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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

Bogotá D.C., 14 de octubre de 2014



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Señores
DIVISION DE NUEVAS CREACIONES
SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
Ciudad

REFERENCIA: Expediente No. 13 – 047.127

TÍTULO: “ARILPIRROLODONAS PESTICIDAS”

SOLICITANTE: BAYER INTELLECTUAL PROPERTY GMBH

TRÁMITE: Respuesta a Concepto Técnico notificado mediante Oficio No. 6.991 del 17 de junio de 2014.

JAIME EDUARDO DELGADO VILLEGAS, en mi condición de apoderado de la sociedad **BAYER INTELLECTUAL PROPERTY GMBH**, dentro del término legal, presento respuesta a Concepto Técnico notificado mediante Oficio No. 6.991 del 17 de junio de 2014.

1. **REIVINDICACIONES RELATIVAS A UN USO O A UN SEGUNDO USO (Art. 14 y 21 D 486)**

El Examinador Técnico manifiesta:

“El uso de las reivindicaciones 10, 14 y 15 no es patentable, de acuerdo con el Art 14.”.

R/: Nuestro poderdante **DESISTE** de las **Reivindicaciones 10, 14 y 15** de acuerdo a lo expresado por el Examinador Técnico de Patentes y a las

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exigencias del Art 14 de la Decisión 486 de la Comisión de la Comunidad Andina.

2. DE LA SOLICITUD DE PATENTE

Reivindicaciones (art. 30 D 486)

El Examinador Técnico de Patentes objeto el Capítulo Reivindicatorio porque durante el examen se encontraron las siguientes faltas de claridad:

“La reivindicación 1 no es clara cuando emplea los términos “arilo”, “aril-alquiloC1-12” “heterocíclico”, “heterocicilo”, entre otros, entre otros porque no define el número de átomos de carbono o de miembros que los conforman, tampoco define los heteroátomos que los conforman. Se sugiere definir los radicales de manera precisa de tal manera que pueda definirse claramente el objeto de la invención, así como restringir la solicitud a una razonable generalización del tipo de compuestos que ha sido sintetizado o probado, es decir, que se encuentran debidamente soportados”.

“La reivindicación 1 no es clara cuando emplea el término “opcionalmente sustituido” sin definir los sustituyentes que pueden cumplir dicha función, lo que hace que se obtengan un número indefinido de compuestos que no encuentran soporte en la descripción. Se sugiere definir los radicales de manera precisa de tal manera que pueda definirse claramente el objeto de la invención, así como restringir la solicitud a una razonable generalización del tipo de compuestos que ha sido sintetizado o probado, es decir, que se encuentran debidamente soportados..”.

3. UNIDAD DE INVENCION (ART 25 D 486)

El Examinador Técnico de Patentes objeto la Unidad de Invención porque

“La solicitud no cumple con el requisito de unidad de invención porque se refiere a por lo menos 4 grupos inventivos. Los grupos inventivos están definidos por las siguientes características esenciales:

“1. Compuestos de fórmula (I) donde G es el resto químico δ , el cual está divulgado en el documento D1.

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2. *Compuestos de fórmula (I) donde G es el resto químico □.*
3. *Compuestos de fórmula (I) donde G es el resto químico seleccionado de G1-G9.*
4. *Procedimiento de obtención del compuesto de fórmula (I) a partir de los compuestos de fórmula (II)".*

"Se sugiere presentar las solicitudes divisionales que considere necesarias, ó limitar la solicitud inicial a una sola invención, eliminando de ella las otras invenciones".

R/: Nuestro poderdante de acuerdo a lo expresado por el Examinador Técnico de Patentes, en el análisis de las reivindicaciones y la falta de unidad de invención procedió a **modificar el capítulo reivindicatorio**, teniendo en cuenta:

El solicitante desea continuar con el **segundo grupo inventivo** mencionado por el Examinador, esto es **compuestos de fórmula (I) en los cuales G es el resto químico δ (CR5R6)mN(R3)C(=W)R7).**

La **nueva reivindicación 1** se refiere a los compuestos de fórmula (I-s-A) representada en la página 12 de la memoria. Todos esos compuestos llevan un grupo hidroxilo en el anillo de pirrolidona.

La **nueva reivindicación 2** se refiere a las materializaciones preferidas descritas en las páginas 2 -9 de la memoria.

Además se ha **incluido una nueva reivindicación 3.**

Por lo tanto, el solicitante considera que las **reivindicaciones modificadas** están clara y completamente soportadas por el texto de la solicitud tal como se presentó y cumplen con el requisito de unidad de invención; y por tanto, pone a

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consideración del Examinador Técnico de Patentes un **NUEVO CAPITULO REIVINDICATORIO**, el cual consta de **tres (3) Nuevas Reivindicaciones** en tres folios.

2.

D

EL ANÁLISIS DE PATENTABILIDAD.

Novedad (Art 16 D486)

El Examinador objeta la **novedad de la invención** para la reivindicación 1 con el documento **D1 (JP2008110971)** porque

“(…)”

“Las características esenciales del compuesto de la reivindicación 1 habían sido divulgadas previamente en el documento D1 el cual pirrolidinas como pesticidas”.

“En consecuencia, la reivindicación 1 no es nueva según lo divulgado en el documento D1.”.

R/: Con relación a las objeciones del Examinador de Patentes con respecto a la falta de **Novedad de la Invención** en estudio, el solicitante desea resaltar lo siguiente:

Primero que todo, el solicitante se permite anexar una traducción al inglés del documento **D1 (JP2008-110971 A)**, mencionado como antecedente del estado de la técnica.

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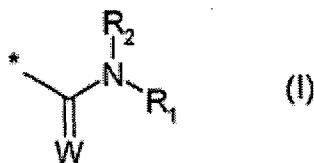
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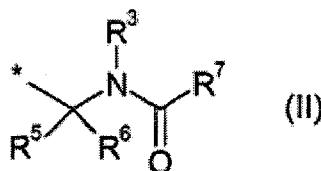
Los **compuestos reivindicados en las nuevas reivindicaciones se diferencian en los siguientes aspectos respecto a los compuestos descritos** en el documento **D1**.

En los compuestos descritos en el documento **D1** la **molécula fenil** es sustituida vía el enlace marcado por un asterisco por



En el cual W representa oxígeno o azufre. Dicho radical de fórmula (I) es una amida o tioamida.

La molécula correspondiente en los compuestos de acuerdo con la **presente reivindicación 1** es



Por el contrario, en el **radical de la formula (II)** se representa una **estructura amida inversa**. Es más, dicha **estructura de amida inversa está separada del anillo fenil por un espaciador -CR5R6-** el cual no está presente en los compuestos conocidos en el documento **D1**.

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La materia de la nueva reivindicación 1 es por lo tanto **NOVEDOSA** con respecto al documento D1.

Nivel Inventivo (Art. 18 D 486)

El Examinador objeta el nivel inventivo de la invención para las reivindicaciones 1 - 9 con los documentos D1 (JP2008110971), D2 (WO2010043315) porque:

“(…)”.

“El documento D2 se considera el estado de la técnica cercano a la invención definida en la reivindicación 1 porque divulga arilpirrolidinas como pesticidas”.

“La diferencia entre la invención, definida en la reivindicación 1 y el compuesto del documento D2 consiste en que no tiene el sustituyente R2 sobre el anillo de pirrolidina”.

“Esta diferencia no parece conceder un efecto técnico inesperado o una ventaja a los compuestos de fórmula (I) reclamados en esta solicitud ya que no parecen presentar propiedades superiores en comparación con los compuestos del estado de la técnica cercano.”.

“(…)”

“En consecuencia, la persona del oficio normalmente versada en la materia, incluiría sustituyentes adicionales sobre el anillo de pirrolidina, tal como lo enseña el documento D1, en las arilpirrolidinas pesticidas del documento D2, para llegar así al objeto de la reivindicación 1 de la solicitud, por lo cual se considera obvia y sin nivel inventivo”.

“Puesto que las reivindicaciones dependientes 2-9 no mencionan características inventivas adicionales tampoco se pueden considerar inventivas”.

R/: Con relación a las objeciones del Examinador de Patentes con respecto a la falta de **Nivel inventivo de la Invención** en estudio, el solicitante desea resaltar lo siguiente:

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En vista de las diferencias en la estructura no se podría predecir para los compuestos reivindicados la actividad pesticida.

Sin embargo, además, para reforzar la anterior afirmación adjuntamos el **Anexo 3**, donde se describen resultados de numerosos test biológicos en los cuales los compuestos de la presente invención muestran tener mucha mejor actividad contra varias pestes que los compuestos conocidos en el documento D2.

Nótese que la única diferencia estructural entre los compuestos presentes y los compuestos conocidos en el documento D2 es la presencia o la ausencia de un grupo hidroxilo unido al anillo de pirrolidona.

Por las anteriores razones, el solicitante manifiesta que contrariamente a lo que dice el Examinador los compuestos de fórmula (I) reivindicados si presentan una clara ventaja técnica frente a los compuestos de los documentos D1 y D2, puesto que no hay una posible combinación de las enseñanzas aportadas por el estado de la técnica que pueda llegar a la solución de la presente solicitud, por consiguiente, se logra como resultado una invención que involucra definitivamente **NIVEL INVENTIVO**.

Por lo tanto, el solicitante pone a consideración del Examinador Técnico de Patentes un **NUEVO CAPITULO REIVINDICATORIO**, el cual consta de tres (3) Nuevas Reivindicaciones en tres folios, el cual cumple con los requisitos de **NOVEDAD**, **NIVEL INVENTIVO** y **APLICACIÓN INDUSTRIAL** exigidos por la Decisión 486 del

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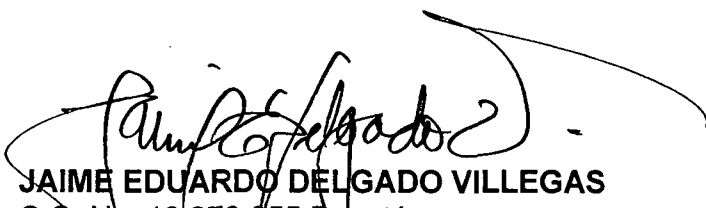
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Acuerdo de la Comisión de la Comunidad Andina; además, estamos dando respuesta al Concepto Técnico notificado mediante Oficio No. 6.991 del 17 de junio de 2014.

Por lo anteriormente mencionado, solicito respetuosamente se proceda a **CONCEDER** la Patente de Invención titulada: “**ARILPIRROLODONAS PESTICIDAS**” a nombre de la sociedad **BAYER INTELLECTUAL PROPERTY GMBH** para las nuevas Reivindicaciones 1 a 3 inclusive.

Atentamente,

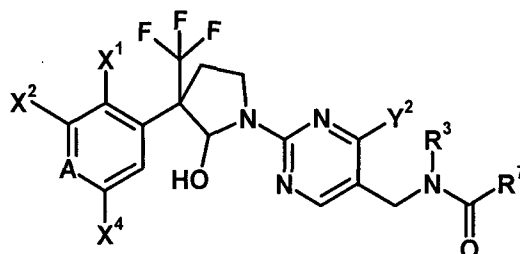


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Anexos:
Nuevas Reivindicaciones (3) en tres folios.
Anexo 1 JP JP2008110971 en cuarenta y cuatro folios.
Anexo 2 Ejemplos Comparativos en doce folios.

NUEVAS REIVINDICACIONES

1. Compuestos de fórmula (I),



(I)

donde

A es C-X³ o nitrógeno;

X¹, X², X³ y X⁴ es cada uno independientemente hidrógeno, halógeno, nitro, ciano, hidroxilo, mercapto, amino, alquilo C₁₋₁₂, haloalquilo C₁₋₁₂, alcoxi C₁₋₁₂, haloalcoxi C₁₋₁₂, (alquil C₁₋₁₂)sulfenilo, (alquil C₁₋₁₂)sulfinilo, (alquil C₁₋₁₂)sulfonilo, (haloalquil C₁₋₁₂)sulfenilo, (haloalquil C₁₋₁₂)sulfinilo, (haloalquil C₁₋₁₂)sulfonilo, (alquil C₁₋₁₂)amino, di(alquil C₁₋₁₂)amino, (haloalquil C₁₋₁₂)amino, di(haloalquil C₁₋₁₂)amino, (alquil C₁₋₁₂) (haloalquil C₁₋₁₂)amino o pentafluoruro de azufre;

B¹ es C-Y¹ o nitrógeno;

B⁴ es C-Y⁴ o nitrógeno;

Y¹, Y², Y³ e Y⁴ es cada uno independientemente hidrógeno, halógeno, nitro, ciano, hidroxilo, mercapto, amino, alquilo C₁₋₁₂, haloalquilo C₁₋₁₂, cicloalquilo C₃₋₈, halocicloalquilo C₃₋₈, alcoxi C₁₋₁₂, haloalcoxi C₁₋₁₂, (alquil C₁₋₁₂)sulfenilo, (alquil C₁₋₁₂)sulfinilo, (alquil C₁₋₁₂)sulfonilo, (haloalquil C₁₋₁₂)sulfenilo, (haloalquil C₁₋₁₂)sulfinilo, (haloalquil C₁₋₁₂)sulfonilo, (alquil C₁₋₁₂)amino, di(alquil C₁₋₁₂)amino, (haloalquil C₁₋₁₂)amino, di(haloalquil C₁₋₁₂)amino, (alquil C₁₋₁₂) (haloalquil C₁₋₁₂)amino, pentafluoruro de azufre;

R³ es hidrógeno, ciano, hidroxilo, mercapto, amino, alquilo C₁₋₁₂, haloalquilo C₁₋₁₂, alquenilo C₂₋₁₂, haloalquenilo C₂₋₁₂, alquinilo C₂₋₁₂, haloalquinilo C₂₋₁₂, alquil C₂₋₁₂-carbonilo, haloalquil C₂₋₁₂-carbonilo, alcoxi C₂₋₁₂-carbonilo o haloalcoxi C₁₋₁₂-carbonilo, alcoxi C₂₋₁₂-alquilo C₁₋₁₂, o ciano-alquilo C₁₋₁₂;

R^5 y R^6 es cada uno independientemente hidrógeno, ciano, alquilo C_{1-12} , haloalquilo C_{1-12} , cicloalquilo C_{3-8} , halocicloalquilo C_{3-8} , alcoxi C_{1-12} -carbonilo o haloalcoxi C_{1-12} -carbonilo;

R^7 es hidrógeno, o alquilo C_{1-12} opcionalmente sustituido, cianoalquilo C_{1-12} y haloalquilo C_{1-12} , cicloalquilo C_{3-8} opcionalmente sustituido, halocicloalquilo C_{3-8} , cicloalquil C_{3-8} -alquilo C_{1-12} y halocicloalquil C_{3-8} -alquilo C_{1-12} , alquenilo C_{2-12} , haloalquenilo C_{2-12} , alquinilo C_{2-12} , haloalquinilo C_{2-12} , alcoxi C_{1-12} , haloalcoxi C_{1-12} , alcoxi C_{1-12} -alquilo C_{1-12} , haloalcoxi C_{1-12} -alquilo C_{1-12} , alquil C_{1-12} -S-alquilo C_{1-12} , haloalquil C_{1-12} -S-alquilo C_{1-12} , alquil C_{1-12} -S(O)-alquilo C_{1-12} , haloalquil C_{1-12} -S(O)-alquilo C_{1-12} , alquil C_{1-12} -S(O)₂-alquilo C_{1-12} , haloalquil C_{1-12} -S(O)₂-alquilo C_{1-12} , amino, (alquil C_{1-12})amino, di(alquil C_{1-12})amino, (haloalquil C_{1-12})amino, di(haloalquil C_{1-12})amino, (alquil C_{1-12}) (haloalquil C_{1-12})amino, fenilo y fenil-alquilo C_{1-12} ,

R^8 y R^9 es cada uno independientemente hidrógeno, ciano, hidroxilo, amino, alquilo C_{1-12} , haloalquilo C_{1-12} , cicloalquilo C_{3-8} , halocicloalquilo C_{3-8} , cicloalquil C_{3-8} -alquilo C_{1-12} , halocicloalquil C_{3-8} -alquilo C_{1-12} , alquenilo C_{2-12} , haloalquenilo C_{2-12} , alquinilo C_{2-12} , haloalquinilo C_{2-12} , alcoxi C_{1-12} , haloalcoxi C_{1-12} , alcoxi C_{1-12} -alquilo C_{1-12} , haloalcoxi C_{1-12} -alquilo C_{1-12} , alquil C_{1-12} -S-alquilo C_{1-12} , haloalquil C_{1-12} -S-alquilo C_{1-12} , alquil C_{1-12} -S(O)-alquilo C_{1-12} , haloalquil C_{1-12} -S(O)-alquilo C_{1-12} , alquil C_{1-12} -S(O)₂-alquilo C_{1-12} , haloalquil C_{1-12} -S(O)₂-alquilo C_{1-12} , (alquil C_{1-12})sulfonilo, (haloalquil C_{1-12})sulfonilo, (alquil C_{1-12})amino, di(alquil C_{1-12})amino, (haloalquil C_{1-12})amino, di(haloalquil C_{1-12})amino, (alquil C_{1-12}) (haloalquil C_{1-12})amino, ciano-alquilo C_{1-12} , ciano-cicloalquilo C_{3-8} , fenilo, fenil-alquilo C_{1-12} .

2. Compuestos de fórmula (I) de acuerdo con la reivindicación 1, donde,

A es $C-X^3$ o nitrógeno;

X^1 , X^2 , X^3 y X^4 son cada uno independientemente hidrógeno, fluro, cloro, bromo o yodo o haloalquilo C_{1-4} ;

B^1 es C-H, C-F o nitrógeno;

B^4 es C-H, o C-F o nitrógeno;

Y^2 es hidrógeno, halógeno, alquilo C_{1-4} , haloalquilo C_{1-4} , haloalcoxi C_{1-4} , fenilo, piridilo, alcoxi C_{1-4} , ciano, ciclopropilo;

R^3 es hidrógeno, alquilo C_{1-4} , haloalquilo C_{1-4} , alquenilo C_{3-4} , alquilo C_{1-6} -carbonilo, alcoxi C_{1-6} -carbonilo; alcoxi C_{1-6} -alquilo C_{1-6} o ciano-alquilo C_{1-6} ;

R^5 y R^6 son cada uno independientemente hidrógeno, ciano, alquilo C_{1-4} , haloalquilo C_{1-4} o ciclopropilo

R^7 es alquilo C_{1-6} , cianoalquilo C_{1-6} y haloalquilo C_{1-6} , cicloalquilo C_{3-6} , halocicloalquilo C_{3-6} , cicloalquil C_{3-6} -alquilo C_{1-4} y halocicloalquil C_{3-6} -alquilo C_{1-6} , alquenilo C_{2-6} , haloalquenilo C_{2-6} , alcoxi C_{1-4} , haloalcoxi C_{1-4} , alcoxi C_{1-4} -alquilo C_{1-4} , alquil C_{1-4} -S-alquilo C_{1-4} , alquil C_{1-4} -S(O)-alquilo C_{1-4} o alquil C_{1-4} -S(O)₂-alquilo C_{1-4} , fenilo y fenil-alquilo C_{1-6} , metilamino, dimetilamino, etilamino, ciclopropilamino, prop-2-in-1-ilamino,

R^8 y R^9 son cada uno independientemente hidrógeno, ciano, hidroxilo, amino, alquilo C_{1-12} , haloalquilo C_{1-12} , cicloalquilo C_{3-8} , halocicloalquilo C_{3-8} , cicloalquil C_{3-8} -alquilo C_{1-12} , halocicloalquil C_{3-8} -alquilo C_{1-12} , alquenilo C_{2-12} , haloalquenilo C_{2-12} , alquinilo C_{2-12} , haloalquinilo C_{2-12} , alcoxi C_{1-12} , haloalcoxi C_{1-12} , alcoxi C_{2-12} -alquilo C_{1-12} , haloalcoxi C_{2-12} -alquilo C_{1-12} , alquil C_{2-12} -S-alquilo C_{1-12} , haloalquil C_{2-12} -S-alquilo C_{1-12} , alquil C_{2-12} -S(O)-alquilo C_{1-12} , haloalquil C_{2-12} -S(O)-alquilo C_{1-12} , alquil C_{2-12} -S(O)₂-alquilo C_{1-12} , haloalquil C_{2-12} -S(O)₂-alquilo C_{1-12} , (alquil C_{1-12})sulfonilo, (haloalquil C_{1-12})sulfonilo, (alquil C_{1-12})amino, di(alquil C_{1-12})amino, (haloalquil C_{1-12})amino, di(haloalquil C_{1-12})amino, (alquil C_{1-12}) (haloalquil C_{1-12})amino, ciano-alquilo C_{1-12} , ciano-cicloalquilo C_{3-8} , fenil, fenil-alquilo C_{1-12} .

