

Doctor

José Luis Salazar

Jefe de División de Nuevas Creaciones (C)

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

E. _____ S. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 10-022125- -00005-0000

Fecha: 2012-11-21 08:26:59 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 523 RESPUESTA Folios: 25

Referencia: Expediente No. 10.022.125

Solicitud de Patente de Invención titulada: "Derivados de N-cicloalquil-bencil-tiocarboxamida o N-cicloalquil-bencil-amidina-N'-sustituida fungicidas"

Solicitante: Bayer CropScience AG

RESPUESTA AL AUTO NOTIFICADO POR FIJACIÓN EN LISTA NO. 434

DEL 28 DE AGOSTO DE 2012, OFICIO NO. 15186 (EXAMEN DE FONDO)

ÁLVARO CORREA ORDÓÑEZ, mayor de edad y vecino de Bogotá D.C., identificado tal como aparece al pie de mi firma, en mi carácter de apoderado de la sociedad en referencia, doy respuesta al auto emanado por ese Despacho dentro del término legal:

1. Objeciones del Examinador

1.1 Reivindicaciones

1.1.1. Considera su Despacho que la reivindicación 11 se refiere realmente a un uso y que por lo tanto no sería patentable.

1.1.2. Se menciona que la fórmula I carece claridad porque no represente un núcleo estructural común y el alcance es demasiado amplio y se sugiere restringir el alcance del pliego reivindicatorio a lo soportado en la descripción y que se muestra en una tabla del auto emitido.

1.1.3. En cuanto a la reivindicación 10 se sugiere no emplear términos tan generales como "soporte", "vehículo" o "carga aceptable desde el punto de vista agrícola".

1.1.4. Se menciona, además, que el capítulo reivindicatorio no es conciso porque poseería un número excesivo de reivindicaciones.

1.2 Descripción

Se menciona que la descripción no posee una divulgación suficiente para dar validez a expresiones como "soporte", "vehículo" o "carga aceptable desde el punto de vista agrícola".

Además, se menciona que cuando en la descripción se mencionan marcas como "Tween" se debe especificar la composición del producto y se requiere hacer las aclaraciones necesarias.

1.3 Nivel Inventivo

Sobre el requisito del nivel inventivo, el Examinador establece que una persona del nivel técnico medio, versada en la materia, ensayaría las soluciones que se proponen en los documentos WO2006120224A1 (D1), US4054585 (D2) y US5389669 (D3) y llegaría de manera obvia a la solución que se propone en la presente solicitud. Particularmente, el Examinador considera que las reivindicaciones 1 - 10 carecen de nivel inventivo debido a las enseñanzas contenidas en dichos documentos D1, D2 y D3.

El Examinador establece:

"Sin embargo, compuestos tipo (tio)carboxamida sustituidas con compuestos benceno que presentan actividad fungicida son conocidas en el estado de la técnica D2 y D3.

(...)

En consecuencia, una persona normalmente versada en la materia, habría inferido sin mucho esfuerzo de su parte, la posibilidad de modificar los fungicidas divulgados en D1 de acuerdo a las enseñanzas de D2 o D3 para llegar así al objeto de la reivindicación 1 de la solicitud. Por lo cual se considera obvia.

Las reivindicaciones 2 - 10 no aportan ninguna característica inventiva por lo cual se considera que también carece de nivel inventivo."

2. Argumentos a favor de la patentabilidad de la solicitud

2.1 Reivindicaciones

Como respuesta a las objeciones planteadas a las reivindicaciones el solicitante ha decidido presentar un nuevo capítulo reivindicatorio con una razonable generalización tal como lo planteado por su Despacho.

Las reivindicaciones 10 y 11 se han retirado.

La reivindicación 1 se corrigió al limitarla a los compuestos ejemplificados como activos o a una razonable generalización de ellos.

Como información (OBn) en la tabla de los ejemplos se refiere a beziloxi (Obenzilo).

Las expresiones "N-óxidos, complejos metálicos, complejos metaloides e isómeros ópticamente activos o geométricos" se han retirado de la reivindicación 1 y las sales se han limitado a las "sales agrícolamente aceptables".

Las reivindicaciones 2 - 9 se han quedado de acuerdo con la nueva reivindicación 1.

El examinador objeta las reivindicaciones originales con relación a D1 por falta de nivel inventivo. Dicha objeción se presentó en el reporte de búsqueda internacional para el alcance de las reivindicaciones originales, es decir, cuando T es S, N-Ra, N-Ora, N-NRaRb o N-CN.

El reporte de búsqueda internacional sin embargo reconoce nivel inventivo para los compuestos en donde T es S por la siguiente razón:

D1 describe N-piridina-2-il-metil-N-ciclopropil-(5-anillo hetaril)-carboxamidas para uso en el control de los hongos fitopatógenos.

La diferencia entre D1 y la presente invención es: la técnica anterior describe N-piridina-2-il-metil-carboxamidas, mientras que la presente invención reivindica N-benzil-N-ciclopropil-(5-anillo hetaril)-tiocarboxamidas como fungicidas.

