	H	4-fluorophenyl	O	$CH(CH_3)O(CH_2)_2$
14	cyclopropylcarbonyl	cyclopropylcarbonyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2(CH_2)_2$
15	methoxycarbonyl	cyclopropylcarbonyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2CH_2$
16	propanoyl	propanoyl	CH	H	H	4-fluorophenyl	CH_2	$CH(CH_3)CH_2CH_2$
17	cyclopropylcarbonyl	propanoyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2(CH_2)_2$
18	propanoyl	propanoyl	CH	H	H	4-fluorophenyl	CH_2	$CH_2CH_2(CH_2)_2$

Table 2 NMR and Mass spectroscopic / logP data of compounds of the type **[I]**

No.	Name	NMR	$LogP_A$	$[M+H]_A^{+}$ [1]	Method [2]
1	N-acetyl-N-{4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}acetamide	1H-NMR (600 MHz, CD3CN): δ = 8.46-8.45 (dd, 1H), 7.41-7.39 (m, 2H), 7.24-7.22 (dd, 1H), 7.08-7.04 (m, 3H), 4.17 (t, 2H), 2.83 (t, 2H), 2.10 (m, 2H), 1.96 (m, 6H), 1.90-1.80 (m, 2H) ppm	2.42	393.2	A
2	N-acetyl-N-[4-(2-phenyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl)pyridin-2-yl]acetamide	1H-NMR (600 MHz, CD3CN): δ = 8.46-8.44 (dd, 1H), 7.40-7.38 (m, 1H), 7.33-7.32 (m, 1H), 7.24-7.22 (dd, 1H), 7.08 (s, 1H), 4.17 (t, 2H), 2.83 (t, 2H), 2.10 (m, 2H), 1.96 (m, 6H), 1.90-1.80 (m, 2H) ppm	2.22	375.2	A
3	N-{4-[2-(4-fluorophenyl)-7-methyl-4,5-dihydropyrazolo[1,5-c][1,3]oxazin-3-yl]pyridin-2-yl}-2-methyl-N-propionylpropanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.50-8.49 (d, 1H), 7.39-7.36 (m, 2H), 7.33-7.31 (dd, 1H), 7.20-7.15 (m, 2H), 7.13 (s, 1H), 5.67 (q, 1H), 4.30-4.26 (m, 1H), 3.94-3.87 (m, 1H), 3.32-3.21 (m, 1H), 2.87-2.78 (m, 2H), 2.45-2.41 (m, 2H), 1.71 (d, 3H), 1.06-0.95 (m, 9H) ppm	3.83	451.2	A
4	N-acetyl-N-{4-[2-(3-thienyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}acetamide	1H-NMR (600 MHz, CD3CN): δ = 8.49-8.48 (d, 1H), 7.38-7.37 (dd, 1H), 7.29-7.28 (m, 2H), 7.17-7.15 (m, 2H), 4.15 (t, 2H), 2.79 (t, 2H), 2.10 (m, 2H), 1.96 (m, 6H), 1.90-1.80 (m, 2H) ppm	2.18	381.1	A
5	N-acetyl-N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.46-8.45 (d, 1H), 7.44-7.40 (m, 2H), 7.28-7.26 (m, 1H), 7.22-7.18 (m, 2H), 7.15 (d, 1H), 4.17 (t, 2H), 3.08 (t, 2H), 2.63 (t, 2H), 2.20 (s, 3H), 0.96 (t, 3H) ppm	2.43	393.2	A
6	N-acetyl-N-{4-[2-(4-fluorophenyl)-6-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}propanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.46-8.44 (d, 1H), 7.44-7.41 (m, 2H), 7.28-7.27 (m, 1H), 7.22-7.15 (m, 3H), 4.51-4.46 (m, 1H), 4.05-4.00 (q, 1H), 3.14-3.05 (m, 1H), 3.05-2.97 (m, 1H), 2.85-2.75 (m, 1H), 2.49-2.45 (q, 4H), 2.20 (s, 3H), 1.47 (d, 3H), 0.95 (t, 6H) ppm	2.78	407.2	A
7	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-N-propionylpropanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.46-8.44 (d, 1H), 7.44-7.40 (m, 2H), 7.29-7.27 (m, 1H), 7.22-7.18 (m, 2H), 7.12 (d, 1H), 4.18 (t, 2H), 3.08 (t, 2H), 2.63 (t, 2H), 2.50-2.40 (q, 4H), 0.96 (t, 6H) ppm	2.81	407.2	A