3. Composición caracterizada porque comprende al menos un compuesto de fórmula (I) de acuerdo con la reivindicación 1 o 2 y extensores y/o surfactantes adecuados.

Anexo 1

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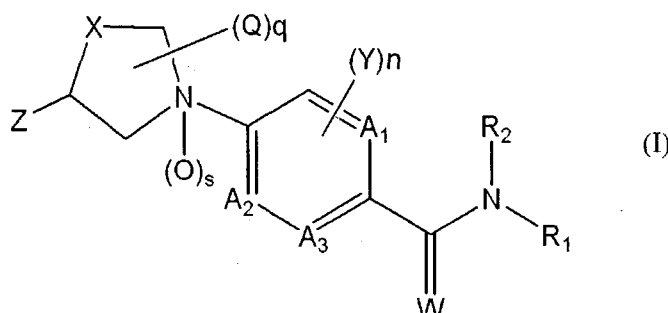
(21) Number assigned to the application:	P2007-261695	(71) Applicant 000004307 Nippon Soda Co., Ltd. 2-1 Ohtemachi 2-chome, Chiyoda-ku Tokyo,
(22) Date of filing:	October 5, 2007	(74) Agent 100108419 Patent attorney OISHI, Haruhito
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(32) Priority date	October 6, 2006	(72) Inventor YANO, Makio c/o Nippon Soda Co. Ltd., Odawara Research Center 345 Takada, Odawara-shi, Kanagawa
(33) Priority country	Japan (JP)	(72) Inventor MIZUI, Akiko c/o Nippon Soda Co. Ltd., Odawara Research Center 345 Takada, Odawara-shi, Kanagawa

Continued on the last page

(54) [Title of the invention] **Nitrogen-containing heterocyclic compounds and pest control agents**

(57) [Abstract] (Revised version)
[Problem to be solved] To provide new cyclic amine compounds or the like, which can be industrially advantageously synthesized and can serve as active ingredients of pest control agents which have reliable efficacy and can be used safely, and pest control agents containing these compounds as active ingredient.

[Means for solving the problems] Compounds represented by the formula (I) or salts thereof, and pest controlling agents containing those compounds as active ingredient.



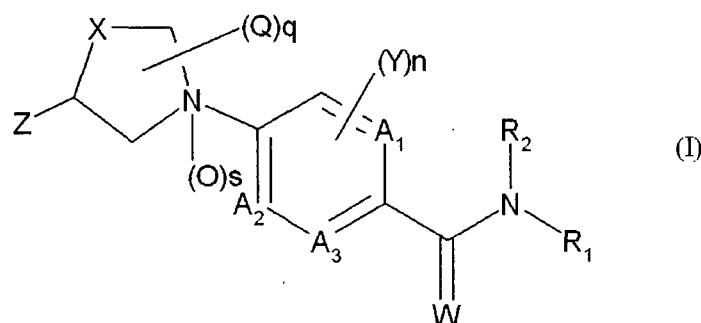
[Representative drawing] None

[Patent Claims]

[Claim 1]

Nitrogen-containing heterocyclic compounds represented by the formula (I)

[Chemical formula 1]



or salts thereof.

[In this formula W represents an oxygen atom or a sulfur atom.

A₁, A₂ and A₃ each independently represent a carbon atom or a nitrogen atom.

X represents a carbon atom or an oxygen atom.

Y represents a halogen atom, a cyano group, a nitro group, a formyl group, a C1 – C6 alkyl group, a C2 – C6 alkenyl group, a C2 – C6 alkynyl group, a C1 – C6 haloalkyl group, a C3 – C8 cycloalkyl group, a C3 – C8 halocycloalkyl group, a hydroxy group, a C1 – C6 alkoxy group, a C1 – C6 haloalkoxy group, a C1 – C6 alkylsulfonyloxy group, an unsubstituted or substituted phenylsulfonyloxy group, a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfenyl group, a C1 – C6 alkylsulfonyl group, a C1 – C6 haloalkylthio group, a C1 – C6 haloalkylsulfenyl group, a C1 – C6 haloalkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfenyl group, an unsubstituted or substituted phenylsulfonyl group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, a group represented by $-N=C(R_3)(OR_4)$, a group represented by $-CO_2R_3$, a group represented by $-C(=O)N(R_3)(R_4)$, a group represented by $-C(=S)N(R_3)(R_4)$, a group represented by $-Si(R_5)(R_6)(R_7)$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

R₃ and R₄ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

R₅ – R₇ each independently represent a hydrogen atom, a C1 – C12 alkyl group, or an unsubstituted or substituted phenyl group.

n represents an integer from 0 – 4, in case n is 2 or more, the various Y may be the same or different to each other.

Further, in case 2 Y are vicinal to each other, both Y may bind to each other and form a 5-membered ring or a 6-membered ring.

Q represents a hydrogen atom, a halogen atom, a cyano group, a formyl group, an oxo group, a C1 – C12 alkyl group, a C2 – C6 alkenyl group, a C2 – C6 alkynyl group, a C1 – C12 haloalkyl group, a C3 – C8 cycloalkyl group, a C3 – C8 halocycloalkyl group, a hydroxy group, a C1 – C6 alkoxy group, a C1 – C6 haloalkoxy group, a C1 – C6 alkylsulfonyloxy group, an unsubstituted or substituted phenylsulfonyloxy group, a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfenyl group, a C1 – C6 alkylsulfonyl group, a C1 – C6 haloalkylthio group, a C1 – C6 haloalkylsulfenyl group, a C1 – C6 haloalkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfenyl group, an unsubstituted or substituted phenylsulfonyl group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, a group represented by $-C(=O)R_8$, a group represented by $-CO_2R_8$, a group represented by $-OC(=O)R_8$, a group represented by $-C(=O)SR_8$, a group represented by $-C(=S)OR_8$, a group represented by $-C(=S)SR_8$, a group represented by $-C(=S)N(R_8)(R_9)$, a group represented by $-N=C(R_8)OR_9$, a group represented by $-C(=O)N(R_8)(R_9)$, a group represented by $-C(=S)N(R_8)(R_9)$, a group represented by $-Si(R_{10})(R_{11})(R_{12})$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

R₈, R₉ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

R₁₀ – R₁₂ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, or an unsubstituted or substituted phenyl group.

q represents an integer from 0 – 7.

In case q is 2 or more, the various Q may be the same or different to each other.

Z represents an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

R₁ and R₂ each independently represent a hydrogen atom, a cyano group, a C1 – C12 alkyl group optionally substituted by G, a C3 – C12 cycloalkyl group optionally substituted by G, a C2 – C12 alkenyl group optionally substituted by G, a C3 – C12 cycloalkenyl group optionally substituted by G, a C2 – C12 alkynyl group optionally

substituted by G, a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfonyl group, a group represented by $-\text{SN}(\text{R}_{13})(\text{R}_{14})$, a group represented by $-\text{S}(\text{O})_2\text{N}(\text{R}_{13})(\text{R}_{14})$, a hydroxy group, an amino group, a group represented by $-\text{OR}_{13}$, a group represented by $-\text{N}(\text{R}_{13})(\text{R}_{14})$, a group represented by $-\text{N}=\text{CH}(\text{R}_{13})$, a group represented by $-\text{N}=\text{C}(\text{R}_{13})(\text{R}_{14})$, a group represented by $-\text{C}(=\text{O})\text{R}_{13}$, a group represented by $-\text{CO}_2\text{R}_{13}$, a group represented by $-\text{C}(=\text{O})\text{SR}_{13}$, a group represented by $-\text{C}(=\text{O})\text{N}(\text{R}_{13})(\text{R}_{14})$, a group represented by $-\text{C}(=\text{S})\text{OR}_{13}$, a group represented by $-\text{C}(=\text{S})\text{SR}_{13}$, a group represented by $-\text{C}(=\text{S})\text{N}(\text{R}_{13})(\text{R}_{14})$, a group represented by $-\text{C}(=\text{NR}_{13})\text{OR}_{14}$, a group represented by $-\text{C}(=\text{NR}_{13})\text{SR}_{14}$, a group represented by $-\text{C}(=\text{NR}_{13})\text{N}(\text{R}_{14})(\text{R}_{15})$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

Further, R_1 and R_2 may bind to each other and form a group represented by $=\text{C}(\text{R}_{13})(\text{R}_{14})$, or R_1 and R_2 may bind to each other and form a 3 - 8-membered ring.

$\text{R}_{13} - \text{R}_{15}$ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

G represents a halogen atom, a cyano group, a C3 – C8 cycloalkyl group, a C3 – C8 halocycloalkyl group, a hydroxy group, a C1 – C6 alkylsulfonyloxy group, an unsubstituted or substituted phenylsulfonyloxy group, a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfenyl group, a C1 – C6 alkylsulfonyl group, a C1 – C6 haloalkylthio group, a C1 – C6 haloalkylsulfenyl group, a C1 – C6 haloalkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfenyl group, an unsubstituted or substituted phenylsulfonyl group, an amino group, a group represented by $-\text{OR}_{16}$, a group represented by $-\text{N}(\text{R}_{16})(\text{R}_{17})$, a group represented by $-\text{N}=\text{CH}(\text{R}_{16})$, a group represented by $-\text{N}=\text{C}(\text{R}_{16})(\text{R}_{17})$, a group represented by $-\text{C}(=\text{O})\text{R}_{16}$, a group represented by $-\text{CO}_2\text{R}_{16}$, a group represented by $-\text{C}(=\text{O})\text{SR}_{16}$, a group represented by $-\text{C}(=\text{O})\text{N}(\text{R}_{16})(\text{R}_{17})$, a group represented by $-\text{C}(=\text{S})\text{OR}_{16}$, a group represented by $-\text{C}(=\text{S})\text{SR}_{16}$, a group represented by $-\text{C}(=\text{S})\text{N}(\text{R}_{16})(\text{R}_{17})$, a group represented by $-\text{C}(=\text{NR}_{16})\text{OR}_{17}$, a group represented by $-\text{C}(=\text{NR}_{16})\text{SR}_{17}$, a group represented by $-\text{C}(=\text{NR}_{16})\text{N}(\text{R}_{17})(\text{R}_{18})$, a group represented by $-\text{Si}(\text{R}_{19})(\text{R}_{20})(\text{R}_{21})$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

$\text{R}_{16} - \text{R}_{18}$ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

$\text{R}_{19} - \text{R}_{21}$ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, or an unsubstituted or substituted phenyl group.

s is 0 or 1.]

[Claim 2]

Pest control agents containing at least one kind of the nitrogen-containing heterocyclic compounds described in claim 1 or salts thereof as active ingredient.

[Claim 3]

Pest control agents described in claim 3 which are insecticides.

[Claim 4]

Pest control agents described in claim 3 which are acaricides.

[Detailed description of the invention]

[Technology field]

[0001]

The present invention relates to new nitrogen-containing heterocyclic compounds, salts of those compounds, and pest control agents containing these compounds as active ingredient.

[Background art]

[0002]

Heretofore, numerous pest control agents such as insecticides, acaricides and the like are used, however as their potency is not sufficient and their usage is restricted due to problems of drug resistance, further, as they cause drug damage to plants and pollution, or as their toxicity against men, animals and fishes and the like is high, they are not always satisfactory control agents. For this reason, the development of less problematic agents, which can be used safely, is requested.

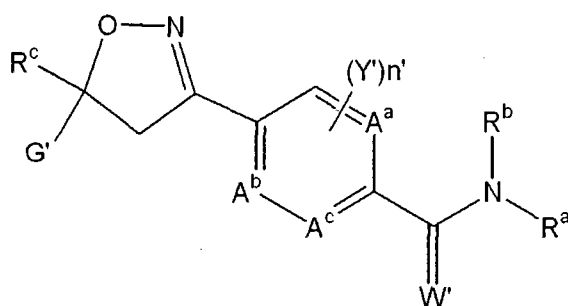
[0003]

In the patent literature 1, which is related to the present invention, the following compounds with an isoxazoline substituent, possessing a skeleton similar to the compounds of the present invention, are described.

However, compounds of the present invention are not described in this literature.

[0004]

[Chemical formula 1]



[0005]

(In the formula, W' represents an oxygen atom or a sulfur atom, A^a, A^b and A^c each independently represent a carbon atom or a nitrogen atom, Y' represents an halogen atom and the like, n' indicates 0 or 1, R^a and R^b each independently represent a hydrogen atom, a C1 – C12 alkyl group and the like, R^c represents an halogen atom and the like, G' represents a phenyl group and the like.)

[Patent literature 1] WO 2005 / 085216 bulletin

[Disclosure of the invention]

[Problem to be solved by the invention]

[0006]

The object of the present invention is to provide new nitrogen-containing heterocyclic compounds, which can be industrially advantageously synthesized and can serve as active ingredients of pest control agents which have reliable efficacy and can be used safely, and pest control agents containing these compounds as active ingredient.

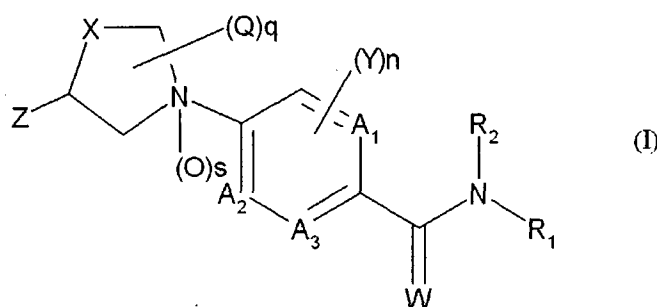
[Means of solving the problems]

[0007]

To get the above mentioned problems solved, the present invention first provides nitrogen-containing heterocyclic compounds, represented by the formula (I)

[0008]

[Chemical formula 2]



[0009]

or salts thereof.

[In the formula W represents an oxygen atom or a sulfur atom.

A₁, A₂ and A₃ each independently represent a carbon atom or a nitrogen atom.

X represents a carbon atom or an oxygen atom.

Y represents a halogen atom, a cyano group, a nitro group, a formyl group, a C1 – C6 alkyl group, a C2 – C6 alkenyl group, a C2 – C6 alkynyl group, a C1 – C6 haloalkyl group, a C3 – C8 cycloalkyl group, a C3 – C8 halocycloalkyl group, a hydroxy group, a C1 – C6 alkoxy group, a C1 – C6 haloalkoxy group, a C1 – C6 alkylsulfonyloxy group, an unsubstituted or substituted phenylsulfonyloxy group, a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfenyl group, a C1 – C6 alkylsulfonyl group, a C1 – C6 haloalkylthio group, a C1 – C6 haloalkylsulfenyl group, a C1 – C6 haloalkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfenyl group, an unsubstituted or substituted phenylsulfonyl group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, a group represented by –N=C(R₃)(OR₄), a group represented by –CO₂R₃, a group represented by –C(=O)N(R₃)(R₄), a group represented by –C(=S)N(R₃)(R₄), a group represented by –Si(R₅)(R₆)(R₇), an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0010]

R₃ and R₄ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0011]

$R_5 - R_7$ each independently represent a hydrogen atom, a C1 – C12 alkyl group, or an unsubstituted or substituted phenyl group.

n represents an integer from 0 – 4, in case n is 2 or more, the various Y may be the same or different to each other.

Further, in case 2 Y are vicinal to each other, both Y may bind to each other and form a 5-membered ring or a 6-membered ring.

[0012]

Q represents a hydrogen atom, a halogen atom, a cyano group, a formyl group, an oxo group, a C1 – C12 alkyl group, a C2 – C6 alkenyl group, a C2 – C6 alkynyl group, a C1 – C12 haloalkyl group, a C3 – C8 cycloalkyl group, a C3 – C8 halocycloalkyl group, a hydroxy group, a C1 – C6 alkoxy group, a C1 – C6 haloalkoxy group, a C1 – C6 alkylsulfonyloxy group, an unsubstituted or substituted phenylsulfonyloxy group, a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfenyl group, a C1 – C6 alkylsulfonyl group, a C1 – C6 haloalkylthio group, a C1 – C6 haloalkylsulfenyl group, a C1 – C6 haloalkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfenyl group, an unsubstituted or substituted phenylsulfonyl group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, a group represented by $-C(=O)R_8$, a group represented by $-CO_2R_8$, a group represented by $-OC(=O)R_8$, a group represented by $-C(=O)SR_8$, a group represented by $-C(=S)OR_8$, a group represented by $-C(=S)SR_8$, a group represented by $-C(=S)N(R_8)(R_9)$, a group represented by $-N=C(R_8)OR_9$, a group represented by $-C(=O)N(R_8)(R_9)$, a group represented by $-C(=S)N(R_8)(R_9)$, a group represented by $-Si(R_{10})(R_{11})(R_{12})$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0013]

R_8, R_9 each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0014]

$R_{10} - R_{12}$ each independently represent a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, or an unsubstituted or substituted phenyl group.

q represents an integer from 0 – 7.

In case q is 2 or more, the various Q may be the same or different to each other.

Z represents an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0015]

R_1 and R_2 each independently represent a hydrogen atom, a cyano group, a C1 – C12 alkyl group optionally substituted by G , a C3 – C12 cycloalkyl group optionally substituted by G , a C2 – C12 alkenyl group optionally substituted by G , a C3 – C12 cycloalkenyl group optionally substituted by G , a C2 – C12 alkynyl group optionally substituted by G , a mercapto group, a C1 – C6 alkylthio group, a C1 – C6 alkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfonyl group, a group represented by $-SN(R_{13})(R_{14})$, a group represented by $-S(O)_2N(R_{13})(R_{14})$, a hydroxy group, an amino

group, a group represented by $-OR_{13}$, a group represented by $-N(R_{13})(R_{14})$, a group represented by $-N=CH(R_{13})$, a group represented by $-N=C(R_{13})(R_{14})$, a group represented by $-C(=O)R_{13}$, a group represented by $-CO_2R_{13}$, a group represented by $-C(=O)SR_{13}$, a group represented by $-C(=O)N(R_{13})(R_{14})$, a group represented by $-C(=S)OR_{13}$, a group represented by $-C(=S)SR_{13}$, a group represented by $-C(=S)N(R_{13})(R_{14})$, a group represented by $-C(=NR_{13})OR_{14}$, a group represented by $-C(=NR_{13})SR_{14}$, a group represented by $-C(=NR_{13})N(R_{14})(R_{15})$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0016]

Further, R_1 and R_2 may bind to each other and form a group represented by $=C(R_{13})(R_{14})$, or R_1 and R_2 may bind to each other and form a 3 - 8-membered ring.

[0017]

$R_{13} - R_{15}$ each independently represent a hydrogen atom, a C1 - C12 alkyl group, a C1 - C12 haloalkyl group, a C3 - C12 cycloalkyl group, a C2 - C12 alkenyl group, a C2 - C12 haloalkenyl group, a C2 - C12 alkynyl group, a C2 - C12 haloalkynyl group, a C1 - C12 alkylthio group, a hydroxy group, a C1 - C12 alkoxy group, an amino group, a mono C1 - C6 alkylamino group, a di C1 - C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0018]

G represents a halogen atom, a cyano group, a C3 - C8 cycloalkyl group, a C3 - C8 halocycloalkyl group, a hydroxy group, a C1 - C6 alkylsulfonyloxy group, an unsubstituted or substituted phenylsulfonyloxy group, a mercapto group, a C1 - C6 alkylthio group, a C1 - C6 alkylsulfenyl group, a C1 - C6 alkylsulfonyl group, a C1 - C6 haloalkylthio group, a C1 - C6 haloalkylsulfenyl group, a C1 - C6 haloalkylsulfonyl group, an unsubstituted or substituted phenylthio group, an unsubstituted or substituted phenylsulfenyl group, an unsubstituted or substituted phenylsulfonyl group, an amino group, a group represented by $-OR_{16}$, a group represented by $-N(R_{16})(R_{17})$, a group represented by $-N=CH(R_{16})$, a group represented by $-N=C(R_{16})(R_{17})$, a group represented by $-C(=O)R_{16}$, a group represented by $-CO_2R_{16}$, a group represented by $-C(=O)SR_{16}$, a group represented by $-C(=O)N(R_{16})(R_{17})$, a group represented by $-C(=S)OR_{16}$, a group represented by $-C(=S)SR_{16}$, a group represented by $-C(=S)N(R_{16})(R_{17})$, a group represented by $-C(=NR_{16})OR_{17}$, a group represented by $-C(=NR_{16})SR_{17}$, a group represented by $-C(=NR_{16})N(R_{17})(R_{18})$, a group represented by $-Si(R_{19})(R_{20})(R_{21})$, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0019]

$R_{16} - R_{18}$ each independently represent a hydrogen atom, a C1 - C12 alkyl group, a C1 - C12 haloalkyl group, a C3 - C12 cycloalkyl group, a C2 - C12 alkenyl group, a C2 - C12 haloalkenyl group, a C2 - C12 alkynyl group, a C2 - C12 haloalkynyl group, a C1 - C12 alkylthio group, a hydroxy group, a C1 - C12 alkoxy group, an amino group, a mono C1 - C6 alkylamino group, a di C1 - C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0020]

$R_{19} - R_{21}$ each independently represent a hydrogen atom, a C1 - C12 alkyl group, a C1 - C12 haloalkyl group, or an unsubstituted or substituted phenyl group.

s is 0 or 1.]