No habría sido obvio para la persona medianamente versada reemplazar tanto el grupo N-piridina-2-il-metil por un grupo benzilo como reemplazar el grupo carboxamida con un grupo tiocarboxamida. Ni D2, ni D3 ni ningún otro documento mencionan tal reemplazo.

Además, el solicitante presente datos adicionales que muestran que el reemplazo tanto del grupo N-piridina-2-il-metil por un grupo benzilo como el grupo carboxamida por un tiocarboxamida da como resultado una eficiencia inesperadamente mejor.

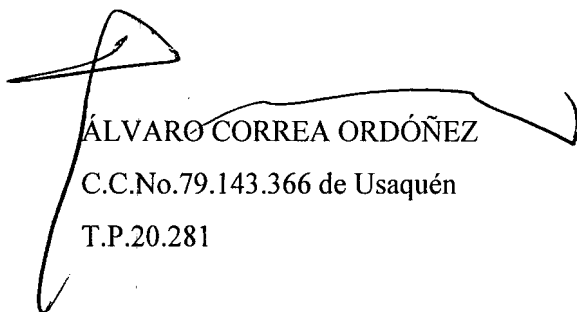
En relación con la palabra "Tween" esta hace referencia a Tween 20 u 80 que es un tensoactivo de polisorbato muy común que se utiliza como emulsificante en preparaciones tanto farmacéuticas como agroquímicas.

3. Solicitudes concedidas en otros países para esta misma invención.

A manera informativa, pongo en conocimiento del Examinador que la patente europea EP2182800 B1 equivalente a la presente solicitud colombiana ha sido otorgada. Las reivindicaciones aceptadas en Europa son más amplias y puesta a consideración de su Despacho junto con la presente respuesta. Aún cuando entiendo que estas decisiones de ninguna manera obligan al Despacho para decidir de igual forma, considero que por tratarse de una pregunta fáctica la determinación de la existencia de nivel inventivo, las decisiones de otras oficinas de patentes constituyen un antecedente persuasivo en la materia.

En virtud de lo anterior, solicito que se acepten las razones expuestas en el presente documento y en consecuencia, se otorgue el privilegio de patente de invención para el invento que se tramita en este expediente.

Atentamente,



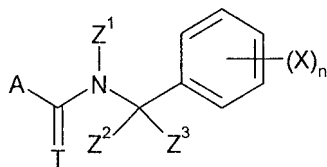
ÁLVARO CORREA ORDÓÑEZ
C.C.No.79.143.366 de Usaquén
T.P.20.281

Anexos: Capítulo reivindicatorio modificado
 Datos de prueba
 Copia de las reivindicaciones de la patentes europea EP2182800 B1.

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REIVINDICACIONES

1. Un compuesto de fórmula (I)



(I)

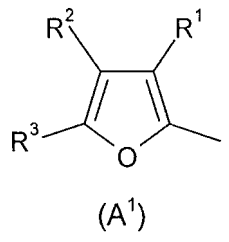
en la que

- A representa un grupo heterocíclico de 5 miembros, insaturado o parcialmente saturado, unido a carbono, que se selecciona de pirazol, pirrolilo, triazolilo y furanilo, y que puede sustituirse con hasta cuatro grupos R;
- T representa S;
- Z¹ representa un ciclopropilo no sustituido o un ciclopropilo sustituido con hasta 2 átomos o grupos que pueden ser iguales o diferentes y que pueden seleccionarse de la lista consistente en alquilo (C₁-C₈);
- Z² y Z³, que pueden ser iguales o diferentes, representan un átomo de hidrógeno o alquilo (C₁-C₈);
- X, que puede ser igual o diferente, representa un átomo de halógeno; alquilo (C₁-C₈); halogenoalquilo (C₁-C₈) que comprende hasta 3 átomos de halógeno que pueden ser iguales o diferentes; alcoxi (C₁-C₈); halogenoalcoxi (C₁-C₈) que comprende hasta 4 átomos de halógeno que pueden ser iguales o diferentes; cicloalquilo (C₃-C₇); halogenocicloalquilo (C₃-C₇) que comprende hasta 4 átomos de halógeno que pueden ser iguales o diferentes; tri(alquil (C₁-C₈))sililo; tri(alquil (C₁-C₈))silil-alquilo (C₁-C₈); benciloxi que puede estar sustituido por hasta 4 grupos Q; fenoxi que puede estar sustituido por hasta 4 grupos Q; fenilo que puede estar sustituido por hasta 4 grupos Q;
- n representa 1, 2, 3;
- R, que puede ser igual o diferente, representa un átomo de hidrógeno; un átomo de halógeno; alquilo (C₁-C₈); halogenoalquilo (C₁-C₈) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;
- Q, que puede ser igual o diferente, representa un átomo de halógeno; alquilo (C₁-C₈); halogenoalquilo (C₁-C₈) que comprende hasta 4 átomos de halógeno que pueden ser iguales o diferentes;

así como las sales agrícolamente aceptables del mismo.