No.	Name	NMR	$LogP_A$	$[M+H]_A^{+}$ [1]	Method [2]
8	N-acetyl-N-{4-[2-(2-thienyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}acetamide	1H-NMR (400 MHz, CD3CN): δ = 8.52-8.52 (d, 1H), 7.35-7.32 (m, 2H), 7.25 (d, 1H), 6.96-6.95 (dd, 1H), 6.93-6.92 (dd, 1H), 4.14 (t, 2H), 2.76 (t, 2H), 2.10 (m, 2H), 1.96 (m, 6H), 1.90-1.80 (m, 2H) ppm	2.18	381.1	A
9	N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-N-propionylcyclopropanecarboxamide	1H-NMR (400 MHz, CD3CN): δ = 8.42-8.40 (d, 1H), 7.47-7.42 (m, 2H), 7.25-7.24 (dd, 1H), 7.12-7.06 (m, 3H), 4.17 (t, 2H), 3.07 (t, 2H), 2.70-2.65 (m, 1H), 2.60-2.55 (m, 2H), 1.05 (t, 3H), 0.95 (m, 2H), 0.80 (m, 2H) ppm	2.87	419.1	A
10	N-acetyl-N-{4-[2-(4-fluorophenyl)-7-methyl-4,5-dihydropyrazolo[1,5-c][1,3]oxazin-3-yl]pyridin-2-yl}acetamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.50-8.49 (d, 1H), 7.42-7.37 (m, 2H), 7.29-7.28 (dd, 1H), 7.24-7.17 (m, 3H), 5.66 (q, 1H), 4.30-4.26 (dd, 1H), 3.94-3.86 (m, 1H), 3.30-3.20 (m, 1H), 2.82-2.78 (m, 1H), 1.71 (d, 3H) ppm	2.56	409.1	A
11	ethyl (cyclopropylcarbonyl){4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}carbamate	1H-NMR (400 MHz, CD3CN): δ = 8.36-8.34 (d, 1H), 7.46-7.41 (m, 2H), 7.21-7.17 (dd, 1H), 7.12-7.06 (m, 2H), 7.02 (d, 1H), 4.19-4.14 (m, 4H), 3.05 (t, 2H), 2.69-2.61 (m, 3H), 1.13 (t, 3H), 1.00-0.90 (m, 4H) ppm	2.78	435.2	A
12	N-(cyclopropylcarbonyl)-N-{4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}cyclopropanecarboxamide	1H-NMR (400 MHz, CD3CN): δ = 8.42-8.39 (d, 1H), 7.47-7.43 (m, 2H), 7.25-7.22 (dd, 1H), 7.12-7.06 (m, 3H), 4.17 (t, 2H), 3.06 (t, 2H), 2.70-2.61 (m, 2H), 0.95-0.90 (m, 4H), 0.85-0.80 (m, 4H) ppm	2.91	431.3	A
13	N-{4-[2-(4-fluorophenyl)-7-methyl-4,5-dihydropyrazolo[1,5-c][1,3]oxazin-3-yl]pyridin-2-yl}-3-methyl-N-(3-methylbutanoyl)butanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.52-8.51 (d, 1H), 7.40-7.34 (m, 3H), 7.19-7.14 (m, 2H), 7.07 (s, 1H), 5.67 (q, 1H), 4.31-4.27 (dd, 1H), 3.95-3.88 (m, 1H), 3.31-3.23 (m, 1H), 2.82-2.78 (m, 1H), 2.33-2.30 (m, 4H), 2.05-1.95 (m, 2H), 1.71 (d, 3H), 0.82 (d, 12H) ppm	5.01	493.2	A
14	N-(cyclopropylcarbonyl)-N-{4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}cyclopropanecarboxamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.50-8.48 (d, 1H), 7.38-7.34 (m, 2H), 7.25-7.24 (m, 1H), 7.18-7.13 (m, 3H), 4.16 (t, 2H), 2.84 (t, 2H), 2.10-2.00 (m, 2H), 1.95-1.90 (m, 2H), 1.85-1.80 (m, 2H), 0.90-0.75 (m, 8H) ppm	3.28	445.2	A
15	methyl (cyclopropylcarbonyl){4-[2-(4-fluorophenyl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}carbamate	1H-NMR (400 MHz, CD3CN): δ = 8.36-8.34 (d, 1H), 7.45-7.42 (m, 2H), 7.21-7.19 (dd, 1H), 7.12-7.08 (m, 2H), 7.02 (d, 1H), 4.16 (t, 2H), 3.69 (s, 3H), 3.05 (t, 2H), 2.69-2.61 (m, 3H), 0.95-0.90 (m, 4H) ppm	2.54	421.1	A

No.	Name	NMR	$LogP_A$	$[M+H]_A^{+}$ [1]	Method [2]
16	N-{4-[2-(4-fluorophenyl)-6-methyl-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]pyridin-2-yl}-N-propionylpropanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.46-8.44 (d, 1H), 7.44-7.40 (m, 2H), 7.29-7.22 (m, 1H), 7.21-7.18 (m, 2H), 7.12 (s, 1H), 4.51-4.46 (m, 1H), 3.18-3.10 (m, 1H), 3.05-3.00 (m, 1H), 2.85-2.75 (m, 1H), 2.45 (q, 4H), 2.23-2.18 (m, 1H), 1.47 (d, 3H), 0.95 (t, 6H) ppm	3.21	421.2	A
17	N-{4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}-N-propionylcyclopropanecarboxamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.50-8.48 (d, 1H), 7.38-7.34 (m, 2H), 7.27-7.26 (m, 1H), 7.18-7.13 (m, 3H), 4.16 (t, 2H), 2.85 (t, 2H), 2.10-2.00 (m, 2H), 1.85-1.75 (m, 3H), 0.98 (t, 3H), 0.90-0.75 (m, 4H) ppm	3.22	433.1	A
18	N-{4-[2-(4-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl]pyridin-2-yl}-N-propionylpropanamide	1H-NMR (400 MHz, DMSO-d6): δ = 8.46-8.45 (d, 1H), 7.43-7.37 (m, 2H), 7.24-7.23 (m, 1H), 7.08-7.02 (m, 3H), 4.17 (t, 2H), 2.84 (t, 2H), 2.50-2.45 (q, 4H), 2.10-2.05 (m, 2H), 1.85-1.80 (m, 2H), 1.03 (t, 6H) ppm	3.18	421.2	A

[1] The stated mass is the peak of the isotope pattern of the [M+H]+ ion with the highest intensity; if the [M-H]- ion was detected,

[2] In the determination of the logP values, the methods described below were used.