[0021]

Secondly, the present invention provides pest control agents, containing at least one kind of the nitrogen-containing heterocyclic compounds of the present invention or salts thereof as active ingredient.

It is preferred that the pest control agents of the present invention are insecticides or acaricides.

[Effect of the invention]

[0022]

According to the present invention, new nitrogen-containing heterocyclic compounds and salts thereof, which can be industrially advantageously synthesized and can serve as active ingredients of pest control agents which have reliable efficacy and can be used safely, and pest control agents, containing at least one kind of these compounds as active ingredient, are provided.

[Best mode for carrying out the invention]

[0023]

Hereinafter, the present invention is described in detail.

1) Nitrogen-containing heterocyclic compounds represented by the formula (I) or salts thereof

The first part of the present invention comprise the nitrogen-containing heterocyclic compounds represented by the above-mentioned formula (I) or salts thereof.

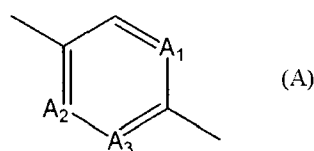
In formula (I), W represents a oxygen atom or a sulfur atom.

A₁, A₂ and A₃ each independently represent a carbon atom or a nitrogen atom.

Concrete examples of the partial structure, represented by the following formula (A),

[0024]

[Chemical formula 3]

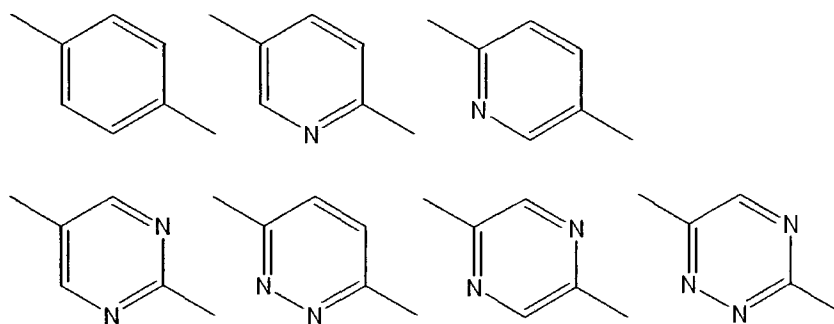


[0025]

include the following structures.

[0026]

[Chemical formula 4]



[0027]

Y represents a halogen atom such as the fluorine atom, the chlorine atom, the bromine atom and the like; a cyano group; a nitro group; a formyl group; a C1 – C6 alkyl group such as the methyl group, the ethyl group, the n-propyl group, the isopropyl group and the like; a C2 – C6 alkenyl group such as the vinyl group, the propenyl group, the isopropenyl group, the 2-butenyl group and the like; a C2 – C6 alkynyl group such as the propargyl group, the 3-butenyl group and the like; a C1 – C6 haloalkyl group such as the trifluoromethyl group, the pentafluoroethyl group and the like; a C3 – C8 cycloalkyl group such as the cyclopropyl group, the cyclopentyl group, the cyclohexyl group and the like; a C3 – C8 halocycloalkyl group such as the 2-chlorocyclopropyl group, the 2,2-dichlorocyclopropyl group, the 3-chlorocyclopentyl group, the 4-bromocyclohexyl group and the like; a hydroxy group; a C1 – C6 alkoxy group such as the methoxy group, the ethoxy group, the n-propoxy group, the isopropoxy group, the n-butoxy group, the t-butoxy group and the like; a C1 – C6 haloalkoxy group such as the trichloromethoxy group, the trifluoromethoxy group and the like; a C1 – C6 alkylsulfonyloxy group such as the methylsulfonyloxy group, the ethylsulfonyloxy group, the n-propylsulfonyloxy group and the like; an unsubstituted or substituted phenylsulfonyloxy group such as the phenylsulfonyloxy group, the 4-methylphenylsulfonyloxy group and the like; a mercapto group; a C1 – C6 alkylthio group such as the methylthio group, the ethylthio group, the n-propylthio group, the isopropylthio group, the n-butylthio group, the t-butylthio group and the like; a C1 – C6 alkylsulfenyl group such as the methylsulfenyl group, the ethylsulfenyl group, the propylsulfenyl group and the like; a C1 – C6 alkylsulfonyl group such as the methylsulfonyl group, the ethylsulfonyl group, the n-propylsulfonyl group and the like; a C1 – C6 haloalkylthio group such as the chloromethylthio group, the trichloromethylthio group, the trifluoromethylthio group and the like; a C1 – C6 haloalkylsulfenyl group such as the chloromethylsulfenyl group, the trichloromethylsulfenyl group, the trifluoromethylsulfenyl group and the like; a C1 – C6 haloalkylsulfonyl group such as the chloromethylsulfonyl group, the trichloromethylsulfonyl group, the trifluoromethylsulfonyl group and the like; an unsubstituted or substituted phenylthio group such as the phenylthio group, the 4-methylphenylthio group, the 2,4-dichlorophenylthio group and the like; an unsubstituted or substituted phenylsulfenyl group such as the phenylsulfenyl group, the 4-methylphenylsulfenyl group, the 2,4-dichlorophenylsulfenyl group and the like; an unsubstituted or substituted phenylsulfonyl group such as the phenylsulfonyl group, the 4-methylphenylsulfonyl group, the 2,4-dichlorophenylsulfonyl group and the like; an amino group; a mono C1 – C6 alkylamino group such as the methylamino group, the ethylamino group, the propylamino group and the like; a di C1 – C6 alkylamino group such as the dimethylamino group, the diethylamino group and the like; an acylamino group such as the acetylamino group, the benzoylamino group and the like; an unsubstituted or substituted phenylamino group such as the phenylamino group, the 4-methylphenylamino group and the like; a group represented by $-N=C(R_3)(OR_4)$ such as $-N=C(CH_3)OC_2H_5$, $-N=C(CF_3)O(cPr)$ (cPr represents a cyclopropyl group. The same applies in the following.) $-N=C(OH)O(CH=CH_2)$, $-N=C(SCH_3)OPh$ (Ph represents a phenyl group. The same applies in the following.) and the like; a group represented by $-C(=O)N(R_3)(R_4)$ such as $-C(=O)N(CH_3)C_2H_5$, $-C(=O)N(CF_3)Ph$, $-C(=O)N(cPr)C_2H_5$, $-C(=O)N(CH=CH_2)OH$ and the like; a group represented by $-CO_2R_3$ such as $-CO_2CH_3$, $-CO_2CCl_3$, $-CO_2Ph$, $-CO_2(cPr)$ and the like; a group represented by $-C(=S)N(R_3)(R_4)$ such as $-C(=S)N(CH_3)C_2H_5$, $-C(=S)N(CF_3)Ph$, $-C(=S)N(cPr)C_2H_5$, $-C(=S)N(CH=CH_2)OH$ and

the like; a group represented by $-\text{Si}(\text{R}_5)(\text{R}_6)(\text{R}_7)$ such as $-\text{Si}(\text{CH}_3)_3$, $-\text{SiPh}_3$, $-\text{Si}(\text{cPr})_3$, $-\text{Si}(\text{CH}_3)_2(\text{t-Bu})$ (t-Bu represents a tertiary butyl group. The same applies in the following.) and the like; an unsubstituted or substituted phenyl group such as the phenyl group, the 4-methylphenyl group, the 2-fluorophenyl group, the 2,4-dichlorophenyl group, the 2,4,6-trimethylphenyl group and the like; or an unsubstituted or substituted heterocyclic group.

[0028]

R_3 and R_4 each independently represent a hydrogen atom; a C1 – C12 alkyl group such as the methyl group, the ethyl group and the like; a C1 – C12 haloalkyl group such as the trifluoromethyl group, the pentafluoroethyl group and the like; a C3 – C12 cycloalkyl group such as the cyclopropyl group, the cyclopentyl group, the cyclohexyl group and the like; a C2 – C12 alkenyl group such as the vinyl group, the allyl group and the like; a C2 – C12 haloalkenyl group such as the 3-chloroallyl group and the like; C2 – C12 alkynyl group such as the propargyl group, the 3-butynyl group and the like; a C2 – C12 haloalkynyl group such as the 2-chloroethynyl group, the 2-bromoethynyl group, the 3,3,3-trifluoro-1-propynyl group and the like; a C1 – C12 alkylthio group such as the methylthio group, the ethylthio group and the like; a hydroxy group; a C1 – C12 alkoxy group such as the methoxy group, the ethoxy group and the like; an amino group, a mono C1 – C6 alkylamino group such as the methylamino group, the ethylamino group and the like; a di C1 – C6 alkylamino group such as the dimethylamino group, the diethylamino group and the like; an acylamino group such as the acetylamino group, the benzoylamino group and the like; an unsubstituted or substituted phenylamino group such as the phenylamino group, the 4-methylphenylamino group and the like; an unsubstituted or substituted phenyl group such as the phenyl group, the 4-methylphenyl group, the 2-chlorophenyl group, the 2,4-difluorophenyl group and the like; or an unsubstituted or substituted heterocyclic group.

[0029]

R_5 - R_7 each independently represent a hydrogen atom, a C1 – C12 alkyl group such as the methyl group, the ethyl group, the n-propyl group, the isopropyl group, the n-butyl group, the t-butyl group and the like; or an unsubstituted or substituted phenyl group such as the phenyl group, the 4-methylphenyl group and the like.

[0030]

There is no special limitation for the heterocyclic group representing Y as far it is a heterocyclic group containing at least one heteroatom in the ring. Here, the heteroatom includes nitrogen atom, oxygen atom, sulfur atom and the like. Further, the number of atoms forming the ring of the above-mentioned heterocyclic group is preferably 3 – 8, more preferred is 5 or 6. Furthermore, the heterocyclic group may be a saturated heterocyclic group or an unsaturated heterocyclic group, however for an excellent pest control activity, unsaturated heterocyclic groups are preferred, more preferred are unsaturated nitrogen-containing heterocyclic groups.

[0031]

Such unsaturated heterocyclic groups include heterocyclic groups possessing 1 – 3 nitrogen atoms in the ring. They may further contain 1 or 2 oxygen atoms or sulfur atoms.

[0032]

Concrete examples of the unsaturated nitrogen-containing heterocyclic groups include 5-membered unsaturated nitrogen-containing heterocyclic groups such as the pyrrol-2-yl group, the pyrrol-3-yl group, the pyrazol-3-yl group, the pyrazol-4-yl group, the oxazol-2-yl group, the oxazol-4-yl group, the oxazol-5-yl group, the thiazol-2-yl group, the thiazol-4-yl group, the thiazol-5-yl group, the isoxazol-4-yl group, the

isoxazol-5-yl group, the isothiazol-2-yl group, the isothiazol-4-yl group, the isothiazol-5-yl group, the triazol-3-yl group, the triazol-5-yl group, the 1,3,4-oxadiazol-2-yl group, the 1,2,4-oxadiazol-3-yl group, the 1,2,4-oxadiazol-5-yl group, the 1,3,4-thiadiazol-2-yl group, the 1,2,4-thiadiazol-3-yl group, the 1,2,4-thiadiazol-5-yl group and the like;

[0033]

6-membered unsaturated nitrogen-containing heterocyclic groups such as the pyridin-2-yl group, the pyridin-3-yl group, the pyridin-4-yl group, the pyridazin-3-yl group, the pyridazin-4-yl group, the pyrimidin-2-yl group, the pyrimidin-4-yl group, the pyrimidin-5-yl group, the pyrazin-2-yl group, the triazin-2-yl group and the like.

[0034]

The above-mentioned heterocyclic groups may bear substituents in any position. Such substituents include the cyano group; the nitro group; the formyl group; halogen atoms such as the fluorine atom, the chlorine atom, the bromine atom and the like; C1 – C6 alkyl groups such as the methyl group, the ethyl group, the n-propyl group, the isopropyl group and the like; C1 – C6 alkoxy groups such as the methoxy group, the ethoxy group and the like; C3 – C8 cycloalkyl groups such as the cyclopropyl group, the cyclopentyl group, the cyclohexyl group and the like; C3 – C8 cycloalkyloxy groups such as the cyclopropyloxy group, the cyclopentyloxy group, the cyclohexyloxy group and the like; C3 – C8 cycloalkyl C1 – C6 alkyl groups such as the cyclopropylmethyl group, the cyclopentylmethyl group, the cyclohexylmethyl group and the like; C3 – C8 cycloalkyl C1 – C6 alkoxy groups such as the cyclopropylmethoxy group, the cyclopentylmethoxy group, the cyclohexylmethoxy group and the like; C2 – C6 alkenyloxy groups such as the pentenyloxy group, the butenyloxy group and the like; C1 – C6 haloalkyl groups such as the trifluoromethyl group, the pentafluoroethyl group and the like; C1 – C6 haloalkoxy groups such as the trifluoromethoxy group, the pentafluoroethoxy group and the like; C2 – C6 haloalkenyloxy groups such as the chloropropenyloxy group, the chlorobutenyloxy group and the like; C1 – C6 alkoxycarbonyl groups (That means alkoxycarbonyl groups wherein the alkoxy part has a carbon atom number of 1 – 6. The same applies in the following.) such as the methoxycarbonyl group, the ethoxycarbonyl group and the like; unsubstituted or substituted phenyl groups such as the phenyl group, the 4-methylphenyl group, the 2-chlorophenyl group and the like.

[0035]

n represents an integer from 0 – 4. In case n is 2 or more, the various Y may be the same or different to each other.

[0036]

Further, in case 2 Y are vicinal to each other, both Y may bind to each other and may form a 5-membered ring or a 6-membered ring together with the carbon atoms binding each of the 2 Y by formation of $-\text{CH}_2\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{O}-$, $-\text{CH}_2\text{OCH}_2-$, $-\text{OCH}_2\text{O}-$, $-\text{CH}_2\text{CH}_2\text{S}-$, $-\text{CH}_2\text{SCH}_2-$, $-\text{SCH}_2\text{S}-$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{O}-$, $-\text{CH}_2\text{CH}_2\text{OCH}_2-$, $-\text{CH}_2\text{OCH}_2\text{O}-$, $-\text{OCH}_2\text{CH}_2\text{O}-$, $-\text{OCH}_2\text{CH}_2\text{S}-$, $-\text{SCH}_2\text{CH}_2\text{S}-$, $-\text{OCH}=\text{N}-$, $-\text{SCH}=\text{N}-$ and the like.

[0037]

Further, in this case the hydrogen atoms bond to each of the carbon atoms forming the ring may be substituted by the substituent T, and, when 2 or more atoms are substituted by T at the same time, each T may be the same or different to the other.

[0038]

Here, as T can be mentioned a cyano group, a nitro group, a formyl group, a halogen atom, a C1 – C6 alkyl group, a C1 – C6 alkoxy group, a C3 – C8 cycloalkyl group, a C3 – C8 cycloalkyloxy group, a C3 – C8 cycloalkyl C1 – C6 alkyl group, a C3 – C8 cycloalkyl C1 – C6 alkoxy group, a C2 – C6 alkenyloxy group, a C1 – C6

haloalkyl group, a C1 – C6 haloalkoxy group, a C2 – C6 haloalkenyloxy group, a C1 – C6 alkoxycarbonyl group, an unsubstituted or substituted phenyl group and the like. Concrete examples thereof include the same groups which were illustrated as the substituents of the heterocyclic groups of the above-mentioned Y.

[0039]

Q represents a hydrogen atom; a halogen atom; a cyano group; a formyl group; an oxo group (=O); a C1 – C12 alkyl group; a C2 – C6 alkenyl group; a C2 – C6 alkynyl group; a C1 – C12 haloalkyl group; a C3 – C8 cycloalkyl group; a C3 – C8 halocycloalkyl group; a hydroxy group; a C1 – C6 alkoxy group; a C1 – C6 haloalkoxy group; a C1 – C6 alkylsulfonyloxy group; an unsubstituted or substituted phenylsulfonyloxy group; a mercapto group; a C1 – C6 alkylthio group; a C1 – C6 alkylsulfenyl group; a C1 – C6 alkylsulfonyl group; a C1 – C6 haloalkylthio group; a C1 – C6 haloalkylsulfenyl group; a C1 – C6 haloalkylsulfonyl group; an unsubstituted or substituted phenylthio group; an unsubstituted or substituted phenylsulfenyl group; an unsubstituted or substituted phenylsulfonyl group; an amino group; a mono C1 – C6 alkylamino group; a di C1 – C6 alkylamino group; an acylamino group; an unsubstituted or substituted phenylamino group; a group represented by $-C(=O)R_8$ such as $-C(=O)CH_3$, $-C(=O)CF_3$, $-C(=O)Ph$, $-C(=O)cPr$ and the like; a group represented by $-CO_2R_8$ such as $-CO_2CH_3$, $-CO_2CCl_3$, $-CO_2Ph$, $-CO_2(cPr)$ and the like; a group represented by $-OC(=O)R_8$ such as $-OC(=O)CH_3$, $-OC(=O)CF_3$, $-OC(=O)Ph$, $-OC(=O)cPr$ and the like; a group represented by $-C(=O)SR_8$ such as $-C(=O)SCH_3$, $-C(=O)SCCl_3$, $-C(=O)SPh$, $-C(=O)S(cPr)$ and the like; a group represented by $-C(=S)OR_8$ such as $-C(=S)OCH_3$, $-C(=S)OCCl_3$, $-C(=S)OPh$, $-C(=S)O(cPr)$ and the like; a group represented by $-C(=S)SR_8$ such as $-C(=S)SCH_3$, $-C(=S)SCCl_3$, $-C(=S)SPh$, $-C(=S)S(cPr)$ and the like; a group represented by $-C(=S)N(R_8)(R_9)$ such as $-C(=S)NHCH_3$, $-C(=S)N(CH_3)_2$, $-C(=S)NHPh$, $-C(=S)NH(cPr)$ and the like; a group represented by $-N=C(R_8)OR_9$ such as $-N=C(CH_3)OC_2H_5$, $-N=C(CF_3)O(cPr)$, $-N=C(OH)O(CH=CH_2)$, $-N=C(SCH_3)OPh$ and the like; a group represented by $-C(=O)N(R_8)(R_9)$ such as $-C(=O)NHCH_3$, $-C(=O)N(CH_3)_2$, $-C(=O)NHPh$, $-C(=O)NH(cPr)$ and the like; a group represented by $-Si(R_{10})(R_{11})(R_{12})$ such as $-Si(CH_3)_3$, $-SiPh_3$, $-Si(cPr)_3$, $-Si(Me)_2(t-Bu)$ and the like; an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0040]

Concrete examples of the halogen atom, the C2 – C6 alkenyl group, the C2 – C6 alkynyl group, the C3 – C8 cycloalkyl group, the C3 – C8 halocycloalkyl group, the C1 – C6 alkoxy group, the C1 – C6 haloalkoxy group, the C1 – C6 alkylsulfonyloxy group, the unsubstituted or substituted phenylsulfonyloxy group, the C1 – C6 alkylthio group, the C1 – C6 alkylsulfenyl group, the C1 – C6 alkylsulfonyl group, the C1 – C6 haloalkylthio group, the C1 – C6 haloalkylsulfenyl group, the C1 – C6 haloalkylsulfonyl group, the unsubstituted or substituted phenylthio group, the unsubstituted or substituted phenylsulfenyl group, the unsubstituted or substituted phenylsulfonyl group, the amino group, the mono C1 – C6 alkylamino group, the di C1 – C6 alkylamino group, the acylamino group, the unsubstituted or substituted phenylamino group of the above-mentioned Q the include the same groups which were illustrated as concrete examples of these substituents of the above-mentioned Y.

[0041]

Concrete examples of the C1 – C12 alkyl group, the C1 – C12 haloalkyl group of the above-mentioned Q include the same groups which were illustrated as concrete examples of the C1 – C12 alkyl group, the C1 – C12 haloalkyl group of R₃, R₄.

[0042]

R₈, R₉ each independently represent, similar to the above-mentioned R₃, R₄, a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, or an unsubstituted or substituted phenyl group.

[0043]

Further, R₁₀ – R₁₂ each independently represent, similar to the above-mentioned R₃, R₄, a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, or an unsubstituted or substituted phenyl group.

[0044]

q represents an integer from 0 – 7.