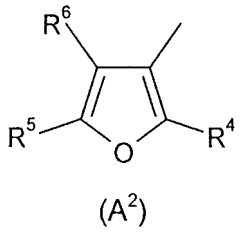
2. Un compuesto según la reivindicación 1, en el que A se selecciona de la lista consistente en:

- un heterociclo de fórmula (A¹)



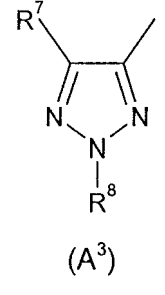
en la que:
R¹ a R³, que pueden ser iguales o diferentes, representan un átomo de hidrógeno; un átomo de halógeno; alquilo (C₁-C₅); halogenoalquilo (C₁-C₅) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

- un heterociclo de fórmula (A²)



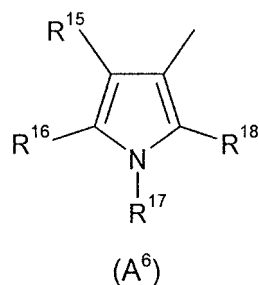
en la que:
R⁴ a R⁶, que pueden ser iguales o diferentes, representan un átomo de hidrógeno; un átomo de halógeno; alquilo (C₁-C₅); halogenoalquilo (C₁-C₅) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

- un heterociclo de fórmula (A³)



en la que:
R⁷ representa un átomo de hidrogeno; un átomo de halógeno; alquilo (C₁-C₅); halogenoalquilo (C₁-C₅) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;
R⁸ representa un átomo de hidrógeno o un alquilo (C₁-C₅);

- un heterociclo de fórmula (A⁶)

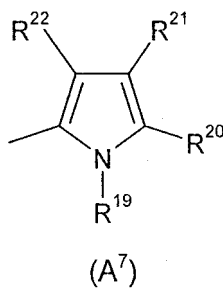


en la que:

R¹⁵, R¹⁶ y R¹⁸, que pueden ser iguales o diferentes, representan un átomo de hidrógeno; un átomo de halógeno; alquilo (C₁-C₅) o halogenoalquilo (C₁-C₅) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

R¹⁷ representa un átomo de hidrógeno o alquilo (C₁-C₅);

- un heterociclo de fórmula (A⁷)

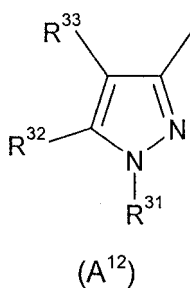


en la que:

R¹⁹ representa un átomo de hidrógeno o un alquilo (C₁-C₅);

R²⁰ a R²² que pueden ser iguales o diferentes, representan un átomo de hidrógeno; un átomo de halógeno; alquilo (C₁-C₅) o halogenoalquilo (C₁-C₅) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

- un heterociclo de fórmula (A¹²)



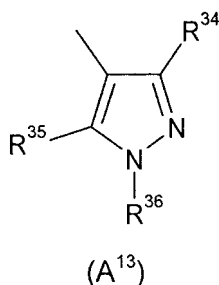
en la que:

R³¹ representa un átomo de hidrógeno o un alquilo (C₁-C₅);

R³² representa un átomo de hidrógeno; un átomo de halógeno; alquilo (C₁-C₅) o halogenoalquilo (C₁-C₅) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

R^{33} representa un átomo de hidrógeno; un átomo de halógeno; o halogenoalquilo (C_1-C_5) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

- un heterociclo de fórmula (A^{13})

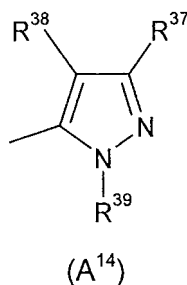


en la que:

R^{34} y R^{35} que pueden ser iguales o diferentes representan un átomo de hidrógeno; un átomo de halógeno; alquilo (C_1-C_5); halogenoalquilo (C_1-C_5) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

R^{36} representa un átomo de hidrógeno o alquilo (C_1-C_5);

- un heterociclo de fórmula (A^{14})



en la que:

R^{37} y R^{38} , que pueden ser iguales o diferentes, representan un átomo de hidrógeno; un átomo de halógeno; alquilo (C_1-C_5); halogenoalquilo (C_1-C_5) que comprende hasta 9 átomos de halógeno que pueden ser iguales o diferentes;

R^{39} representa un átomo de hidrógeno o alquilo (C_1-C_5);

3. Un compuesto de acuerdo con la reivindicación 2, en el que A se selecciona en la lista consistente en A^2 , A^6 y A^{13} .

4. Un compuesto de acuerdo con las reivindicaciones 1 a 3, en el que Z^1 representa ciclopropilo.



Additional data

In vivo test on *Sphaerotheca fuliginea* (Powdery Mildew)

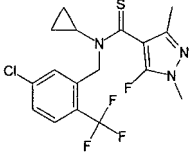
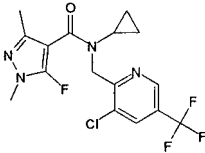
The active ingredients tested are prepared by homogenization in a mixture of acetone/tween/water . This suspension is then diluted with water to obtain the desired active material concentration.

Gherkin plants (Vert petit de Paris variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 20°C/23°C, are treated at the cotyledon Z11 stage by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Sphaerotheca fuliginea* spores (100 000 spores per ml). The spores are collected from a contaminated plants .The contaminated gerkhin plants are incubated at about 20°C/25°C and at 60/70% relative humidity.