Method A Note on the determination of the logP values and mass detection: The stated logP values were determined in accordance with EEC-Directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) on a reverse phase column (C18).Agilent 1100 LC system; 50*4.6 Zorbax Eclipse Plus C18 1.8 micron; eluent A: acetonitrile (0.1% formic acid); eluent B: water (0.09% formic acid); linear gradient from 10% acetonitrile to 95% acetonitrile in 4.25 mins, then 95% acetonitrile for a further 1.25 mins; oven temperature 55°C; flow rate: 2.0 mL/min. The mass detection was effected with an Agilend MSD system.

Method B Note on the determination of the logP values and mass detection: The stated logP values were determined in accordance with EEC-Directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) on a reverse phase column (C18). HP1100; 50*4.6 Zorbax Eclipse Plus C18 1.8 micron; eluent A: acetonitrile (0.1% formic acid); eluent B: water (0.08% formic acid); linear gradient from 5% acetonitrile to 95% acetonitrile in 1.70 min, then 95% acetonitrile for a further 1.00 min; oven temperature 55°C; flow rate: 2.0 mL/min. The mass detection was effected with the Micronass ZQ2000 mass detector from Waters.

Method C Note on the determination of the logP values and mass detection: The stated logP values were determined in accordance with EEC-Directive 79/831 Annex V.A8 by UPLC (Ultra Performance Liquid Chromatography) on a reverse phase column (C18). HP1100; 50*2.1 Zorbax Eclipse Plus C18 1.8 micron; eluent A: acetonitrile (0.09% formic acid); eluent B: water (0.1% formic acid); linear gradient from 10% A to 95% A in 3.25 min; oven temperature 40°C; flow rate: 0.8 mL/min. The mass detection was effected with the LCT Premier or SQD mass detector from Waters.

Calibration was performed with unbranched alkan-2-ones (with 3 to 16 carbon atoms), whose logP values are known (determination of the logP values on the basis of the retention times by linear interpolation between two successive alkanones).

The lambda-max values were determined on the basis of the UV spectra from 200 nm to 400 nm in the maxima of the chromatographic signals.

Use Examples

Example A

Sphaerotheca test (cucumber) / preventive

Solvent: 49 parts by weight of N, N - Dimethylformamide

Emulsifier: 1 part by weight of Alkylarylpolyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore suspension of ***Sphaerotheca fuliginea***. Then the plants are placed in a greenhouse at approximately 23°C and a relative atmospheric humidity of approximately 70 %.

The test is evaluated 7 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (94 %), 2 (96 %), 3 (95 %), 5 (100 %), 6 (95 %), 7 (98 %), 8 (95 %), 9 (100 %), 10 (95 %), 11 (95 %), 12 (100 %), 13 (93 %).

Example B

Alternaria test (tomato) / preventive

Solvent: 49 parts by weight of N, N - Dimethylformamide

Emulsifier: 1 part by weight of Alkylarylpolyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore

suspension of ***Alternaria solani***. The plants remain for one day in an incubation cabinet at approximately 22°C and a relative atmospheric humidity of 100%. Then the plants are placed in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of 96%.

The test is evaluated 7 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (100 %), 2 (100 %), 3 (90 %), 4 (90 %), 5 (90 %), 6 (70 %), 7 (90 %), 8 (89 %), 10 (90 %), 11 (95 %), 12 (80 %), 13 (78 %).

Example C

Leptosphaeria test (wheat) / preventive

Solvent:	49	parts by weight of N, N - Dimethylformamide
Emulsifier:	1	part by weight of Alkylarylpolyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with a preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore suspension of ***Leptosphaeria nodorum***. The plants remain for 48 hours in an incubation cabinet at 22°C and a relative atmospheric humidity of 100%. Then the plants are placed in a greenhouse at a temperature of approximately 22°C and a relative atmospheric humidity of approximately 90%.

The test is evaluated 7-9 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (95 %), 2 (95 %), 3 (90 %), 4 (95 %), 5 (95 %), 6 (95 %), 7 (90 %), 8 (89 %), 9 (95 %), 10 (90 %), 11 (95 %), 12 (95 %), 13 (89 %).

Example D

Pyrenophora test (barley) / preventive

Solvent: 49 parts by weight of N, N - Dimethylformamide

Emulsifier: 1 part by weight of Alkylarylpolyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore suspension of ***Pyrenophora teres***. The plants remain for 48 hours in an incubation cabinet at 22°C and a relative atmospheric humidity of 100%. Then the plants are placed in a greenhouse at a temperature of approximately 20°C and a relative atmospheric humidity of approximately 80%.

The test is evaluated 7-9 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (95 %), 2 (100 %), 3 (100 %), 4 (95 %), 5 (100 %), 6 (100 %), 7 (95 %), 8 (100 %), 9 (95 %), 10 (95 %), 11 (95 %), 12 (95 %), 13 (89 %).

Example E

Puccinia test (wheat) / preventive

Solvent: 49 parts by weight of N, N - Dimethylformamide

Emulsifier: 1 part by weight of Alkylarylpolyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore

suspension of ***Puccinia recondita***. The plants remain for 48 hours in an incubation cabinet at 22°C and a relative atmospheric humidity of 100%. Then the plants are placed in a greenhouse at a temperature of approximately 20°C and a relative atmospheric humidity of approximately 80%.

The test is evaluated 7-9 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (100 %), 2 (100 %), 3 (95 %), 5 (100 %), 6 (100 %), 7 (95 %), 8 (89 %), 9 (100 %), 10 (95 %), 11 (100 %), 12 (95 %).

Example F

Pyricularia test (rice) / preventive

Solvent:	49	parts by weight of N, N - Dimethylformamide
Emulsifier:	1	part by weight of Alkylarylpolyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with a preparation of active compound at the stated rate of application. One day after this treatment, the plants are inoculated with an aqueous spore suspension of ***Pyricularia oryzae***. The plants remain for 48 hours in an incubation cabinet at 24°C and a relative atmospheric humidity of 100%. Then the plants are placed in a greenhouse at a temperature of approximately 24°C and a relative atmospheric humidity of approximately 80%.