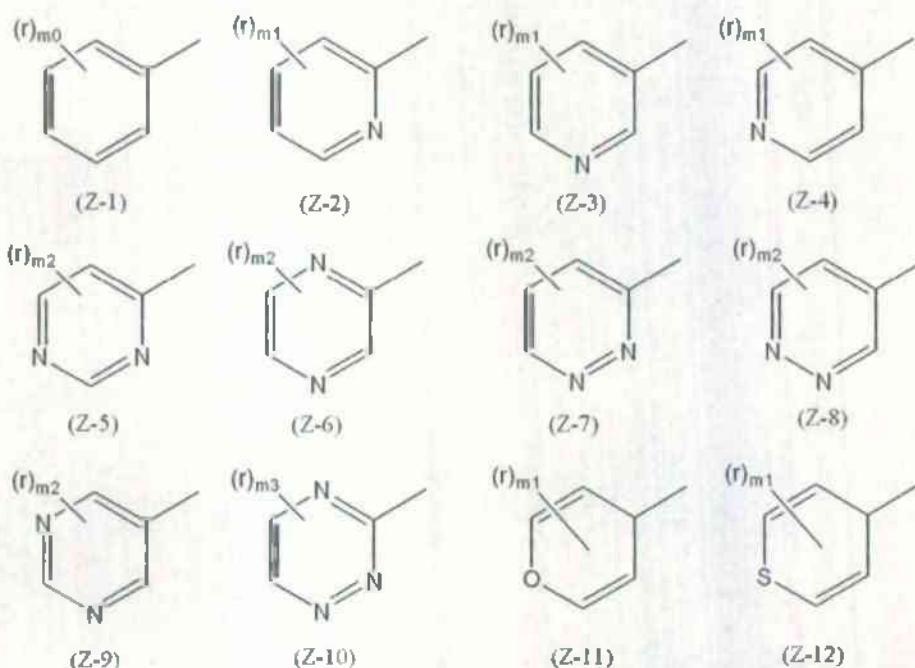
In case q is 2 or more, the various Q may be the same or different to each other.

[0045]

Z represents an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group. Concrete examples thereof include the same groups which were specified as concrete examples of the unsubstituted or substituted phenyl group and the unsubstituted or substituted heterocyclic group of the above-mentioned Y. As concrete examples of Z can be mentioned the groups shown in the following (Z-1) – (Z-32), however, they are not limited to these examples.

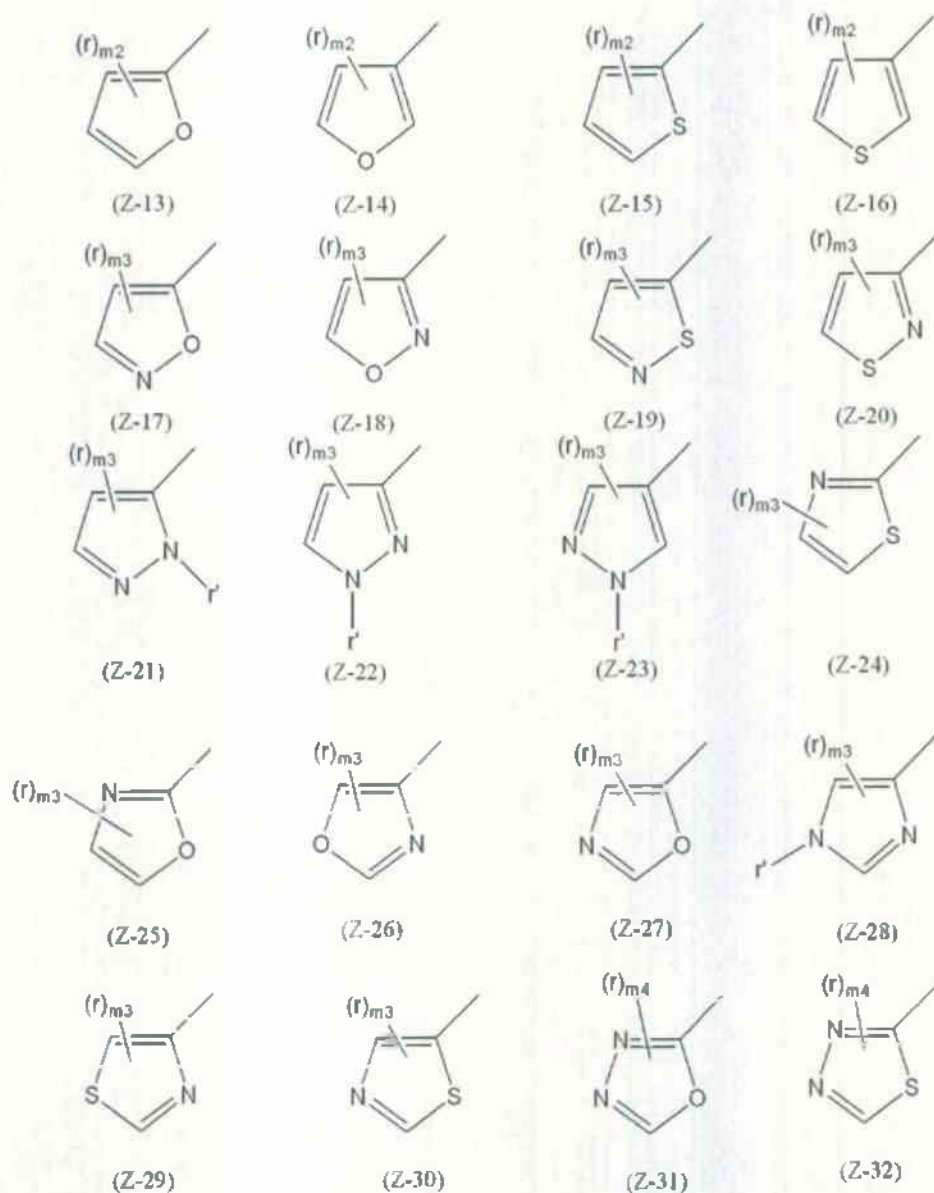
[0046]

[Chemical formula 5]



[0047]

[Chemical formula 6]



[0048]

In the above-mentioned formula *r* represents, for example, a halogen atom such as the fluorine atom, the chlorine atom, the bromine atom and the like; a cyano group; a nitro group; a C1 – C6 alkyl group such as the methyl group, the ethyl group and the like; C3 – C8 cycloalkyl group such as the cyclopropyl group, the cyclopentyl group, the cyclohexyl group and the like; a C2 – C6 alkenyl group such as the vinyl group, the propenyl group and the like; a C2 – C6 alkynyl group such as the ethynyl group, the propargyl group and the like; a hydroxy group; a C1 – C6 alkoxy group such as the methoxy group, the ethoxy group, the isopropoxy group and the like; an unsubstituted or substituted phenoxy group such as the phenoxy group; the 4-methylphenoxy group and the like; a mercapto group; a C1 – C6 alkylthio group such as the methylthio group, the ethylthio group and the like; a C1 – C6 alkylsulfinyl group such as the methylsulfinyl group, the ethylsulfinyl group and the like; a C1 – C6 alkylsulfonyl group such as the methylsulfonyl group, the ethylsulfonyl group and the

like; an unsubstituted or substituted phenylthio group such as the phenylthio group, the 4-chlorophenylthio group and the like; an unsubstituted or substituted phenylsulfonyl group such as the phenylsulfonyl group, the 4-methylphenylsulfonyl group and the like; a C1 - C6 alkylsulfonyloxy group such as the methylsulfonyloxy group, the ethylsulfonyloxy group and the like; an unsubstituted or substituted phenylsulfonyloxy group such as the phenylsulfonyloxy group, the 2-chlorophenylsulfonyloxy group and the like; a C1 - C6 alkoxycarbonyl group such as the methoxycarbonyl group, the ethoxycarbonyl group and the like.

[0049]

m0 represents an integer from 0 - 5, m1 an integer from 0 - 4, m2 an integer from 0 - 3, m3 an integer from 0 - 2, m4 represents 0 or 1. In case m0 - m3, respectively, are 2 or more, the various r may be the same or different to each other.

r' represents, for example, a hydrogen atom; a C1 - C6 alkyl group such as the methyl group, the ethyl group and the like; an unsubstituted or substituted phenyl group such as the phenyl group, the 4-methylphenyl group and the like.

[0050]

R₁ and R₂ each independently represent a hydrogen atom; a cyano group; a (C1 - C12 alkyl group; a C3 - C12 cycloalkyl group; a C2 - C12 alkenyl group; a C3 - C12 cycloalkenyl group; a C2 - C12 alkynyl group optionally substituted by G; a mercapto group; a C1 - C6 alkylthio group; a C1 - C6 alkylsulfonyl group; an unsubstituted or substituted phenylthio group; an unsubstituted or substituted phenylsulfonyl group; a group represented by -SN(R₁₃)(R₁₄) such as -SNH(CH₃), -SN(CH₃)₂, -SNHPh, -SNH(cPr) and the like; a group represented by -S(O)₂N(R₁₃)(R₁₄) such as -SO₂NH(CH₃), -SO₂N(CH₃)₂, -SO₂NHPh, -SO₂NH(cPr) and the like; a hydroxy group; a group represented by -OR₁₃ such as a methoxy group. A trifluoromethoxy group, a phenoxy group, cyclopentyloxy group and the like; a group represented by -N(R₁₃)(R₁₄) such as an amino group, a methylamino group, ethylamino group, a phenylamino group, a dimethylamino group, a diethylamino group and the like; a group represented by -N=CH(R₁₃) such as -N=CH(CH₃), -N=CH(CF₃), -N=CH(Ph), -N=CH(cPr) and the like; a group represented by -N=C(R₁₃)(R₁₄) such as -N=C(CH₃)₂, -N=C(CH₃)(CF₃), -N=C(CF₃)₂, -N=C(CH₃)(Ph), -N=C(Ph)₂, -N=C(CH₃)(cPr) and the like; a group represented by -C(=O)R₁₃ such as -C(=O)CH₃, -C(=O)CF₃, -C(=O)Ph, -C(=O)cPr and the like; a group represented by -CO₂R₁₃ such as -CO₂CH₃, -CO₂CCl₃, -CO₂Ph, -CO₂cPr and the like; a group represented by -CO₂R₁₃ such as -CO₂CH₃, -CO₂CCl₃, -CO₂Ph, -CO₂cPr and the like; a group represented by -C(=O)SR₁₃ such as -C(=O)SCH₃, -C(=O)SCCl₃, -C(=O)SPh, -C(=O)S(cPr) and the like; a group represented by -C(=O)N(R₁₃)(R₁₄) such as -C(=O)NHCH₃, -C(=O)N(CH₃)₂, -C(=O)NHPh, -C(=O)NH(cPr) and the like; a group represented by -C(=S)OR₁₃ such as -C(=S)OCH₃, -C(=S)OCCl₃, -C(=S)OPh, -C(=S)O(cPr) and the like; a group represented by -C(=S)SR₁₃ such as -C(=S)SCH₃, -C(=S)SCCl₃, -C(=S)SPh, -C(=S)S(cPr) and the like; a group represented by -C(=S)N(R₁₃)(R₁₄) such as -C(=S)NHCH₃, -C(=S)N(CH₃)₂, -C(=S)NHPh, -C(=S)NH(cPr) and the like; a group represented by -C(=NR₁₃)OR₁₄ such as -C(=NH)OCH₃, -C(=NCH₃)OCH₃, -C(=NH)OCCl₃, -C(=NH)OPh, -C(=NCH₃)OPh, -C(=NH)OcPr and the like; a group represented by -C(=NR₁₃)SR₁₄ such as -C(=NH)SCH₃, -C(=NCH₃)SCH₃, -C(=NH)SCCl₃, -C(=NH)SPh, -C(=NCH₃)SPh, -C(=NH)ScPr and the like; a group represented by -C(=NR₁₃)N(R₁₄)(R₁₅) such as -C(=NH)NHCH₃, -C(=NCH₃)NHCH₃, -C(=NH)N(CH₃)₂, -C(=NH)NHPh,

$-\text{C}(=\text{NCH}_3)\text{NHPh}$, $-\text{C}(=\text{NH})\text{NH}(\text{cPr})$ and the like; an unsubstituted or substituted phenyl group; or an unsubstituted or substituted heterocyclic group.

[0051]

$\text{R}_{13} - \text{R}_{15}$ each independently represent, similar to the above-mentioned R_3 , R_4 , a hydrogen atom, a C1 – C12 alkyl group, a C1 – C12 haloalkyl group, a C3 – C12 cycloalkyl group, a C2 – C12 alkenyl group, a C2 – C12 haloalkenyl group, a C2 – C12 alkynyl group, a C2 – C12 haloalkynyl group, a C1 – C12 alkylthio group, a hydroxy group, a C1 – C12 alkoxy group, an amino group, a mono C1 – C6 alkylamino group, a di C1 – C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group, or an unsubstituted or substituted phenyl group.

[0052]

As C1 – C12 alkyl group of the C1 – C12 alkyl group optionally substituted by G, of the above-mentioned R_1 and R_2 , can be mentioned the methyl group, the ethyl group, the propyl group, the isopropyl group, the butyl group, the t-butyl group, the pentyl group, the hexyl group, the octyl group, the nonyl group, the decyl group and the like.

[0053]

As C3 – C12 cycloalkyl group of the C3 – C12 cycloalkyl groups optionally substituted by G, can be mentioned the cyclopropyl group, the cyclopentyl group, the cyclohexyl group, the cyclooctyl group and the like.

[0054]

As C2 – C12 alkenyl group of the C2 – C12 alkenyl groups optionally substituted by G, can be mentioned the vinyl group, the propenyl group, the 2-butenyl group, the 3-butenyl group, the 2-pentenyl group, the 3-pentenyl group, the 4-pentenyl group, the 2-hexenyl group, the 3-hexenyl group, the 4-hexenyl group, the 5-hexenyl group and the like.

[0055]

As C3 – C12 cycloalkenyl group of the C3 – C12 cycloalkenyl groups optionally substituted by G, can be mentioned the cyclopentenyl group, the cyclohexenyl group, the cyclooctenyl group and the like.

[0056]

As C2 – C12 alkynyl group of the C2 – C12 alkynyl groups optionally substituted by G, can be mentioned the ethynyl group, the propargyl group, the 2-butenyl group, the 2-pentenyl group and the like.

[0057]

G represents a halogen atom; a cyano group; a nitro group; a C3 – C8 cycloalkyl group; a C3 – C8 halocycloalkyl group; a hydroxy group; a C1 – C6 alkoxy group; a C1 – C6 alkylsulfonyloxy group; an unsubstituted or substituted phenylsulfonyloxy group; a mercapto group; a C1 – C6 alkylthio group; a C1 – C6 alkylsulfonyl group; a C1 – C6 alkylsulfonyl group; a C1 – C6 haloalkylthio group; a C1 – C6 haloalkylsulfonyl group; a C1 – C6 haloalkylsulfonyl group; an unsubstituted or substituted phenylthio group; an unsubstituted or substituted phenylsulfonyl group; an unsubstituted or substituted phenylsulfonyl group; a group represented by $-\text{OR}_{16}$ such as a methoxy group, an ethoxy group, a trifluoromethoxy group, a cyclopropyloxy group, an allyloxy group, a 3-chloroallyloxy group, a propargyloxy group, a 3-chloropropargyloxy group, a phenoxy group and the like; a group represented by $-\text{N}(\text{R}_{16})(\text{R}_{17})$ such as an amino group, a methylamino group, a phenylamino group, a dimethylamino group, a diphenylamino, a phenylmethylamino group and the like; a group represented by $-\text{N}=\text{CH}(\text{R}_{16})$ such as $-\text{N}=\text{CH}(\text{CH}_3)$, $-\text{N}=\text{CH}(\text{CF}_3)$, $-\text{N}=\text{CHPh}$, $-\text{N}=\text{CH}(\text{cPr})$ and the like; a group represented by

$-\text{N}=\text{C}(\text{R}_{16})(\text{R}_{17})$ such as $-\text{N}=\text{C}(\text{CH}_3)_2$, $-\text{N}=\text{C}(\text{CH}_3)(\text{CF}_3)$, $-\text{N}=\text{C}(\text{CF}_3)_2$, $-\text{N}=\text{C}(\text{Ph})_2$, $-\text{N}=\text{C}(\text{CH}_3)\text{Ph}$, $-\text{N}=\text{C}(\text{cPr})_2$ and the like; a group represented by $-\text{C}(=\text{O})\text{R}_{16}$ such as $-\text{C}(=\text{O})\text{CH}_3$, $-\text{C}(=\text{O})\text{CF}_3$, $-\text{C}(=\text{O})\text{Ph}$, $-\text{C}(=\text{O})\text{cPr}$ and the like; a group represented by $-\text{CO}_2\text{R}_{16}$ such as $-\text{CO}_2\text{CH}_3$, $-\text{CO}_2\text{CCl}_3$, $-\text{CO}_2\text{Ph}$, $-\text{CO}_2(\text{cPr})$ and the like; a group represented by $-\text{C}(=\text{O})\text{SR}_{16}$ such as $-\text{C}(=\text{O})\text{SCH}_3$, $-\text{C}(=\text{O})\text{SCCl}_3$, $-\text{C}(=\text{O})\text{SPh}$, $-\text{C}(=\text{O})\text{S}(\text{cPr})$ and the like; a group represented by $-\text{C}(=\text{O})\text{N}(\text{R}_{16})(\text{R}_{17})$ such as $-\text{C}(=\text{O})\text{NHCH}_3$, $-\text{C}(=\text{O})\text{N}(\text{CH}_3)_2$, $-\text{C}(=\text{O})\text{NHPh}$, $-\text{C}(=\text{O})\text{NHcPr}$ and the like; a group represented by $-\text{C}(=\text{S})\text{OR}_{16}$ such as $-\text{C}(=\text{S})\text{OCH}_3$, $-\text{C}(=\text{S})\text{OCCl}_3$, $-\text{C}(=\text{S})\text{OPh}$, $-\text{C}(=\text{S})\text{O}(\text{cPr})$ and the like; a group represented by $-\text{C}(=\text{S})\text{SR}_{16}$ such as $-\text{C}(=\text{S})\text{SCH}_3$, $-\text{C}(=\text{S})\text{SCCl}_3$, $-\text{C}(=\text{S})\text{SPh}$, $-\text{C}(=\text{S})\text{S}(\text{cPr})$ and the like; a group represented by $-\text{C}(=\text{S})\text{N}(\text{R}_{16})(\text{R}_{17})$ such as $-\text{C}(=\text{S})\text{NHCH}_3$, $-\text{C}(=\text{S})\text{N}(\text{CH}_3)_2$, $-\text{C}(=\text{S})\text{NHPh}$, $-\text{C}(=\text{S})\text{NHcPr}$ and the like; a group represented by $-\text{C}(=\text{NR}_{16})\text{OR}_{17}$ such as $-\text{C}(=\text{NH})\text{OCH}_3$, $-\text{C}(=\text{NCH}_3)\text{OCH}_3$, $-\text{C}(=\text{NH})\text{OCCl}_3$, $-\text{C}(=\text{NH})\text{OPh}$, $-\text{C}(=\text{NCH}_3)\text{OPh}$, $-\text{C}(=\text{NH})\text{OcPr}$ and the like; a group represented by $-\text{C}(=\text{NR}_{16})\text{SR}_{17}$ such as $-\text{C}(=\text{NH})\text{SCH}_3$, $-\text{C}(=\text{NCH}_3)\text{SCH}_3$, $-\text{C}(=\text{NH})\text{SCCl}_3$, $-\text{C}(=\text{NH})\text{SPh}$, $-\text{C}(=\text{NCH}_3)\text{SPh}$, $-\text{C}(=\text{NH})\text{S}(\text{cPr})$ and the like; a group represented by $-\text{C}(=\text{NR}_{16})\text{N}(\text{R}_{17})(\text{R}_{18})$ such as $-\text{C}(=\text{NH})\text{NHCH}_3$, $-\text{C}(=\text{NCH}_3)\text{NHCH}_3$, $-\text{C}(=\text{NH})\text{N}(\text{CH}_3)_2$, $-\text{C}(=\text{NH})\text{NHPh}$, $-\text{C}(=\text{NH})\text{NHcPr}$ and the like; a group represented by $-\text{Si}(\text{R}_{19})(\text{R}_{20})(\text{R}_{21})$ such as $-\text{Si}(\text{CH}_3)_3$, $-\text{SiPh}_3$, $-\text{Si}(\text{cPr})_3$, $-\text{Si}(\text{Me})_2(\text{t-Bu})$ and the like; an unsubstituted or substituted phenyl group, or an unsubstituted or substituted heterocyclic group.

[0058]

Here, $\text{R}_{16} - \text{R}_{18}$ each independently represent, similar to the above-mentioned R_3 , R_4 , a hydrogen atom, a C1 - C12 alkyl group, a C1 - C12 haloalkyl group, a C3 - C12 cycloalkyl group, a C2 - C12 alkenyl group, a C2 - C12 haloalkenyl group, a C2 - C12 alkynyl group, a C2 - C12 haloalkynyl group, a C1 - C12 alkylthio group, a hydroxy group, a C1 - C12 alkoxy group, an amino group, a mono C1 - C6 alkylamino group, a di C1 - C6 alkylamino group, an acylamino group, an unsubstituted or substituted phenylamino group or an unsubstituted or substituted phenyl group.

