Industria y Comercio

SUPERINTENDENCIA

DELEGATURA DE PROPIEDAD INDUSTRIAL

División de Nuevas Creaciones

SOLICITUD
PATENTE DE INVENCIÓN

21. **EXPEDIENTE No.** 10-10108

54. **TÍTULO** DERIVADO DE OXOPIRAZINA Y Herbicida

51. **CLASIFICACIÓN INTERNACIONAL** C07D 241/04

71. **SOLICITANTE** KUMIAI CHEMICAL Industry

DOMICILIO

74. **APODERADO** OSCAR Correa

22. **BOGOTÁ, D.C.,**

(FORMA P-10)

Industria y Comercio
SUPERINTENDENCIA

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-010108- -00000-0000
Fecha: 2010-02-01 16:10:24 Dep: 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 989

FORMULARIO UNICO DE SOLICITUD DE PATENTE PCT ENTRADA EN FASE NACIONAL

1 SOLICITUD DE:

☒ Patente de Invención. ☐ Patente de Modelo de Utilidad.
☒ Capítulo I. ☐ Capítulo II.

2 SOLICITANTE (71)

Nombre: **KUMIAI CHEMICAL INDUSTRY CO., LTD. Y IHARA CHEMICAL INDUSTRY CO., LTD.**
Sociedades constituidas y existentes bajo las leyes de Japón.

Dirección: 4-26, Ikenohata 1 chome,
Taito-ku,
Tokyo 110-8782,
Japón

y

4-26, Ikenohata 1 chome,
Taito-ku,
Tokyo 110-0008,
Japón

Domiciliadas en:
Tokyo,
Japón
Respectivamente

IDENTIFICACIÓN
C.C. ☐ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número _____

3 REPRESENTANTE O APODERADO

Nombre: OSCAR CORREA
Dirección: Carrera 4ª. No. 72-35
Teléfono: 347 36 11
Fax: 211 86 50
E-mail: clientes@cavelier.com
Domicilio: Bogotá, Colombia.

IDENTIFICACIÓN
C.C. ☒ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número 79.524.634 T.P 71.027

4 INVENTOR (ES) (72)

1. Ryuji TAMAI
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Iwata-shi,
Shizuoka 437-1213
Japón

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Iwata-shi,
Shizuoka 437-1213
Japón

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Japón

4. Takashi MITSUNARI
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Japón

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c/o KUMIAI CHEMICAL INDUSTRY CO., LTD.
4-26, Ikenohata 1-chome,
Taito-ku,
Tokyo 110-8782,
Japón

(5) **PCT (86)**
Solicitud Internacional No. PCT/JP2008/002055 Fecha: 31 de julio de 2008
Publicación Internacional No. WO 2009/016841 A1 Fecha: 05 de febrero de 2009

(6) **Título (54)**
DERIVADO DE OXOPIRAZINA Y HERBICIDA

(7) **Clasificación Internacional (51)** C07D 241/044 C07D 471/04, A01N 43/84 A01N 43/90

(8) **Prioridad** SI ☒ NO ☐

(33) País de Origen	(32) Fecha	(31) Número de Solicitud
JP	01 de agosto de 2007	2007-201387

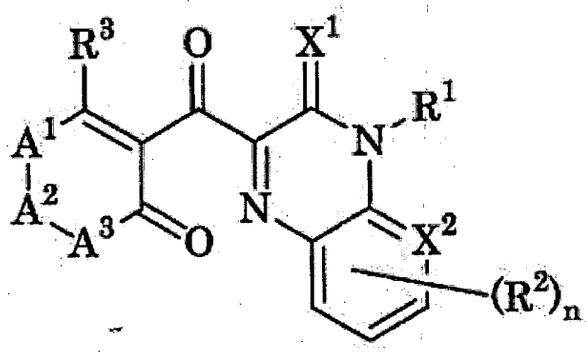
(9) **Comprobante de pago No.:** 10-2,712 **Fecha:** 12 de enero de 2010
Comprobante de pago No.: 10-4,259 **Fecha:** 18 de enero de 2010

(10) **Anexos:**

- ☒ Comprobante de pago de la tasa de presentación de la solicitud por $ 554.000.oo.
- ☒ Comprobante de pago por 3 reivindicaciones adicionales después de la décima reivindicación por $78.000.oo.
- ☒ Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica.
- ☒ Poderes de las sociedades **KUMIAI CHEMICAL INDUSTRY CO., LTD.** y **IHARA CHEMICAL INDUSTRY CO., LTD.**
- ☒ Documento de cesión del inventor al solicitante o a su causante.
- ☐ Declaraciones.
- ☒ Copia de la solicitud internacional. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Traducción de la solicitud internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- ☐ Copia del examen preliminar efectuado por la IPEA.
- ☐ Traducción del examen preliminar efectuado por la IPEA.
- ☐ De ser el caso, copia del contrato de acceso.
- ☐ De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas.
- ☐ De ser el caso, certificado de depósito del material biológico.
- ☒ Arte final 12x12
- ☐ De ser el caso, información sobre otras solicitudes de patente o títulos obtenidos en el extranjero por el mismo titular o su causante, relacionadas parcial o totalmente con la invención de esta solicitud.
- ☒ Otros: VER HOJA DE DOCUMENTOS ANEXOS

11

FIGURA CARACTERÍSTICA



[I]

12 Solicito la concesión de la patente,

NOMBRE: OSCAR CORREA

FIRMA :

C.C. 79.524.634 de Bogotá T.P. 71.027

SCT

DOCUMENTOS ANEXOS

1. ☒ Publicación Internacional WO 2009/016841 A1

   Descripción:

   Páginas 361 en el idioma original

   Páginas 398 en español

   Reivindicaciones: Número (s) 13

   Figuras: Número (s)

2. ☒ **Forma PCT/ISA/210.** Informe de Búsqueda Internacional.

3. ☒ Extracto de publicación.

NO SE REALIZARON MODIFICACIONES EN LA FASE INTERNACIONAL

Notaría Sexta 6 DEL CIRCULO DE BOGOTA, D.C.

COPIA NUMERO 1

DE LA ESCRITURA NUMERO: 0271
FECHA: 25/Enero/2010

ACTO O CONTRATO:
PODER ESPECIAL
OTORGANTES:
CAVELIER ABOGADOS
ROSA MARGARITA SANTA CRUZ

ICONTEC
CERTIFICADO DE GESTION DE LA CALIDAD
CERTIFICADO SC 3980-1
PRESTACION DEL SERVICIO PUBLICO NOTARIAL
ISO 9001:2000
NTC-ISO 9001:2000

CERTIFIED IQNet MANAGEMENT SYSTEM

AMPARO QUINTERO ARTURO

NOTARIA SEXTA

CARRERA 9 No. 69-31 - PBX: 317 01 00 - FAX: 314 56 41
Correo Electrónico: notaria6debgta@etb.net.co

EL MOLDE GRAFICAS LTDA • 2009

AA 42874645

ESCRITURA PUBLICA NUMERO - -271- - -

- - DOSCIENTOS SETENTA Y UNO - - - - - - - - -

DE FECHA: ENERO VEINTICINCO (25) - - - - - -

DEL AÑO DOS MIL DIEZ (2010). ----------

OTORGADA EN LA NOTARIA SEXTA (6ª.) DEL CÍRCULO NOTARIAL DE BOGOTÁ, D.C. ----------

ACTO: PODER ESPECIAL ----------

ACTO SIN CUANTÍA: $ - 0 -.----------

PODERDANTE: CAVELIER ABOGADOS NIT. No. 860041367-3

APODERADO: ----------

OSCAR FERNANDO CORREA BARBOSA C.C. No. 79.524.634

ROSA MARGARITA SANTACRUZ C.C. No. 35.501.911

LUZ CLEMENCIA DE PAEZ C.C. No. 35.456.344

GERMAN HUMBERTO MARIN RUALES C.C. No. 79.155.665

En la ciudad de Bogotá, Distrito Capital, República de Colombia, ante mí AMPARO QUINTERO ARTURO — Notaria(o) Sexta(o) (6) —— de este Círculo Notarial, se otorgó la escritura pública que se consigna en los siguientes términos: ----------

Compareció: Con minuta escrita, el señor **CARLOS ALBERTO GALLÓN GIRALDO**, mayor de edad, vecino de esta ciudad, casado, identificado con la cédula de ciudadanía No. **19.066.425** de Bogotá, y dijo: ----------

ESTIPULACIONES:

PRIMERA. Que obra en su calidad de representante legal de la sociedad denominada **CAVELIER ABOGADOS** domiciliada en la Carrera 4 No. 72-35, Bogotá, Colombia. ----------

SEGUNDA: Que **KUMIAI CHEMICAL INDUSTRY CO., LTD.**, sociedad constituida y existente bajo las leyes de Japón, domiciliada en 4-26 Ikenohata 1-chome Taito-ku, Tokyo 110-8782, Japón, designó a **CAVELIER ABOGADOS** como su representante para la Propiedad Industrial en Colombia con facultades de nombrar apoderados tal como consta en la copia auténtica del documento de representación que se

NOTARIA SEXTA DE BOGOTA

ESTE PAPEL NO TIENE COSTO ALGUNO PARA EL USUARIO

protocoliza. ----------

TERCERA. Que en su carácter de representante legal de **CAVELIER ABOGADOS**, y en desarrollo de la facultad otorgada por **KUMIAI CHEMICAL INDUSTRY CO., LTD**, confiere poder a los abogados **OSCAR FERNANDO CORREA BARBOSA**, T.P.A. No. 71.027, identificado con cédula de ciudadanía número **79.524.634** de Bogotá, **ROSA MARGARITA SANTACRUZ**, T.PA. No. 49.434, identificada con cédula de ciudadanía número **35.501.911** de Suba (Bogotá, D.E.), **LUZ CLEMENCIA DE PAEZ**, T.P.A. No. 23.555, identificada con cédula de ciudadanía número **35.456.344** de Usaquen y **GERMAN HUMBERTO MARIN RUALES**, T.P.A. No. 64.239, identificado con cédula de ciudadanía número **79.155.665** de Bogotá, mayores de edad, vecinos de Bogotá, para que representen a la sociedad a cuyo nombre actúa, ante cualesquiera entidades o personas, ejerzan o no jurisdicción, incluyendo las del orden administrativo, contencioso administrativo y judicial, así como los tribunales de arbitramento, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos de utilidad, diseños industriales, trazado de circuitos integrados, secretos industriales; el registro de marcas de fábrica, colectivas, defensivas, de servicio, lemas comerciales, nombres comerciales, enseñas, variedades vegetales, denominaciones de origen e indicaciones de procedencia, su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Las acciones de competencia desleal, protección del consumidor, oposiciones, cancelación administrativa o judicial, nulidad, medidas cautelares, concesión de licencias, la tutela, la protección de secretos industriales y de nombres de dominio junto con su administración y pago; y en general los procesos civiles, penales, administrativos o contencioso-administrativos, de conciliación, arbitramento, tutela relacionados con estos derechos; acciones y procesos que promovamos o se nos promuevan. ----------

CUARTO.- Que los apoderados designados están facultados en todos los

01

8822268885

CAMARA DE COMERCIO DE BOGOTA

SEDE CHAPINERO

17 DE DICIEMBRE DE 2009 HORA 11:11:00

R027136832 PAGINA: 1 de 3

* *

CERTIFICADO DE EXISTENCIA Y REPRESENTACION LEGAL O INSCRIPCION DE DOCUMENTOS

CERTIFICA:

NOMBRE : CAVELIER ABOGADOS
N.I.T. : 860041367-3
DOMICILIO : BOGOTA D.C.

CERTIFICA:

NO: 00820237

CERTIFICA:

CONSTITUCION : QUE POR ESCRITURA PUBLICA NO. 0006233 DE NOTARIA SEXTA DE BOGOTA D.C. DEL 10 DE SEPTIEMBRE DE 1974 , INSCRITA EL 26 DE SEPTIEMBRE DE 1974 BAJO EL NUMERO 00021234 DEL LIBRO IX, SE CONSTITUYO LA SOCIEDAD CIVIL DENOMINADA: CAVELIER PERDOMO Y CAVELIER.

CERTIFICA :

QUE POR ESCRITURA PUBLICA NO. 0001000 DE NOTARIA SEXTA DE BOGOTA D.C. DEL 10 DE MARZO DE 1983 , INSCRITA EL 5 DE ABRIL DE 1983 BAJO EL NUMERO 00130769 DEL LIBRO IX, LA SOCIEDAD CAMBIO SU NOMBRE DE : CAVELIER PERDOMO Y CAVELIER. POR EL DE : CAVELIER ABOGADOS

CERTIFICA:

QUE POR ESCRITURA PUBLICA NUMERO 1.000 OTORGADA EN LA NOTARIA 6A. DE BOGOTA EL 10 DE MARZO DE 1.983, INSCRITA EN ESTA CAMARA DE COMERCIO EL 5 DE ABRIL DE 1.983 BAJO EL NUMERO 130769 DEL LIBRO IX, LA SOCIEDAD CAMBIO SU NOMBRE DE: CAVELIER PERDOMO Y CAVELIER, POR EL DE: CAVELIER ABOGADOS, E INTRODUJO OTRAS REFORMAS.

CERTIFICA:

CERTIFICA

QUE POR E.P.NO. 2.034 DE LA NOTARIA 6 DE SANTAFE DE BOGOTA DEL 18 DE ABRIL DE 1.995, INSCRITA EL 27 DE ABRIL DE 1.995 BAJO EL NO. 5.937 DEL LIBRO XIII,LA SOCIEDAD TRASLADO SU DOMICILIO DE LA CIUDAD DE SANTAFE DE BOGOTA D.C. AL MUNICIPIO DE [illegible] (CAMARCA).-.

CERTIFICA:

QUE POR ESCRITURA PUBLICA NO. 7710 DE LA NOTARIA SEXTA DE BOGOTA DEL 10 DE OCTUBRE DE 1984, INSCRITA EL 17 DE OCTUBRE DE 1984 BAJO EL NO. 1559 DEL LIBRO XIII, LA SOCIEDAD CAMBIO SU NATURALEZA JURIDICA DE SOCIEDAD COMERCIAL A SOCIEDAD CIVIL.

CERTIFICA:

REFORMAS:

ESCRITURAS NO.	FECHA	NOTARIA	INSCRIPCION
842	10-V-1.977	18. BTA.	27-V-1.977-NO. 46.204
3.430	1-VII-1.980	6A. BTA.	3-IX-1.980-NO. 89.582
4.844	10-IX-1.980	6A. BTA.	8-X-1.980-NO. 91.261
432	10-II-1.983	6A. BTA.	1-III-1.983-NO.129.337

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA. BOGOTA D.C., REPUBLICA DE COLOMBIA

25 ENE. 2010

NOTARIO QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA DE BOGOTA

7.710	10-X-1.984	6A. BTA.	15-XI-1.984-NO.	1.559	
7.305	21-X-1.985	6A. BTA.	18-XI-1.985-NO.	1.906	
2.567	29-IV-1.987	6A. BTA.	7-V- 1.987-NO.	2.386	
4.278	3-VII-1.987	6A. BTA.	23-VII-1987 NO.	215.652	
2.657	25- IV-1.988	6A. BTA.	19- V -1988-NO.	2,740	
9.033	21-XII-1.990	6A. BTA.	10- I - 1991-NO.	3.786	
25	3- I -1.991	6A. BTA.	10- I - 1991-NO.	3.787	
1.608	8-III-1.991	6A. BTA.	14-III- 1991-NO.	3.841	
2.155	2-IV- 1.991	6A. BTA.	8-IV - 1991-NO.	3.862	
797	7-II -1.991	6A. BTA.	7- V -1991-NO.	3.882	
1.739	14-III-1.991	6A. BTA.	7- V -1991-NO.	3.881	
5.886	21-VIII-1.991	6A. BTA	27-VIII-1991 NO.	4.019	
8.596	4-XII -1.991	6A. BTA	16-III -1992 NO.	4.430	
1.494	10-III -1.992	6A. BTA.	19-III -1992 NO.	4.436	
4.205	8 -VII -1.992	6A. BTA.	15-VII -1992 NO.	4.554	
8.829	16-XII -1.992	6A. BTA.	24-XII -1992 NO.	4.819	
12	5-I -1.993	6 STAFE BTA	13-I -1993 NO.	4.850	
4.877	29-VI -1.993	6 STAFE BTA	7-VII -1993 NO.	5.065	
5.216	12-VII -1.993	6 STAFE BTA	16-VII -1993 NO.	5.077	
ACTA NO.71	2-IX- 1.993	JUNTA DE SOCIOS	29-IX- 1993 NO.	5.174	
2.034	18-IV- 1.995	6 STAFE BTA	27- IV -1995 NO.	5.937	
2.227	25-IV-1.995	6 STAFE BTA	18-VIII-1.995 NO.	6.092	
7.594	12-XII-1.995	6 STAFE BTA	19- XII-1.995 NO.	6.294	
676	11- II-1.997	6 STAFE BTA	14- II -1.997 NO.	6.873	

CERTIFICA:

REFORMAS:

E.P. NO.	FECHA	NOTARIA	CIUDAD	FECHA	NO.INSC.
0004506	1997/07/28	0006	BOGOTA D.C.	1997/09/02	00007115
0002103	1998/04/08	0006	BOGOTA D.C.	1998/04/21	00007426
0005326	1998/08/06	0006	BOGOTA D.C.	1998/08/13	00007544
0005538	1998/08/14	0006	BOGOTA D.C.	1998/08/27	00007564
0007760	1998/11/10	0006	BOGOTA D.C.	1998/11/12	00007644
0006709	1997/11/04	0006	BOGOTA D.C.	2000/12/04	00008481
0003034	2003/05/30	0006	BOGOTA D.C.	2003/06/04	00882729
0003786	2004/07/16	0006	BOGOTA D.C.	2004/07/28	00009703
0001432	2005/03/18	0006	BOGOTA D.C.	2005/04/07	00009917
0004818	2005/08/22	0006	BOGOTA D.C.	2005/08/26	00010008
0005506	2007/07/17	0006	BOGOTA D.C.	2007/07/24	00010841
5453	2009/10/19	0006	BOGOTA D.C.	2009/10/21	00012243

CERTIFICA:

VIGENCIA: QUE LA SOCIEDAD NO SE HALLA DISUELTA. DURACION HASTA EL 10 DE SEPTIEMBRE DE 2024 .