Grading (% of efficacy) is carried out 10 days after the contamination, in comparison with the control plants.

Compounds of the invention and compounds differing only by the presence of the N-pyridine-2-yl-methyl group versus a benzyl group and a carboxamide group versus a thiocarboxamide results have been compared.

	<u>Compounds according to the invention:</u>	% of efficiency (125 ppm)
Compounds of the invention		98
“Equivalent compounds” with N-pyridine-2-yl-methyl instead of benzyl and carboxamide instead of thiocarboxamide		26



in vivo test on *Alternaria brassicae* (Leaf spot of crucifers)

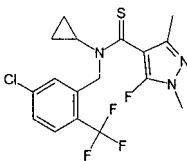
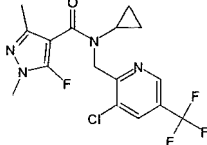
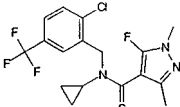
The active ingredients tested are prepared by homogenization in a mixture of acetone/tween/DMSO, and then diluted with water to obtain the desired active material concentration.

Radish plants (“Pernod Clair” variety), sown in starter cups on a 50/50 peat soil-pozzolana substrate and grown at 17°C, are treated at the cotyledon stage by spraying with the active ingredient prepared as described above. Plants, used as controls, are treated with the mixture of acetone/tween/DMSO/water not containing the active material.

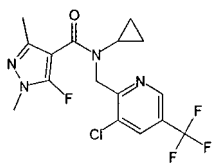
After 24 hours, the plants are contaminated by spraying the cotyledons with an aqueous suspension of *Alternaria brassicae* spores (50 000 spores per ml). The spores are collected from a 15-day-old culture. The contaminated radish plants are incubated at 20°C and at 100% relative humidity.

Grading (% of efficacy) is carried out 6 days after the contamination, in comparison with the control plants.

Compounds of the invention and compounds differing only by the presence of the N-pyridine-2-yl-methyl group versus a benzyl group **and** a carboxamide group versus a thiocarboxamide results have been compared.

	<u>Compounds according to the invention:</u>	% of efficiency (125 ppm)
Compounds of the invention		80
“Equivalent compounds” with N-pyridine-2-yl-methyl instead of benzyl and carboxamide instead of thiocarboxamide		21
Compounds of the invention		75



“Equivalent compounds” with N-pyridine-2-yl- methyl instead of benzyl and carboxamide instead of thiocarboxamide		20
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in vivo test on Puccinia recondita (Brown rust)

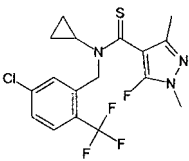
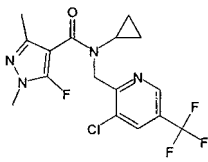
The active ingredients tested are prepared by homogenization in a mixture of acetone/tween/DMSO, then diluted with water to obtain the desired active material.

Wheat plants (Scipion variety) sown on 50/50 peat soil-pozzolana substrate in starter cups and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the aqueous suspension described above.

Plants, used as controls, are treated with an aqueous solution not containing the active material.

After 24 hours, the plants are contaminated by spraying the leaves with an aqueous suspension of *Puccinia recondita* spores (100,000 spores per ml). The spores are collected from a 10-day-old contaminated wheat and are suspended in water containing 2.5 ml/l of tween 80 10%. The contaminated wheat plants are incubated for 24 hours at 20°C and at 100% relative humidity, and then for 10 days at 20°C and at 70% relative humidity.

Grading is carried out 10 days after the contamination, in comparison with the control plants. Compounds of the invention and compounds differing only by the presence of the N-pyridine-2-yl-methyl group versus a benzyl group and a carboxamide group versus a thiocarboxamide results have been compared.

	<u>Compounds according to the invention:</u>	% of efficiency (500 ppm)
Compounds of the invention		100
“Equivalent compounds” with N-pyridine-2-yl- methyl instead of benzyl and carboxamide instead of thiocarboxamide		73



Compounds of the invention		99
“Equivalent compounds” with N-pyridine-2-yl-methyl instead of benzyl and carboxamide instead of thiocarbonyl		73
	Compounds according to the invention:	% of efficiency (100 ppm)
Compounds of the invention		95
“Equivalent compounds” with N-pyridine-2-yl-methyl instead of benzyl and carboxamide instead of thiocarbonyl		0

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Example D: *in vivo* test on *Mycosphaerella araminicola* (Wheat Leaf Spot)

[0063] The active ingredients tested are prepared by homogenisation in a mixture of acetone/tween/DMSO, and then diluted with water to obtain the desired active material concentration.

[0064] Wheat plants (Scipion variety), sown on a 50/50 peat soil-pozzolana substrate in starter cups and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the active ingredient prepared as described above.

[0065] Plants, used as controls, are treated with the mixture of acetone/tween/DMSO/water not containing the active material.

[0066] After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Mycosphaerella graminicola* spores (500,000 spores per ml). The spores are collected from a 7-day-old culture. The contaminated wheat plants are incubated for 72 hours at 18°C and at 100% relative humidity, and then for 21 to 28 days at 90% relative humidity.