[0059]

Further, $\text{R}_{19} - \text{R}_{21}$ each independently represent, similar to the above-mentioned R_3 , R_4 , a hydrogen atom, a C1 - C12 alkyl group, a C1 - C12 haloalkyl group or an unsubstituted or substituted phenyl group.

[0060]

Concrete examples of the unsubstituted or substituted heterocyclic groups of the above-mentioned G include the same groups which were specified as concrete examples of the unsubstituted or substituted heterocyclic groups of the above-mentioned Y.

[0061]

Concrete examples of the C1 - C6 alkylthio group, the C1 - C6 alkylsulfonyl group, the unsubstituted or substituted phenylthio group, the unsubstituted or substituted phenylsulfonyl group, the C1 - C6 alkoxy group, the mono C1 - C6 alkylamino group, the di C1 - C6 alkylamino group, the acylamino group, the unsubstituted or substituted phenylamino group, the unsubstituted or substituted phenyl group and the unsubstituted or substituted heterocyclic group of R_1 and R_2 include the same groups which were specified as concrete examples of the C1 - C6 alkylthio group, the C1 - C6 alkylsulfonyl group, the unsubstituted or substituted phenylthio group, the unsubstituted or substituted phenylsulfonyl group, the C1 - C6 alkoxy group, the mono C1 - C6 alkylamino group, the di C1 - C6 alkylamino group, the acylamino group, the unsubstituted or substituted phenylamino group, the unsubstituted or

substituted phenyl group and the unsubstituted or substituted heterocyclic group of the above-mentioned Y.

[0062]

Further, R_1 and R_2 may bind to each other and form a group represented by $=C(R_{13})(R_{14})$ (R_{13} , R_{14} have the same meaning as mentioned above.), and R_1 and R_2 may bind to each other and form a 3 – 8-membered ring.

[0063]

Concrete examples of the above-mentioned group, represented by $=C(R_{13})(R_{14})$, include $=CH_2$, $=CH(CH_3)$, $=C(CH_3)_2$, $=CH(C_6H_5)$, $=C(C_6H_5)_2$ and the like, however, they are not limited to these examples.

[0064]

Further, as concrete examples of the 3 – 8-membered rings, which are formed when R_1 and R_2 bind to each other, can be mentioned the 3 – 8-membered rings, composed of the C2 – C7 alkylene chain, formed by R_1 and R_2 , and the binding nitrogen atom.

[0065]

In this case, these alkylene chains may contain one oxygen atom, sulfur atom or nitrogen atom, and they may be substituted by a halogen atom, a C1 – C6 alkyl group, a C1 – C6 haloalkyl group, a C1 – C6 alkoxy (C1 – C6) alkyl group, a C1 – C6 alkoxy group, a formyl group, a C1 – C6 alkylcarbonyl group, a C1 – C6 haloalkylcarbonyl group or a C1 – C6 alkoxy carbonyl group.

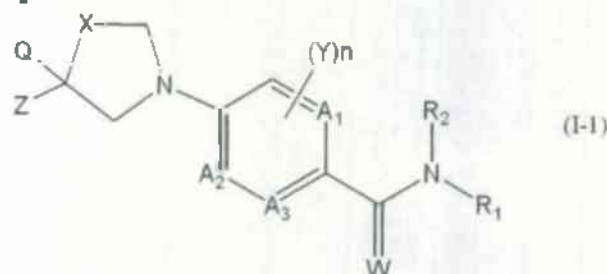
s is 0 or 1.

[0066]

As nitrogen-containing heterocyclic compounds according to the present invention, the nitrogen-containing heterocyclic compounds represented by the following formula (I-1)

[0067]

[Chemical formula 7]



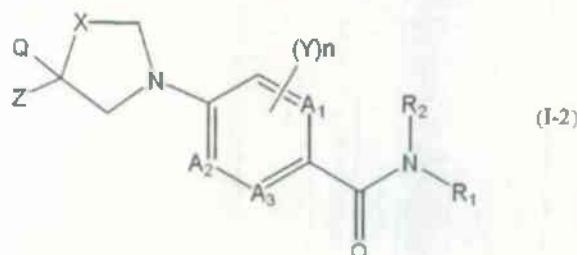
[0068]

(In the formula, W, A_1 , A_2 , A_3 , Y, n, R_1 , R_2 , X, Q, q and Z have the same meaning as mentioned above.) are preferred,

[0069]

the nitrogen-containing heterocyclic compounds represented by the following formula (I-2)

[Chemical formula 8]



[0070]

(In the formula, A_1 , A_2 , A_3 , Y , n , R_1 , R_2 , X , Q and Z have the same meaning as mentioned above.) are particularly preferred.

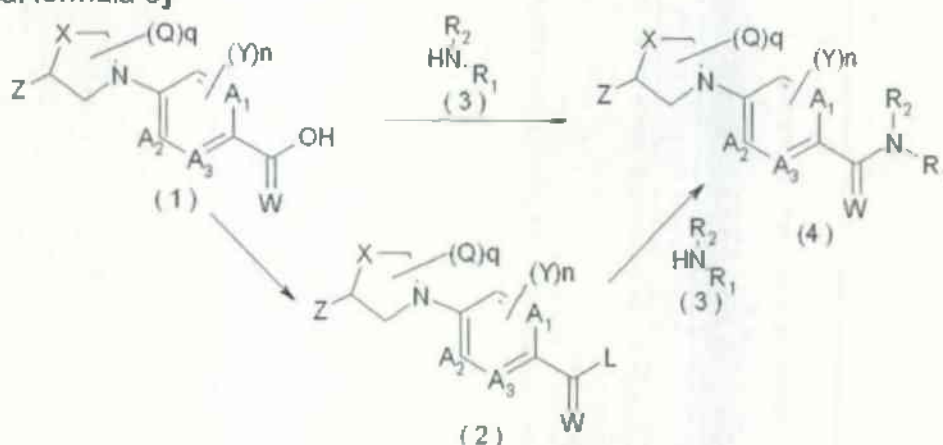
[0071]

The compounds represented by the above-mentioned formula (1) can be manufactured, for example, by the methods shown hereinafter.

(Manufacturing method 1)

[0072]

[Chemical formula 9]



[0073]

(In the formula, W , A_1 , A_2 , A_3 , Y , n , R_1 , R_2 , X , Q and Z have the same meaning as mentioned above. L represents a leaving group such as a halogen atom, a C1 – C6 alkoxy group, a phenoxy group, a C1 – C6 alkylcarbonyloxy group, a C1 – C6 alkoxy carbonyloxy group, a 1-imidazolyl group, a 1-pyrazolyl group, a p-toluenesulfonyloxy group, a methanesulfonyloxy group or a trifluoromethanesulfonyl group and the like.)

[0074]

More specifically, the compounds represented by the formula (4) can be obtained by reaction of the compounds represented by the formula (1) and the amine compounds represented by the formula (3), in presence of a base if requested, using a condensation agent.

[0075]

Condensation agents used in this reaction include Mukaiyama reagent (2-chloro-N-methylpyridinium iodide); DCC (1,3-dicyclohexylcarbodiimide), EDCI (1-ethyl-3-(3-dimethylaminopropyl)-carbodiimide hydrochloride), carbonyldiimidazole, dimethylpropynylsulfonium bromide, propargyltriphenylphosphonium bromide, diethyl cyanophosphonate and the like.

[0076]

As bases can be used alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; carbonates such as sodium carbonate, potassium carbonate and the like; metal alkoxides such as sodium methoxide, potassium t-butoxide, magnesium ethoxide and the like; metal-organic compounds such as n-butyllithium, lithium diisopropylamide (LDA) and the like; metal hydrides such as sodium hydride, potassium hydride and the like; organic bases such as triethylamine, diisopropylethylamine, pyridine, N,N-dimethylaminopyridine and the like.

[0077]

All solvents, which are inert to the reaction, can be used as solvents without particular limitation. They include, for example, hydrocarbon solvents such as pentane, hexane, heptane, benzene, toluene, xylene and the like; halogenated solvents such as dichloromethane, 1,2-dichloroethane, chloroform, carbon tetrachloride and the like; nitrile solvents such as acetonitrile, propionitrile and the like; ether solvents such as diethyl ether, dioxane, tetrahydrofuran and the like; aprotic polar solvents such as N,N-dimethylformamide (DMF), dimethylsulfoxide (DMSO); and mixtures containing 2 or more of these solvents.

The reaction proceeds smoothly in a temperature range from -78°C up to the boiling point of the used solvent.

[0078]

Further, by reaction of the compounds represented by the formula (2), which are obtained from the compounds represented by the formula (1) by a method, wherein these are reacted with a halogenating agent such as thionyl chloride, oxalyl chloride and the like; by a method, wherein they are reacted with organic halogen compounds such as pivaloyl chloride, ethyl chloroformate and the like, if necessary in presence of a base; or by a method, wherein they are reacted with carbonylimidazole and the like, with the compounds represented by the formula (3), if necessary in presence of a base, the compounds represented by the formula (4) can be obtained.

[0079]

Bases used in this reaction include alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; carbonates such as sodium carbonate, potassium carbonate and the like; metal alkoxides such as sodium methoxide, potassium t-butoxide, magnesium ethoxide and the like; metal-organic compounds such as n-butyllithium, lithium diisopropylamide (LDA) and the like; metal hydrides such as sodium hydride, potassium hydride and the like; organic bases such as triethylamine, diisopropylethylamine, pyridine, N,N-dimethylaminopyridine and the like.

[0080]

All solvents, which are inert to the reaction, can be used as solvents without particular limitation. They include, for example, hydrocarbon solvents such as pentane, hexane, heptane, benzene, toluene, xylene and the like; halogenated solvents such as dichloromethane, 1,2-dichloroethane, chloroform, carbon tetrachloride and the like; nitrile solvents such as acetonitrile, propionitrile and the like; ether solvents such as diethyl ether, dioxane, tetrahydrofuran and the like; aprotic polar solvents such as N,N-dimethylformamide (DMF), dimethylsulfoxide (DMSO); and mixtures containing 2 or more of these solvents.

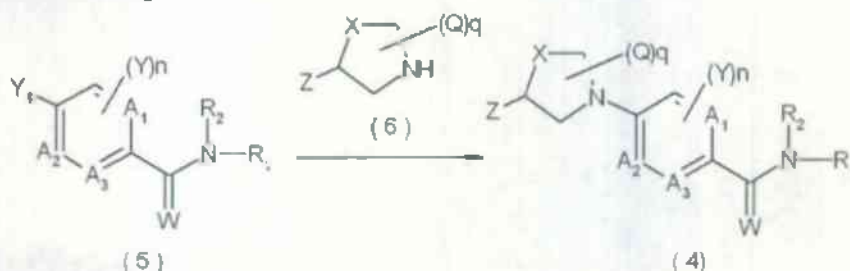
[0081]

The reaction proceeds smoothly in a temperature range from -78°C up to the boiling point of the used solvent.

(Manufacturing method 2)

[0082]

[Chemical formula 10]



[0083]

(In the formula, W, A₁, A₂, A₃, Y, n, R₁, R₂, X, Q, q and Z have the same meaning as mentioned above. Y₁ represents a leaving group such as a halogen atom, a C₁₋₆ haloalkylsulfonyloxy group, or a C₁₋₆ alkylsulfonyloxy group.)

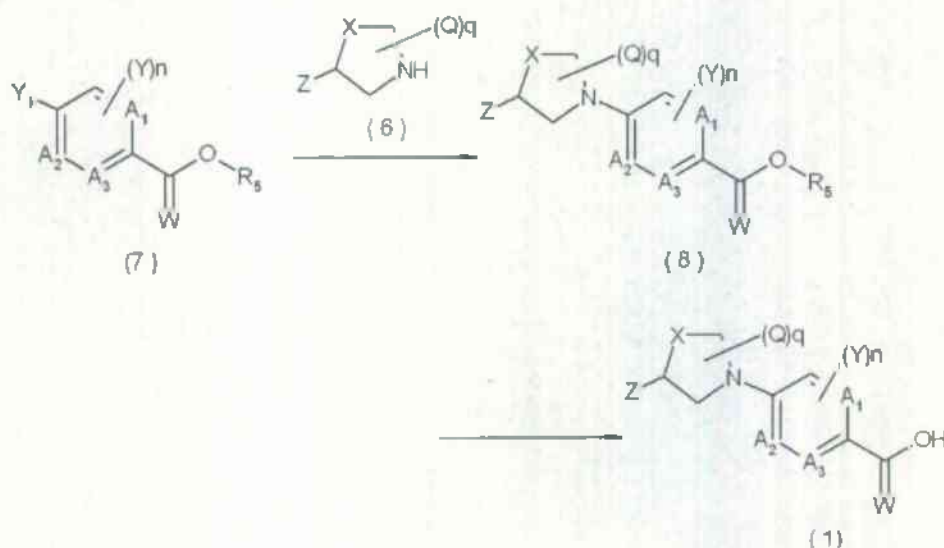
[0084]

More specifically, the compounds represented by the formula (4) can be obtained by a common transition metal-catalyzed cross-coupling reaction of the compounds represented by the formula (5) and the compounds represented by the formula (6) using palladium and the like, according to the reaction conditions described in the literature, for example, Tetrahedron Lett., 1997, 38, 36, 6359; J. Org. Chem. 2000, 65, 4, 1144 and the like.

(Manufacturing method 3)

[0085]

[Chemical formula 11]



[0086]

(In the formula, W, A₁, A₂, A₃, Y, n, Y₁, X, Q, q and Z have the same meaning as mentioned above. Y₁ represents a leaving group such as a halogen atom, a C₁₋₆ haloalkylsulfonyloxy group, or a C₁₋₆ alkylsulfonyloxy group, R₅ represents a lower alkyl group such as the methyl group, the ethyl group and the like.)

[0087]

More specifically, the compounds represented by the formula (8) can be obtained by a common transition metal-catalyzed cross-coupling reaction of the compounds represented by the formula (7) and the compounds represented by the formula (6) using palladium and the like, according to the reaction conditions described in the literature, for example, Tetrahedron Lett., 1997, 38, 36, 6359; J. Org. Chem. 2000, 65, 4, 1144 and the like.

[0088]

Further, the compounds represented by the formula (1) can be obtained by a common ester hydrolysis reaction of the compounds represented by the formula (8) according to the reaction conditions described in the literature, for example, J. Am. Chem. Soc. 1929, 51, 1865; Angew. Chem., 1950, 63, 329 and the like.

(Manufacturing method 4)

[0089]

[Chemical formula 12]

tetrachloride and the like; nitrile solvents such as acetonitrile, propionitrile and the like; ether solvents such as diethyl ether, dioxane, tetrahydrofuran (THF) and the like; aprotic polar solvents such as N,N-dimethylformamide (DMF), dimethylsulfoxide (DMSO); and mixtures containing 2 or more of these solvents.

The used amount of the solvent is normally 1 – 100 ml per 1 g of the compound represented by the formula (9).

[0098]

The reaction temperature, normally, lies in a range from -20°C up to the boiling point of the used solvent.

The reaction time, normally, ranges from several minutes up to dozens of hours.

[0099]

There is no particular limitation for the removal method of the protecting group E from the compounds represented by the formula (11) and common reactions for the removal of protecting groups of amino groups can be applied. They include, for example, a method wherein compounds represented by the formula (11) are reacted with 1-chloroethyl chloroformate; a method wherein compounds represented by the formula (11) are hydrolyzed with mineral acids such as hydrochloric acid and the like; a method, wherein compounds represented by the formula (9) are hydrolyzed with alkalies such as sodium hydroxide, sodium sulfide, sodium disulfide and the like; a method wherein compounds represented by the formula (11) are cleaved by alcoholysis using metal alkoxides; a method wherein compounds represented by the formula (11) are reduced with reducing agents such as lithium aluminum hydride, sodium boron hydride and the like.

[0100]

All solvents, which are inert to the reaction, can be used as solvent in these methods for removing the group E without particular limitation. They include, for example, hydrocarbon solvents such as n-pentane, n-hexane, n-heptane, benzene, toluene, xylene and the like; halogenated solvents such as dichloromethane, 1,2-dichloroethane, chloroform, carbon tetrachloride and the like; nitrile solvents such as acetonitrile, propionitrile and the like; ether solvents such as diethyl ether, dioxane, tetrahydrofuran (THF) and the like; aprotic polar solvents such as N,N-dimethylformamide (DMF), dimethylsulfoxide (DMSO); and mixtures containing 2 or more of these solvents. The used amount of the solvent is normally 1 – 100 ml per 1 g of the compound represented by the formula (11).

[0101]

The reaction temperature, normally, lies in a range from 0°C up to the boiling point of the used solvent.

The reaction time, normally, ranges from several minutes up to 24 hours.

[0102]

There is no particular limitation for the salts of compounds represented by the formula (I) as far as they are acceptable for use in agriculture and horticulture. They include, for example, salts of inorganic acids such as hydrochlorides, nitrates, sulfates, phosphates and the like, salts of organic acids such as acetic acid, propionic acid, lactic acid and the like.

[0103]

The salts of compounds represented by the formula (I) can be prepared, for example, by reacting inorganic acids or organic acids with the compounds represented by the formula (I).

[0104]

In each reaction, the target compound can be isolated after the end of the reaction by the usual after-treatment processes, and, if purification of the product is

necessary, followed by known conventional purification procedures such as distillation, recrystallization or column chromatography and the like.

[0105]

The structures of the target compounds can be identified and confirmed by known analyses methods such as elementary analyses, NMR spectrum, IR spectrum, Mass spectrum and the like.

[0106]

2) Pest control agents

The compounds represented by the formula (I) and salts thereof (hereinafter referred to as the compounds of the present invention) can be used for the control of animal pests in agriculture, sanitary insect pests, stored grain insect pests, cloth insect pests, house insect pests and the like, and they possess an adulticidal, nymphicidal and larvicidal insecticidal action and an ovicidal action. Representative examples thereof are shown below.

[0107]

Examples of Lepidopteran insect pests include *Spodoptera litura*, *Mamestra brassicae*, *Agrotis ipsilon*, *Pieris rapae*, *Autographa nigrisigna*, *Plutella xylostella*, *Adoxophyes honmai*, *Homona magnanima*, *Carposina sasakii*, *Grapholita molesta*, *Phyllocnistis citrella*, *Caloptilia theivora*, *Phyllonorycter ringoniella*, *Lymantria dispar*, *Arna pseudoconspersa*, *Chilo suppressalis*, *Cnaphalocrocis medinalis*, *Ostrinia nubilalis*, *Hyphantria cunea*, *Cadra cautella*, *Heliothis* sp., *Helicoverpa* sp., *Agrotis* sp., *Tinea translucens*, *Cydia pomonella*, *Pectinophora* sp., and the like.

[0108]

Examples of Hemipteran insect pests include *Myzus persicae*, *Aphis gossypii*, *Lipaphis erysimi*, *Rhopalosiphum padi*, *Riptortus clavatus*, *Nezara antennata*, *Unaspis yanonensis*, *Pseudococcus comstocki*, *Trialeurodes vaporariorum*, *Bemisia tabaci*, *Bemisia argentifolii*, *Psylla pyrisuga*, *Stephanitis nashi*, *Nilaparvata lugens*, *Laodelphax stratella*, *Sogatella furcifera*, *Nephotettix cincticeps* and the like.

Examples of Coleopteran insect pests include *Phyllotreta striolata*, *Aulacophora femoralis*, *Leptinotarsa decemlineata*, *Lissorhoptrus oryzophilus*, *Sitophilus zeamais*, *Callosobruchus chinensis*, *Popillia japonica*, *Anomala rufocuprea*, *Diabrotica* sp., *Lasioderma serricorne*, *Lyctus brunneus*, *Monochamus alternatus*, *Anoplophora malasiaca*, *Agriotes* sp., *Epilachna vigintioctopunctata*, *Tenebroides mauritanicus*, *Anthonomus grandis* and the like.

[0109]

Examples of Dipteran insect pests include *Musca domestica*, *Calliphora lata*, *Boettcherisca peregrina*, *Bactrocera cucurbitae*, *Bactrocera dorsalis*, *Delia platura*, *Agromyza oryzae*, *Drosophila melanogaster*, *Stomoxys calcitrans*, *Culex tritaeniarhynchus*, *Aedes aegypti*, *Anopheles hyrcanus* and the like.

Examples of Thysanopteran insect pests include *Thrips palmi*, *Scirtothrips dorsalis* and the like.