CERTIFICA:

OBJETO SOCIAL: LA SOCIEDAD TENDRA POR OBJETO : EL EJERCICIO DE LA ACTIVIDAD PROFESIONAL DE ABOGADOS. EN DESARROLLO DE ESTE OBJETO LA SOCIEDAD PODRA ADQUIRIR, CONTRAER OBLIGACIONES Y CELEBRAR TODA CLASE DE CONTRATOS DIRECTAMENTE RELACIONADOS Y SUBORDINADOS AL MISMO, [illegible] ARRENDAMIENTO, HIPOTECA, MUTUO CON O SIN INTERES CON MANDATO COMERCIAL, Y SOCIEDAD, ASI COMO PARTICIPAR EN ASOCIACIONES CIENTIFICAS, GREMIALES O PROFESIONALES SIN ANIMO DE LUCRO.

CERTIFICA:

CAPITAL [illegible] 00 DIVIDIDO EN 10,000.00 CUOTAS CON VALOR NOMINAL DE [illegible] 00 CADA UNA, DISTRIBUIDO ASI :

- SOCIO CAPITALISTA (S)

ASOCIACION CAVELIER DEL DERECHO N.I.T. 000008001722568

NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA QUE ANTECEDE ES IGUAL A LA QUE HE TENIDO A LA VISTA. BOGOTA D.C. 25 ENE. 2010 NOTARIO ARTURO GUTIERREZ QUINTERO

01

88226885

CAMARA DE COMERCIO DE BOGOTA

SEDE CHAPINERO

17 DE DICIEMBRE DE 2009 HORA 11:11:00

R027136832 PAGINA: 2 de 3

* *

NO. CUOTAS: 8,800.00 VALOR: $8,800.00
GAUDEBERT DE CAVELIER AGNES JEANNE C.E. 000000000131100
NO. CUOTAS: 1,200.00 VALOR: $1,200.00
- SOCIO INDUSTRIAL (S)
CHAVARRO JORGE C.C. 000000016209380
NO. CUOTAS: 0.00 VALOR: $0.00
SUAREZ DE PAEZ LUZ CLEMENCIA C.C. 000000035456344
NO. CUOTAS: 0.00 VALOR: $0.00
PEÑA VALENZUELA DANIEL C.C. 000000079518915
NO. CUOTAS: 0.00 VALOR: $0.00
MARIN RUALES GERMAN HUMBERTO C.C. 000000079155665
NO. CUOTAS: 0.00 VALOR: $0.00
TOTALES
NO. CUOTAS: 10,000.00 VALOR: $10,000.00

CERTIFICA:

QUE POR ESCRITURAS PUBLICAS NO. 001431 Y 001432 DEL 18 DE MARZO DE 2005 DE LA NOTARIA 06 DE BOGOTA D.C., INSCRITA EL 07 DE ABRIL DE 2005 BAJO EL NO. 0009918 Y 0009917, RESPECTIVAMENTE DEL LIBRO XIII, DE LAS MIL DOSCIENTAS (1200) PARTES DE INTERES SOCIAL RADICADAS EN CABEZA DE AGNES GAUDEBERT DE CAVELIER, SEISCIENTAS (600) PARTES ESTAN GRAVADAS O AFECTADAS EN PROPIEDAD FIDUCIARIA A FAVOR DE LAS SIGUIENTES PERSONAS : GERMAN CAVELIER FRANCO, ERNESTO CAVELIER FRANCO, JORGE CAVELIER FRANCO, INES CAVELIER FRANCO, GUILLERMO CAVELIER FRANCO, ELENA CAVELIER FRANCO, ALEJANDRO CAVELIER FRANCO, BEATRIZ CAVELIER FRANCO, Y LUCIA CAVELIER FRANCO.

CERTIFICA:

REPRESENTACION LEGAL: EL REPRESENTANTE LEGAL ES EL DIRECTOR. EL SOCIO FUNDADOR GERMAN CAVELIER GAVIRIA TENDRA EL CARACTER DE PRESIDENTE DIRECTOR VITALICIO, PARTICIPARA POR DERECHO PROPIO EN EL CONSEJO SUPERIOR Y EN EL CONSEJO DIRECTIVO Y LLEVARA LA REPRESENTACION LEGAL DE LA SOCIEDAD CUANDO LO ESTIME PERTINENTE SIN LIMITACION ALGUNA. IGUAL PARTICIPACION Y ATRIBUCIONES TENDRA SU SUPLENTE AGNES GAUDEBERT DE CAVELIER CUANDO OCUPE EL CARGO, EN CASO DE FALTA ABSOLUTA DE GERMAN CAVELIER GAVIRIA. LOS SOCIOS COLECTIVOS ESTÁN AUTORIZADOS PARA EJERCER LA REPRESENTACIÓN DE LA SOCIEDAD EN CUALQUIER [illegible] LAS DELEGACIONS CONFERIDAS A LOS ORGANOS [illegible] ESTATUTOS

COPIA NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. REPUBLICA DE COLOMBIA
HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
25 ENE. 2010
NOTARIO QUINTERO ARTURO
NOTARIA

CERTIFICA:

** NOMBRAMIENTOS **

QUE POR NO. 12 DE JUNTA DE SOCIOS DEL 14 DE [illegible] INSCRITA EL 24 DE SEPTIEMBRE DE 2009 BAJO EL NUMERO 00012200 DEL LIBRO XIII, FUE (RON) NOMBRADO (S):

NOMBRE IDENTIFICACION

DIRECTOR (REPRESENTANTE LEGAL DE LA ASOCIACIÓN CAVELIER DEL DERECHO)

GALLON GIRALDO CARLOS C.C. 000000019066425

QUE POR ESCRITURA PUBLICA NO. 0004818 DE NOTARIA 6 DE BOGOTA D.C. DEL 22 DE AGOSTO DE 2005, INSCRITA EL 26 DE AGOSTO DE 2005 BAJO EL NUMERO 00010008 DEL LIBRO XIII, FUE (RON) NOMBRADO (S):

NOMBRE IDENTIFICACION

PRESIDENTE DIRECTOR VITALICIO

CAVELIER GAVIRIA GERMAN C.C. 000000002877924

SUPLENTE DEL PRESIDENTE DIRECTOR VITALICIO

GAUDEBERT DE CAVELIER AGNES JEANNE C.E. 000000000131100

CERTIFICA:

FACULTADES DEL REPRESENTANTE LEGAL: APARTE DE LAS FACULTADES Y DEBERES QUE DE TIEMPO EN TIEMPO SE LE ASIGNEN POR EL CONSEJO SUPERIOR, EL DIRECTOR TENDRA LAS SIGUIENTES FUNCIONES : A) LA DE REPRESENTAR LEGALMENTE A LA SOCIEDAD ANTE LAS AUTORIDADES DE CUALQUIER ORDEN O NATURALEZA Y ANTE OTRAS PERSONAS NATURALES O JURIDICAS, CON FACULTADES PARA NOVAR, TRANSIGIR, COMPROMETER Y DESISTIR Y PARA COMPARECER EN JUICIOS INCLUSIVE EN AQUELLOS EN DONDE SE DISPUTE LA PROPIEDAD DE BIENES INMUEBLES; B) CUMPLIR Y HACER CUMPLIR LOS ESTATUTOS Y REGLAMENTOS DE LA SOCIEDAD; Y C) CREAR O SUPRIMIR TODOS LOS EMPLEOS QUE SEAN NECESARIOS DE ACUERDO CON EL CONSEJO SUPERIOR PARA EL BUEN FUNCIONAMIENTO DE LA SOCIEDAD, ASIGNARLES FUNCIONES, FIJAR SUS SALARIOS Y NOMBRAR LAS PERSONAS QUE DEBAN DESEMPEÑARLOS, ASI COMO RETIRARLOS Y REEMPLAZARLOS CUANDO HAYA LUGAR. CUANDO CUALQUIERA DE LOS ACTOS INDICADOS EN LA CLAUSULA ANTERIOR SE REFIERA A OPERACIONES CUYO MONTO EXCEDA DE LA SUMA EQUIVALENTE A CIENTO OCHENTA (180) SALARIOS MINIMOS MENSUALES, SU CELEBRACION REQUERIRA LA APROBACION PREVIA DEL CONSEJO SUPERIOR.

CERTIFICA:

** REVISOR FISCAL **

QUE POR ACTA NO. 0000071 DEL 2 DE SEPTIEMBRE DE 1993, INSCRITA EL 29 DE SEPTIEMBRE DE 1993 BAJO EL NUMERO 00005175 DEL LIBRO XIII, FUE (RON) NOMBRADO (S):

NOMBRE IDENTIFICACION

REVISOR FISCAL

RINCON FANDIÑO MIGUEL ALFONSO C.C. 000000002877024

CERTIFICA:

DE CONFORMIDAD CON LO ESTABLECIDO POR LA LEY 962 DE 2005, LOS ACTOS DE REGISTRO AQUI CERTIFICADOS QUEDAN EN FIRME CINCO (5) DIAS HABILES DESPUES DE LA FECHA DE INSCRIPCION, SIEMPRE QUE NO SEAN OBJETO DE RECURSOS EN LA VIA GUBERNATIVA.

* * * EL PRESENTE CERTIFICADO NO CONSTITUYE PERMISO DE * * *
* * * FUNCIONAMIENTO EN NINGUN CASO * * *

EL SECRETARIO DE LA CAMARA DE COMERCIO,

COMO NOTARIO SESENTA Y SEIS DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO EN LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE 2006
AMPARO QUINTERO ARTURO
NOTARIA

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CAMARA DE COMERCIO DE BOGOTA

SEDE CHAPINERO

17 DE DICIEMBRE DE 2009 HORA 11:11:00

R027136832 PAGINA: 3 de 3

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VALOR : $ 3,500

DE CONFORMIDAD CON EL DECRETO 2150 DE 1995 Y LA AUTORIZACION IMPARTIDA POR LA SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO, MEDIANTE EL OFICIO DEL 18 DE NOVIEMBRE DE 1996, LA FIRMA MECANICA QUE APARECE A CONTINUACION TIENE PLENA VALIDEZ PARA TODOS LOS EFECTOS LEGALES

Jacqueline Esteban R

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA, D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA DE BOGOTA

10

CAVELIER
ABOGADOS
EDIFICIO SISKI
CARRERA 4a N° 72-35
BOGOTA, 8 – COLOMBIA

REPRESENTACION

REPRESENTATION

Yo (nosotros), abajo firmado (s)/I (we), the undersigned

KUMIAI CHEMICAL INDUSTRY CO., LTD

domiciliado (s) en/of: 4-26, Ikenohata 1-chome, Taito-ku, Tokyo 110-8782 Japan

Por el presente nombramos a CAVELIER ABOGADOS, sociedad colectiva constituida de conformidad con las leyes de la República de Colombia, mediante Escritura Pública No. 6233 del 10 de septiembre de 1974, otorgada en la Notaría Sexta del Círculo de Bogotá, domiciliada en Bogotá D.C., con matrícula mercantil No. 00820237 y NIT 860041367-3, domiciliada en la Carrera 4a N° 72-35, Bogotá, Colombia, como nuestra representante en todos los asuntos de Propiedad Industrial, con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales.

CAVELIER ABOGADOS también podrá representarnos, con las mismas facultades arriba indicadas, ante cualesquiera entidades o personas, ejerzan o no jurisdicción, autoridades administrativas o jurisdiccionales, tribunales de arbitramento, en asuntos de naturaleza civil, comercial, penal, constitucional, competencia, competencia desleal, administrativa, contencioso administrativa, protección del consumidor, variedades vegetales, nombres de dominio, oposiciones u observaciones, cancelación administrativa y judicial, nulidad, medidas cautelares, concesión de licencias, acciones de tutela, la protección de secretos industriales, derecho regulatorio y en general para que nos represente en cualesquiera acciones y procesos que promovamos o se nos promuevan.

La representante podrá, en nuestro nombre, designar apoderados para actuar ante autoridades administrativas y judiciales, por vía extrajudicial y también procesalmente en nuestro nombre, como demandantes, como demandados, como litisconsortes, como agentes oficiosos, como terceros, por llamamiento en garantía o como intervinientes ad excludendum. Dentro de esta

Do hereby appoint CAVELIER ABOGADOS, a general partnership organized under the laws of the Republic of Colombia by means of Public Deed No. 6233 of September 10, 1974, granted at Notary Sixth of the Bogota Circuit, domiciled in Bogota D.C., with Mercantile Registration No. 00820237 and Taxpayer Identification Number 860041367-3, domiciled at Carrera 4a N° 72-35, Bogota, Colombia, as our representative for all Industrial Property matters with faculty to receive notifications and appoint attorneys in and out of Court.

CAVELIER ABOGADOS may also represent us, with the same faculties stated above, before any entities or persons, with or without jurisdiction, administrative or jurisdictional authorities, courts of arbitration, in civil, commercial, criminal, constitutional, competition, unfair competition, administrative, administrative contentious, consumer protection, plant varieties, domain names, opposition or observation matters, administrative and Court cancellation, nullity, precautionary measures, licensing, action for writ mandamus, protection of trade secrets, [illegible] represent us in any actions and [illegible] us.

COPIA NOTARIAL SEXTA DEL CIRCUITO DE BOGOTA
SE HACE CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HA TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
NOTARIA

The representative [illegible] in our name, designate attorneys to act before administrative and judicial authorities, through out-of-court channels, and also procedurally in our name as plaintiff, defendant, joint litigant, representative without power of attorney, third party, when summoned to appear in court or as intervener ad excludendum. Under this authorization,

NOTARIA SEXTA DE BOGOTA

© CAVELIER ABOGADOS

autorización, la representante podrá facultar a los apoderados que ella designe para transigir, conciliar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar y sustituir el poder que se le otorgue. Así mismo, la representante tendrá la facultad para revocar el poder así otorgado en el momento que considere pertinente. La representante en todo caso podrá ratificar los actos de agentes oficiosos.

the representative may empower the attorneys appointed thereby to compromise, conciliate, admit the facts of the case, desist, cancel, receive, resign and substitute the power of attorney granted thereto. Likewise, the representative shall have the faculty of revoking the power of attorney thus granted at any time it may deem convenient. The representative may in any case ratify the acts of representatives without a power of attorney.