[0067] Grading (% of efficacy) is carried out 21 to 28 days after the contamination, in comparison with the control plants.

[0068] Under these conditions, good (at least 70%) or total protection is observed at a dose of 500ppm with the following compounds: 1, 2, 4, 6, 7, 10, 11, 12, 14, 16, 17, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 31, 32, 34, 36, 39, 41, 42, 43, 44, 46, 53, 55 and 56.

Efficacy example E : *in vivo* test on *Puccinia recondita* f. *So. tritici* (wheat brown rust).

[0069] The active ingredients tested are prepared by homogenisation in a mixture of acetone/tween/DMSO, then diluted with water to obtain the desired active material concentration.

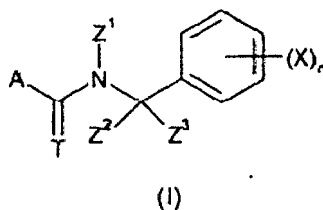
[0070] Wheat plants (Scipion variety) in starter cups, sown on 50/50 peat soil-pozzolana substrate and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the active ingredient prepared as described above. Plants, used as controls, are treated with the mixture of acetone/tween/DMSO/water not containing the active material.

[0071] After 24 hours, the plants are contaminated by spraying the leaves with an aqueous suspension of *Puccinia recondita* spores (100,000 spores per ml). The spores are collected from a 10-day-old contaminated wheat and are suspended in water containing 2.5 ml/l of tween 80 10%. The contaminated wheat plants are incubated for 24 hours at 20°C and at 100% relative humidity, and then for 10 days at 20°C and at 70% relative humidity. Grading is carried out 10 days after the contamination, in comparison with the control plants.

[0072] Under these conditions, good (at least 70%) or total protection is observed at a dose of 500 ppm with the following compounds: 3, 4, 5, 6, 7, 8, 9, 12, 14, 16, 17, 21, 22, 23, 24, 25, 27, 29, 32, 34, 36, 37, 38, 42, 50, 51 and 52.

Claims

1. A compound of formula (I)



wherein

- A represents a carbo-linked, unsaturated or partially saturated, 5-membered heterocyclyl group that can be substituted by up to four groups R ;
- T represents S_i ;
- Z¹ represents a non-substituted C₃-C₇-cycloalkyl or a C₃-C₇-cycloalkyl substituted by up to 10 atoms or groups that can be the same or different and that can be selected in the list consisting of halogen atoms ; cyano ; C₁-C₈-alkyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkoxy ; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈ alkoxycarbonyl ; C₁-C₈-halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkylaminocarbonyl ; di-C₁-C₈-alkylaminocarbonyl ;
- Z² and Z³, that can be the same or different, represent a hydrogen atom ; C₁-C₈-alkyl ; C₂-C₈-alkenyl ; C₂-C₈-alkynyl ; cyano ; nitro ; a halogen atom ; C₁-C₈-alkoxy ; C₂-C₈-alkenyloxy ; C₂-C₈-alkynyloxy ;

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C₃-C₇-cycloalkyl; C₁-C₈-alkylsulphenyl; amino; C₁-C₈-alkylamino; di-C₁-C₈-alkylamino; C₁-C₈-alkoxy-carbonyl; C₁-C₈-alkylcarbamoyl; di-C₁-C₈-alkylcarbamoyl; N-C₁-C₈-alkyl-C₁-C₈-alkoxycarbamoyl; or
 • Z₂ and Z₃ together with the carbon atom to that they are linked can form a substituted or non substituted C₃-C₇-cycloalkyl;

• X, that can be the same or different, represents a halogen atom; nitro; cyano; hydroxyl; sulfanyl; amino; pentafluoro-A6-sulfanyl; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylamino; di-C₁-C₈-alkylamino; C₁-C₈-alkoxy; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl; C₁-C₈-alkylsulfanyl; C₁-C₈-halogenoalkylsulfanyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkenyl; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkenyloxy; C₂-C₈-halogenoalkenyloxy comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyloxy; C₂-C₈-halogenoalkynyloxy comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl-C₁-C₈-alkyl; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different; formyl; formyloxy; formylamino; carboxy; carbamoyl; N-hydroxycarbamoyl; carbamate; (hydroxyimino)-C₁-C₈-alkyl; C₁-C₈-alkylcarbonyl; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylcarbamoyl; di-C₁-C₈-alkylcarbamoyl; N-C₁-C₈-alkyloxycarbamoyl; C₁-C₈-alkoxycarbamoyl; N-C₁-C₈-alkyl-C₁-C₈-alkoxy-carbamoyl; C₁-C₈-alkoxycarbonyl; C₁-C₈-halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylaminocarbonyl; di-C₁-C₈-alkylaminocarbonyl; C₁-C₈-alkylcarbonyloxy; C₁-C₈-halogenoalkylcarbonyloxy comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylcarbonylamino; C₁-C₈-halogenoalkylcarbonylamino comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylaminocarbonyloxy; di-C₁-C₈-alkylaminocarbonyloxy; C₁-C₈-alkyloxycarbonyloxy; C₁-C₈-alkylsulphenyl; C₁-C₈-halogenoalkylsulphenyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylsulphinyl; C₁-C₈-halogenoalkylsulphinyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylsulphonyl; C₁-C₈-halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxyimino; (C₁-C₈-alkoxyimino)-C₁-C₈-alkyl; (C₁-C₈-alkenyloxyimino)-C₁-C₈-alkyl; (C₁-C₈-alkynyloxyimino)-C₁-C₈-alkyl; a (benzyloxyimino)-C₁-C₈-alkyl; tri(C₁-C₈-alkyl)silyl; tri(C₁-C₈-alkyl)silyl-C₁-C₈-alkyl; benzyloxy that can be substituted by up to 5 groups Q; benzylsulfanyl that can be substituted by up to 5 groups Q; benzylamino that can be substituted by up to 5 groups Q; naphthyl that can be substituted by up to 6 groups Q; phenoxy that can be substituted by up to 5 groups Q; phenylamino that can be substituted by up to 5 groups Q; phenylsulfanyl that can be substituted by up to 5 groups Q; phenylmethylenes that can be substituted by up to 5 groups Q; phenyl that can be substituted by up to 5 groups Q; pyridinyl that can be substituted by up to four groups Q and pyridinyloxy that can be substituted by up to four groups Q;