The test is evaluated 7 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (80 %), 2 (70 %), 3 (90 %), 4 (95 %), 5 (95 %), 6 (90 %), 7 (70 %), 8 (95 %), 9 (90 %), 11 (70 %), 12 (80 %).

Example G

Phytophthora test (tomatoes) / preventive

Solvent:	24,5	parts by weight of acetone
	24,5	parts by weight of dimethylacetamide
Emulsifier:	1	part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. After the spray coating has dried on, the plants are inoculated with an aqueous spore suspension of ***Phytophthora infestans***. The plants are then placed in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of 100%.

The test is evaluated 3 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 100ppm of active ingredient: 1 (94 %), 2 (95 %), 3 (91 %), 4 (95 %), 5 (83 %), 6 (91 %), 7 (95 %), 8 (83 %), 9 (79 %), 11 (86 %).

Example H

Plasmopara test (grapevines) / preventive

Solvent:	24,5	parts by weight of acetone
	24,5	parts by weight of dimethylacetamide
Emulsifier:	1	part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. After the spray coating has dried on, the plants are inoculated with an aqueous spore suspension of ***Plasmopara viticola*** and then remain for 1 day in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of 100 %. The plant is subsequently placed for 4 days in a greenhouse at approximately 21°C and a relative atmospheric humidity of approximately 90 %. The plants are then misted and placed for 1 day in an incubation cabinet.

The test is evaluated 6 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 100ppm of active ingredient: 1 (75 %), 5 (94 %), 6 (94 %), 7 (100 %), 9 (95 %), 11 (90 %).

Example I

Venturia test (apples) / preventive

Solvent:	24,5	parts by weight of acetone
	24,5	parts by weight of dimethylacetamide
Emulsifier:	1	part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound at the stated rate of application. After the spray coating has dried on, the plants are inoculated with an aqueous conidia suspension of the causal agent of apple scab ***(Venturia inaequalis)*** and then remain for 1 day in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of 100 %.

The plants are then placed in a greenhouse at approximately 21°C and a relative atmospheric humidity of approximately 90 %.

The test is evaluated 10 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 100ppm of active ingredient: 2 (71 %), 3 (95 %), 4 (95 %), 5 (99 %), 6 (98 %), 7 (100 %), 9 (100 %).

Example J

Botrytis test (beans) / preventive

Solvent:	24,5	parts by weight of acetone
	24,5	parts by weight of dimethylacetamide
Emulsifier:	1	part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound. After the spray coating has dried on, 2 small pieces of agar covered with growth of ***Botrytis cinerea*** are placed on each leaf. The inoculated plants are placed in a darkened chamber at 20oC and a relative atmospheric humidity of 100%.

2 days after the inoculation, the size of the lesions on the leaves is evaluated. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed efficacy of 70% or even higher at a concentration of 100ppm of active ingredient: 1 (88 %), 2 (87 %), 3 (88 %), 4 (95 %), 5 (100 %), 6 (89 %), 7 (99 %), 9 (96 %), 11 (74 %).

Example K

Septoria tritici-test (wheat) / preventive

Solvent:	49	parts by weight of n,n-dimethylacetamid
Emulsifier:	1	part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound or active compound combination is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound or active compound combination at the stated rate of application.

After the spray coating has been dried, the plants are sprayed with a spore suspension of ***Septoria tritici***. The plants remain for 48 hours in an incubation cabinet at approximately 20°C and a relative atmospheric humidity of approximately 100% and afterwards for 60 hours at approximately 15°C in a translucent incubation cabinet at a relative atmospheric humidity of approximately 100%.

The plants are placed in the greenhouse at a temperature of approximately 15°C and a relative atmospheric humidity of approximately 80%.

The test is evaluated 21 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed an efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (100 %), 2 (100 %), 3 (100 %), 5 (86 %), 6 (86 %), 7 (86 %), 10 (80 %).

Example L

Fusarium nivale (var. majus)-test (wheat) / preventive

Solvent: 49 parts by weight of n,n-dimethylacetamid

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound or active compound combination is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound or active compound combination at the stated rate of application.

After the spray coating has been dried, the plants are slightly injured by using a sandblast and afterwards they are sprayed with a conidia suspension of ***Fusarium nivale (var. majus)***.

The plants are placed in the greenhouse under a translucent incubation cabinet at a temperature of approximately 10°C and a relative atmospheric humidity of approximately 100%.

The test is evaluated 5 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed an efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (100 %), 2 (100 %), 3 (100 %), 5 (100 %), 6 (100 %), 7 (100 %), 8 (92 %), 10 (100 %).

Example M

Fusarium graminearum-test (barley) / preventive

Solvent:	49	parts by weight of n,n-dimethylacetamid
Emulsifier:	1	part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound or active compound combination is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

To test for preventive activity, young plants are sprayed with the preparation of active compound or active compound combination at the stated rate of application.

After the spray coating has been dried, the plants are slightly injured by using a sandblast and afterwards they are sprayed with a conidia suspension of ***Fusarium graminearum***.

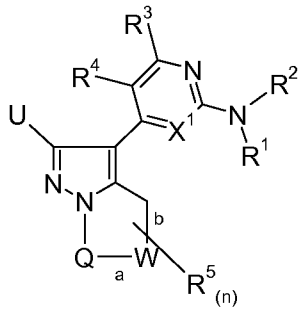
The plants are placed in the greenhouse under a translucent incubation cabinet at a temperature of approximately 22°C and a relative atmospheric humidity of approximately 100%.