Dado y firmado hoy/Given and signed this _____

En/in Tokyo, JAPAN

Por/by Nobuhiko MOCHIZUKI, President

Firma/Signature Nobuhiko Mochizuki

Nota:

PARA SOCIEDADES

El documento de Representación deberá ser firmado por el representante legal de la compañía. Deberá ser presentado ante Notario Público para que certifique que la persona que firma es quien dice ser. La firma del señor Notario deberá ser legalizada*.

Adicionalmente deberá anexarse copia legalizada* del documento que pruebe la existencia y representación legal de la sociedad.

*La legalización podrá ser por Apostilla si el país del otorgante hace parte de la Convención de La Haya del 5 de octubre de 1961 o ante el Cónsul de Colombia en caso contrario. Una sola legalización que incluya ambos documentos sería suficiente.

PARA PERSONAS NATURALES

El documento de Representación deberá ser firmado por el otorgante: El Notario Público deberá certificar que la persona que firma es quien dice ser.

Posteriormente el documento de Representación deberá ser legalizado por Apostilla si el país del otorgante hace parte de la Convención de La Haya del 5 de octubre de 1961 o ante el Cónsul de Colombia en caso contrario.

Note:

FOR CORPORATIONS

The Representation document should be signed by the Legal Representative of the company. It should be produced before a Notary Public, who should certify that the person signing the same is in fact who he/she purports to be. The Notary's signature should then be legalized*.

In addition a legalized copy* of the document proving the existence and legal representation of the company should also be attached.

*Legalization may be made by Apostille if the issuing country is a member of the The Hague Convention of October 5, 1961, or before the Colombian Consulate if otherwise. A single legalization that would include both documents would be sufficient.

FOR INDIVIDUALS

The Representation should be signed by the grantor. The Notary Public should certify that the person signing is who he/she purports to be.

Subsequently, the Representation document should be legalized by Apostille if the issuing country is a member of the The Hague Convention of October 5, 1961 or before the Colombian Consulate if otherwise.

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
ALVARO QUINTERO ARTURO
NOTARIA

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TOKYO LEGAL AFFAIRS BUREAU

TAKEO NAKANISHI, Notary — *KYOBASHI NOTARY OFFICE*

■1-1-10, Kyobashi, Chuo-ku, Tokyo 104-0031, Japan ■Tel 03-3271-4677 Fax 03-3271-3606

Registered No.'10-27

NOTARIAL CERTIFICATE

THIS IS TO CERTIFY:

That **Mr. Nobuhiko Mochizuki,** President of **KUMIAI CHEMICAL INDUSTRY CO., LTD.**, being legally constituted and existing in accordance with the laws of Japan, and having its principal office at 4-26, Ikenohata 1-chome, Taito-ku, Tokyo, Japan, has appeared before me, and affixed his signature to the preceding instrument (**REPRESENTATION**).

Dated this 7th day of January, 2010.

TAKEO NAKANISHI
NOTARY
TOKYO LEGAL AFFAIRS BUREAU

TOKYO LEGAL AFFAIRS BUREAU
NOTARY
1-10, 1 CHOME KYOBASHI CHUO-KU
TOKYO JAPAN

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTÁ D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA
DE BOGOTÁ

平成２２年登簿第　27　号

認　　証

嘱託人・クミアイ化学工業株式会社（本店：東京都台東区池之端１丁目４番２６号）代表取締役　望月信彦（Mr. Nobuhiko MOCHIZUKI）は、本公証人の面前において、添付書面「委任状」（REPRESENTATION）に署名した。

よって、これを認証する。

平成２２年　1　月　7　日、本公証人役場において

東京都中央区京橋一丁目1番10号
東京法務局所属

公証人
Notary

中西武夫
Takeo NAKANISHI

証　　明

上記署名は、東京法務局所属公証人の署名に相違ないものであり、かつ、その押印は、真実のものであることを証明する。

平成２２年　1　月　7　日

東京法務局長　山舗　弥一郎

APOSTILLE
(Convention de La Haye du 5 octobre 1961)

1. Country: JAPAN
   This public document
2. has been signed by Takeo NAKANISHI
3. acting in the capacity of Notary of the Tokyo Legal Affairs Bureau
4. bears the seal/stamp of Takeo NAKANISHI, Notary

Certified

5. at Tokyo
6. JAN. - 7. 2010
7. by the Ministry of Foreign Affairs
8. 10-№ 011591
9. Seal/stamp:
10. Signature

Kazutoyo OYABE
For the Minister for Foreign Affairs

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTURO
NOTARIA

TRADUCCIÓN OFICIAL 008/2010 DE UN DOCUMENTO EN IDIOMA INGLÉS REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCION 541 DEL 27 DE FEBRERO DE 1976 EXPEDIDA POR EL MINISTERIO DE JUSTICIA DE COLOMBIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE COLOMBIA, POR EL CUAL **KUMIAI CHEMICAL INDUSTRY CO., LTD.** DOMICILIADA EN 4-26, IKENOHATA 1-CHOME, TAITO-KU, TOKIO 110-8782, JAPÓN OTORGA REPRESENTACIÓN EN ASUNTOS RELACIONADOS CON PROPIEDAD INDUSTRIAL A **CAVELIER ABOGADOS.**

DADO Y FIRMADO EN TOKIO, JAPÓN POR NOBUHIKO MOCHIZUKI, PRESIDENTE. FIRMA ILEGIBLE.

REGISTRADO BAJO NÚMERO 10-27

CERTIFICACIÓN NOTARIAL

Por la presente se certifica que el señor Nobuhiko Mochizuki, Presidente de KUMIAI CHEMICAL INDUSTRY CO., LTD, empresa Constituida legalmente y existente de conformidad con las Leyes de Japón, con domicilio en 4-26, Ikenohata 1-chome, Taito-ku, Tokio, Japón ha comparecido ante mí y fijado su Firma en el instrumento precedente (representación)

Fechado el 7 de enero de 2010

Firmado: TAKEO NAKANISHI, NOTARIO

OFICINA DE ASUNTOS JURÍDICOS DE TOKIO

SELLO: OFICINA DE ASUNTOS JURIDICOS DE TOKIO

NOTARIA. 1-10, 1 CHOME KYOBASHI CHUO-KU, TOKIO, JAPÓN

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
ARTURO QUINTERO ARTURO
NOTARIA

APOSTILLA

(CONVENCION DE LA HAYA DEL 5 DE OCTUBRE DE 1961)

1. PAIS: JAPÓN

Eduardo Calado N.
Eduardo [illegible]
TRADUCTOR OFICIAL
Ingles [illegible]

NOTARÍA SEXTA DE BOGOTÁ D.C.

2. Este documento público ha sido firmado por TAKEO NAKANISHI

3. quien actúa en su calidad de NOTARIO DE LA OFICINA DE ASUNTOS JURÍDIDOS DE TOKIO

4. lleva el sello/estampilla de TAKEO NAKANISHI, NOTARIO

CERTIFICADO

5. En TOKIO 6. el 7 de enero de 2010

7. Por el Ministerio de Asuntos Exteriores

8. No. 011591

9. Sello/estampilla: MINISTERIO DE ASUNTOS EXTERIORES, JAPÓN

10. Firma: KAZUTOYO OYABE, a nombre del Ministro de Asuntos Exteriores.

COLO-15798-841-002-1

ECN\ECN\ID-163936\WPCL002G

Bogotá, 13 de enero de 2010.

Eduardo Calado

Eduardo [illegible] Rogiers
TRADUCTOR OFICIAL
Inglés - Español - Inglés
Res. 541 Febrero de 1976

MINISTERIO DE RELACIONES EXTERIORES
COPIA PARA ANEXAR EN EL DOCUMENTO DE REFERENCIA
LAS FUNCIONES INDICADAS
15263 Eduardo Calado

NO ASUME RESPONSABILIDAD DEL TEXTO
2010 JAN 19 A 11:46
JEFE DE LEGALIZACIONES
BOGOTA D.C.

COMO NOTARIA SEXTA DEL CÍRCULO DE BOGOTÁ D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTURO
NOTARIA

EL MOLDE GRAFICAS LTDA • 2009

AA 42874644

asuntos arriba determinados para sustituir este mandato, transigir, conciliar, arbitrar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar y ratificar los actos de agentes oficiosos. ----------

QUINTO. Que protocoliza con la presente escritura, un certificado expedido por la Cámara de Comercio de Bogotá, que acredita la constitución y personería de la sociedad **CAVELIER ABOGADOS**, igualmente protocoliza copia autenticada del documento de representación otorgado el día siete (7) de enero de dos mil diez (2010) por **KUMIAI CHEMICAL INDUSTRY CO., LTD.** documento que está debidamente legalizado. ----------

Presente el señor **CARLOS ALBERTO GALLÓN GIRALDO**, domiciliado en Bogotá, identificado como aparece al pie de su firma y manifestó que está conforme con el contenido de esta escritura pública. ----------

---

-------- **HASTA AQUI LAS DECLARACIONES DEL INTERESADO** -------

"Se advirtió al otorgante de esta escritura de leer la totalidad de su texto, a fin de verificar la exactitud de todos los datos en ella consignados, con el fin de aclarar, modificar o corregir lo pertinente antes de firmarla, la firma de la misma demuestra su aprobación total; en consecuencia, la notaria no asume ninguna responsabilidad por errores o inexactitudes establecidas con posterioridad a la firma del otorgante y de la Notaria. En tal caso, estos deben ser corregidos mediante el otorgamiento de una nueva escritura, suscrita por todos los que intervinieron en la inicial o por el actual titular del derecho y sufragados los gastos por los mismos (ARTICULO 35, DECRETO LEY 960 DE 1.970)". ----------

OTORGAMIENTO Y AUTORIZACIÓN. Leído, el presente instrumento público por el otorgante y advertido de su Registro dentro del término legal, dio su asentimiento y en prueba de ello lo firma junto con la suscrita Notaria quien en esta forma lo autoriza.

DERECHOS $ 42.860.oo

NOTARIA 53 DE BOGOTÁ

ESTE PAPEL NO TIENE COSTO ALGUNO PARA EL USUARIO

IVA 16% $ 12/284.oo

El presente instrumento público se extendió y firmó en las hojas de papel notarial números: 42874645 - 42874644

EN BLANCO... EN BLANCO



CARLOS ALBERTO GALLÓN GIRALDO

C.C. 19.066.625 B/

TEL. 2116702

DIRECCIÓN. Cr 4ª 72-35

QUIEN OBRA EN SU CALIDAD DE REPRESENTANTE LEGAL DE LA SOCIEDAD DENOMINADA **CAVELIER ABOGADOS**



AMPARO QUINTERO ARTURO

NOTARIA(O) SEXTA(O) (6) DE BOGOTÁ, D.C.

Milena.T0316.CAVELIER

14

ES FIEL Y PRIMERA COPIA (FOTOCOPIA) TOMADA DE LA ESCRITURA PUBLICA NUMERO 0271 DE FECHA 25 DE ENERO DE 2010.

COPIA QUE EXPIDO CON DESTINO AL INTERESADO EN 09 HOJAS RUBRICADAS EN SUS MARGENES, LA CUAL CARECE DE NOTAS DE REVOCATORIA, MODIFICACION O SUSTITUCION, POR TANTO SE PRESUME VIGENTE EL PODER EN ELLA CONTENIDO.

BOGOTA D.C. ENERO 26 DE 2010

RESOLUCION 01 DE 2009

LA NOTARIA SEXTA DE BOGOTA D.C.



AMPA[illegible]TURO

16. I

Notaría Sexta 6 DEL CIRCULO DE BOGOTA, D.C.

COPIA NUMERO 1

DE LA ESCRITURA NUMERO: 0274
FECHA: 25/Enero/2010

ACTO O CONTRATO:
PODER ESPECIAL
OTORGANTES:
CAVELIER ABOGADOS
ROSA MARGARITA SANTA CRUZ

ICONTEC
CERTIFICADO DE GESTION DE LA CALIDAD
CERTIFICADO SC 3980-1
PRESTACION DEL SERVICIO PUBLICO NOTARIAL
ISO 9001:2000
NTC-ISO 9001:2000

CERTIFIED IQNet MANAGEMENT SYSTEM

AMPARO QUINTERO ARTURO

NOTARIA SEXTA

CARRERA 9 No. 69-31 - PBX: 317 01 00 - FAX: 314 56 41
Correo Electrónico: notaria6debgta@etb.net.co

EL MOLDE GRAFICAS LTDA • 2009

AA 42875356

ESCRITURA PUBLICA NUMERO - - 274- - - - -

— DOSCIENTOS SETENTA Y CUATRO - - - - - -

DE FECHA: ENERO VEINTICINCO (25) - - - - - -

DEL AÑO DOS MIL DIEZ (2.010) - - - - - - - - -

OTORGADA EN LA NOTARIA SEXTA (6a) DEL CIRCULO DE BOGOTA D.C. ----------

CLASE DE ACTO O CONTRATO: **PODER ESPECIAL** ----------

DE: **CAVELIER ABOGADOS** ----------

A : **OSCAR FERNANDO CORREA BARBOSA, ROSA MARGARITA SANTACRUZ, LUZ CLEMENCIA DE PÁEZ, GERMAN HUMBERTO MARIN RUALES** ----------

En la ciudad de Bogotá D.C. República de Colombia, ante mí, AMPARO QUINTERO ARTURO ——— Notaria sexta (6a.) ———de éste círculo notarial, se otorgó la presente escritura pública que se consigna en los siguientes términos: ------

COMPARECENCIA: Con minuta escrita, compareció el señor **CARLOS ALBERTO GALLÓN GIRALDO**, mayor de edad, vecino de esta ciudad, casado, identificado con la cédula de ciudadanía No. 19.066.425 de Bogotá, y dijo:----------

ESTIPULACIONES: ----------

PRIMERA.- Que obra en su calidad de representante legal de la sociedad denominada **CAVELIER ABOGADOS** domiciliada en la **Carrera 4 No. 72-35, Bogotá, Colombia.** ----------

SEGUNDA: Que **IHARA CHEMICAL INDUSTRY CO., LTD.**, sociedad constituida y existente bajo las leyes de Japón, domiciliada en 4-26 Ikenohata 1-chome Taito-ku, Tokyo 110-0008, Japón, designó a **CAVELIER ABOGADOS** como su representante para la Propiedad Industrial en Colombia con facultades de nombrar apoderados tal como consta en la copia auténtica del documento de representación que se protocoliza. ----------

TERCERA.- Que en su carácter de representante legal de **CAVELIER ABOGADOS,** y en desarrollo de la facultad otorgada por **IHARA CHEMICAL INDUSTRY CO., LTD.** confiere poder a los abogados **OSCAR FERNANDO CORREA BARBOSA**, T.P.A. No. 71.027, identificado con cédula de

ESTE PAPEL NO TIENE COSTO ALGUNO PARA EL USUARIO

ciudadanía número 79.524.634 de Bogotá, **ROSA MARGARITA SANTACRUZ**, T.PA. No. 49.434, identificada con cédula de ciudadanía número 35.501.911 de Suba (Bogotá, D.E.), **LUZ CLEMENCIA DE PAEZ**, T.P.A. No. 23.555, identificada con cédula de ciudadanía número 35.456.344 de Usaquén y **GERMAN HUMBERTO MARIN RUALES**, T.P.A. No. 64.239, identificado con cédula de ciudadanía número 79.155.665 de Bogotá, mayores de edad, vecinos de Bogotá, para que representen a la sociedad a cuyo nombre actúa, ante cualesquiera entidades o personas, ejerzan o no jurisdicción, incluyendo las del orden administrativo, contencioso administrativo y judicial, así como los tribunales de arbitramento, en todo lo concerniente a los siguientes asuntos: -- **a)** Obtención de patentes de invención, modelos de utilidad, diseños industriales, trazado de circuitos integrados, secretos industriales; el registro de marcas de fábrica, colectivas, defensivas, de servicio, lemas comerciales, nombres comerciales, enseñas, variedades vegetales, denominaciones de origen e indicaciones de procedencia, su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos ----------

b) Las acciones de competencia desleal, protección del consumidor, oposiciones, cancelación administrativa o judicial, nulidad, medidas cautelares, concesión de licencias, la tutela, la protección de secretos industriales y de nombres de dominio junto con su administración y pago; y en general los procesos civiles, penales, administrativos o contencioso- administrativos, de conciliación, arbitramento, tutela relacionados con estos derechos; acciones y procesos que promovamos o se nos promuevan. ----------

CUARTO.- Que los apoderados designados están facultados en todos los asuntos arriba determinados para sustituir este mandato, transigir, conciliar, arbitrar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar y ratificar los actos de agentes oficiosos. ----------

QUINTO- Que protocoliza con la presente escritura, un certificado expedido por la Cámara de Comercio de Bogotá, que acredita la constitución y personería de la sociedad **CAVELIER ABOGADOS,** igualmente protocoliza copia autenticada del documento de representación otorgado por **IHARA CHEMICAL**

01 *8822688S*

CAMARA DE COMERCIO DE BOGOTA

SEDE CHAPINERO

17 DE DICIEMBRE DE 2009 HORA 11:11:00

R027136832 PAGINA: 1 de 3

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CERTIFICADO DE EXISTENCIA Y REPRESENTACION LEGAL O INSCRIPCION DE DOCUMENTOS

CERTIFICA:

NOMBRE : CAVELIER ABOGADOS
N.I.T. : 860041367-3
DOMICILIO : BOGOTA D.C.