• two substituents X together with the consecutive carbon atoms to that they are linked can form a 5- or 6-membered, saturated, carbo- or hetero-cycle, that can be substituted by up to four groups Q that can be the same or different;

• n represents 1, 2, 3, 4 or 5;

• R, that can be the same or different, represents a hydrogen atom; halogen atom; cyano; nitro; amino; sulfanyl; pentafluoro-λ-6-sulfanyl; C₁-C₈-alkylamino; di-C₁-C₈-alkylamino; tri(C₁-C₈-alkyl)silyl; C₁-C₈-alkyl-sulfanyl; C₁-C₈-halogenoalkylsulfanyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkenyl; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkenyloxy; C₂-C₈-alkynyloxy; C₃-C₇-cycloalkyl; C₃-C₇-cycloalkyl-C₁-C₈-alkyl; C₁-C₈-alkylsulphinyl; C₁-C₈-alkylsulphonyl; C₁-C₈-alkoxyimino; (C₁-C₈-alkoxyimino)-C₁-C₈-alkyl; (benzyloxyimino)-C₁-C₈-alkyl; phenoxy; benzyloxy; benzylsulfanyl; benzylamino; naphthyl; halogenophenoxy comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylcarbonyl; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxycarbonyl; C₁-C₈-halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylaminocarbonyl; di-C₁-C₈-alkylaminocarbonyl;

• R^a and R^b, that can be the same or different, represent a hydrogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl; C₂-C₈-alkenyl; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl; C₃-C₇-cycloalkyl-C₁-C₈-alkyl; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same

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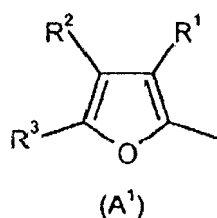
or different ; formyl ; C₁-C₈-alkylcarbonyl ; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkylsulphonyl ; C₁-C₈-halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different ; phenyl that can be substituted by up to 5 groups Q ; naphthyl that can be substituted by up to 6 groups Q ; phenylmethylene that can be substituted by up to 5 groups Q ; phenylsulphonyl that can be substituted by up to 5 groups Q ;

• Q, that can be the same or different, represents a halogen atom ; cyano ; nitro ; C₁-C₈-alkyl ; C₁-C₈-alkoxy ; C₁-C₈-alkylsulfanyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ; tri(C₁-C₈)alkylsilyl and tri(C₁-C₈)alkylsilyl-C₁-C₈-alkyl ;

as well as salts, N-oxides, metallic complexes, metalloidic complexes and optically active or geometric isomers thereof.

2. A compound according to claim 1 wherein A is selected in the list consisting of:

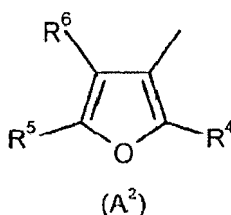
- a heterocycle of formula (A¹)



wherein :

R¹ to R³ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-alkoxy or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A²)

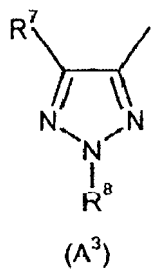


wherein :

R⁴ to R⁶ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-alkoxy or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A³)

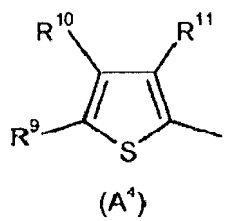
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wherein :

15 R⁷ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-alkoxy or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;
R⁸ represents a hydrogen atom or a C₁-C₅-alkyl ;

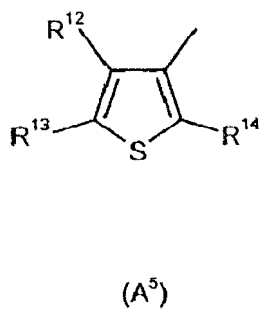
20 - a heterocycle of formula (A⁴)



30 wherein :

35 R⁹ to R¹¹ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; amino ; C₁-C₅-alkoxy ; C₁-C₅-alkylsulphanyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A⁵)