The test is evaluated 5 days after the inoculation. 0% means an efficacy which corresponds to that of the untreated control, while an efficacy of 100% means that no disease is observed.

In this test the following compounds according to the invention showed an efficacy of 70% or even higher at a concentration of 500ppm of active ingredient: 1 (100 %), 2 (100 %), 3 (89 %), 5 (92 %), 6 (83 %), 7 (92 %), 8 (88 %), 10 (94 %).

Patent Claims

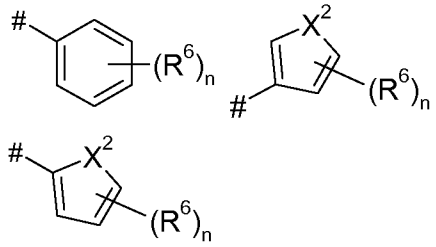
1. Heterocyclylpyri(mi)dinylpyrazole derivatives of the formula **(I)**



(I)

in which

U represents structures of the general formula



X^1 represents C-H or N,

X^2 represents S or O,

W represents C, N each of which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

or represents O

a,b represent a single or double bond

with the provisio that "a" and "b" represent a single bond if W equals O and "a" represents a single bond if Q equals C=C,

n is 0,1,2, 3 or 4

Q represents C, C-C, C=Cor C-C-C, each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5

R^1 represents $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$, $C(O)NR^7R^8$, $C(S)NR^7R^8$, $C(=NR^9)R^{10}$, $C(=NR^9)OR^{10}$, $C(=NR^9)NR^9R^{10}$, $SO(=NR^9)R^{10}$, $SO_2NR^7R^8$, SO_2R^7

or represents C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_8-alkynyl, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

R^2 represents cyano, formyl, OR^7, SR^7, $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$,

or represents C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_8-alkynyl, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

with the provisio that R^1 is not C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C1-C_6-alkyl or amino-C_1-C_6-alkyl if R^2 is C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C_1-C_6-alkyl or amino-C_1-C_6-alkyl and vice-versa,

R^3 and R^4 represent independently of each other H, F, Cl, Br, I, cyano, nitro, OH, SH,

or represent C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_6-C_{14}-aryl, C_1-C_4-alkoxy, O-(C_6-C_{14}-aryl), S-(C_1-C_4-alkyl), S(O)-(C_1-C_6-alkyl), C(O)-(C_1-C_6-alkyl), C_3-C_8-trialkylsilyl, heteroaryl, heterocyclyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11}

or form together with the carbon atoms, which they are attached to, an optionally mono- or multi identical or different by halogen, oxygen, cyano or C_1-C_4-alkyl, C_1-C_4-alkoxy, C_1-C_6-haloalkyl, C_1-C_4-haloalkoxy, C_3-C_6-cycloalkyl substituted cycle with 5 to 8 ring atoms, whereas the cycle consists of carbon atoms but may also contain 1 to 4 heteroatoms selected from oxygen, sulphur or NR^{14},

R^5 represents as substituent for C: H, Cyano, Halogen, OH, =O, C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_1-C_6-alkoxy, C_3-C_6-cycloalkyl, C_3-C_8-allenyl, C_3-C_8-trialkylsilyl, C_4-C_8-cycloalkenyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, acyloxy-C_1-C_6-alkyl, heteroaryl-C_1-C_6-alkyl, aryl-C_1-C_6-alkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_4-alkyl-C(O)-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)-C_1-C_4-alkyl, heterocyclyl-C(O)-C_1-C_4-alkyl, C_1-C_4-alkyl-C(O)O-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)O-C_1-C_4-alkyl, heterocyclyl-C(O)O- C_1-C_4-alkyl, heterocyclyl-C_1-C_6-alkyl, C_6-C_{10}-aryl, heterocyclyl, heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, Br, I, cyano, NH-$C(O)R^9$, NR^9R^{10}, $C(O)R^9$, $C(O)OR^9$, $C(O)NR^9R^{10}$, SO_2R^9, $OC(O)R^9$

or represents $C(O)NR^9R^{10}$, $C(O)R^9$, $C(O)OR^9$, $S(O)_2R^9$, $C(S)NR^9R^{10}$, $C(S)R^9$, $S(O)_2NR^9R^{10}$, $=N(OR^9)$,

and represents as substituent for N: H, OH, C_1-C_6-alkyl, C_2-C_6-alkenyl-C_1-C_6-alkyl, C_2-C_6-alkinyl-C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_6-cycloalkyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, acyloxy-C_1-C_6-alkyl, heteroaryl-C_1-C_6-alkyl, aryl-C_1-C_6-alkyl, C_1-C_6-alkylthio-C_1-C_6-alkyl, C_1-C_4-alkyl-C(O)-

C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)-C_1-C_4-alkyl, heterocyclyl-C(O)-C_1-C_4-alkyl, C_1-C_4-alkyl-C(O)O-C_1-C_6-alkyl, C_3-C_6-cycloalkyl-C(O)O-C_1-C_4-alkyl, heterocyclyl-C(O)O- C_1-C_4-alkyl, heterocyclyl-C_1-C_6-alkyl, C_6-C_{10}-aryl, heterocyclyl, heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, Br, I, cyano, NH-C(O)R^9, NR^9R^{10}, C(O)R^9, C(O)OR^9, C(O)NR^9R^{10}, SO_2R^9, OC(O)R^9

or represents C(O)NR^9R^{10}, C(O)R^9, C(O)OR^9, S(O)$_2R^9$, C(S)NR^9R^{10}, C(S)R^9, S(O)$_2NR^9R^{10}$,