CERTIFICA:

NO: 00820237

CERTIFICA:

CONSTITUCION : QUE POR ESCRITURA PUBLICA NO. 0006233 DE NOTARIA SEXTA DE BOGOTA D.C. DEL 10 DE SEPTIEMBRE DE 1974 , INSCRITA EL 26 DE SEPTIEMBRE DE 1974 BAJO EL NUMERO 00021234 DEL LIBRO IX, SE CONSTITUYO LA SOCIEDAD CIVIL DENOMINADA: CAVELIER PERDOMO Y CAVELIER.

CERTIFICA :

QUE POR ESCRITURA PUBLICA NO. 0001000 DE NOTARIA SEXTA DE BOGOTA D.C. DEL 10 DE MARZO DE 1983 , INSCRITA EL 5 DE ABRIL DE 1983 BAJO EL NUMERO 00130769 DEL LIBRO IX, LA SOCIEDAD CAMBIO SU NOMBRE DE : CAVELIER PERDOMO Y CAVELIER. POR EL DE : CAVELIER ABOGADOS

CERTIFICA:

QUE POR ESCRITURA PUBLICA NUMERO 1.000 OTORGADA EN LA NOTARIA 6A. DE BOGOTA EL 10 DE MARZO DE 1.983, INSCRITA EN ESTA CAMARA DE COMERCIO EL 5 DE ABRIL DE 1.983 BAJO EL NUMERO 130769 DEL LIBRO IX, LA SOCIEDAD CAMBIO SU NOMBRE DE: CAVELIER PERDOMO Y CAVELIER, POR EL DE: CAVELIER ABOGADOS, E INTRODUJO OTRAS REFORMAS.

CERTIFICA:

CERTIFICA

QUE POR E.P.NO. 2.034 DE LA NOTARIA 6 DE SANTAFE DE BOGOTA DEL 18 DE ABRIL DE 1.995, INSCRITA EL 27 DE ABRIL DE 5.937 DEL LIBRO XIII,LA SOCIEDAD TRASLADO SU DOMICILIO DE LA CIUDAD DE SANTAFE DE BOGOTA D.C. AL MUNICIPIO DE TABIO, (CUNDINAMARCA).-.

NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
25 ENE. 2010
EDUARDO QUINTERO ARTURO NOTARIA 1984

CERTIFICA:

QUE POR ESCRITURA PUBLICA NO. 7710 DE LA NOTARIA 6A. DE BOGOTA DEL 10 DE OCTUBRE DE 1984, INSCRITA EL 15 DE NOVIEMBRE BAJO EL NO. 1559 DEL LIBRO XIII, LA SOCIEDAD CAMBIO SU NATURALEZA JURIDICA DE SOCIEDAD COMERCIAL A SOCIEDAD CIVIL.

CERTIFICA:

REFORMAS:

ESCRITURAS NO.	FECHA	NOTARIA	INSCRIPCION
842	10-V-1.977	18. BTA.	27-V-1.977-NO. 46.204
3.430	1-VII-1.980	6A. BTA.	3-IX-1.980-NO. 89.582
4.844	10-IX-1.980	6A. BTA.	8-X-1.980-NO. 91.261
432	10-II-1.983	6A. BTA.	1-III-1.983-NO.129.337

NOTARIA SEXTA DE BOGOTA

7.710	10-X-1.984	6A. BTA.	15-XI-1.984-NO.	1.559
7.305	21-X-1.985	6A. BTA.	18-XI-1.985-NO.	1.906
2.567	29-IV-1.987	6A. BTA.	7-V- 1.987-NO.	2.386
4.278	3-VII-1.987	6A. BTA.	23-VII-1987 NO.	215.652
2.657	25- IV-1.988	6A. BTA.	19- V -1988-NO.	2,740
9.033	21-XII-1.990	6A. BTA.	10- I - 1991-NO.	3.786
25	3- I -1.991	6A. BTA.	10- I - 1991-NO.	3.787
1.608	8-III-1.991	6A. BTA.	14-III- 1991-NO.	3.841
2.155	2-IV- 1.991	6A. BTA.	8-IV - 1991-NO.	3.862
797	7-II -1.991	6A. BTA.	7- V -1991-NO.	3.882
1.739	14-III-1.991	6A. BTA.	7- V -1991-NO.	3.881
5.886	21-VIII-1.991	6A. BTA	27-VIII-1991 NO.	4.019
8.596	4-XII -1.991	6A. BTA	16-III -1992 NO.	4.430
1.494	10-III -1.992	6A. BTA.	19-III -1992 NO.	4.436
4.205	8 -VII -1.992	6A. BTA.	15-VII -1992 NO.	4.554
8.829	16-XII -1.992	6A. BTA.	24-XII -1992 NO.	4.819
12	5-I -1.993	6 STAFE BTA	13-I -1993 NO.	4.850
4.877	29-VI -1.993	6 STAFE BTA	7-VII -1993 NO.	5.065
5.216	12-VII -1.993	6 STAFE BTA	16-VII -1993 NO.	5.077
ACTA NO.71	2-IX- 1.993	JUNTA DE SOCIOS	29-IX- 1993 NO.	5.174
2.034	18-IV- 1.995	6 STAFE BTA	27- IV -1995 NO.	5.937
2.227	25-IV-1.995	6 STAFE BTA	18-VIII-1.995 NO.	6.092
7.594	12-XII-1.995	6 STAFE BTA	19- XII-1.995 NO.	6.294
676	11- II-1.997	6 STAFE BTA	14- II -1.997 NO.	6.873

CERTIFICA:

REFORMAS:

E.P. NO.	FECHA	NOTARIA	CIUDAD	FECHA	NO.INSC.
0004506	1997/07/28	0006	BOGOTA D.C.	1997/09/02	00007115
0002103	1998/04/08	0006	BOGOTA D.C.	1998/04/21	00007426
0005326	1998/08/06	0006	BOGOTA D.C.	1998/08/13	00007544
0005538	1998/08/14	0006	BOGOTA D.C.	1998/08/27	00007564
0007760	1998/11/10	0006	BOGOTA D.C.	1998/11/12	00007644
0006709	1997/11/04	0006	BOGOTA D.C.	2000/12/04	00008481
0003034	2003/05/30	0006	BOGOTA D.C.	2003/06/04	00882729
0003786	2004/07/16	0006	BOGOTA D.C.	2004/07/28	00009703
0001432	2005/03/18	0006	BOGOTA D.C.	2005/04/07	00009917
0004818	2005/08/22	0006	BOGOTA D.C.	2005/08/26	00010008
0005400	2007/07/19	0006	BOGOTA D.C.	2007/07/24	00010841
0005453	2009/10/14	0006	BOGOTA D.C.	2009/10/21	00012243

CERTIFICA:

VIGENCIA: QUE LA SOCIEDAD NO SE HALLA DISUELTA. DURACION HASTA EL 10 DE SEPTIEMBRE DE 2024 .

CERTIFICA:

OBJETO SOCIAL: LA SOCIEDAD TENDRA POR OBJETO : EL EJERCICIO DE LA ACTIVIDAD PROFESIONAL DE ABOGADOS. EN DESARROLLO DE ESTE OBJETO LA SOCIEDAD PODRA ADQUIRIR, CONTRAER OBLIGACIONES Y CELEBRAR TODA CLASE DE CONTRATOS DIRECTAMENTE RELACIONADOS Y SUBORDINADOS AL MISMO, INCLUSIVE LOS DE ARRENDAMIENTO, HIPOTECA, MUTUO CON O SIN INTERES, MANDATO CIVIL Y COMERCIAL, Y SOCIEDAD, ASI COMO PARTICIPAR EN ASOCIACIONES CIENTIFICAS, GREMIALES O PROFESIONALES SIN ANIMO DE LUCRO.

CERTIFICA:

CAPITAL Y SOCIOS: $10,000.00 DIVIDIDO EN 10,000.00 CUOTAS CON VALOR NOMINAL DE $1.00 CADA UNA, DISTRIBUIDO ASI :

- SOCIO CAPITALISTA (S)

ASOCIACION CAVELIER DEL DERECHO N.I.T. 000008001722568

COPIA DE ESTE DOCUMENTO QUE REPOSA EN LA NOTARIA 6 DE BOGOTA. CON EL ORIGINAL QUE ME FUE PRESENTADO A LA VISTA. BOGOTA D.C. REPUBLICA DE COLOMBIA

15 ENE 2010

AMARO QUINTERO ARTURO NOTARIA

NO. CUOTAS: 8,800.00 VALOR: $8,800.00
GAUDEBERT DE CAVELIER AGNES JEANNE C.E. 000000000131100
NO. CUOTAS: 1,200.00 VALOR: $1,200.00
- SOCIO INDUSTRIAL (S)
CHAVARRO JORGE C.C. 000000016209380
NO. CUOTAS: 0.00 VALOR: $0.00
SUAREZ DE PAEZ LUZ CLEMENCIA C.C. 000000035456344
NO. CUOTAS: 0.00 VALOR: $0.00
PEÑA VALENZUELA DANIEL C.C. 000000079518915
NO. CUOTAS: 0.00 VALOR: $0.00
MARIN RUALES GERMAN HUMBERTO C.C. 000000079155665
NO. CUOTAS: 0.00 VALOR: $0.00
TOTALES
NO. CUOTAS: 10,000.00 VALOR: $10,000.00

CERTIFICA:

QUE POR ESCRITURAS PUBLICAS NO. 001431 Y 001432 DEL 18 DE MARZO DE 2005 DE LA NOTARIA 06 DE BOGOTA D.C., INSCRITA EL 07 DE ABRIL DE 2005 BAJO EL NO. 0009918 Y 0009917, RESPECTIVAMENTE DEL LIBRO XIII, DE LAS MIL DOSCIENTAS (1200) PARTES DE INTERES SOCIAL RADICADAS EN CABEZA DE AGNES GAUDEBERT DE CAVELIER, SEISCIENTAS (600) PARTES ESTAN GRAVADAS O AFECTADAS EN PROPIEDAD FIDUCIARIA A FAVOR DE LAS SIGUIENTES PERSONAS : GERMAN CAVELIER FRANCO, ERNESTO CAVELIER FRANCO, JORGE CAVELIER FRANCO, INES CAVELIER FRANCO, GUILLERMO CAVELIER FRANCO, ELENA CAVELIER FRANCO, ALEJANDRO CAVELIER FRANCO, BEATRIZ CAVELIER FRANCO, Y LUCIA CAVELIER FRANCO.

CERTIFICA:

REPRESENTACION LEGAL: EL REPRESENTANTE LEGAL ES EL DIRECTOR. EL SOCIO FUNDADOR GERMAN CAVELIER GAVIRIA TENDRA EL CARACTER DE PRESIDENTE DIRECTOR VITALICIO, PARTICIPARA POR DERECHO PROPIO EN EL CONSEJO SUPERIOR Y EN EL CONSEJO DIRECTIVO Y LLEVARA LA REPRESENTACION LEGAL DE LA SOCIEDAD CUANDO LO ESTIME PERTINENTE SIN LIMITACION ALGUNA. IGUAL PARTICIPACION Y ATRIBUCIONES TENDRA SU SUPLENTE AGNES GAUDEBERT DE CAVELIER CUANDO OCUPE EL CARGO, EN CASO DE FALTA ABSOLUTA DE GERMAN CAVELIER GAVIRIA. LOS SOCIOS COLECTIVOS ESTÁN AUTORIZADOS PARA EJERCER LA REPRESENTACIÓN DE LA SOCIEDAD EN CUALQUIER CASO. EL EJERCICIO DE LAS DELEGACIONS CONFERIDAS A LOS ORGANOS POR LOS PRESENTES ESTATUTOS

CERTIFICA:

** NOMBRAMIENTOS **

QUE POR NO. 12 DE JUNTA DE SOCIOS DEL 14 DE AGOSTO DE 2009 INSCRITA EL 24 DE SEPTIEMBRE DE 2009 BAJO EL NUMERO 00012200 DEL LIBRO XIII, FUE (RON) NOMBRADO (S):

NOMBRE IDENTIFICACION

DIRECTOR (REPRESENTANTE LEGAL DE LA ASOCIACIÓN CAVELIER DEL DERECHO)

NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
CARLOS QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA DE BOGOTA D.C.

GALLON GIRALDO CARLOS C.C. 000000019066425

QUE POR ESCRITURA PUBLICA NO. 0004818 DE NOTARIA 6 DE BOGOTA D.C. DEL 22 DE AGOSTO DE 2005, INSCRITA EL 26 DE AGOSTO DE 2005 BAJO EL NUMERO 00010008 DEL LIBRO XIII, FUE (RON) NOMBRADO (S):

NOMBRE IDENTIFICACION

PRESIDENTE DIRECTOR VITALICIO

CAVELIER GAVIRIA GERMAN C.C. 000000002877924

SUPLENTE DEL PRESIDENTE DIRECTOR VITALICIO

GAUDEBERT DE CAVELIER AGNES JEANNE C.E. 000000000131100

CERTIFICA:

FACULTADES DEL REPRESENTANTE LEGAL: APARTE DE LAS FACULTADES Y DEBERES QUE DE TIEMPO EN TIEMPO SE LE ASIGNEN POR EL CONSEJO SUPERIOR, EL DIRECTOR TENDRA LAS SIGUIENTES FUNCIONES : A) LA DE REPRESENTAR LEGALMENTE A LA SOCIEDAD ANTE LAS AUTORIDADES DE CUALQUIER ORDEN O NATURALEZA Y ANTE OTRAS PERSONAS NATURALES O JURIDICAS, CON FACULTADES PARA NOVAR, TRANSIGIR, COMPROMETER Y DESISTIR Y PARA COMPARECER EN JUICIOS INCLUSIVE EN AQUELLOS EN DONDE SE DISPUTE LA PROPIEDAD DE BIENES INMUEBLES; B) CUMPLIR Y HACER CUMPLIR LOS ESTATUTOS Y REGLAMENTOS DE LA SOCIEDAD; Y C) CREAR O SUPRIMIR TODOS LOS EMPLEOS QUE SEAN NECESARIOS DE ACUERDO CON EL CONSEJO SUPERIOR PARA EL BUEN FUNCIONAMIENTO DE LA SOCIEDAD, ASIGNARLES FUNCIONES, FIJAR SUS SALARIOS Y NOMBRAR LAS PERSONAS QUE DEBAN DESEMPEÑARLOS, ASI COMO RETIRARLOS Y REEMPLAZARLOS CUANDO HAYA LUGAR. CUANDO CUALQUIERA DE LOS ACTOS INDICADOS EN LA CLAUSULA ANTERIOR SE REFIERA A OPERACIONES CUYO MONTO EXCEDA DE LA SUMA EQUIVALENTE A CIENTO OCHENTA (180) SALARIOS MINIMOS MENSUALES, SU CELEBRACION REQUERIRA LA APROBACION PREVIA DEL CONSEJO SUPERIOR.

CERTIFICA:

** REVISOR FISCAL **

QUE POR ACTA NO. 0000071 DEL 2 DE SEPTIEMBRE DE 1993, INSCRITA EL 29 DE SEPTIEMBRE DE 1993 BAJO EL NUMERO 00005175 DEL LIBRO XIII, FUE (RON) NOMBRADO (S):

NOMBRE IDENTIFICACION

REVISOR FISCAL

RINCON FANDIÑO MIGUEL ALFONSO C.C. 000000002877024

CERTIFICA:

DE CONFORMIDAD CON LO ESTABLECIDO POR LA LEY 962 DE 2005, LOS ACTOS DE REGISTRO AQUI CERTIFICADOS QUEDAN EN FIRME CINCO (5) DIAS HABILES DESPUES DE LA FECHA DE INSCRIPCION, SIEMPRE QUE NO SEAN OBJETO DE RECURSOS EN LA VIA GUBERNATIVA.