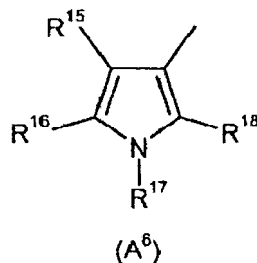


50 wherein :

55 R¹² and R¹³ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; amino ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;
R¹⁴ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; amino ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;

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- a heterocycle of formula (A⁶)



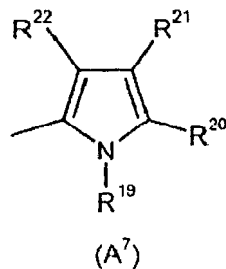
wherein :

15 R¹⁵ represents a hydrogen atom ; a halogen atom ; a cyano ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different;

20 R¹⁶ and R¹⁸ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkoxycarbonyl ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different;

R¹⁷ represent a hydrogen atom or C₁-C₅-alkyl ;

25 - a heterocycle of formula (A⁷)

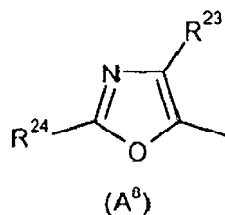


wherein :

40 R¹⁹ represents a hydrogen atom or a C₁-C₅-alkyl

R²⁰ to R²² that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

45 - a heterocycle of formula (A⁸)



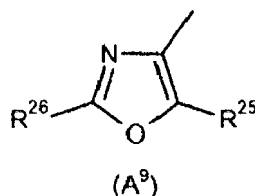
wherein :

R²³ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different;

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R²⁴ represents a hydrogen atom or C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A⁹)

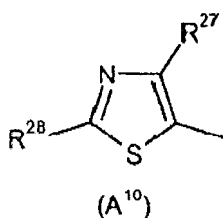


wherein :

R²⁵ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

R²⁶ represents a hydrogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A¹⁰)

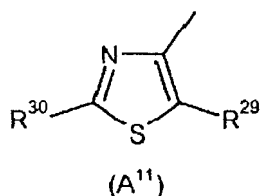


wherein :

R²⁷ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

R²⁸ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ; amino ; C₁-C₅-alkylamino or di(C₁-C₅-alkyl)amino ;

- a heterocycle of formula (A¹¹)



wherein :

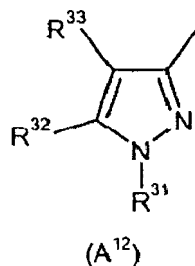
R²⁹ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different or C₁-C₅ halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

R³⁰ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms

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that can be the same or different ; amino ; C₁-C₅-alkylamino or di(C₁-C₅-alkyl)amino ;

- a heterocycle of formula (A¹²)



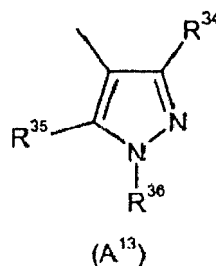
wherein :

R³¹ represents a hydrogen atom or a C₁-C₅-alkyl

R³² represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different;

R³³ represents a hydrogen atom ; a halogen atom ; a nitro ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different;

- a heterocycle of formula (A¹³)



wherein :

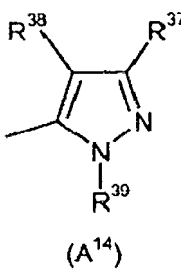
R³⁴ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₃-C₅-cycloalkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-alkoxy ; C₂-C₅-alkynyloxy or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different;

R³⁵ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; a cyano ; C₁-C₅-alkoxy ; C₁-C₅-alkylsulphanyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; amino ; C₁-C₅-alkylamino or di(C₁-C₅-alkyl)amino

R³⁶ represents a hydrogen atom or C₁-C₅-alkyl ;

- a heterocycle of formula (A¹⁴)

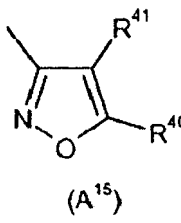
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wherein :

15 R³⁷ and R³⁸ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-alkoxy or a C₁-C₅-alkylsulphonyl;
R³⁹ represents a hydrogen atom or C₁-C₅-alkyl ;

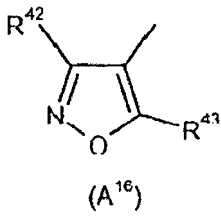
20 - a heterocycle of formula (A¹⁵)



wherein :

35 R⁴⁰ and R⁴¹ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A¹⁶)

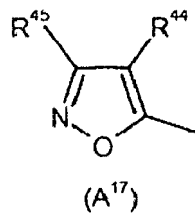


wherein :

50 R⁴² and R⁴³ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different or amino ;

- a heterocycle of formula (A¹⁷)

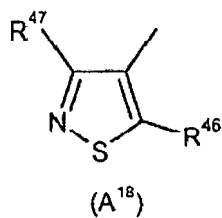
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wherein :

R⁴⁴ and R⁴⁵ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A¹⁸)

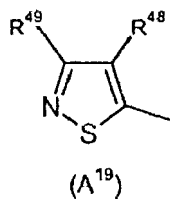


wherein :

R⁴⁷ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

R⁴⁶ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-alkylsulfanyl ;

- a heterocycle of formula (A¹⁹)