R^6 represents H, cyano, halogen

or represents C_1-C_6-alkyl, C_3-C_6-cycloalkyl, heterocyclyl, C_2-C_8-alkenyl, C_2-C_8-alkinyl, C_1-C_8-alkoxy, C_2-C_8-alkynyloxy, C_1-C_8-alkylthio, C_3-C_8-trialkylsilyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano,

R^7 and R^8 represent H, C(S)R^{12}, C(O)R^{12}, SO_2R^{12}, C(O)OR^{12}, OR^{12} or C(O)$NR^{12}R^{13}$

or represent C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_6-C_{14}-aryl, heterocyclyl or heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, OH, =O, cyano, C_1-C_6-alkyl, O-C(O)R^9, O-P(O)$(OR^9)_2$, O-B$(OR^9)_2$ or O-(C_1-C_4-alkyl),

R^9 and R^{10} represent C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, aryl, benzyl, phenethyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano

or represent H,

R^{11} represents OH, F, Cl, Br, I, cyano, **=O**, NH-C(O)R^9, NR^9R^{10}, C(O)R^9, C(O)OR^9, C(O)NR^9R^{10}, SO_2R^9, OC(O)R^9

or represents C_1-C_6-alkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_1-C_4-alkoxy, C_1-C_4-alkylthio, O-(C_3-C_8-cycloalkyl), S-(C_3-C_8-cycloalkyl), C_6-C_{14}-aryl, O-(C_6-C_{14}-aryl), S-(C_6-C_{14}-aryl), heterocyclyl or heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, C_1-C_6-alkyl or C_1-C_4-alkoxy,

R^{12} and R^{13} represent H

or represents C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_6-C_{14}-aryl, heterocyclyl oder heteroaryl, each of which is optionally mono- or polysubstituted by

identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, C_1-C_6-alkyl or C_1-C_4-alkoxy,

R^{14} represents H, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, $C(S)R^{15}$, $C(O)R^{15}$, SO_2R^{15}, $C(O)OR^{15}$,

R^{15} represent H

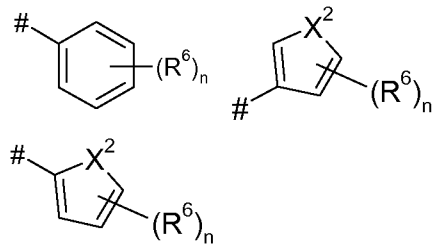
or represents C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl, C_3-C_8-cycloalkyl, C_6-C_{14}-aryl, benzyl, phenethyl, phenoxymethyl, heterocyclyl oder heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, or methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, methylsulfanyl, nitro, trifluormethyl, difluormethyl, $C(O)R^{12}$, $C(O)OR^{12}$, $C(O)NR^{12}R^{13}$, SO_2R^{12}, $OC(O)R^{12}$

and also agrochemically active salts thereof.

2. The heterocyclylpyri(mi)dinylpyrazole of the formula (I) as claimed in claim 1,

in which

U represents structures of the general formula



X^1 represents C-H

X^2 represents S or O

W represents C, N each of which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

or represents O,

a,b represent a single or double bond

with the provisio that “a” and “b” represent a single bond if W equals O and “a” represents a single bond if Q equals C=C,

n is 0,1,2, 3 or 4

Q represents C, C-C, C=C or C-C-C, each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5

R^1 represents $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$, $C(O)NR^7R^8$, $C(S)NR^7R^8$, $C(=NR^9)R^{10}$, $C(=NR^9)OR^{10}$, $C(=NR^9)NR^9R^{10}$, $SO(=NR^9)R^{10}$, $SO_2NR^7R^8$, SO_2R^7

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH_2CH=CH_2$, $-C\equiv CH$, $-C\equiv CCH_3$, $-CH_2C\equiv CH$, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

R^2 represents $C(O)OR^7$, $C(O)SR^7$, $C(S)OR^7$, $C(O)R^7$, $C(S)R^7$,

or represents C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_2-C_6-alkenyl, C_2-C_8-alkynyl, C_6-C_{14}-aryl, C_2-C_9-heterocyclyl, C_2-C_9-heteroaryl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11},

with the provisio that R^1 is not C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C_6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C1-C_6-alkyl or amino-C_1-C_6-alkyl if R^2 is C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C6-haloalkyl, C_3-C_8-halocycloalkyl, C_1-C_4-alkoxy-C_1-C_6-alkyl or amino-C_1-C_6-alkyl and vice-versa,

R^3 and R^4 represent independently of each other H, F, Cl, Br, I, cyano,

or represents methyl, ethyl, cyclopropyl, $-CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, phenyl, methoxy, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11}

R^5 represents as substituent for C: H, Cyano, Halogen, OH, =O, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH_2CH=CH_2$, $-C\equiv CH$, $-C\equiv CCH_3$, $-CH_2C\equiv CH$, methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, $-O-CH_2C\equiv CH$, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano,

or represents $C(O)NR^9R^{10}$, $C(O)R^9$, $C(O)OR^9$, $S(O)_2R^9$, $C(S)NR^9R^{10}$, $C(S)R^9$, $S(O)_2NR^9R^{10}$, $=N(OR^9)$,

and represents as substituent for N: H, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH_2CH=CH_2$, $-C\equiv CH$, $-C\equiv CCH_3$, $-CH_2C\equiv CH$, each of which is optionally mono- or

polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano,

or represents $C(O)NR^9R^{10}$, $C(O)R^9$, $C(O)OR^9$, $S(O)_2R^9$, $C(S)NR^9R^{10}$, $C(S)R^9$, $S(O)_2NR^9R^{10}$,