COMO NOTARIA 6 DEL CIRCULO DE BOGOTA HAGO CONSTAR QUE LA FOTOCOPIA CORRESPONDE AL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C. REPUBLICA DE COLOMBIA

25 ENE 2010

ARTURO QUINTERO ARTURO
NOTARIA

* * * EL PRESENTE CERTIFICADO NO CONSTITUYE PERMISO DE FUNCIONAMIENTO EN NINGUN CASO * * *

EL SECRETARIO DE LA CAMARA DE COMERCIO,

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88226887

CAMARA DE COMERCIO DE BOGOTA

SEDE CHAPINERO

17 DE DICIEMBRE DE 2009 HORA 11:11:00

R027136832 PAGINA: 3 de 3

* *

VALOR : $ 3,500

DE CONFORMIDAD CON EL DECRETO 2150 DE 1995 Y LA AUTORIZACION IMPARTIDA POR LA SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO, MEDIANTE EL OFICIO DEL 18 DE NOVIEMBRE DE 1996, LA FIRMA MECANICA QUE APARECE A CONTINUACION TIENE PLENA VALIDEZ PARA TODOS LOS EFECTOS LEGALES

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA DE BOGOTA

21

CAVELIER
ABOGADOS
EDIFICIO SISKI
CARRERA 4a N° 72-35
BOGOTA, 8 – COLOMBIA

REPRESENTACION

REPRESENTATION

Yo (nosotros), abajo firmado (s)/I (we), the undersigned

IHARA CHEMICAL INDUSTRY CO., LTD.

domiciliado (s) en/of: 4-26, Ikenohata 1-chome, Taito-ku, Tokyo 110-0008 Japan

Por el presente nombramos a CAVELIER ABOGADOS, sociedad colectiva constituida de conformidad con las leyes de la República de Colombia, mediante Escritura Pública No. 6233 del 10 de septiembre de 1974, otorgada en la Notaría Sexta del Círculo de Bogotá, domiciliada en Bogotá D.C., con matrícula mercantil No. 00820237 y NIT 860041367-3, domiciliada en la Carrera 4a N° 72-35, Bogotá, Colombia, como nuestra representante en todos los asuntos de Propiedad Industrial, con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales.

CAVELIER ABOGADOS también podrá representarnos, con las mismas facultades arriba indicadas, ante cualesquiera entidades o personas, ejerzan o no jurisdicción, autoridades administrativas o jurisdiccionales, tribunales de arbitramento, en asuntos de naturaleza civil, comercial, penal, constitucional, competencia, competencia desleal, administrativa, contencioso administrativa, protección del consumidor, variedades vegetales, nombres de dominio, oposiciones u observaciones, cancelación administrativa y judicial, nulidad, medidas cautelares, concesión de licencias, acciones de tutela, la protección de secretos industriales, derecho regulatorio y en general para que nos represente en cualesquiera acciones y procesos que promovamos o se nos promuevan.

La representante podrá, en nuestro nombre, designar apoderados para actuar ante autoridades administrativas y judiciales, por vía extrajudicial y también procesalmente en nuestro nombre, como demandantes, como demandados, como litisconsortes, como agentes oficiosos, como terceros, por llamamiento en garantía o como intervinientes ad excludendum. Dentro de esta

Do hereby appoint CAVELIER ABOGADOS, a general partnership organized under the laws of the Republic of Colombia by means of Public Deed No. 6233 of September 10, 1974, granted at Notary Sixth of the Bogota Circuit, domiciled in Bogota D.C., with Mercantile Registration No. 00820237 and Taxpayer Identification Number 860041367-3, domiciled at Carrera 4a N° 72-35, Bogota, Colombia, as our representative for all Industrial Property matters with faculty to receive notifications and appoint attorneys in and out of Court.

CAVELIER ABOGADOS may also represent us, with the same faculties stated above, before any entities or persons, with or without jurisdiction, administrative or jurisdictional authorities, courts of arbitration, in civil, commercial, criminal, constitutional, competition, unfair competition, administrative, administrative contentious, consumer protection, plant varieties, domain names, opposition or observation matters, administrative and Court cancellation, nullity, precautionary measures, licensing, action for writ mandamus, protection of trade secrets, regulatory law and in general represent us in any actions and proceedings in which we are involved.

NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
MARIO QUINTERO ARTURO

The representative may, in our name, designate attorneys to act before administrative and judicial authorities, through out-of-court channels, and also procedurally in our name as plaintiff, defendant, joint litigant, representative without power of attorney, third party, when summoned to appear in court or as intervener ad excludendum. Under this authorization

NOTARIA SEXTA DE BOGOTA

© CAVELIER ABOGADOS

autorización, la representante podrá facultar a los apoderados que ella designe para transigir, conciliar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar y sustituir el poder que se le otorgue. Así mismo, la representante tendrá la facultad para revocar el poder así otorgado en el momento que considere pertinente. La representante en todo caso podrá ratificar los actos de agentes oficiosos.

the representative may empower the attorneys appointed thereby to compromise, conciliate, admit the facts of the case, desist, cancel, receive, resign and substitute the power of attorney granted thereto. Likewise, the representative shall have the faculty of revoking the power of attorney thus granted at any time it may deem convenient. The representative may in any case ratify the acts of representatives without a power of attorney.

Dado y firmado hoy/Given and signed this _____

En/in Tokyo, JAPAN

Por/by Nobuhiko MOCHIZUKI, President

Firma/Signature Nobuhiko Mochizuki

Nota:

PARA SOCIEDADES

El documento de Representación deberá ser firmado por el representante legal de la compañía. Deberá ser presentado ante Notario Público para que certifique que la persona que firma es quien dice ser. La firma del señor Notario deberá ser legalizada*.

Adicionalmente deberá anexarse copia legalizada* del documento que pruebe la existencia y representación legal de la sociedad.

*La legalización podrá ser por Apostilla si el país del otorgante hace parte de la Convención de La Haya del 5 de octubre de 1961 o ante el Cónsul de Colombia en caso contrario. Una sola legalización que incluya ambos documentos sería suficiente.

PARA PERSONAS NATURALES

El documento de Representación deberá ser firmado por el otorgante: El Notario Público deberá certificar que la persona que firma es quien dice ser.

Posteriormente el documento de Representación deberá ser legalizado por Apostilla si el país del otorgante hace parte de la Convención de La Haya del 5 de octubre de 1961 o ante el Cónsul de Colombia en caso contrario.

Note:

FOR CORPORATIONS

The Representation document should be signed by the Legal Representative of the company. It should be produced before a Notary Public, who should certify that the person signing the same is in fact who he/she purports to be. The Notary's signature should then be legalized*.

In addition a legalized copy* of the document proving the existence and legal representation of the company should also be attached.

*Legalization may be made by Apostille if the issuing country is a member of the The Hague Convention of October 5, 1961, or before the Colombian Consulate if otherwise. A single legalization that would include both documents would be sufficient.

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010

FOR INDIVIDUALS

The Representation should be signed by the grantor before a Notary Public who should certify that the person signing is in fact who he/she purports to be.

Subsequently, the Representation document should be legalized by Apostille if the issuing country is a member of the The Hague Convention of October 5, 1961 or before the Colombian Consulate if otherwise.

© CAVELIER ABOGADOS

TOKYO LEGAL AFFAIRS BUREAU

TAKEO NAKANISHI, Notary KYOBASHI NOTARY OFFICE

■1-1-10, Kyobashi, Chuo-ku, Tokyo 104-0031, Japan ■Tel 03-3271-4677 Fax 03-3271-3606

Registered No.'10-28

NOTARIAL CERTIFICATE

THIS IS TO CERTIFY:

That **Mr. Nobuhiko Mochizuki,** President of **IHARA CHEMICAL INDUSTRY CO., LTD.**, being legally constituted and existing in accordance with the laws of Japan, and having its principal office at 4-26, Ikenohata 1-chome, Taito-ku, Tokyo, Japan, has appeared before me, and affixed his signature to the preceding instrument (**REPRESENTATION**).

Dated this 7th day of January, 2010.

TAKEO NAKANISHI
NOTARY
TOKYO LEGAL AFFAIRS BUREAU

TOKYO LEGAL AFFAIRS BUREAU
NOTARY
1-10,1 CHOME KYOBASHI CHUO-KU
TOKYO JAPAN

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
CARO QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA DE BOGOTA

平成２２年登簿第　２８　号

認　　証

嘱託人・イハラケミカル工業株式会社（本店：東京都台東区池之端１丁目４番２６号）代表取締役 望月信彦（Mr. Nobuhiko MOCHIZUKI）は、本公証人の面前において、添付書面「委任状」（REPRESENTATION）に署名した。

よって、これを認証する。
平成２２年　１　月　７　日、本公証人役場において

東京都中央区京橋一丁目1番10号
東京法務局所属
公証人
Notary
中西武夫
Takeo NAKANISHI

証　　明

上記署名は、東京法務局所属公証人の署名に相違ないものであり、かつ、その押印は、真実のものであることを証明する。

平成２２年　１　月　７　日

東京法務局長　山舗　弥一郎

CONSULADO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTURO
NOTARIA

APOSTILLE
(Convention de La Haye du 5 octobre 1961)

1. Country: JAPAN
   This public document
2. has been signed by Takeo NAKANISHI
3. acting in the capacity of Notary of the Tokyo Legal Affairs Bureau
4. bears the seal/stamp of Takeo NAKANISHI, Notary

Certified

5. at Tokyo
6. JAN. - 7. 2010
7. by the Ministry of Foreign Affairs
8. 10-№ 011592
9. Seal/stamp:
10. Signature

Kazutoyo OYABE
For the Minister for Foreign Affairs

23

TRADUCCIÓN OFICIAL 009/2010 DE UN DOCUMENTO EN IDIOMA INGLÉS REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCION 541 DEL 27 DE FEBRERO DE 1976 EXPEDIDA POR EL MINISTERIO DE JUSTICIA DE COLOMBIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE COLOMBIA, POR EL CUAL **IHARA CHEMICAL INDUSTRY CO., LTD.** DOMICILIADA EN 4-26, IKENOHATA 1-CHOME, TAITO-KU, TOKIO 110-0008, JAPÓN OTORGA REPRESENTACIÓN EN ASUNTOS RELACIONADOS CON PROPIEDAD INDUSTRIAL A **CAVELIER ABOGADOS.**

DADO Y FIRMADO EN TOKIO, JAPÓN POR NOBUHIKO MOCHIZUKI, PRESIDENTE. FIRMA ILEGIBLE.

REGISTRADO BAJO NÚMERO 10-28

CERTIFICACIÓN NOTARIAL

Por la presente se certifica que el señor Nobuhiko Mochizuki, Presidente de IHARA CHEMICAL INDUSTRY CO., LTD, empresa Constituida legalmente y existente de conformidad con las Leyes de Japón, con domicilio en 4-26, Ikenohata 1-chome, Taito-ku, Tokio, Japón ha comparecido ante mí y fijado su firma en el instrumento precedente (representación)

Fechado el 7 de enero de 2010

Firmado: TAKEO NAKANISHI, NOTARIO

OFICINA DE ASUNTOS JURÍDICOS DE TOKIO

SELLO: OFICINA DE ASUNTOS JURIDICOS DE TOKIO

NOTARIA. 1-10, 1 CHOME KYOBASHI CHUO-KU, TOKIO, JAPÓN

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTÁ D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
25 ENE. 2010
ARTURO QUINTERO ARTURO
NOTARIA

Eduardo Calado N.
TRADUCTOR OFICIAL

NOTARÍA SEXTA DE BOGOTÁ

APOSTILLA

(CONVENCION DE LA HAYA DEL 5 DE OCTUBRE DE 1961)

1. PAIS: JAPÓN

2. Este documento público ha sido firmado por TAKEO NAKANISHI

3. quien actúa en su calidad de NOTARIO DE LA OFICINA DE ASUNTOS JURÍDICOS DE TOKIO

4. lleva el sello/estampilla de TAKEO NAKANISHI, NOTARIO

CERTIFICADO

5. En TOKIO 6. el 7 de enero de 2010

7. Por el Ministerio de Asuntos Exteriores

8. No. 011592

9. Sello/estampilla: MINISTERIO DE ASUNTOS EXTERIORES, JAPÓN

10. Firma: KAZUTOYO OYABE, a nombre del Ministro de Asuntos Exteriores.

COLO-15798-841-002-1

ECN\ECN\ID-163937\WPCL002G

Bogotá, 13 de enero de 2010.

Eduardo Calado N.

MINISTERIO DE RELACIONES EXTERIORES
15263 Eduardo Calado
FIRMA QUE APARECE EN EL DOCUMENTO DE REFERENCIA
LAS FIRMAS ESTAN AUTORIZADAS
2010 JAN 19 A 11:46
JEFE DE LEGALIZACIONES BOGOTA D.C.
NO ASUME RESPONSABILIDAD DEL TEXTO

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
25 ENE. 2010
AMPARO QUINTERO ARTEAGA
NOTARIA

INDUSTRY CO., LTD. el **7 de Enero de 2010** documento que está debidamente legalizado. ----- Presente el señor **CARLOS ALBERTO GALLÓN GIRALDO**, domiciliado en Bogotá, identificado como aparece al pie de su firma y manifestó que está conforme con el contenido de esta escritura pública. ----------

---------- **HASTA AQUÍ LA MINUTA PRESENTADA** ----------

OTORGAMIENTO Y AUTORIZACION: LEIDA la presente escritura por los comparecientes y habiéndoseles hecho las advertencias sobre los trámites y formalidades de rigor le impartieron su aprobación y para constancia la firman ante mí el NOTARIO QUE AUTORIZA ----------

DERECHOS NOTARIALES: $ 42.860.oo - - - - - - - - - - - - - - - -

IVA 16% $ 12.478

PAPEL NOTARIAL: esta escritura ha quedado extendida en las hojas de papel sellado notarial números: ----------

AA/ 42875356 - 42874633 -

EN BLANCO... EN BLANCO

NOTARÍA SEXTA DE BOGOTÁ D.C.

OTORGANTE

CARLOS ALBERTO GALLÓN GIRALDO

C.C. 19.066.425 Bta.

TEL 2116702

REPRESENTANTE LEGAL DE **CAVELIER ABOGADOS**

REPÚBLICA DE COLOMBIA
Amparo Quintero Arturo
NOTARIA
NOTARIA SEXTA DE BOGOTA

AMPARO QUINTERO ARTURO

NOTARIA SEXTA (6a) DE BOGOTA D.C.

T0319/10.PG.ANGELIK

25.

ES FIEL Y PRIMERA COPIA (FOTOCOPIA) TOMADA DE LA ESCRITURA PUBLICA NUMERO 0274 DE FECHA 25 DE ENERO DE 2010.

COPIA QUE EXPIDO CON DESTINO AL INTERESADO EN 09 HOJAS RUBRICADAS EN SUS MARGENES, LA CUAL CARECE DE NOTAS DE REVOCATORIA, MODIFICACION O SUSTITUCION, POR TANTO SE PRESUME VIGENTE EL PODER EN ELLA CONTENIDO.

BOGOTA D.C. ENERO 26 DE 2010

RESOLUCION 01 DE 2009

LA NOTARIA SEXTA DE BOGOTA D.C.

REPUBLICA DE COLOMBIA
Amparo Quintero Arturo
NOTARIA
NOTARIA SEXTA DE BOGOTA

AMPARO QUINTERO ARTURO
NOTARIA

CAVELIER
ABOGADOS
EDIFICIO SISKI
CARRERA 4a N° 72-35
BOGOTA, 8 – COLOMBIA

374

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CESION

Yo, (nosotros) abajo firmado (s)

domiciliado(s) en

declaro(amos) bajo juramento ser único(s) y verdadero(s) inventor(es)

declaro(amos) así mismo que cedo(emos) y transfiero(erimos), sin limitación, a favor de la sociedad

constituida y existente de conformidad con las leyes de

domiciliada en

todos los derechos inherentes a dicha invención y que la citada Compañía queda facultada para solicitar en su nombre la patente de invención correspondiente en la República de Colombia.