Examples of Hymenopteran insect pests include *Monomorium pharaonis*, *Vespa simillima*, *Athalia rosae* and the like.

Examples of Orthopteran insect pests include *Locusta migratoria*, *Blattella germanica*, *Periplaneta americana*, *Periplaneta fuliginosa* and the like.

[0110]

Examples of Isopteran insect pests include *Coptotermes formosanus*, *Reticulitermes speratus* and the like.

Examples of Siphonapteran insect pests include *Pulicidae*, *Ctenocephalides felis* and the like.

Examples of Anopluran insect pests include *Pediculidae* and the like.

Examples of mites include *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus kanzawai*, *Panonychus citri*, *Panonychus ulmi*, *Aculops pelekassi*, *Aculus schlechtendali*, *Polyphagotarsonemus latus*, *Brevipalpus* sp., *Eotetranychus* sp., *Rhizoglyphus robini*, *Tyrophagus putrescentiae*, *Desmatophagoides farinae*, *Boophilus microplus*, *Haemaphysallis bispinosa* and the like.

Examples of plant-parasitizing nematodes include *Meloidogyne incognita*, *Pratylenchus penetrans*, *Heterodera glycines*, *Aphelenchoides besseyi*, *Bursaphelenchus xylophilus* and the like.

[0111]

Preferred target animal pests are Lepidopterous insect pests, Hemipterous insect pests, mites, Thysanopterous insect pests and Coleopterous insect pests, mites are particularly preferred.

[0112]

In the recent time, various insect pests, such as cabbage moth (*Plutella xylostella*), planthoppers, leafhoppers, aphids and the like have developed resistance against organophosphorous insecticides, carbamate insecticides, acaricides and the like. As the efficacy of these agents has become insufficient, pesticides that are also active against resistant strains of insect pests and mites are required. The compounds of the present invention are pesticides, which possess excellent insecticidal and acaricidal effects not only against sensitive strains but also against insect pests resistant to organophosphorous pesticides, carbamate insecticides or pyrethroid pesticides, and mites resistant to acaricides.

[0113]

The compounds of the present invention are pesticides causing low pesticide damage, they possess low toxicity to fishes and warm-blooded animals and are highly safe.

The compounds of the present invention can also be used as antifouling agents, as they prevent aquatic animals from adhering to objects placed in water such as ship bottoms and fishing nets and the like.

[0114]

Among the compounds of the present invention there are compounds which also show bactericidal activity, herbicidal activity or plant growth regulating effects. Moreover, some of the intermediate compounds of the compounds of the present invention also have an insecticidal or acaricidal activity.

[0115]

The pest control agents and the acaricidal agents of the present invention contain one or two or more compounds of the present invention as active ingredients.

When the compounds of the present invention are applied in practice, they may be directly used without adding other ingredients, however, normally they are used by mixing them with solid carriers, liquid carriers, or gaseous carriers, or by impregnation of base materials such as porous ceramic plates, nonwoven fabrics and the like. If necessary, surface-active agents or other adjuvants are added, and with the purpose to use them as agrochemicals, they are formulated to obtain a form of a conventional agrochemical, that is to say, wettable powder, granular formulation, dusting powder, emulsifiable concentrate, water soluble powder, suspension concentrate, water dispersible granule, flowable formulation, aerosol, fogging formulation, formulation for heat-transpiration, fumigant, poison bait, microcapsules and the like.

[0116]

In case the compounds are intended be used as solid formulation, vegetable powders such as soy bean granules, wheat flour and the like, mineral micro powders

such as diatomaceous earth, apatite, calcium sulfate, talc, bentonite, pyrophyllite, clay and the like, organic or inorganic chemical compounds such as sodium benzoate, urea, mirabilite and the like, can be used as additives or carriers. In case the compounds are used as liquid formulation, petroleum fractions such as kerosene, xylene and solvent naphtha and the like, cyclohexane, cyclohexanone, dimethylformamide, dimethylsulfoxide, alcohols, acetone, methyl isobutyl ketone, mineral oils, vegetable oils, water and the like, can be used as solvents. As gaseous carriers used for aerosol formulations, butane gas, LG, dimethyl ether or carbon dioxide gas can be used.

[0117]

As base materials for poison baits, bait components such as, for example, cereal powders, vegetable oils, sugars, crystalline celluloses and the like, antioxidants such as dibutylhydroxytoluene, nordihydroguaiaretic acid and the like, preservatives such as dehydroacetic acid and the like, agents for preventing children or pets from eating the baits by mistake, such as powdered capsicum and the like, or flavors for attracting noxious insects, such as cheese flavor, onion flavor and the like, can be used.

[0118]

If necessary, surface-active agents may be added to these formulations in order to obtain a homogeneous and stable form. There is no particular limitation for these surface-active agents and they include, for example, nonionic surface-active agents such as polyoxyethylene alkyl ether adducts, polyoxyethylene higher fatty acid ester adducts, polyoxyethylene sorbitan higher fatty acid ester adducts, polyoxyethylene tristerylphenyl ether adducts and the like, adducts from polyoxyethylene and alkylphenylether sulfuric acid ester salts, alkyl naphthalene sulfonate, polycarboxylates, lignin sulfonate, formaldehyde condensates of alkyl naphthalene sulfonate, copolymers of isobutylene-maleic anhydride and the like.

[0119]

In case the compounds of the present invention are used as pest control agents for agriculture, the amount of the active ingredient ranges from 0.01 to 90 % by weight, preferably from 0.05 to 85 % by weight. They may be used as solutions, suspensions or emulsions, wherein the wettable powders, emulsifiable concentrates, suspension concentrates, flowable agents, water soluble powders or water dispersible granules are diluted with water to a given concentration. Dust formulations or granular formulations are used directly by spraying them onto plants or soils.

[0120]

In case the compounds of the present invention are used as pest control agents for epidemic prevention, the emulsifiable concentrates, wettable powders, flowable agents and the like are used after dilution with water to a given concentration. Oil based formulations, aerosols, fogging formulations, poison baits, anti-mite sheets and the like are directly used.

[0121]

When the compounds of the present invention are applied as pest control agents for the control of ectoparasites of domestic animals such as cattle, pigs and the like, or for pets such as dogs, cats and the like, formulations of the compounds of the present invention can be applied to the animals by conventional veterinary methods. These methods include, for example, a method, wherein the compounds are administered for systemic control in form of tablets, capsules, immersion liquids, mixtures with feed, suppositories, injections (intramuscular, subcutaneous, intravenous, intraperitoneal and the like) and the like, a method, wherein they are administered for non-systemic control by spraying, pouring on (pour-on) or spotting

on (spot-on) oily or aqueous liquid formulations, and a method, wherein articles such as collars, ear tags and the like are worn, produced by shaping resin formulations into a suitable form. In these cases, the compounds of the present invention are generally used at a rate of 0.01 to 1000 mg per 1 kg of a common host animal.

[0122]

Needless to say that the compounds of the present invention alone have sufficient insecticidal and acaricidal activity, however, they can be combined for application with one or more types of other pest control agents, fungicides, insecticides, acaricides, herbicides, plant growth regulators, synergists, fertilizers, soil improvement agents, animal feed and the like.

[0123]

Hereinafter, representative examples of fungicides, insecticides, acaricides and plant growth regulators are shown, which can be used in combination with the compounds of the present invention.

[0124]

Fungicides:

Captan, Folpet, Thiram, Ziram, Zineb, Maneb, Mancozeb, Propineb, Polycarbamate, Chlorothalonil, Quintozene, Captafol, Iprodione, Procymidone, fluoroimide, Mepronil, Flutolanil, Pencycuron, Oxycarboxin, Fosetyl-aluminum, Propamocarb, Triadimefon, Triadimenol, Propiconazole, Diclobutrazol, Bitertanol, Hexaconazole, Myclobutanil, Flusilazole, Etaconazole, Fluotrimazole, flutriafen, Penconazole, Diniconazole, Cyproconazole, Fenarimol, Triflumizole, Prochloraz, Imazalil, Pefurazoate, Tridemorph, Fenpropimorph, Triforine, Buthiobate, Pyrifenox, Anilazine, Polyoxins, Metalaxyl, Oxadixyl, Furalaxyl, Isoprothiolane, Probenazole, Pyrrolnitrin, Blastidicin S, Kasugamycin, Validamycin, Dihydrostreptomycin sulfate, Benomyl, Carbendazim, Thiophanate-methyl, Hymexazol, basic copper chloride, basic copper sulfate, Fentin acetate, triphenyltin hydroxide, Diethofencarb, Quinomethionate, Binapacryl, lecithin, sodium hydrogen carbonate, Dithianon, Dinocap, Fenaminosulf, Diclomezine, Guazatine, Dodine, IBP, Edifenphos, Mepanipyrim, Ferimzone, Trichlamide, Metasulfocarb, Fluazinam, Ethoquinolac, Dimetomorph, Pyroquilon, Tecloftalam, Fthalide, Fenazine oxide, Thiabendazole, Tricyclazole, Vinclozolin, Cymoxanil, Cyclobutanil, Guazatine, Propamocarb hydrochloride, Oxolinic acid, Cyflufenamid, Iminoctadine, Kresoxim-methyl, triazine, Fenhexamid, Cyazofamid, Cyprodinil, Prothioconazole, Fenbuconazole, Trifloxystrobin, Azoxystrobin, Hexaconazole, Imibenconazole, Tebuconazole, Difenconazole, Quinomethionate, Carpropamid and the like.

[0125]

Insecticides and Acaricides:

Organophosphorous and carbamate insecticides:

Fenthion, Fenitrothion, Diazinon, Chlorpyrifos, ESP, Vamidothion, Fenthioate, Dimethoate, Formothion, Malathion, Trichlorfon, Thiometon, Phosmet, Dichlorvos, Acephate, EPBP, Methyl parathion, Oxydemeton-methyl, Ethion, Salithion, Cyanophos, Isoxathione, Pyridafenthion, Phosalone, Methidathion, Sulprofos, Chlorfevinphos, Tetrachlorvinphos, Dimethylvinphos, Propaphos, Isofenphos, Ethyl thiometon, Profenophos, Pyraclofos, Monocrotophos, Azinphos methyl, Aldicarb, Methomyl, Thiodicarb, Carbofuran, Carbosulfan, Benfuracarb, Furathiocarb, Propoxur, BPMC, MTMC, MIPC, Carbaryl, Pyrimicarb, Ethiofencarb, Fenoxycarb, Cartap, Thiocyclam, Bensultap and the like.

[0126]

Pyrethroid insecticides:

Permethrin, Cypermethrin, Deltamethrin, Fenvalerate, Fenpropathrin, Pyrethrin, Allethrin, Tetramethrin, Resmethrin, Dimethrin Propathrin, Fenothrin, Prothrin, Fluvialinate, Cyfluthrin, Cyhalothrin, Flucythrinate, Ethofenprox, Cycloprothrin, Tralomethrin, Silafluofen, Acrinathrin and the like.

[0127]

Bezoyl ureas and other insecticides:

Diflubenzuron, Chlorfluazuron, Hexaflumuron, Triflumuron, Tetrabenzuron, Fulfenoxuron, Flucycloxuron, Buprofezin, Pyriproxyfen, Methoprene, Benzoepin, Diafenthiuron, Imidacloprid, Fipronyl, Nicotine sulfate, Rotenone, Metaldehyde, Acetamiprid, Chlorfenapyr, Nitenpyram, Thiacloprid, Clothianidin, Thiamethoxam, Dinotefuran, Indoxacarb, Pymetrozine, Spinosad, Emamectin, Pyridalyl, Tebufenozide, Chromafenozide, Methoxyfenozide, Tolfenpyrad, machine oil, microbial insecticides such as BT and insect-pathogenic viruses and the like.

[0128]

Nematicides:

Fenamiphos, Fosthiazate, Cadusafos and the like.

Acaricides:

Chlorbenzilate, Fenisobromolate, Dicofof, Amitraz, BPPS, Benzomate, Hexythiazox, Fenbutatin oxide, Polynactin, Quinomethionate, CPCBS, Tetradifon, Avermectin, Milbemectin, Clofentezin, Cyhexatin, Pyridaben, Fenpyroximate, Tebufenpyrad, Pyrimidifen, Fenothiocarb, Dienochlor, Fluacrypyrim, Acequinocyl, Bifenazate, Etoxazole, Spirodiclofen, Fenazaquin and the like.

[0129]

Plant Growth Regulators:

Gibberellins (e.g., Gibberellin A3, Gibberellin A4, Gibberellin A7), IAA, NAA and the like.

[Working examples]

[0130]

Next, the present invention is described more detailed by the given working examples. However the present invention is not at all limited to these working examples.

[0131]

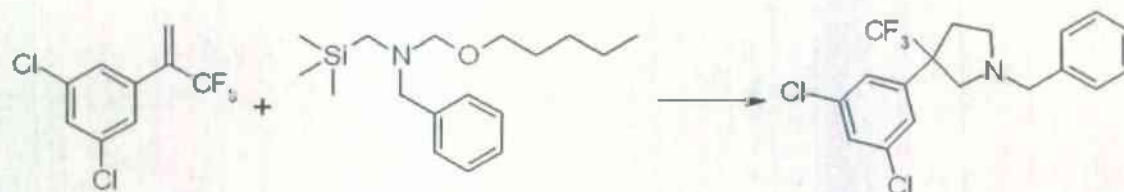
(Working Example 1)

Preparation of 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methyl-N-2-pyridylmethyl)benzamide (Compound No. 1-1)

Synthesis step 1: Preparation of 1-benzyl-3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidine

[0132]

[Chemical formula 14]



[0133]

2.58 g of N-(trimethylsilylmethyl)-N-(n-pentyloxymethyl)benzylamine and 3.60 g of 3,5-dichloro-1-(1-trifluoromethylethenyl)benzene were dissolved in 20 ml methylene chloride. To this solution 0.4 g of trifluoroacetic acid was added under cooling with

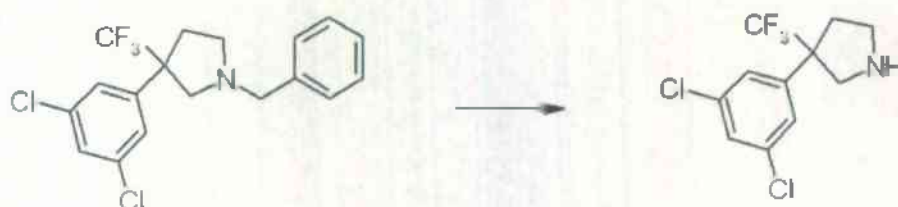
ice. After warming to room temperature it was stirred over night at the same temperature. The reaction solution was poured into water and then extracted with methylene chloride. The organic phase was washed successively with saturated aqueous sodium bicarbonate solution and saturated saline and then dried with anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure and the obtained residue purified by silica gel column chromatography (developing solvent: ethyl acetate / n-hexane = 1 / 9 (volume ratio)). Thus 2.08 g of the target compound were obtained. Yield 63%

[0134]

Synthesis step 2: Preparation of 3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidine

[0135]

[Chemical formula 15]



[0136]

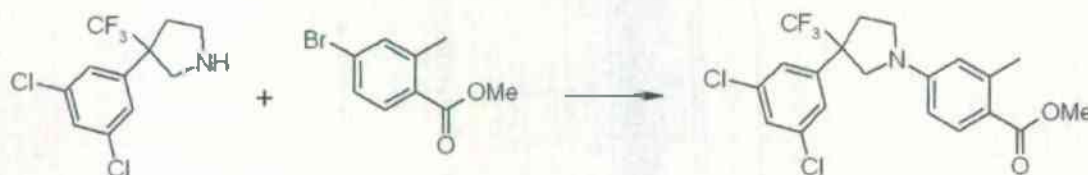
2.37 g of 1-benzyl-3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidine are dissolved in 24 ml 1,2-dichloroethane. To this solution, 1 g of 1-chloroethyl chloroformate was added at room temperature and the whole heated to reflux for 5 hours. The reaction solution was concentrated under reduced pressure and after addition of 12 ml of methanol heated to reflux for additional 2 hours. After concentration of the reaction mixture under reduced pressure, the concentrate was poured into 5% aqueous sodium hydroxide and extracted with ethyl acetate. The organic phase was washed successively with water and saturated saline and then dried with anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure and the obtained residue purified by silica gel column chromatography (developing solvent: methanol / chloroform = 1 / 9 (volume ratio)). Thus 1.68 g of the target compound was obtained. Yield 93%

[0137]

Synthesis step 3: Preparation of methyl 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methylbenzoate

[0138]

[Chemical formula 16]



[0139]

0.31 g of 3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidine and 0.25 g of methyl 4-bromo-2-methylbenzoate are dissolved in 6 ml of toluene, then 0.16 g of sodium t-butoxide, 10 mg of $\text{Pd}_2(\text{dba})_3$ and 6 mg of 2-(dicyclohexylphosphino)-2'-(N,N-

dimethylamino)bi(phenyl) were added and the mixture heated to reflux over night under a nitrogen atmosphere. The reaction mixture was cooled and then poured into water and extracted with ethyl acetate. The organic phase was washed with water and saturated saline, dried with anhydrous magnesium sulfate, and the solvent evaporated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate / n-hexane = 1 / 19 (volume ratio)). Thus 0.18 g of the target compound was obtained. Yield 38%

[0140]

Synthesis step 4: Preparation of 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methylbenzoic acid

[0141]

[Chemical formula 17]



[0142]

0.18 g of methyl 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methylbenzoate was suspended in a mixture of 10 ml of ethanol and 1 ml of water. To this suspension, 0.17 g of potassium hydroxide was added at room temperature and the mixture was heated to reflux for 1.5 hours. The reaction mixture was concentrated under reduced pressure, poured into water, adjusted to pH 1 – 2 by addition of concentrated hydrochloric acid and then extracted with ethyl acetate. The organic phase was washed with water and saturated saline, dried with anhydrous magnesium sulfate, and the solvent evaporated under reduced pressure. Thus 0.16 g of the target compound was obtained. Yield 91%.

[0143]

Synthesis step 5: Preparation of 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methyl-N-(2-pyridylmethyl)benzamide (Compound No. 1-1)

[0144]

[Chemical formula 18]



[0145]

0.16 g of 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methylbenzoic acid was suspended in 10 ml of methylene chloride. To this suspension a few drops of DMF and 0.08 g of oxalyl chloride were added under ice cooling. After warming to room temperature, the mixture was stirred for 1.5 hours. The reaction mixture was concentrated under reduced pressure and thus 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methyl-N-(2-pyridylmethyl)benzamide (Compound No. 1-1) was obtained.

trifluoromethylpyrrolidin-1-yl]-2-methylbenzoyl chloride was obtained as raw material. It was used without particular purification for the next reaction.

[0146]

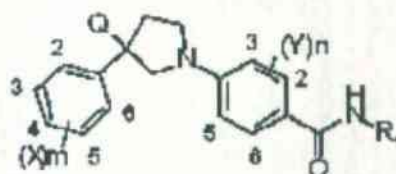
0.07 g of 2-picolyamine and 0.09 g of triethylamine were dissolved in 10 ml of methylene chloride. The above obtained 4-[3-(3,5-dichlorophenyl)-3-trifluoromethylpyrrolidin-1-yl]-2-methylbenzoyl chloride was added under ice cooling, and after warming to room temperature it was stirred over night at the same temperature. The reaction solution was poured into water and then extracted with chloroform. The organic phase was washed successively with water and saturated saline, and dried with anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure and the obtained residue purified by silica gel column chromatography (developing solvent: ethyl acetate / n-hexane = 1 / 1 (volume ratio)). Thus 0.13 g of the target compound was obtained. Yield 61%

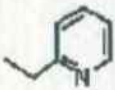
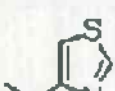
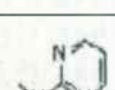
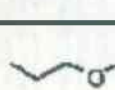
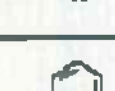
[0147]

The 1st – 7th tables show the structural formula and the physical constants of the compounds of the present invention, prepared in the same way as Working Example 1, including the compound obtained in the Working Example 1. In addition, the 8th table shows the ¹H-NMR data.