R^6 represents H, Cl, F, Cyano

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, methylthio, ethylthio, n-propylthio, iso-propylthio, tertbutylthio, n-butylthio, sec-butylthio, iso-butylthio, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of R^{11}

R^7 and R^8 represent H, $C(S)R^{12}$, $C(O)R^{12}$, SO_2R^{12}, $C(O)OR^{12}$, OR^{12} or $C(O)NR^{12}R^{13}$

or represent methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, phenyl, naphthalenyl, benzyl, phenethyl, phenoxymethyl, pyridinyl, pyrazinyl, pyrimidinyl, furanyl, thienyl, thietanyl, oxetanyl, pyrazolyl, imidazolyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, pyrrolidinyl, piperidinyl, indanyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, OH, =O, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, or methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy, methylsulfanyl, nitro, trifluormethyl, difluormethyl, acetyl, methoxycarbonyl, ethoxycarbonyl, $O\text{-}C(O)R^9$,

R^9 and R^{10} represent methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, phenyl, benzyl, phenethyl each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano,

or represent H,

R^{11} represents OH, **=O**, F, Cl, Br, I, cyano, $NH\text{-}C(O)R^9$, NR^9R^{10}, $C(O)R^9$, $C(O)OR^9$, $C(O)NR^9R^{10}$, SO_2R^9, $OC(O)R^9$

or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, phenyl, methoxy, ethoxy, tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-pyrrolidinyl, 3-pyrrolidinyl,

3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 5-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-isoxazolin-3-yl, 3-isoxazolin-3-yl, 4-isoxazolin-3-yl, 2-isoxazolin-4-yl, 3-Isoxazolin-4-yl, 4-Isoxazolin-4-yl, 2-Isoxazolin-5-yl, 3-Isoxazolin-5-yl, 4-isoxazolin-5-yl, 2-isothiazolin-3-yl, 3-isothiazolin-3-yl, 4-isothiazolin-3-yl, 2-isothiazolin-4-yl, 3-isothiazolin-4-yl, 4-isothiazolin-4-yl, 2-isothiazolin-5-yl, 3-isothiazolin-5-yl, 4-isothiazolin-5-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 2-piperazinyl, furan-2-yl, furan-3-yl, thiophen-2-yl, thiophen-3-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, 1H-pyrrol-1-yl, 1H-pyrrol-2-yl, 1H-pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl, Imidazol-1-yl, Imidazol-2-yl, Imidazol-4-yl, Pyridin-2-yl, Pyridin-3-yl, pyridin-4-yl, pyridazin-3-yl, pyridazin-4-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, methyl, ethyl, methoxy,

R^{12} and R^{13} represent H

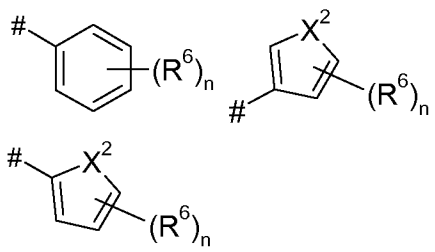
or represents methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CH=CH_2$, $-CH_2CH=CH_2$, $-CH_2C\equiv CH$, $-C\equiv CH$, phenyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of F, Cl, Br, I, OH, carbonyl, cyano, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl or methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, tert-butoxy,

and also agrochemically active salts thereof.

3. The heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) as claimed in claim 1 and 2,

in which

U represents structures of the general formula



X^1 represents C-H

X^2 represents S or O

W represents C, N each of which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

or represents O,

a,b represent a single or double bond

with the provisio that "a" and "b" represent a single bond if W equals O and "a" represents a single bond if Q equals C=C,

n is 0,1,2, 3 or 4

Q represents C, C-C or C=C each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5

R^1 and R^2 represent independently from each other formamido, formyl, acetyl, n-propionyl, isobutyryl, 2-methylbutanoyl, 3-methylbutanoyl, 3,3-dimethylbutanoyl, methoxyacetyl, (2-methoxyethoxy)acetyl, 3,3,3-trifluoropropanoyl, cyanoacetyl, lactoyl, 2-hydroxy-2-methylpropanoyl, (methylsulfanyl)acetyl, 2-(4-chlorophenoxy)propanoyl, phenylacetyl, 2-phenylpropanoyl, 2-(4-fluorophenyl)propanoyl, 2-fluorophenyl)propanoyl, 3-phenylpropanoyl, 3-(4-chlorophenyl)propanoyl, 2-(4-fluorophenyl)propanoyl, 2-(2-fluorophenyl)propanoyl, cyclopentylacetyl, cyclopropylacetyl, cyclopropylcarbonyl, (1-methylcyclopropyl)carbonyl, (2-methylcyclopropyl)carbonyl, (1-chlorocyclopropyl)carbonyl, cyclobutylcarbonyl, 2,3-dihydro-1H-inden-2-ylcarbonyl, (2-phenylcyclopropyl)carbonyl, methacryloyl, 3-methylbut-2-enoyl, 4-methylpent-3-enoyl, benzoyl, 4-fluorobenzoyl, 3-thienylcarbonyl, 2-thienylcarbonyl, tetrahydrofuran-2-ylcarbonyl, tetrahydrofuran-3-ylcarbonyl, tetrahydro-2H-pyran-4-ylcarbonyl, tetrahydro-2H-pyran-3-ylcarbonyl, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, tert-butoxycarbonyl, 1-cyclopropyl-cyclopropylcarbonyl, cyclopentylcarbonyl, trifluoroacetyl, difluoroacetyl, 1,3-dithiolan-2-ylcarbonyl, 2-fluoro-2-methylpropanoyl, 2-fluoropropanoyl, 2-fluoro-2-methylpropanoyl, 2-fluoropropanoyl, 5-oxohexanoyl, (4-oxocyclohexyl)carbonyl, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, propoxycarbonyl, sec-butoxycarbonyl