ASSIGNMENT

I (we), the undersigned

1- Ryuji TAMAI

2- Minoru ITO

3- Masami KOBAYASHI

4- Takashi MITSUNARI

5- Yuki NAKANO

of

1-2) c/o K.I CHEMICAL RESEARCH INSTITUTE CO., LTD.
408-1, Shioshinden, Iwata-shi,
Shizuoka 437-1213
Japan

3-5) c/o KUMIAI CHEMICAL INDUSTRY CO., LTD.
4-26, Ikenohata 1-chome, Taito-ku,
Tokyo 110-8782
Japan

state(s) under oath to be sole and true inventor(s) of the invention:

OXOPYRAZINE DERIVATIVE AND HERBICIDE

state as well, that assign, without reservations or limitations in favor of

KUMIAI CHEMICAL INDUSTRY CO., LTD. and

IHARA CHEMICAL INDUSTRY CO., LTD.

corporations constituted and existing in conformity with the laws of

Japan

domiciled in

4-26, Ikenohata 1-chome, Taito-ku, Tokyo 110-8782 Japan and

4-26, Ikenohata 1-chome, Taito-ku, Tokyo 110-0008, Japan

all rights inherent to said invention and that the above mentioned company hereby is enabled to apply, in its name, for the corresponding patent invention, in the Republic of Colombia

Dado y firmado hoy/Given and signed this

en/in Shizuoka, JAPAN

por/by Ryuji TAMAI

Firma/Signature Ryuji Tamai

Dado y firmado hoy/Given and signed this

en/in Shizuoka, JAPAN

por/by Minoru ITO

Firma/Signature

Dado y firmado hoy/Given and signed this

en/in Tokyo, JAPAN

por/by Masami KOBAYASHI

Firma/Signature Masami Kobayashi

Dado y firmado hoy/Given and signed this

en/in Tokyo, JAPAN

por/by Takashi MITSUNARI

Firma/Signature Takashi Mitsunari

Dado y firmado hoy/Given and signed this

en/in Tokyo, JAPAN

por/by Yuki NAKANO

Firma/Signature

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____

de 200 ___ Ante mí, Notario Público de

_____

compareció(eron) personalmente _____

_____

NOTARIAL CERTIFICATION (INDIVIDUAL)

On this 12th day of January

200 10 before me, a Notary Public of

Takeo NAKANISHI

personally appeared

Ryuji TAMAI

29

Minoru ITO

Masami KOBAYASHI

Takashi MITSUNARI

Yuki NAKANO

a quien(es) doy fe de conocer y me consta que es(son) la(s) persona(s) firmante(s) del documento que precede, y quien(es) tiene(n) capacidad legal para otorgarlo

To me known, and known to me to be the person(s) who executed that foregoing document, and who has(have) legal capacity to execute it.

TOKYO LEGAL AFFAIRS BUREAU NOTARY PUBLIC NOTARY PUBLIC

NOTARY

1-10, 1 CHOME KYOBASHI CHUO-KU TOKYO JAPAN

Takeo NAKANISHI

TOKYO LEGAL AFFAIRS BUREAU

1-10, KYOBASHI 1-CHOME, CHUO-KU, TOKYO, JAPAN

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: .....

This public document

2. has been signed by .....
3. acting in the capacity of .....
4. bears the seal/stamp of .....

Certified

5. at ..... 6. the .....
7. by .....
8. No. .....
9. Seal/stamp 10. Signature

....

TOKYO LEGAL AFFAIRS BUREAU

TAKEO NAKANISHI, Notary KYOBASHI NOTARY OFFICE

■1-1-10, Kyobashi, Chuo-ku, Tokyo 104-0031, Japan ■Tel 03-3271-4677 Fax 03-3271-3606

30

Registered No.'10-29

NOTARIAL CERTIFICATE

THIS IS TO CERTIFY:

That **Mr. Ryuji TAMAI, Mr. Minoru ITO, Ms. Masami KOBAYASHI, Mr. Takashi MITSUNARI** and **Mr. Yuki NAKANO** have appeared before me, and affixed their signatures to the preceding instrument (**ASSIGNMENT**).

Dated this 7th day of January, 2010.

TAKEO NAKANISHI
NOTARY
TOKYO LEGAL AFFAIRS BUREAU

TOKYO LEGAL AFFAIRS BUREAU
NOTARY
1-10,1 CHOME KYOBASHI CHUO-KU
TOKYO JAPAN

平成２２年登簿第　29　号

31

認　　証

嘱託人・玉井龍二（Mr. Ryuji Tamai）、同・伊藤稔（Mr. Minoru Ito）、同・小林方美（Ms. Masami Kobayashi）、同・光成崇（Mr. Takashi Mitsunari）及び同・中野勇樹（Mr. Yuki Nakano）は、本公証人の面前において、それぞれ添付書面「譲渡証」（ASSIGNMENT）に署名した。

よって、これを認証する。

平成２２年　1　月　7　日、本公証人役場において

東京都中央区京橋一丁目1番10号
東京法務局所属
公証人
Notary
中西武夫
Takeo NAKANISHI

証　　明

上記署名は、東京法務局所属公証人の署名に相違ないものであり、かつ、その押印は、真実のものであることを証明する。

平成２２年　1　月　7　日

東京法務局長　山舗　弥一郎

APOSTILLE
(Convention de La Haye du 5 octobre 1961)

1. Country: JAPAN
   This public document
2. has been signed by Takeo NAKANISHI
3. acting in the capacity of Notary of the Tokyo Legal Affairs Bureau
4. bears the seal/stamp of Takeo NAKANISHI, Notary

Certified

5. at Tokyo
6. JAN. - 7. 2010
7. by the Ministry of Foreign Affairs
8. 10-№ 011593
9. Seal/stamp:
10. Signature

MINISTRY OF FOREIGN AFFAIRS JAPAN 外務省 日本国

K. Oyabe

Kazutoyo OYABE
For the Minister for Foreign Affairs

TRADUCCIÓN OFICIAL No. 01.19/10a realizada por Diego Vargas, Traductor Oficial, Resolución No. 0001 de 1999 del Ministerio de Justicia y registrado ante el Ministerio de Relaciones Exteriores de Colombia.

A continuación se traducen los sellos y legalizaciones de un documento mediante el cual 1 - Ryuji TAMAI; 2 - Minoru ITO; 3 - Masami KOBAYASHI; 4 - Takashi MITSUNARI y 5 Yuki NAKANO, domiciliados en 1-2) c/o K.I. CHEMICAL RESEARCH INSTITUTE CO., LTD, 408-1, Shioshinden, Iwata-shi, Shizuoka 437-1213, Japón; 3-5) c/o KUMAI CHEMICAL INDUSTRY CO., LTD., 4-26, Ikenohata 1-chome, Talto-ku, Tokio 110-8782, Japón, declaran bajo juramento ser únicos y verdaderos inventores de la invención denominada DERIVADO DE OXOPIRAZINA Y HERBICIDA y declaran así mismo que ceden y transfieren, sin limitación, a favor de las sociedades **KUMAI CHEMICAL INDUSTRY CO. LTD.** e **IHARA CHEMICAL INDUSTRY CO., LTD.**, sociedades constituidas de conformidad con las leyes de Japón, domiciliadas en 4-26, Ikenohata 1-chome, Taito-ku, Tokio 110-6782, Japón y 4-26, Ikenohata 1-chome, Taito-ku, Tokio 110-0008, Japón, todos los derechos inherentes a dicha invención y que las citadas compañías quedan facultadas para solicitar en sus nombres la patente de invención correspondiente en la República de Colombia.
Dado y firmado en Shizuoka, Japón por Ryuji TAMAI. Firma Ilegible
Dado y firmado en Shizuoka, Japón por Minoru ITO. Firma Ilegible
Dado y firmado en Tokio, Japón por Masami KOBAYASHI. Firma Ilegible
Dado y firmado en Tokio, Japón por Takashi MITSUNARI. Firma Ilegible
Dado y firmado en Tokio, Japón por Yuki NAKANO. Firma Ilegible

---

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los 1 día del mes de enero de 2010, ante mí, Takeo NAKANISHI, Notario Público, comparecieron personalmente Ryuji TAMAI, Minoru ITO,

DIEGO F. VARGAS Z.
TRADUCTOR E INTERPRETE OFICIAL
ESPAÑOL - INGLES – INGLES - ESPAÑOL
RES. 0001 DE ENERO 4 DE 1999
MINISTERIO DE JUSTICIA

Masami KOBAYASHI, Takashi MITSUNARI y Yuki NAKANO, a quienes doy fe de conocer y me consta que son las personas firmantes del documentos que precede y quienes tienen capacidad legal para otorgarlo.

NOTARIO PÚBLICO *Firma Ilegible*

Takeo NAKANISHI

OFICINA DE ASUNTOS LEGALES DE TOKIO

1-10 KYOBASHI 1-CHOME, CHUO-KU, TOKIO, JAPÓN

Registrado No. '10-29

CERTIFICADO NOTARIAL

EL PRESENTE ES PARA CERTIFICAR:

Que el señor Ryuji TAMAI, el señor Minoru ITO, la señora Masami KOBAYASHI, el señor Takashi MITSUNARI y el señor Yuki NAKANO han comparecido ante mí y fijado sus firmas en el anterior documento (CESIÓN).

Fechado hoy 7 de enero de 2010.

Firma Ilegible

TAKEO NAKANASHI

NOTARIO

OFICINA DE ASUNTOS LEGALES DE TOKIO

Sello: Oficina de Asuntos Legales de Tokio
NOTARIO
1-10, CHOME KYOBASHI CHUO-KU
TOKIO, JAPÓN

TEXTO EN JAPONÉS

APOSTILLA

(Convention de La Haye du 5 octubre 1961)

1. País: **JAPÓN**

Este documento público

DIEGO F. VARGAS Z.
TRADUCTOR E INTERPRETE OFICIAL
ESPAÑOL - INGLES – INGLES - ESPAÑOL
RES. 0001 DE ENERO 4 DE 1999
MINISTERIO DE JUSTICIA

2. ha sido firmado por Takeo NAKANISHI

3. actuando en calidad de Notario de la Oficina de Asuntos Legales de Tokio

4. lleva el sello/estampilla de Takeo NAKANISHI, Notario

Certificado

5. en **Tokio** 6. ENE.-7.2010

7. por el **Ministerio de Relaciones Exteriores**

8. 10 - **No. 011593**

9. Sello/estampilla **10. Firma:**

MINISTERIO DE RELACIONES EXTERIORES • JAPÓN •

Firma Ilegible

Kazutoyo OYABE
Por el Ministro de Relaciones Exteriores

Es traducción fiel y completa de la cual se deja copia en esta oficina para su confrontación.
DVZ\DVZ\ID-164072\WPCL002H\COLO 15798-841-002-1

NO ASUME RESPONSABILIDAD DEL TEXTO

2010 JAN 19 A 11:45

JEFE DE LEGALIZACIONES BOGOTA D.C.

DIEGO F. VARGAS Z.
TRADUCTOR E INTERPRETE OFICIAL
ESPAÑOL - INGLES – INGLES - ESPAÑOL
RES. 0001 DE ENERO 4 DE 1999
MINISTERIO DE JUSTICIA

MINISTERIO DE RELACIONES EXTERIORES

15263b

CUYA FIRMA APARECE EN EL DOCUMENTO DESEMPEÑA LAS FUNCIONES INDICADAS

(12) 特許協力条約に基づいて公開された国際出願

(19) 世界知的所有権機関
国際事務局

(43) 国際公開日
2009年2月5日 (05.02.2009)

PCT

(10) 国際公開番号
WO 2009/016841 A1

(51) 国際特許分類:
C07D 241/44 (2006.01) *A01N 43/84* (2006.01)
A01N 43/60 (2006.01) *A01N 43/90* (2006.01)
A01N 43/653 (2006.01) *A01N 47/02* (2006.01)
A01N 43/66 (2006.01) *A01P 13/00* (2006.01)
A01N 43/80 (2006.01) *C07D 471/04* (2006.01)

(21) 国際出願番号: PCT/JP2008/002055

(22) 国際出願日: 2008年7月31日 (31.07.2008)

(25) 国際出願の言語: 日本語

(26) 国際公開の言語: 日本語

(30) 優先権データ:
特願2007-201387 2007年8月1日 (01.08.2007) JP

(71) 出願人 (米国を除く全ての指定国について): クミアイ化学工業株式会社 (KUMIAI CHEMICAL INDUSTRY CO., LTD.) [JP/JP]; 〒1108782 東京都台東区池之端1丁目4番26号 Tokyo (JP). イハラケミカル工業株式会社 (IHARA CHEMICAL INDUSTRY CO., LTD.) [JP/JP]; 〒1100008 東京都台東区池之端1丁目4番26号 Tokyo (JP).

(72) 発明者; および
(75) 発明者/出願人 (米国についてのみ): 玉井龍二 (TAMAI, Ryuji) [JP/JP]; 〒4371213 静岡県磐田市塩新田408番地の1株式会社ケイ・アイ研究所内 Shizuoka (JP). 伊藤稔 (ITO, Minoru) [JP/JP]; 〒4371213 静岡県磐田市塩新田408番地の1株式会社ケイ・アイ研究所内 Shizuoka (JP). 小林方美 (KOBAYASHI, Masami) [JP/JP]; 〒1108782 東京都台東区池之端1丁目4番26号クミアイ化学工業株式会社内 Tokyo (JP). 光成崇 (MITSUNARI, Takashi) [JP/JP]; 〒1108782 東京都台東区池之端1丁目4番26号クミアイ化学工業株式会社内 Tokyo (JP). 中野勇樹 (NAKANO, Yuki) [JP/JP]; 〒1108782 東京都台東区池之端1丁目4番26号クミアイ化学工業株式会社内 Tokyo (JP).

(74) 代理人: 佐伯憲生 (SAEKI, Norio); 〒1030027 東京都中央区日本橋三丁目15番8号アミノ酸会館ビル4階 Tokyo (JP).

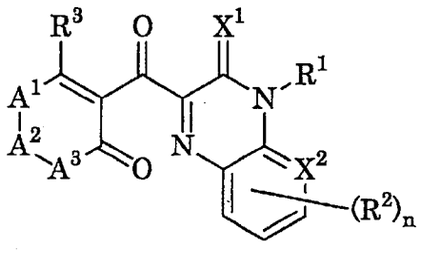
(81) 指定国 (表示のない限り、全ての種類の国内保護が可能): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) 指定国 (表示のない限り、全ての種類の広域保護が可能): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), ユーラシア (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), ヨーロッパ (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,

[続葉有]

(54) Title: OXOPYRAZINE DERIVATIVE AND HERBICIDE

(54) 発明の名称: オキソピラジン誘導体及び除草剤



[I]

(57) Abstract: Disclosed is an oxopyrazine derivative having excellent herbicidal activity, while exhibiting high safety for useful crops, or a salt thereof. Also disclosed is a herbicide containing such an oxopyrazine derivative or a salt thereof. Specifically disclosed is an oxopyrazine derivative represented by the general formula [I] below or a salt thereof. Also specifically disclosed is a herbicide containing any one of the compounds. (In the formula, X^1 represents an oxygen atom or a sulfur atom; X^2 represents CH or $N(O)_m$, wherein m represents an integer of 0 or 1; R^1 represents a hydrogen atom, a C_1-C_{12} alkyl group or the like; R^2 represents a halogen atom, a cyano group or the like; R^3 represents a hydroxyl group, a halogen atom or the like; A^1 represents $C(R^4R^5)$; A^2 represents $C(R^6R^7)$ or C=O; A^3 represents $C(R^8R^9)$; and R^4-R^9 each represents a hydrogen atom or an alkyl group.)

(57) 要約: 本発明は、優れた除草活性を有し、更に有用作物等に対して高い安全性を示すオキソピラジン誘導体又はその塩、及び、それを含有してなる除草剤を提供する。 本発明は、下記一般式 [I] [式中、X^1は、酸素原子又は硫黄原子を表し、X^2は、CH又はN(O)$_m$を表し、mは、0又は1の整数を表し、R^1は、水素原子、C_1～C_{12}アルキル基等を表し、R^2は、ハロゲン原子、シアノ基等を表し、R^3は、ヒドロキシル基、ハロゲン原子等を表し、A^1は、$C(R^4R^5)$を表し、A^2は、$C(R^6R^7)$又はC=Oを表し、A^3は、$C(R^8R^9)$を表し、R^4～R^9は、水素原子又はアルキル基を表す。] で表されるオキソピラジン誘導体又はその塩、これらの化合物を含有してなる除草剤に関する。

WO 2009/016841 A1

IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

添付公開書類:
— 国際調査報告書

DESCRIPTION

OXOPYRAZINE DERIVATIVE AND HERBICIDE

Technical Field

[0001]

The present invention relates to a novel oxopyrazine derivative or a salt thereof, a herbicide containing the same as an active ingredient, and a method of using the same.