[0148]
[Table 1]

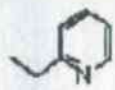
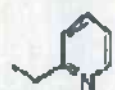
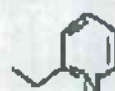
1st Table



Compound No.	(X) m	Q	(Y) n	R ₁	Physical constants ^a
1 - 1	3,5-Cl ₂	CF ₃	2-CH ₃		[165-168]
1 - 2	3,5-Cl ₂	CF ₃	2-CH ₃		[163-164]
1 - 3	3,5-Cl ₂	CF ₃	2-CH ₃		[127-129]
1 - 4	3,5-Cl ₂	CF ₃	2-CH ₃		amorphous
1 - 5	3,5-Cl ₂	CF ₃	2-CH ₃		amorphous
1 - 6	3,5-Cl ₂	CF ₃	2-CH ₃		[110-111]
1 - 7	3,5-Cl ₂	CF ₃	2-CH ₃		[135-136]
1 - 8	3-Cl	CF ₃	2-CH ₃		amorphous
1 - 9	4-Cl	CF ₃	2-CH ₃		amorphous
1 - 10	3,4-Cl ₂	CF ₃	2-CH ₃		amorphous

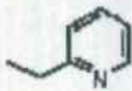
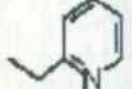
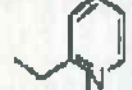
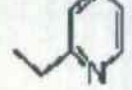
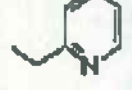
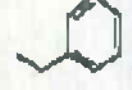
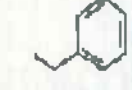
[0149]
[Table 2]

1st Table (Continued)

Compound No.	(X) _m	Q	(Y) _n	R ₁	Physical constants ^a
1 - 1 1	3, 5-F ₂	CF ₃	2-CH ₃		amorphous
1 - 1 2	3- CF ₃	CF ₃	2-CH ₃		amorphous
1 - 1 2	3- CF ₃	CF ₃	2-CH ₃		amorphous
1 - 1 3	3- OCH ₃	CF ₃	2-CH ₃		amorphous
1 - 1 4	3- OCF ₃	CF ₃	2-CH ₃		amorphous
1 - 1 5	3- CONH ₂	CF ₃	2-CH ₃		amorphous
1 - 1 6	3- CN	CF ₃	2-CH ₃		amorphous
1 - 1 7	3, 5-Cl ₂	CF ₃	2-F		[156-157]
1 - 1 8	3, 5-Cl ₂	CF ₃	2-Cl		[175-177]
1 - 1 9	3, 5-Cl ₂	CF ₃	2- CF ₃		amorphous
1 - 2 0	3, 5-Cl ₂	CF ₃	2, 6-F ₂		[200-201]
1 - 2 1	3, 5-Cl ₂	CF ₃	2-NH ₂		amorphous

[0150]
[Table 3]

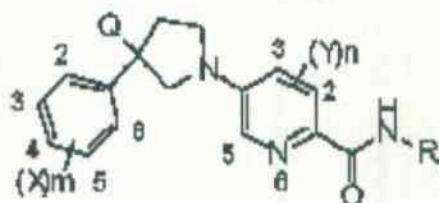
1st Table (Continued)

Compound No.	(X) m	Q	(Y) n	R ₁	Physical constants ^a
1-22	3,5-Cl ₂	CF ₃	2-NHC(O)CH ₃		amorphous
1-23	3,5-Cl ₂	CF ₃	2-NO ₂		amorphous
1-24	3,5-Cl ₂	H	2-CH ₃		amorphous
1-25	3,4-Cl ₂	CH ₃	2-CH ₃		amorphous
1-26	3,5-Cl ₂	OH	2-CH ₃		[72-75]
1-27	3,5-Cl ₂	OCH ₃	2-CH ₃		[55-58]
1-28	3,5-Cl ₂	OC(O)CH ₃	2-CH ₃		amorphous
1-29	3,5-Cl ₂	F	2-CH ₃		amorphous
1-30	3,4-Cl ₂	CN	2-CH ₃		amorphous
1-31	4-Cl	CO ₂ Me	2-CH ₃		amorphous

* [] : indicates the melting point (°C).

[0151]
[Table 4]

2nd Table

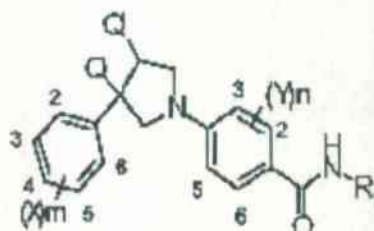


Compound No.	(X) m	Q	(Y) n	R ₁	Physical constants'
2 - 1	3,5-Cl ₂	CF ₃	2-CH ₃		amorphous

* [] : indicates the melting point (°C).

[0152]
[Table 5]

3rd Table



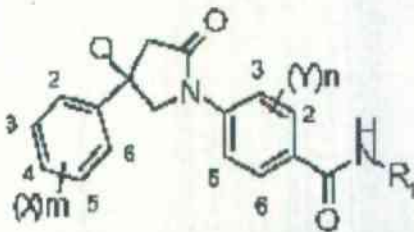
Compound No.	(X) m	Q	Q'	(Y) n	R ₁	Physical constants'
3 - 1	3,5-Cl ₂	H	CF ₃	2-CH ₃		amorphous
3 - 2	3,5-Cl ₂	CF ₃	CN	2-CH ₃		amorphous

* [] : indicates the melting point (°C).

[0153]
[Table 6]

4th Table

(continued)

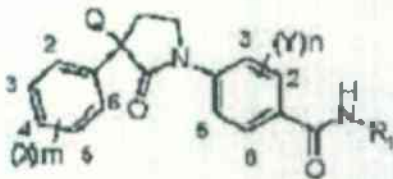


Compound No.	(X) m	Q	(Y) n	R ₁	Physical constants ^a
4 - 1	3,4-Cl ₂	CH ₃	2-CH ₂		amorphous
4 - 2	3,5-Cl ₂	CF ₃	2-CH ₃		amorphous

* [] : indicates the melting point (°C).

[0154]
[Table 7]

5th Table

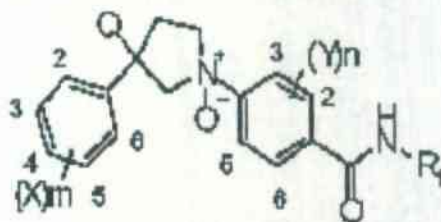


Compound No.	(X) m	Q	(Y) n	R ₁	Physical constants ^a
5 - 1	4-Cl	CH ₃	2-CH ₃		amorphous
5 - 2	3,5-Cl ₂	CF ₃	2-CH ₃		[180-183]

* [] : indicates the melting point (°C).

[0155]
[Table 8]

6th Table

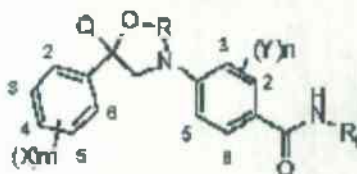


Compound No.	(X) m	Q	(Y) n	R ₁	Physical constants*
6-1	3,5-Cl ₂	CF ₃	2-CH ₃		[111-112]

* [] : indicates the melting point (°C).

[0156]
[Table 9]

7th Table



Compound No.	(X) m	Q	R	(Y) n	R ₁	Physical constants*
7-1	3,5-Cl ₂	CF ₃	CH ₂	2-CH ₃		amorphous
7-2	3,5-Cl ₂	CF ₃	CO	2-CH ₃		amorphous

* [] : indicates the melting point (°C).

[0157]
[Table 10]

8th Table ^1H -NMRデータ

Compound No.	^1H -NMR (CDCl ₃ , δ ppm)
1-4	2.47-2.59 (m, 4H), 3.48-3.62 (m, 2H), 3.79 (d, 1H), 4.11 (d, 1H), 6.42-6.45 (m, 2H), 6.78-6.91 (m, 2H), 7.29 (s, 2H), 7.40 (s, 1H), 7.57-7.65 (m, 2H), 8.08 (d, 1H)
1-5	2.47-2.57 (m, 4H), 2.81-2.89 (m, 1H), 3.46-3.60 (m, 2H), 3.78 (d, 1H), 4.07 (d, 1H), 6.36-6.40 (m, 2H), 6.74 (t, 1H), 7.29 (s, 2H), 7.39 (s, 1H), 7.57 (d, 1H), 8.32 (bra, 1H), 8.38 (d, 2H)
1-8	2.49-2.61 (m, 4H), 2.82-2.91 (m, 1H), 3.43-3.89 (m, 3H), 4.08-4.16 (m, 1H), 4.74 (d, 2H), 6.40-6.43 (m, 2H), 7.08 (m, 1H), 7.20-7.73 (m, 8H), 8.54 (d, 1H)
1-9	2.47-2.57 (m, 4H), 2.80-2.88 (m, 1H), 3.40-3.59 (m, 3H), 3.82 (d, 1H), 4.08 (d, 1H), 4.71 (d, 2H), 6.37-6.40 (m, 2H), 7.09 (m, 1H), 7.16-7.67 (m, 8H), 8.52 (d, 1H)
1-10	2.48-2.58 (m, 4H), 2.81-2.89 (m, 1H), 3.42-3.58 (m, 3H), 3.81 (d, 1H), 4.08 (d, 1H), 4.73 (d, 2H), 6.40-6.43 (m, 2H), 7.03 (m, 1H), 7.18-7.71 (m, 7H), 8.54 (d, 1H)
1-11	2.48-2.58 (m, 4H), 2.79-2.86 (m, 1H), 3.43-3.58 (m, 3H), 3.81 (d, 1H), 4.05 (d, 1H), 4.73 (d, 2H), 6.40-6.43 (m, 2H), 6.81-7.70 (m, 8H), 8.53 (d, 1H)
1-12	2.54-2.65 (m, 4H), 2.89-2.96 (m, 1H), 3.46-3.64 (m, 2H), 3.86 (d, 1H), 4.16 (d, 1H), 4.74 (d, 2H), 6.42-6.45 (m, 2H), 7.04 (m, 1H), 7.20-7.72 (m, 8H), 8.54 (d, 1H)
1-13	2.53-2.60 (m, 4H), 2.81-2.88 (m, 1H), 3.41-3.57 (m, 2H), 3.83 (s, 3H), 3.85 (d, 1H), 4.08 (d, 1H), 4.73 (d, 2H), 6.39-6.42 (m, 2H), 6.88-7.70 (m, 9H), 8.54 (d, 1H)
1-14	2.53-2.61 (m, 4H), 2.84-2.91 (m, 1H), 3.43-3.59 (m, 2H), 3.84 (d, 1H), 4.11 (1H), 4.74 (d, 2H), 6.40-6.44 (m, 2H), 7.03 (m, 1H), 7.18-7.71 (m, 8H), 8.54 (d, 1H)
1-15	2.52-2.66 (m, 4H), 2.84-2.93 (m, 1H), 3.41-3.64 (m, 2H), 3.88 (d, 1H), 4.09 (d, 1H), 4.73 (d, 2H), 6.39-6.42 (m, 2H), 7.07 (m, 1H), 7.18-7.99 (m, 8H), 8.56 (d, 1H)
1-16	2.53-2.63 (m, 4H), 2.87-2.95 (m, 1H), 3.42-3.62 (m, 2H), 3.84 (d, 1H), 4.14 (d, 1H), 4.73 (d, 2H), 6.41-6.43 (m, 2H), 7.05 (m, 1H), 7.19-7.72 (m, 8H), 8.54 (d, 1H)
1-19	2.53-2.63 (m, 1H), 2.86-2.94 (m, 1H), 3.50-3.65 (m, 2H), 3.81 (d, 1H), 4.11 (d, 1H), 4.73 (d, 2H), 6.59-6.83 (m, 2H), 7.10 (m, 1H), 7.18-7.72 (m, 7H), 8.52 (d, 1H)

[0158]
[Table 11]

8th Table (Continued)¹H-NMRデータ

Compound No.	¹ H-NMR (CDCl ₃ , δ ppm)
1-21	2.40-2.48 (m, 1H), 2.72-2.80 (m, 1H), 3.38-3.51 (m, 2H), 3.68 (d, 1H), 4.01 (d, 1H), 4.65 (d, 2H), 5.73-5.93 (m, 3H), 7.13-7.65 (m, 7H), 8.51 (d, 1H)
1-22	2.21 (s, 3H), 2.50-2.57 (m, 1H), 2.81-2.89 (m, 1H), 3.52-3.60 (m, 2H), 3.82 (d, 1H), 4.14 (d, 1H), 4.70 (d, 2H), 6.26 (d, 1H), 7.21-7.73 (m, 7H), 8.06 (s, 1H), 8.56 (d, 1H), 11.91 (s, 1H)
1-23	2.55-2.65 (m, 1H), 2.88-2.97 (m, 1H), 3.52-3.69 (m, 2H), 3.83 (d, 1H), 4.12 (d, 1H), 4.74 (d, 2H), 6.74 (dd, 1H), 7.07-7.73 (m, 9H), 8.51 (d, 1H)
1-24	2.05-2.13 (m, 1H), 2.52 (s, 3H), 3.30-3.75 (m, 5H), 4.72 (d, 2H), 6.37-6.39 (m, 2H), 7.06 (brs, 1H), 7.15-7.26 (m, 3H), 7.34 (d, 1H), 7.47 (d, 1H), 7.67 (t, 1H), 8.53 (d, 1H)
1-25	2.15-2.30 (m, 2H), 2.53 (s, 3H), 3.39-3.56 (m, 4H), 4.73 (d, 2H), 6.38-6.40 (m, 2H), 7.01 (m, 1H), 7.11-7.70 (m, 7H), 8.54 (d, 2H)
1-28	2.06 (s, 3H), 2.41-2.46 (m, 1H), 2.52 (s, 3H), 2.79-2.86 (m, 1H), 3.43-3.54 (m, 2H), 3.90 (q, 2H), 4.80 (d, 2H), 6.40-6.44 (m, 2H), 7.24-7.87 (m, 7H), 8.56 (d, 1H)
1-29	2.30-2.58 (m, 5H), 3.65-3.88 (m, 4H), 4.76 (d, 2H), 6.38-6.41 (m, 2H), 7.13-7.51 (m, 6H), 7.74 (t, 1H), 8.55 (d, 1H)
1-30	2.46-2.56 (m, 4H), 2.77-2.82 (m, 1H), 3.59-3.75 (m, 3H), 4.08 (d, 1H), 5.99 (brs, 1H), 6.37-6.41 (m, 2H), 7.26-7.60 (m, 9H)
1-31	2.17-2.29 (m, 1H), 2.51 (s, 3H), 2.98-3.06 (m, 1H), 3.35-3.50 (m, 2H), 3.58 (d, 1H), 3.65 (s, 3H), 4.27 (d, 1H), 4.61 (d, 2H), 6.00 (brs, 1H), 6.36-6.41 (m, 2H), 7.25-7.36 (m, 10H)
2-1	2.51-2.62 (m, 1H), 2.75 (s, 3H), 2.86-2.94 (m, 1H), 3.55-3.64 (m, 2H), 3.83 (d, 1H), 4.12 (d, 1H), 4.74 (d, 2H), 6.67 (s, 1H), 7.15-7.86 (m, 7H), 8.57 (d, 1H), 8.76 (m, 1H)
3-1	2.52 (s, 3H), 3.15-3.23 (m, 1H), 3.45-3.86 (m, 5H), 4.74 (d, 2H), 6.41-6.44 (m, 2H), 7.05 (m, 1H), 7.18-7.71 (m, 7H), 8.54 (d, 1H)
3-2	2.56 (s, 3H), 3.80-4.30 (m, 5H), 4.73 (d, 2H), 6.43-6.46 (m, 2H), 7.14-7.71 (m, 8H), 8.52 (d, 1H)
4-1	1.55 (s, 3H), 2.52 (s, 3H), 2.74 (d, 1H), 2.98 (d, 1H), 3.88 (d, 1H), 4.08 (d, 1H), 4.74 (d, 2H), 7.11-7.72 (m, 10H), 8.53 (d, 1H)
4-2	2.51 (s, 3H), 3.15 (d, 1H), 3.32 (d, 1H), 4.28 (d, 1H), 4.48 (d, 1H), 4.73 (d, 2H), 7.19-7.72 (m, 10H), 8.53 (d, 1H)
5-1	2.25-2.34 (m, 1H), 2.52-2.61 (m, 4H), 3.70-3.82 (m, 2H), 4.74 (d, 2H), 7.14-7.72 (m, 11H), 8.53 (d, 1H)
6-1	2.49 (s, 3H), 2.89-2.96 (m, 1H), 3.33-3.66 (m, 8H), 3.82-3.87 (m, 1H), 4.05-4.15 (m, 1H), 4.40 (d, 1H), 4.54 (d, 1H), 7.17-7.80 (m, 7H)
7-1	2.48 (s, 3H), 3.80 (d, 1H), 4.11 (d, 1H), 4.60 (d, 2H), 5.18 (d, 2H), 5.97 (brs, 1H), 6.31-6.37 (m, 2H), 7.26-7.48 (m, 9H)
7-2	2.51 (s, 3H), 4.27 (d, 1H), 4.60-4.63 (m, 3H), 6.05 (brs, 1H), 7.26-7.49 (m, 1H)

[0159]

Next, a number of working examples for compositions of the present invention are given, however the additives and the addition ratio should not be limited by these working examples and they can be changed in a broad range. Further, part (parts) in the formulation examples indicates part (parts) by weight.

[0160]

Formulation Example 1	wettable powder
Compound of the present invention	40 parts
Clay	48 parts
Dioctyl sodium sulfosuccinate	4 parts
Sodium ligninsulfonate	8 parts

The above components are mixed homogeneously and finely pulverized. Thus a wettable powder with a content of 40 % of active ingredient is obtained.

[0161]

Formulation Example 2	emulsifiable concentrate
Compound of the present invention	10 parts
Solvesso 200	53 parts
Cyclohexanone	26 parts
Potassium dodecyl benzenesulfonate	1 part
Polyoxyethylene alkyl aryl ether	10 parts

The above components are mixed and dissolved. Thus an emulsifiable concentrate with a content of 10 % of active ingredient is obtained.

[0162]

Formulation Example 3	dust formulation
Compound of the present invention	10 parts
Clay	90 parts

The above components are mixed homogeneously and finely pulverized. Thus a wettable powder with a content of 10 % of active ingredient is obtained.

[0163]

Formulation Example 4	granular formulation
Compound of the present invention	5 parts
Clay	73 parts
Bentonite	20 parts
Dioctyl sodium sulfosuccinate	1 part
Potassium phosphate	1 part

The above components are well pulverized and blended, then water is added and the resulted mass is well kneaded, granulated and dried. Thus a granular formulation with a content of 5 % of active ingredient is obtained.

[164]

Formulation Example 5	suspension concentrate
Compound of the present invention	10 parts
Polyoxyethylene alkyl aryl ether	4 parts
Sodium polycarboxylate	2 parts
Glycerin	10 parts

Xanthane gum	0.2 parts
Water	73.8 parts

The above components are blended and wet ground up to a particle size of 3 micron or less. Thus a suspension concentrate with a content of 10 % of active ingredient is obtained.

[0165]

Formulation Example 6 water dispersible granule

Compound of the present invention	40 parts
Clay	36 parts
Potassium chloride	10 parts
Sodium alkylbenzene sulfonate	1 part
Sodium ligninsulfonate	8 parts
Formaldehyde - sodium alkylbenzene sulfonate condensate	5 parts

The above components are homogeneously blended and finely pulverized, after addition of an appropriate quantity of water, the product is kneaded into a clayey form. The clayey product is granulated and dried, and thus a water dispersible granule with a content of 40 % of active ingredient is obtained.

Examples, wherein the compositions of the present invention, obtained as described above, are applied as pest control agents, are shown hereinafter.

[0166]

Test Example 1 Efficacy against *Aphis gossypii*

In 3 sun (about 9 cm) pots, cucumber was seeded and 10 days after germination it was inoculated with adult *Aphis gossypii*. After 1 day, the adult insects were removed and the born nymphs parasitizing the cucumber were sprayed with a test solution of an emulsifiable concentrate according the prescription shown in the above-mentioned Formulation Example 2, which had been diluted with water to a compound concentration of 125 ppm. It was stored in a temperature-controlled room with a temperature of 25°C and a humidity of 65%, and after 5 days, the live and dead nymphs were determined and the insecticidal rate was obtained. The test was repeated 2 times.

[0167]

According to the results, the following compounds showed an insecticidal rate of 100%.

Compound No. : 1 - 1, 1 - 4, 1 - 5, 1 - 6, 1 - 7, 1 - 10, 1 - 18, 1 - 19.

The insecticidal rate of Pirimicarb, which was used as comparison, was 9%.

[0168]

Test Example 2 Efficacy against *Pseudaletia separata*

A wettable powder, according to the prescription shown in the above-mentioned Formulation Example 1, was diluted with water to a compound concentration of 125 ppm. Corn leaves were dipped into this test solution for 30 sec and air-dried, then put in a petri dish covered with filter paper and inoculated with 5 second instar larvae of *Pseudaletia separata*. The dish was covered with a glass lid, stored in a temperature-controlled room with a temperature of 25°C and a humidity of 65%, and after 5 days, the live and dead larvae were determined and the insecticidal rate was obtained. The test was repeated 2 times.

[0169]

According to the results, the following compounds showed an insecticidal rate of 100%.