R^3 represents H, F, Cl, Methyl

R^4 represents H, F, Cl, Methyl

R^5 represents as substituent for C: H, Cyano, F, OH, =O, methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl, cyclopropyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano

and represents as substituent for N: H, methyl, ethyl, n-propyl, iso-propyl, cyclopropyl, each of which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of OH, F, Cl, cyano

or represents Acetyl, Propionyl, Isobutyryl, Methoxycarbonyl, Ethoxycarbonyl, Methylcarbamoyl, Dimethylcarbamoyl, Diethylcarbamoyl, Methylsulfonyl, Ethylsulfonyl

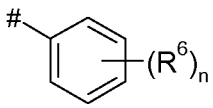
R^6 represents H, Cl, F, Methyl, Ethyl, Cyano, difluoromethyl, trifluoromethyl,

and also agrochemically active salts thereof.

4. 4. The heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) as claimed in any of the claims 1 to 3,

in which

U represents structures of the general formula



X^1 represents C-H

W represents C which is optionally substituted by identical or different substituents from the group consisting of R^5 ,

a and b represent a single bond

n is 0,1 or 2

Q represents C or C-C , each of which is optionally mono or polysubstituted by identical or different substituents from the group consisting of R^5

R^1 and R^2 represent independently from each other acetyl, n-propionyl, isobutyryl, 2-methylbutanoyl, 3-methylbutanoyl, lactoyl, phenylacetyl, cyclopropylacetyl, cyclopropylcarbonyl, (2-methylcyclopropyl)carbonyl, cyclobutylcarbonyl, benzoyl, 3-thienylcarbonyl, 2-thienylcarbonyl, tetrahydrofuran-3-ylcarbonyl, 3,3,3-trifluoropropanoyl, tetrahydro-2H-pyran-4-ylcarbonyl, 3-phenylpropanoyl, 2-phenylpropanoyl, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, propoxycarbonyl, sec-butoxycarbonyl

R^3 represents H,

R^4 represents H, F,

R^5 represents H, Cyano, F, OH, =O, methyl, ethyl, n-propyl, Cyclopropyl, Haloalkyl, Cyanoalkyl,

R^6 represents H, F

and also agrochemically active salts thereof.

5. A composition for controlling phytopathogenic harmful and mycotoxin producing fungi, characterized in that it comprises at least one heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) as claimed in any of the claims 1 to 4, in addition to extenders and/or surfactants.

6. The use of heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) as claimed in any of the claims 1 to 4 for controlling unwanted microorganisms.

7. A method for controlling phytopathogenic harmful and mycotoxin producing fungi, characterized in that heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) as claimed in any of the claims 1 to 4 are applied to the microorganisms and/or their habitat.

8. A process for preparing compositions for controlling unwanted microorganisms, characterized in that heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) according to any of the claims 1 to 4 are mixed with extenders and/or surfactants.

9. Use of heterocyclylpyri(mi)dinylpyrazole of the formula (**I**) according to any of the claims 1 to 4 for treating transgenic plants.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2012/069557

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D487/04 C07D498/04 A01N43/90
ADD.

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D A01N

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

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
EPO-Internal, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2009/076440 A2 (DU PONT [US]; BISAHA JOHN JOSEPH [US]; CREWS ALVIN DONALD JR [US]; HOW) 18 June 2009 (2009-06-18) cited in the application page 1, line 20 - page 8, line 15; tables 1A,1b	1-9
A	EP 2 308 866 A1 (BAYER CROPSCIENCE AG [DE]) 13 April 2011 (2011-04-13) paragraph [0006] - paragraph [0007]	1-9
X,P	EP 2 402 339 A1 (BASF SE [DE]) 4 January 2012 (2012-01-04) cited in the application paragraph [0009] - paragraph [0010]	1-9

-/--

[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

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

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

"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
24 October 2012	31/10/2012

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Usuelli, Ambrogio

1

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2012/069557

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	EP 2 402 340 A1 (BASF SE [DE]) 4 January 2012 (2012-01-04) cited in the application paragraph [0009] - paragraph [0010] -----	1-9
X,P	EP 2 402 338 A1 (BASF SE [DE]) 4 January 2012 (2012-01-04) cited in the application paragraph [0009] - paragraph [0010] -----	1-9
X,P	WO 2011/124539 A1 (BAYER CROPSCIENCE AG [DE]; MATTES AMOS [DE]; HELMKE HENDRIK [DE]; HILL) 13 October 2011 (2011-10-13) page 1, line 1 - page 6, line 25 -----	1-9

1

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2012/069557

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2009076440 A2	18-06-2009	AU 2008335151 A1	18-06-2009
		CN 101889012 A	17-11-2010
		EP 2217600 A2	18-08-2010
		JP 2011506465 A	03-03-2011
		KR 20100110815 A	13-10-2010
		US 2010273775 A1	28-10-2010
		WO 2009076440 A2	18-06-2009
EP 2308866 A1	13-04-2011	AR 078574 A1	16-11-2011
		CA 2773205 A1	14-04-2011
		EP 2308866 A1	13-04-2011
		EP 2486031 A2	15-08-2012
		TW 201127289 A	16-08-2011
		US 2011183978 A1	28-07-2011
		WO 2011042389 A2	14-04-2011
EP 2402339 A1	04-01-2012	NONE	
EP 2402340 A1	04-01-2012	NONE	
EP 2402338 A1	04-01-2012	NONE	
WO 2011124539 A1	13-10-2011	US 2011251178 A1	13-10-2011
		WO 2011124539 A1	13-10-2011