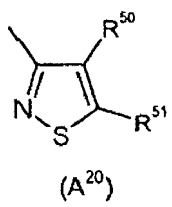


wherein :

R⁴⁹ and R⁴⁸ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

- a heterocycle of formula (A²⁰)

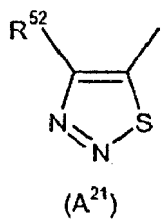
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10 wherein :

R⁵⁰ and R⁵¹ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₁-C₅-alkoxy ; C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;

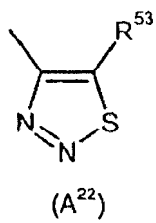
15 - a heterocycle of formula (A²¹)



25 wherein :

30 R⁵² represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different.

- a heterocycle of formula (A²²)

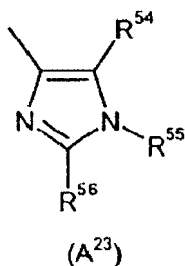


40 wherein :

45 R⁵³ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different.

50 - a heterocycle of formula (A²³)

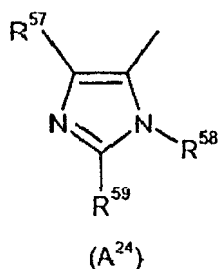
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wherein :

R⁵⁴ and R⁵⁶ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;
R⁵⁵ represents a hydrogen atom or C₁-C₅-alkyl ;

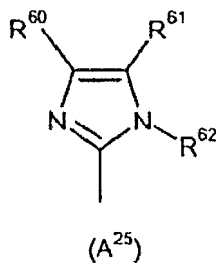
- a heterocycle of formula (A²⁴)



wherein :

R⁵⁷ and R⁵⁹ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;
R⁵⁸ represents a hydrogen atom or C₁-C₅-alkyl ;

- a heterocycle of formula (A²⁵)

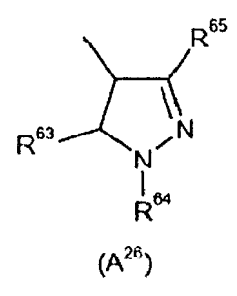


wherein :

R⁶⁰ and R⁶¹ that can be the same or different represent a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl or C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;
R⁶² represents a hydrogen atom or C₁-C₅-alkyl ;

- a heterocycle of formula (A²⁶)

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wherein :

15 R⁶⁵ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; C₃-C₅-cycloalkyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₅-alkoxy ; C₂-C₅-alkynyloxy or C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ;
R⁶³ represents a hydrogen atom ; a halogen atom ; C₁-C₅-alkyl ; a cyano ; C₁-C₅-alkoxy ; C₁-C₅-alkylsulphanyl ; C₁-C₅-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;
20 C₁-C₅-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ; amino ; C₁-C₅-alkylamino or di(C₁-C₅-alkyl)amino ;
R⁶⁴ represents a hydrogen atom or C₁-C₅-alkyl.

3. A compound according to claim 2 wherein A is selected in the list consisting of A² ; A⁶ ; A¹⁰ and A¹³.
- 25 4. A compound according to claims 1 to 3 wherein Z¹ represents a C₃-C₇ cycloalkyl substituted by up to 10 groups or atoms that can be the same or different and that can be selected in the list consisting of halogen atoms ; C₁-C₈-alkyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkoxy or C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different.
- 30 5. A compound according to claims 1 to 4 wherein Z¹ represents a non-substituted C₃-C₇-cycloalkyl.
6. A compound according to claims 1 to 5 wherein Z¹ represents cyclopropyl.
- 35 7. A compound according to claims 1 to 6 wherein X, that can be the same or different, represents a halogen atom ; C₁-C₈-alkyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; tri(C₁-C₈-alkyl)silyl ; C₁-C₈-alkoxy or C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ; or wherein two consecutive substituents X together with the phenyl ring form a substituted or non substituted 1,3 benzodioxolanyl ; 1,2,3,4-tetrahydro-quinoxalanyl ; 3,4-dihydro-2H-1,4-benzoxazinyl ; 1,4-benzodioxanyl ; indanyl ; 2,3-dihydrobenzofuranyl , indolanyl.
- 40 8. A compound according to claims 1 to 7 wherein R, that can be the same or different, represents a hydrogen atom ; halogen atom ; cyano ; C₁-C₈-alkylamino , di-C₁-C₈-alkylamino ; tri(C₁-C₈-alkyl)silyl , C₁-C₈-alkyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different , C₁-C₈-alkoxy ; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkylsulfonyl ; amino ; nitro ; C₁-C₈-alkoxycarbonyl ; C₁-C₈-alkynyloxy.
- 45 9. A fungicide composition comprising, as an active ingredient, an effective amount of a compound of formula (I) according to claims 1 to 8 and an agriculturally acceptable support, carrier or filler.
- 50 10. A method for controlling phytopathogenic fungi of crops, **characterized in that** an agronomically effective and substantially non-phytotoxic quantity of a compound according to claims 1 to 8 or a composition according to claim 9 is applied to the soil where plants grow or are capable of growing, to the leaves and/or the fruit of plants or to the seeds of plants.

55 Patentansprüche

1. Verbindung der Formel (I)