Background Art

[0002]

Several compounds among the 1,3-cyclohexanedione derivatives which have been acylated at the 2-position with an arylcarbonyl group, have already been commercially available as agrochemicals. For example, mesotrione is attracting public attention as a foliar applied herbicide for corn having a new mechanism of faction. A 1,3-cyclohexanedione is a tautomer, which exists also as 1-hydroxycyclohexene-3-one which is an enol form thereof, and this derivative has been developed as a compound for various agrochemical uses.

For example, a derivative having the aryl group of the arylcarbonyl group substituting at the 2-position changed to various heteroaryl or cycloalkyl groups such as pyrazine (see, Patent Document 1), a derivative having the 1,3-cyclohexanedione ring fused at the 4- and 5-positions with a cyclopropane ring (see, Patent Document 2), a derivative having the arylcarbonyl group at the 2-position changed to a pyrimidin-5-ylcarbonyl group derivative (see, Patent Document 3), a derivative having the arylcarbonyl group at the 2-position changed to a

pyrazin-2-ylcarbonyl group derivative (see, Patent Document 4), a derivative having the arylcarbonyl group at the 2-position changed to a 1,2,3-thiadiazol-5-ylcarbonyl group derivative (see, Patent Document 5), derivatives having the arylcarbonyl group at the 2-position changed to a pyridinecarbonyl group derivative (see, Patent Documents 2, 6, 7, 8, 9 and 10), derivatives having the arylcarbonyl group at the 2-position changed to a quinolinecarbonyl group derivative (see, Patent Documents 11 and 12), a derivative having the arylcarbonyl group at the 2-position changed to a heteroarylcarbonyl group formed from a benzazole (see, Patent Document 13), a derivative having the arylcarbonyl group at the 2-position changed to an azolecarbonyl group derivative formed from an 1,2-azole (see, Patent Document 14), and the like have been reported. Furthermore, derivatives in which the 4-position and the 6-position of the 1,3-cyclohexanedione ring are crosslinked by an alkylene group such as an ethylene group, have also been reported (see, Patent Documents 8, 11, 12, 13, 14, 15 and 16). In addition, it has also been reported to have a 3,5-cyclohexanedione-1-thione ring in which the 5-position of the 1,3-cyclohexanedione ring has been changed to an oxo group, and the 1-position has been changed to a thiocarbonyl group (see, Patent Document 17).

[0003]

As such, a large number of cyclohexanedione compounds having herbicidal activity have been reported, but there is no known cyclohexanedione compound having a dihydropyrazine ring substituted with an oxo group or a thioxo group (in the present specification, these may be generically called (thio)oxo), such as the compound of the present invention represented by the following formula [I].

[0004]

Patent Document 1: EP-283261

Patent Document 2: WO 91/00260

Patent Document 3: US 4708732

Patent Document 4: DE-3902818

Patent Document 5: EP-338525

Patent Document 6: JP-A No. 2-78662

Patent Document 7: JP-A No. 3-52862

Patent Document 8: JP-A No. 4-29973

Patent Document 9: WO 96/14285

Patent Document 10: WO 2000/39094

Patent Document 11: JP-A No. 2000-16982

Patent Document 12: WO 2000/14069

Patent Document 13: WO 2000/68210

Patent Document 14: JP-A No. 2005-200401

Patent Document 15: WO 2005/058831

Patent Document 16: WO 2006/066871

Patent Document 17: DE 10256354

Disclosure of the Invention

Problems to be Solved by the Invention

[0005]

As discussed in the above, 1,3-cyclohexanedione compounds substituted with a specific heteroarylcarbonyl group are known to have an herbicidal activity, but since these compounds need to be applied in high doses, they are not satisfactory as herbicides. Thus, there has been a demand for the development of a herbicide showing excellent properties in lower doses.

The present invention has been achieved under such circumstances, and an object of the present invention is to provide a compound having a herbicidal activity which has no drug-induced damages against useful plants and useful crops, and can control

various weeds growing in upland fields, orchards, paddy fields and non-agricultural lands at low doses, and a herbicide containing the compound.

Means for Solving the Problems

[0006]

In order to achieve the above-described purpose, the inventors of the present invention devotedly conducted research on the chemical structure and herbicidal activity of cyclohexanedione compounds. As a result, they found that a cyclohexanedione compound having a pyrazine ring substituted with an oxo group or a thioxo group can control various weeds growing in upland fields, orchards, paddy fields and non-agricultural lands for a long time, and exhibits high safety against useful plants, useful crops and the like, thus completing the present invention.

[0007]

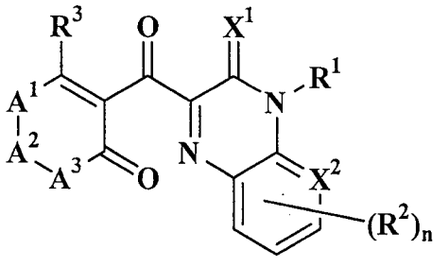
Thus, the present invention is characterized in that for a 2-heteroarylcarbonyl-1,3-cyclohexanedione compound having a herbicidal activity, a 2-(thio)oxopyrazin-3-yl group which may be substituted, and preferably a 2-(thio)oxobenzopyrazin-3-yl group which may be substituted or a 2-(thio)oxopyridopyrazine-3-yl group which may be substituted, is used as the heteroaryl group.

[0008]

More specifically, the present invention relates to the following (1) to (7).

(1) An oxopyrazine derivative represented by formula [I], or an agrochemically acceptable salt thereof:

[Chemical Formula 1]



[I]

[0009]

wherein X^1 represents an oxygen atom or a sulfur atom;

X^2 represents CH (the carbon atom may be substituted with R^2), that is, CH which may be substituted with a substituent R^2, or $N(O)_m$;

m represents an integer of 0 or 1;

R^1 represents a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_2-C_6 haloalkynyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; an amino-C_1-C_6 alkyl group; a nitro-C_1-C_6 alkyl group; a mono(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group; a di(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a hydroxy-C_1-C_6 alkyl group; a phenyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s), that is, a phenyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group in which the phenyl group may be substituted with one or two or more identical or different

R^4s; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyloxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkoxy-C_1-C_6alkylgroup;a phenyloxy-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s), that is, a phenyloxy-C_1-C_6 alkyl group in which the phenyl group may be substituted with one or two or more identical or different R^4s; a heterocyclic-oxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and having 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^5s), that is, a heterocyclic-oxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^5s; a phenylthio-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s), that is, a phenylthio-C_1-C_6 alkyl group in which the phenyl group may be substituted with one or two or more identical or different R^4s; a phenylsulfinyl- C_1-C_6 alkyl group (a phenyl of the group may be substituted with one or two or more identical or different R^4s), that is, a phenyl group may be substituted with one or two or more identical or different R^4s; a phenylsulfonyl- C_1-C_6 alkyl group (a phenyl of the group may be substituted with one or two or more identical or different R^4s), that is, a phenyl group may be substituted with one or two or more

identical or different R^4s; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a heterocyclic-C_1-C_6 alkoxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and having 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^5s), that is, a heterocyclic-C_1-C_6 alkoxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^5s; a C_1-C_6 alkylthio-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 acylamino group; a di(C_1-C_6 alkoxy)-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a C_1-C_6 alkylideneaminooxy-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), that is, a C_6-C_{10} aryl-C_1-C_6 alkyl group in which the aryl group may be substituted with one or two or more identical or different R^8s; a heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic

moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^9s), that is, a heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^9s; an $NR^{10}R^{11}$ group; a C_1-C_6 alkoxy group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s; or a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the group may be substituted with one or two or more identical or different R^{13}s), that is, a heterocyclic group which has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^{13}s;

[0010]

R^2 represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_2-C_6 haloalkynyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyloxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_1-C_6 alkylcarbonyloxy

group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 acylamino group; a hydroxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; or a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^{14}s), that is, a heterocyclic group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^{14}s; and furthermore, two adjacent R^2s may be joined with the respective carbon atoms to which R^2s are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and the ring thus

formed may be substituted with a halogen atom, a cyano group, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group or an oxo group;

[0011]

n represents an integer from 0 to 4 when X^2 is CH (the group may be substituted with R^2), that is, when X^2 is CH which may be substituted with a substituent R^2, and n represents an integer from 0 to 3 when X^2 is $N(O)_m$;

R^3 represents a hydroxyl group; O^-M^+ (wherein M^+ is an alkali metal cation or an ammonium cation); an amino group; a halogen atom; a C_1-C_6 alkylsulfonyloxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_2-C_6 alkenylthio group; a C_2-C_6 alkenylsulfinyl group; a C_2-C_6 alkenylsulfonyl group; a C_2-C_6 alkynylthio group; a C_2-C_6 alkynylsulfinyl group; a C_2-C_6 alkynylsulfonyl group; a C_1-C_6 alkylcarbonyloxy group; a C_2-C_6 alkenylcarbonyloxy group; a C_2-C_6 alkynylcarbonyloxy group; a phenoxy group (the group may be substituted with one or two or more identical or different R^{14}s), that is, a phenoxy group which may be substituted with one or two or more identical or different R^{14}s; a phenylthio group (the group may be substituted with one or two or more identical or different R^{14}s), that is, a phenylthio group which may be substituted with one or two or more identical or different R^{14}s; a phenylsulfinyl group (the group may be substituted with one or two or more identical or different R^{14}s), that is, a phenylsulfinyl group which may be substituted with one or two or more identical or different R^{14}s; a phenylsulfonyl group

(the group may be substituted with one or two or more identical or different R^{14}s), that is, a phenylsulfonyl group which may be substituted with one or two or more identical or different R^{14}s; a phenylsulfonyloxy group (the group may be substituted with one or two or more identical or different R^{14}s), that is, a phenylsulfonyloxy group which may be substituted with one or two or more identical or different R^{14}s; a phenylcarbonyloxy group (the group may be substituted with one or two or more identical or different R^{14}s), that is, a phenylcarbonyloxy group which may be substituted with one or two or more identical or different R^{14}s; a 1,2,4-triazol-1-yl group; a 1,2,3-triazol-1-yl group; a 1,2,3-triazol-2-yl group; an imidazol-1-yl group; a pyrazol-1-yl group; a tetrazol-1-yl group; or a tetrazol-2-yl group;

[0012]

R^4 represents a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^5 represents an oxo group; a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6

alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

[0013]

R^6 and R^7 each independently represent a C_1-C_6 alkyl group, and furthermore, R^6 and R^7 may be joined with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 represents a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^9 represents an oxo group; a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

[0014]

R^{10} and R^{11} each independently represent a hydrogen atom; a C_1-C_6 alkyl group; or a C_1-C_6 alkoxycarbonyl group, and furthermore, R^{10} and R^{11} may be joined together with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have a sulfur atom and/or an oxygen atom interposed, in addition to the nitrogen atom to which R^{10} and R^{11} are bound;

R^{12} represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyloxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 alkylcarbonyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a C_1-C_6 acylamino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a hydroxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6

acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety of the group may be substituted with one or two or more identical or different R^{14}s), that is, a heterocyclic group which has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^{14}s; or a heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and having 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^{14}s), that is, a heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and may be substituted with one or two or more identical or different R^{14}s, or two adjacent R^{12}s may be joined with the respective carbon atoms to which R^{12}s are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a cyano group, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6

alkoxy group, a C_1-C_6 haloalkoxy group or an oxo group;

[0015]

R^{13} represents an oxo group; a thioxo group; a hydroxyl group; a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_3-C_8 cycloalkyloxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_1-C_6 haloalkoxy- C_1-C_6 alkoxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 alkylcarbonyloxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 acylamino group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; or a cyano-C_1-C_6 alkyl group; and further, two adjacent R^{13}s may be joined with the respective carbon atoms to which R^{13}s are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic

S2

ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a cyano group, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group or an oxo group;

R^{14} represents a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group;

[0016]

A^1 represents $C(R^{15}R^{16})$;

A^2 represents $C(R^{17}R^{18})$, or C=O;

A^3 represents $C(R^{19}R^{20})$; and

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} and R^{20} each independently represent a hydrogen atom or a C_1-C_6 alkyl group, and R^{15} and R^{20} may be joined together to form a C_2-C_5 alkylene chain, and may constitute a ring together with adjacent carbon atoms.

[0017]

(2) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to (1) above, wherein in the formula [I], X^2 is CH (the group may be substituted with R^2), that is, CH which may be substituted with a substituent R^2.

(3) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to (1) above, wherein in the formula [I], X^2 is $N(O)_m$.

[0018]

(4) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to claim 1, wherein in the formula [I], R^3 is hydroxyl group; or O^-M^+ (wherein M^+ is an alkali metal

cation or an ammonium cation).

(5) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to (1) above, wherein in the formula [I],

X^1 is an oxygen atom or a sulfur atom;

X^2 is CH (the carbon atom may be substituted with R^2), that is, CH which may be substituted with a substituent R^2, or a nitrogen atom;

R^1 represents a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenoxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), that is, a C_6-C_{10} aryl-C_1-C_6 alkyl group in which the aryl group may be substituted with one or two or more identical or different R^8s; a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s), that is, a Het^1-C_1-C_6 alkyl group which may be substituted with one or two or more identical or different R^9s; a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted

with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s; or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s), that is, a Het^1 group which may be substituted with one or two or more identical or different R^{13}s, wherein Het^1 is tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole;

[0019]

R^2 is a halogen atom, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

n represents an integer from 0 to 4 when X^2 is CH (the group may be substituted with R^2), that is, CH which may be substituted with R^2, and when X^2 is $N(O)_m$, n represents an integer from 0 to 3;

R^3 is a hydroxyl group;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group;

or R^6 and R^7 may also be joined with the nitrogen atom to which they are bound, to form a 5- to 6-membered ring, while this ring may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 is a halogen atom, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a C_1-C_6 haloalkoxy group;

R^9 is a C_1-C_6 alkyl group, a halogen atom, or a C_1-C_6 haloalkyl group;

R^{10} and R^{11} are each independently a C_1-C_6 alkyl group, or a C_1-C_6 alkoxycarbonyl group;

[0020]

R^{12} is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 haloalkylthio group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group, a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, a di(C_1-C_6 alkyl)amino group, or a Het^1-C_1-C_6 alkoxy group (Het^1 has the same meaning as defined above), or two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a C_1-C_6 alkyl group or an oxo group; and

R^{13} is an oxo group, a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a mono(C_1-C_6 alkyl)amino group.

[0021]

(6) The oxopyrazine derivative or the agrochemically

acceptable salt thereof according to any one of (1), (2), (4) and (5) above, wherein in the formula [I],

X^1 represents an oxygen atom or a sulfur atom;

X^2 is CH (the group may be substituted with R^2), that is, CH which may be substituted with a substituent R^2;

R^1 is a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenoxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), that is, a C_6-C_{10} aryl-C_1-C_6 alkyl group in which the aryl group may be substituted with one or two or more identical or different R^8s; a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s), that is, a Het^1-C_1-C_6 alkyl group which may be substituted with one or two or more identical or different R^9s; a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s; or a Het^1 group (the group may be

substituted with one or two or more identical or different R^{13}s), that is, a Het^1 group which may be substituted with one or two or more identical or different R^{13}s, wherein Het^1 is tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole;

R^2 is a halogen atom, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

n is an integer from 0 to 4;

R^3 is a hydroxyl group;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group, or R^6 and R^7 may be joined with the nitrogen atom to which they are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 is a halogen atom or a C_1-C_6 alkoxy group;

R^9 is a C_1-C_6 alkyl group;

R^{10} and R^{11} are each independently a C_1-C_6 alkyl group, or a C_1-C_6 alkoxycarbonyl group;

[0022]

R^{12} is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6

haloalkyl group, a C_1-C_6 alkoxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group, a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, a di(C_1-C_6 alkyl)amino group, or a Het^1-C_1-C_6 alkoxy group (Het^1 has the same meaning as defined above), or two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a C_1-C_6 alkyl group or an oxo group; and

R^{13} is an oxo group, a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a mono(C_1-C_6 alkyl)amino group.