Compound No. : 1 - 1, 1 - 2, 1 - 3, 1 - 4, 1 - 5, 1 - 6, 1 - 7, 1 - 8, 1 - 9, 1 - 10, 1 - 11, 1 - 12, 1 - 14, 1 - 17, 1 - 18, 1 - 19, 1 - 20, 1 - 22, 1 - 23, 1 - 24, 2 - 1, 3 - 1, 3 - 2, 4 - 2, 6 - 1, 7 - 2

The insecticidal rate of Chlordimeform, which was used as comparison, was 40%.

[0170]

Test Example 3 Efficacy against *Tetranychus urticae*

In 3 sun (about 9 cm) pots, beans were seeded and 7 - 10 days after germination the first leaves were inoculated with 17 organophosphate resistant female adults of *Tetranychus urticae* and sprayed with a test solution of a wettable powder according the prescription shown in the above-mentioned Formulation Example 1, which had been diluted with water to a compound concentration of 125 ppm. It was stored in a temperature-controlled room with a temperature of 25°C and a humidity of 65%, and after 3 days, the insecticidal rate of adults was determined. The test was repeated 2 times.

[0171]

According to the results, the following compounds showed an insecticidal rate of 100%.

Compound No. : 1 - 1, 1 - 4, 1 - 10, 1 - 19

The insecticidal rate of Chlordimeform, which was used as comparison, was 40%.

[Industrial applicability]

[0172]

Hitherto known insecticides and acaricides have an insufficient efficacy, their application is limited due to problems of drug resistance and they cause plant damages and pollution, therefore they are not always satisfactory control agents. Consequently, the present invention provides new pest control agents with low toxicity and low residuality.

Continued from the front page

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C07D 417/12	(2006.01)	A01N 43/54	D
C07D 403/12	(2006.01)	A01N 43/54	B
C07D 263/04	(2006.01)	C07D 417/12	
C07D 263/18	(2006.01)	C07D 403/12	
		C07D 263/04	
		C07D 263/18	

F Term (ref.)	4C056	AA01 AB01 AC02 AD01 AE01 BA03 BB01 BB04 BC08
	4C063	AA01 BB09 CC29 CC62 DD03 EE03
	4C069	AA02
	4H011	AC01 AC04 BA01 BA05 BC07 DA02 DA15 DA16 DG05

ANEXO 3 - Ejemplos

Myzus persicae – test de espray– OP/Carb-resistente (MYZUPE J)

Disolvente: 3 partes en peso de dimetilformamida

Emulsificante: 1 parte en peso de polioxietileno alquil fenil éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y el emulsionante y la mezcla es diluida con agua a la concentración prescrita. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Un plantón de berenjena (*Solanum melongena*) en el estado de hoja 2, que ha sido infectado de manera muy importante con las larvas del pulgón del melocotón verde (*Myzus persicae*), es pulverizado con una preparación de el ingrediente activo a la concentración deseada.

Después del periodo especificado es determinado el tiempo de mortalidad en %. Una actividad insecticida del 100% significa que se ha matado a todos los pulgones, una actividad insecticida del 0% significa que no ha sido eliminado ningún pulgón.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Ácaro araña de dos manchas (TETRUR J)

Disolvente: 3 partes en peso de dimetilformamida

Emulsificante: 1 parte en peso de polioxietileno alquil fenil éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y el emulsionante y la mezcla es diluida con agua a la concentración prescrita. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Unas habichuelas rojas (*Phaseolus vulgaris*) en el estado de hoja 2, que ha sido infectado de manera muy importante con todos los estados de ácaros araña de dos manchas (*Tetranychus urticae*), son pulverizadas con una preparación de un ingrediente activo de la concentración deseada.

Después del periodo especificado de tiempo es determinada la actividad acaricida en %. Una actividad acaricida del 100% significa que se ha matado a todos los ácaros, una actividad acaricida del 0% significa que no se ha matado a ningún ácaro.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

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Aulacophora femoralis – test del espray (AUACFE J)

Disolvente: 3 partes en peso de dimetilformamida

Emulsificante: 1 parte en peso de polioxietileno alquil fenil éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte en peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y el emulsionante y la mezcla es diluida con agua a la concentración prescrita. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Plantones de pepino (*Cucumis sativus*) en el estado cotiledon se trataron mediante espray con la solución del compuesto a la concentración deseada. Después de secar, el material de la planta tratado se pone en una copa de testado y se infesta con 5 L2- larvas de *Aulacophora femoralis*.

Después del periodo especificado se recuenta el número de larvas muertas para calcular la actividad insecticida. Una actividad insecticida del 100% significa que se ha matado a todos las larvas, una actividad insecticida del 0% significa que ninguna larva ha sido eliminada.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Spodoptera litura – test de la dieta artificial (PRODLI J)

Disolvente: 3 partes en peso de dimetilformamida

Emulsificante: 1 parte en peso de polioxietileno alquil fenil éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y el emulsionante y la mezcla es diluida con agua a la concentración prescrita.

2.3 g de polvo de dieta artificial se pone en una copa PET (7.5 cm diámetro, 4 cm profundidad). El polvo es nivelado para hacer una capa en la parte superior de la copa. Se añaden 5 ml de la solución química en el polvo, y se extiende de manera uniforme. Después de que gelifica, se ponen en las copas larvas en el tercer estado, de *Spodoptera litura*.

Después del periodo especificado se recuenta el número de larvas muertas para calcular la actividad insecticida. Una actividad insecticida del 100% significa que se ha matado a todos las larvas, una actividad insecticida del 0% significa que ninguna larva ha sido eliminada.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Plutella xylostella - test (PLUTMA J)

Disolvente: 3 partes en peso de dimetilformamida

Emulsificante: 1 parte en peso de polioxietileno alquil fenil éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y el emulsionante y la mezcla es diluida con agua a la concentración prescrita. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Un trozo de hoja de berza (*Brassica oleraceae*) es empapada en el agente testado a la concentración deseada. Después de secar, las hojas se ponen en la copa test y son inoculadas con 10 larvas de polilla de col *Plutella xylostella*.

Después del periodo especificado se recuenta el número de larvas muertas para calcular la actividad insecticida. Una actividad insecticida del 100% significa que se ha matado a todos las larvas, una actividad insecticida del 0% significa que ninguna larva ha sido eliminada.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Thrips palmi – test de espray (THRIPL J)

Disolvente: 3 partes en peso de dimetilformamida

Emulsificante: 1 parte en peso de polioxietileno alquil fenil éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte en peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y el emulsionante y la mezcla es diluida con agua a la concentración prescrita. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Plantones de pepino (*Cucumis sativus*) se trataron mediante espray con la solución del compuesto a la concentración deseada. Después de secar, se unen a la planta tratada trozos de un papel de filtro con alrededor de cien huevos de *Thrips Palmi* y se cubre para mantener la humedad al 100%.

Después del periodo especificado se evalúa el grado de daño. Una actividad del 100% significa que no hay daños visibles, un 0% significa que no hay diferencias con el control no tratado.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Phaedon cochleariae – test espray (PHAECO)

Disolvente: 7 partes en peso de dimetilformamida

Emulsificante: alquilaril poliglicol éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte en peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Hojas de col (*Brassica oleracea*) son tratadas mediante espray con la preparación del compuesto activo a la concentración deseada y es infestada con larvas de escarabajo de la mostaza (*Phaedon cochleariae*).

Después de un periodo de tiempo, se determinó el % de mortalidad. El 100 % significa que todas las larvas de escarabajo se murieron y una 0% significa que ninguna de las larvas muere.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Plutella xylostella – test de espray (PLUTMA)

Disolvente: 7 partes en peso de dimetilformamida

Emulsificante: alquilaril poliglicol éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Hojas de col (*Brassica oleracea*) son tratadas mediante espray con la preparación del compuesto activo a la concentración deseada y es infestada con larvas de escarabajo de polilla de diamante negro (*Plutella xylostella*).

Después de un periodo de tiempo, se determinó el % de mortalidad. El 100 % significa que todas las larvas se murieron y una 0% significa que ninguna de las larvas muere.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Spodoptera frugiperda – test de espray (SPODFR)

Disolvente: 7 partes en peso de dimetilformamida

Emulsificante: alquilaril poliglicol éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Se tratan hojas de algodón (*Gossypium hirsutum*) mediante espray con la preparación del compuesto activo a la concentración deseada y son infestadas con orugas de *Spodoptera frugiperda*.

Después de un periodo de tiempo, se determinó el % de mortalidad. El 100 % significa que todas las orugas se murieron y una 0% significa que ninguna de las orugas muere.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Heliothis armigera – test de espray (HELIAR)

Disolvente: 7 partes en peso de dimetilformamida

Emulsificante: alquilaril poliglicol éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Se tratan soja (*Glycine max*) mediante espray con la preparación del compuesto activo a la concentración deseada y son infestadas con larvas de *Heliothis armigera*.

Después de un periodo de tiempo, se determinó el % de mortalidad. El 100 % significa que todas las orugas se murieron y una 0% significa que ninguna de las orugas muere.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Tetranychus – test de espray, resistente- OP (TETRUR)

Disolvente: 7 partes en peso de dimetilformamida

Emulsificante: alquilaril poliglicol éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte en peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Plantas de alubia que han sido infectadas de manera muy importante con todos los estados de ácaros araña de dos manchas (*Tetranychus urticae*), son pulverizadas con una preparación de un ingrediente activo de la concentración deseada.

Después de un periodo de tiempo, se determinó el % de mortalidad. El 100 % significa que todos los ácaros se murieron y una 0% significa que ninguno de los ácaros muere.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Frankliniella occidentalis – test de espray (FRANOC)

Disolvente: 7 partes en peso de dimetilformamida

Emulsificante: alquilaril poliglicol éter.

Con objeto de formular de manera apropiada el compuesto activo, 1 parte de peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Plantas de alubia francesa (*Phaseolus vulgaris*) se trataron mediante espray con la preparación del compuesto activo a la concentración deseada. Una vez seco, las plantas son infestadas con *Frankliniella occidentalis*.

Después de un periodo específico se determina el % de protección a la planta. 100% significa que no hay ningún daño y 0% corresponde a los daños que presenta una planta sin tratar.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Diabrotica balteata - test de empapado (DIABBA D)

- Disolvente: 7 partes en peso de dimetilformamida
- Emulsificante: 2 partes en peso de alquilaril poliglicol éter

Para producir una preparación adecuada del compuesto activo, 1 parte en peso del compuesto activo es mezclado con la cantidad descrita de solvente y emulsionante y es diluido con agua hasta la concentración deseada. En el cálculo de la concentración el volumen de tierra ha sido incluido. Hay que tener cuidado de que la concentración del emulsionante en la tierra no exceda 40ppm. Las demás concentraciones del test son preparadas mediante dilución con agua.

5 semillas de *Zea mays* son diseminadas en un tiesto lleno de tierra. Al día siguiente son empapadas con la solución del compuesto a la concentración deseada. Después de 1 día se añade a cada tiesto 25 larvas L2 de escarabajo de pepino (*Diabrotica balteata*).

Después de un periodo de tiempo especificado, el % de actividad es determinado. El 100% significa que todas las plantas han germinado y crecen y 0% significa que ninguna de las plantas han germinado.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Nilaparvata lugens – test de espray (NILALU)

- Disolvente: 52.5 partes en peso de acetona
- 7 partes en peso de dimetilformamida
- Emulsificante: alquilaril poliglicol éter

Con objeto de formular de manera apropiada el compuesto activo, 1 parte en peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

Las plantas de arroz (*Oryza sativa*) son tratadas mediante espray con la concentración deseada de principio activo y son infestadas con larvas de *Nilaparvata lugens*.

Después de un periodo especificado de tiempo el porcentaje de mortalidad fue determinado. Un 100% significa que todas las larvas se han muerto y un 0% que no se ha muerto ninguna.

Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Nezara viridula – test de esprav (NEZAVD)

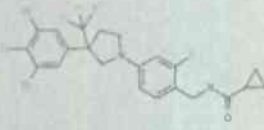
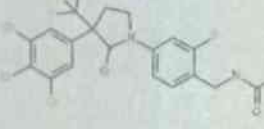
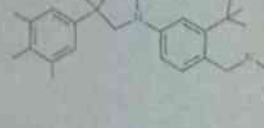
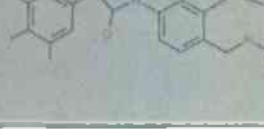
Disolvente: 52.5 partes en peso de acetona
7 partes en peso de dimetilformamida
Emulsificante: alquilaril poliglicol éter

Con objeto de formular de manera apropiada el compuesto activo, 1 parte en peso del principio activo se mezcla con la cantidad mencionada arriba del disolvente y es diluido con agua, que contiene un emulsionante con una concentración de 1000 ppm, a la concentración deseada. Otras concentraciones del test son preparadas mediante dilución con el emulsionante que contiene agua. La sal de amonio o la sal de amonio y el mejorador de penetración se añade si es necesario en una dosis de 1000 ppm a la concentración deseada.

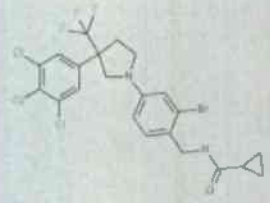
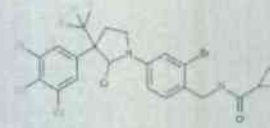
Las plantas de cebada (*Hordeum vulgare*) infestadas con las larvas de *Nezara viridula* son pulverizadas con la solución testada que contiene la concentración deseada del ingrediente activo.

Después de un periodo especificado de tiempo el porcentaje de mortalidad fue determinado. Un 100% significa que todas las larvas se han muerto y un 0% que no se ha muerto ninguna.

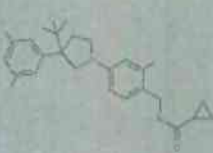
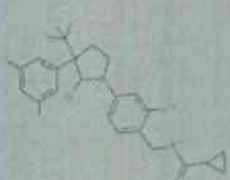
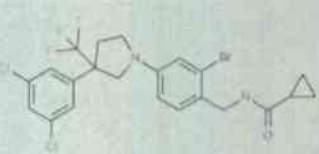
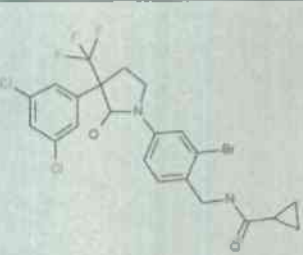
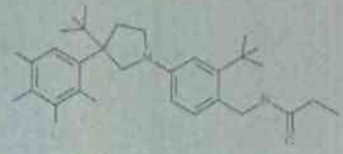
Los resultados obtenidos de este test se muestran en la tabla de las páginas 8 a 12.

Substancia	Estructura**	Objeto	Concentración	% / días después del tratamiento*
Ej.1-687		TETRUR	0.8 ppm	50 7
Conocido en WO2010/043315		FRANOC	0.8 ppm	0 7
Ex. A1-154		TETRUR	0.8 ppm	100 7
De acuerdo con la invención		FRANOC	0.8 ppm	50 7
Ex.1-675		TETRUR J	0.8 ppm	60 6
Conocido en WO2010/043315		PRODLI J	0.032 ppm	20 6
Ex. A1-272		TETRUR J	0.8 ppm	100 6
De acuerdo con la invención		PRODLI J	0.032 ppm	100 6

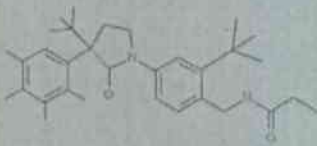
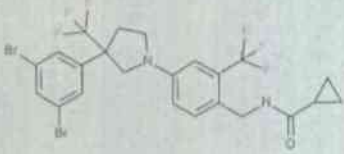
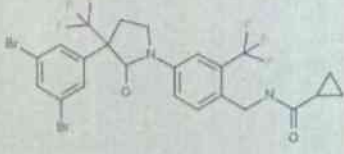
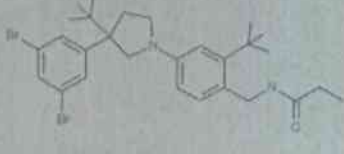
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Substancia	Estructura**	Objeto	Concentración	% / días después del tratamiento*
Ex. 1-346 Conocido en WO2010/043315		PIIAECO	0.16 ppm	0 7
		PLUTMA	0.8 ppm	70 7
		SPODFR	0.8 ppm	75 7
		HELIAR	0.8 ppm	0 7
		TETRUR	0.8 ppm	0 7
		FRANOC	20 ppm	50 7
		DIABBA	4 ppm	0 8
		NILALU	500 g/ha	0 4
		NEZAVI	4 g/ha	70 4
		AUACFE J	0.032 ppm	0 6
		PRODLI J	0.8 ppm	20 2
		PRODLI J	0.16 ppm	40 6
		PRODLI J	0.032 ppm	0 6
		THRIPL J	20 ppm	60 6
		THRIPL J	4 ppm	0 6
Ex. A1-165 De acuerdo con la invención		PHAECO	0.16 ppm	90 7
		PLUTMA	0.8 ppm	100 7
		SPODFR	0.8 ppm	100 7
		HELIAR	0.8 ppm	50 7
		TETRUR	0.8 ppm	95 7
		FRANOC	20 ppm	95 7
		DIABBA	4 ppm	30 8
		NILALU	500 g/ha	80 4
		NEZAVI	4 g/ha	100 4
		AUACFE J	0.032 ppm	50 6
		PRODLI J	0.8 ppm	100 2

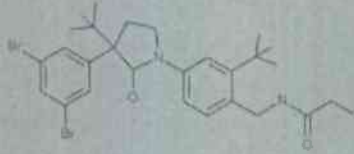
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Substancia	Estructura**	Objeto	Concentración	% / días después del tratamiento*
		PRODLI J	0.16 ppm	100 6
		PRODLI J	0.032 ppm	100 6
		THRIPL J	20 ppm	90 6
		THRIPL J	4 ppm	60 6
Conocido en WO2010/043315		MYZUPE J	100 ppm	0 2
		MYZUPE J	100 ppm	0 6
		TETRUR J	4 ppm	0 6
		THRIPL J	100 ppm	60 6
Ex. A1-5 De acuerdo con la invención		MYZUPE J	100 ppm	60 2
		MYZUPE J	100 ppm	60 6
		TETRUR J	4 ppm	90 6
		THRIPL J	100 ppm	90 6
Ex. I-286 Conocido en WO2010/043315		PLUTMA J	4 ppm	0 7
		TETRUR J	0.8 ppm	0 2
		TETRUR J	0.8 ppm	0 6
		THRIPL J	20 ppm	0 6
Ex. A1-110 De acuerdo con la invención		PLUTMA J	4 ppm	50 7
		TETRUR J	0.8 ppm	60 2
		TETRUR J	0.8 ppm	60 6
		THRIPL J	20 ppm	98 6
Ex. I-856 Conocido en WO2010/043315		PLUTMA J	20 ppm	0 2
		PLUTMA J	20 ppm	50 7
		PLUTMA J	4 ppm	0 7
		PRODLI J	0.032 ppm	0 2
		PRODLI J	0.032 ppm	10 7

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66

Substancia	Estructura**	Objeto	Concentración	% / días después del tratamiento*
Ex. A1-283 De acuerdo con la invención		TETRUR J	0.8 ppm	60 6
		THRIPL J	4 ppm	0 6
		PLUTMA J	20 ppm	70 2
		PLUTMA J	20 ppm	100 7
		PLUTMA J	4 ppm	80 7
		PRODLI J	0.032 ppm	50 2
		PRODLI J	0.032 ppm	100 7
Ex. I-647 Conocido en WO2010/043315		TETRUR J	0.8 ppm	0 6
		AUACFE J	0.8 ppm	50 6
		PRODLI J	0.8 ppm	20 2
		PRODLI J	0.16 ppm	40 6
		PLUTMA J	4 ppm	40 2
		PLUTMA J	0.8 ppm	0 7
Ex. A1-253 De acuerdo con la invención		TETRUR J	0.8 ppm	90 6
		AUACFE J	0.8 ppm	100 6
		PRODLI J	0.8 ppm	60 2
		PRODLI J	0.16 ppm	100 6
		PLUTMA J	4 ppm	100 2
		PLUTMA J	0.8 ppm	80 7
Ex. I-645 Conocido en WO2010/043315		PRODLI J	0.8 ppm	30 2
		PRODLI J	0.16 ppm	20 7
		TETRUR J	0.8 ppm	0 6
		THRIPL J	4 ppm	0 6

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Substancia	Estructura**	Objeto	Concentración	% / días después del tratamiento*
Ex. A1-250		PRODLI J	0.8 ppm	70 2
De acuerdo con		PRODLI J	0.16 ppm	70 7
la invención		TETRUR J	0.8 ppm	98 6
		THRIPL J	4 ppm	60 6

** en las estructuras el átomo de hidrógeno conectado al átomo de oxígeno unido a un anillo de pirrolidina y el átomo de hidrógeno conectado al átomo de nitrógeno unido al grupo CH₂ fueron omitidos