[0023]

(7) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to any one of (1), (3), (4) and (5) above, wherein in the formula [I],

X^1 is an oxygen atom;

X^2 is a nitrogen atom;

R^1 is a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl

group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), that is, a C_6-C_{10} aryl-C_1-C_6 alkyl group in which the aryl group may be substituted with one or two or more identical or different R^8s; a Het^2-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s), that is, a Het^2-C_1-C_6 alkyl group which may be substituted with one or two or more identical or different R^9s; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s; or a Het^2 group (the group may be substituted with one or two or more identical or different R^{13}s), that is, a Het^2 group which may be substituted with one or two or more identical or different R^{13}s; wherein Het^2 is 4,5-dihydroisoxazole, thiophene, pyrazole, isoxazole, pyridine, 2,3-dihydrobenzofuran, 1,3-benzodioxole or benzo-1,4-dioxane;

R^2 is a halogen atom, a C_1-C_6 alkyl group; C_1-C_6 alkylthio group or a C_1-C_6 alkoxy group;

n represents an integer from 0 to 4 wherein X^2 is CH (the group may be substituted with R^2), that is, CH which may be substituted with R^2, or represents an integer from 0 to 3 when X^2 is $N(O)_m$;

R^3 is a hydroxyl group;

R^8 is a halogen atom, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a C_1-C_6 haloalkoxy group;

R^9 is a C_1-C_6 alkyl group, a halogen atom or a C_1-C_6 haloalkyl group;

[0024]

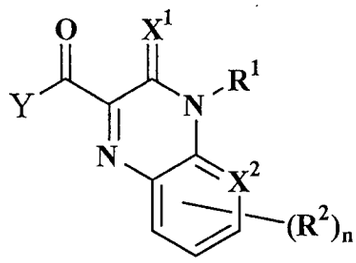
R^{12} is a halogen atom, a cyano group, a C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group or a C_1-C_6 haloalkylthio group, or two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom; and

R^{13} is a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, or a C_1-C_6 alkoxy group.

[0025]

(8) A compound represented by formula [J1] :

[Chemical Formula 2]



[J1]

wherein X^1 represents an oxygen atom or a sulfur atom;

X^2 represents CH (the carbon atom may be substituted with R^2) or a nitrogen atom;

R^1 represents a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a

phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), that is, a C_6-C_{10} aryl-C_1-C_6 alkyl group in which the aryl group may be substituted with one or two or more identical or different R^8s; a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s), that is, a Het^1-C_1-C_6 alkyl group which may be substituted with one or two or more identical or different R^9s; a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s; or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s), that is, a Het^1 group which may be substituted with one or two or more identical or different R^{13}s;

R^2 represents a halogen atom; a nitro group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

n represents an integer from 0 to 4 when X^2 is CH (the group may be substituted with R^2), and n represents an integer from 0 to 3 when X^2 is nitrogen atom;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group,

and furthermore, R^6 and R^7 may be joined with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 represents a halogen atom; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; or a C_1-C_6 haloalkoxy group;

R^9 represents a C_1-C_6 alkyl group; a halogen atom; or a C_1-C_6 haloalkyl group;

R^{10} and R^{11} each independently represent a C_1-C_6 alkyl group; or a C_1-C_6 alkoxycarbonyl group;

R^{12} represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxycarbonyl group; a di(C_1-C_6 alkyl)amino group; or a a Het^1-C_1-C_6 alkoxy group, and furthermore, two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom; a C_1-C_6 alkyl group; or an oxo group;

R^{13} represents an oxo group; a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; oramono(C_1-C_6 alkyl)amino group;

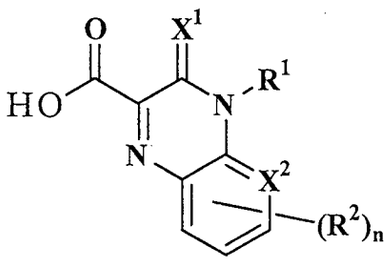
Y represents a halogen atom or a cyano group; and

Het^1 represents tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole.

[0026]

(9) A compound represented by formula [J2] :

[Chemical Formula 3]



[J2]

wherein X^1 represents an oxygen atom or a sulfur atom;

X^2 represents CH (the carbon atom may be substituted with R^2) or a nitrogen atom;

R^1 represents a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; cyano-C_1-C_6

alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), that is, a C_6-C_{10} aryl-C_1-C_6 alkyl group in which the aryl group may be substituted with one or two or more identical or different R^8s; a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s), that is, a Het^1-C_1-C_6 alkyl group which may be substituted with one or two or more identical or different R^9s; a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s; or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s), that is, a Het^1 group which may be substituted with one or two or more identical or different R^{13}s;

R^2 represents a halogen atom; a nitro group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

n represents an integer from 0 to 4 when X^2 is CH (the group may be substituted with R^2), and n represents an integer from 0 to 3 when X^2 is nitrogen atom;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group, and furthermore, R^6 and R^7 may be joined with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in

addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 represents a halogen atom; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; or a C_1-C_6 haloalkoxy group;

R^9 represents a C_1-C_6 alkyl group; a halogen atom; or a C_1-C_6 haloalkyl group;

R^{10} and R^{11} each independently represent a C_1-C_6 alkyl group; or a C_1-C_6 alkoxycarbonyl group;

R^{12} represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxycarbonyl group; a di(C_1-C_6 alkyl)amino group; or a a Het^1-C_1-C_6 alkoxy group, and furthermore, two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom; a C_1-C_6 alkyl group; or an oxo group;

R^{13} represents an oxo group; a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; oramono(C_1-C_6 alkyl)amino group;

Het^1 represents tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole,

thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole.

[0027]

(10) The compound according to (9) above, wherein in the formula [J2],

R^1 is a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkeny group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s), that is, a Het^1-C_1-C_6 alkyl group which may be substituted with one or two or more identical or different R^9s; a $NR^{10}R^{11}$ group; or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s), that is, a Het^1 group which may be substituted with one or two or more identical or different R^{13}s.

[0028]

(11) The compound according to (9) above, wherein in the

formula [J2],

X^2 represents a nitrogen atom; and

R^1 is a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s), that is, a C_6-C_{10} aryl group which may be substituted with one or two or more identical or different R^{12}s.

(12) A herbicide characterized by comprising the oxopyrazine derivative according to any one of (1) to (7) above or a salt thereof, as an active ingredient.

(13) A method of using a herbicide characterized by treating soil and/or plants with an effective amount of the herbicide according to (12) above.

(14) An agrochemical composition for herbicidal use, comprising the oxopyrazine derivative according to any one of (1) to (7) above or a salt thereof, and an agrochemically acceptable carrier.

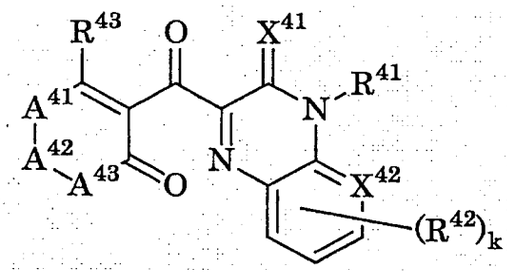
(15) A method for suppressing the growth of weeds, the method including spreading an agrochemical composition containing an effective amount of the oxopyrazine derivative according to any one of (1) to (7) above or a salt thereof, over a place where the weeds to be removed are growing.

[0029]

The present invention also relates to the following (16) to (25).

(16) An oxopyrazine derivative represented by formula [X], or an agrochemically acceptable salt thereof:

[Chemical Formula 4]



[X]

wherein X^{41} represents an oxygen atom or a sulfur atom;

X^{42} represents CH (the carbon atom may be substituted with R^{42}) or $N(O)_m$;

m represents an integer of 0 or 1;

R^{41} represents a hydrogen atom; an amino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 alkoxy group; a C_1-C_{12} alkyl group which may be substituted with any group selected from the substituent group α as shown below; a C_3-C_6 cycloalkyl group; a C_2-C_6 alkenyl group which may be substituted with a group selected from the substituent group α as shown below; a C_2-C_6 alkynyl group which may be substituted with a group selected from the substituent group α as shown below; a phenyl group which may be substituted with a group selected from the substituent group β as shown below; a phenyl-C_1-C_3 alkyl group which may be substituted with a group selected from the substituent group β as shown below; a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the group may be substituted with a group selected from the substituent group β as shown below); or a heterocyclic-C_1-C_3 alkyl group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the group may be substituted with a group selected from the substituent group β as shown below);

R^{42} represents a halogen atom, a hydroxyl group, a nitro

group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group, a C_3-C_6 cycloalkyl-C_1-C_3 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_3-C_6 cycloalkyloxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 haloalkylthio group, a C_1-C_6 haloalkylsulfinyl group, a C_1-C_6 haloalkylsulfonyl group, an amino group, a mono(C_1-C_6 alkyl)amino group, a di(C_1-C_6 alkyl)amino group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_1-C_6 acyl group, a carboxyl group, a C_1-C_6 alkoxycarbonyl group, a mono(C_1-C_6 alkyl)aminocarbonyl group, or a di(C_1-C_6 alkyl)aminocarbonyl group;

[0030]

n represents an integer from 0 to 4 when X^{42} is CH (the group may be substituted with R^{42}), or n represents an integer from 0 to 3 when X^{42} is $N(O)_m$;

R^{43} represents a hydroxyl group, O^-M^+ (wherein M^+ represents an alkali metal cation or an ammonium cation), an amino group, a halogen atom, a C_1-C_6 alkylsulfonyloxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 haloalkylthio group, a C_1-C_6 haloalkylsulfinyl group, a C_1-C_6 haloalkylsulfonyl group, a C_2-C_6 alkenylthio group, a C_2-C_6 alkenylsulfinyl group, a C_2-C_6 alkenylsulfonyl group, a C_2-C_6 alkynylthio group, a C_2-C_6 alkynylsulfinyl group, a C_2-C_6 alkynylsulfonyl group, a C_1-C_6 alkylcarbonyloxy group, a C_2-C_6 alkenylcarbonyloxy group, a C_2-C_6 alkynylcarbonyloxy group, a phenoxy group which may be substituted with a group selected from the substituent group γ as shown below, a phenylthio group which may be substituted with a group selected from the substituent group γ as shown below, a phenylsulfinyl group which may be substituted with a group selected from the substituent group γ

as shown below, a phenylsulfonyl group which may be substituted with a group selected from the substituent group γ as shown below, a phenylsulfonyloxy group which may be substituted with a group selected from the substituent group γ as shown below, a phenylcarbonyloxy group which may be substituted with a group selected from the substituent group γ as shown below, a 1,2,4-triazol-1-yl group, a 1,2,3-triazol-1-yl group, a 1,2,3-triazol-2-yl group, an imidazol-1-yl group, a pyrazol-1-yl group, a tetrazol-1-yl group or a tetrazol-2-yl group;

A^{41} represents $C(R^{44}R^{45})$;

A^{42} represents $C(R^{46}R^{47})$ or C=O;

A^{43} represents $C(R^{48}R^{49})$; and

R^{44}, R^{45}, R^{46}, R^{47}, R^{48} and R^{49} each independently represent a hydrogen atom or a C_1-C_6 alkyl group, or R^{44} and R^{49} may be joined by a C_2-C_5 alkylene chain to constitute a ring.

[0031]

[Substituent group α]

A group comprising a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_3-C_6 cycloalkyloxy group, a C_1-C_6 haloalkoxy group, a phenoxy group, a C_1-C_6 alkylcarbonyloxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsulfonyl group, an amino group, a mono(C_1-C_6 alkyl)amino group, a di(C_1-C_6 alkyl)amino group, a C_1-C_6 alkoxy-C_1-C_6 alkoxy group, a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a heterocyclic-C_1-C_3 alkoxy group having 2 to 10 carbon atoms and 1 to 5 heteroatoms arbitrarily selected from an oxygen atom, a sulfur atom and a nitrogen atom, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, and a $R^{50}R^{51}N$-C=O group (R^{50} and R^{51} each independently represent a hydrogen atom or a C_1-C_6 alkyl group, or R^{50} and R^{51} may be joined

with the nitrogen atom to which they are bound, to form a 5- to 6-membered ring, while this ring may have one or more atoms arbitrarily selected from the group of an oxygen atom, a nitrogen atom and a sulfur atom, interposed therein, in addition to the nitrogen atom to which R^{50} and R^{51} are bound).

[0032]

[Substituent group β]

A group comprising a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group, a C_3-C_6 cycloalkyl-C_1-C_3 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_3-C_6 cycloalkyloxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_1-C_6 alkylcarbonyloxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 haloalkylthio group, a C_1-C_6 haloalkylsulfinyl group, a C_1-C_6 haloalkylsulfonyl group, an amino group, a mono(C_1-C_6 alkyl)amino group, a di(C_1-C_6 alkyl)amino group, a C_1-C_3 alkoxy-C_1-C_3 alkyl group, a C_1-C_6 alkoxy-C_1-C_6 alkoxy group, a C_3-C_6 cycloalkyl-C_1-C_3 alkyl oxy group, a cyano-C_1-C_3 alkoxy group, a C_1-C_6 acyl group, a carboxyl group, a C_1-C_6 alkoxycarbonyl group, a carbamoyl group, a mono(C_1-C_{10} alkyl)aminocarbonyl group, a di(C_1-C_{10} alkyl)aminocarbonyl group, and a heterocyclic-C_1-C_3 alkoxy group having 2 to 10 carbon atoms and 1 to 5 heteroatoms arbitrarily selected upon an oxygen atom, a sulfur atom and a nitrogen atom;

[0033]

[Substituent group γ]

A group comprising a halogen atom, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, and a C_1-C_6 haloalkoxy group.

[0034]

(17) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to (11) above, wherein in the formula [X], X^{42} is CH (the carbon atom may be substituted with R^{42}).

(18) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to (11) above, wherein in the formula [X], X^{42} is $N(O)_m$.

[0035]

(19) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to (16) to (18) above, wherein in the formula [X],

X^{41} is an oxygen atom or a sulfur atom;

X^{42} is CH (the carbon atom may be substituted with R^{42}) or a nitrogen atom;

R^{41} is a hydrogen atom, a C_1-C_{12} alkyl group which may be substituted with a group selected from the substituent group α as shown below, a C_3-C_6 cycloalkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a phenyl group which may be substituted with a group selected from the substituent group β as shown below, a phenyl-C_1-C_3 alkyl group which may be substituted with a group selected from the substituent group β as shown below, a heterocyclic group selected from the heterocyclic ring group Z as shown below (the group may be substituted with a group selected from the substituent group β as shown below), or a heterocyclic-C_1-C_3 alkyl group in which the heterocyclic moiety is selected from the heterocyclic ring group Z as shown below (the group may be substituted with a group selected from the substituent group β as shown below);

R^{42} is a halogen atom, a C_1-C_6 alkoxy group, a C_1-C_6 alkylthio group, or a C_1-C_6 alkylsulfonyl group;

n is an integer from 0 to 4 when X^{42} is CH (the carbon atom

may be substituted with R^{42}), or n is an integer from 0 to 3 when X^{42} is a nitrogen atom; and

R^{43} is a hydroxyl group.

[0036]

[Substituent group α]

A group comprising a halogen atom, a cyano group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkoxy-C_1-C_6 alkoxy group, a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a phenoxy group, a C_1-C_6 alkylcarbonyloxy group, a heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety is selected from the heterocyclic ring group Z as shown below, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, and a $R^{50}R^{51}N$-C=O group (R^{50} and R^{51} each independently represent a C_1-C_6 alkyl group, or R^{50} and R^{51} may be joined with the nitrogen atom to which they are bound, to form a 5- to 6-membered ring, while this ring may have an oxygen atom interposed, in addition to the nitrogen atom to which R^{50} and R^{51} are bound).

[Substituent group β]

A group comprising a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety is selected from the heterocyclic ring group Z as shown below, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_3 alkoxy-C_1-C_3 alkyl group, a C_3-C_6 cycloalkyl-C_1-C_3 alkyloxy group, a cyano-C_1-C_3 alkoxy group, and a C_1-C_6 acyl group.

[Heterocyclic ring group Z]

A group comprising tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane,

tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, isoxazole, thiazole, isothiazole, 1,2,4-oxadiazole, pyridine, pyrimidine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, and benzo-1,4-dioxane.

[0037]

(20) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to any one of (16) to (19) above, wherein in the formula [X],

X^{41} represents an oxygen atom or a sulfur atom,

X^{42} is CH (the group may be substituted with R^{42}),

R^{41} is a hydrogen atom, a C_1-C_{12} alkyl group which may be substituted with a group selected from the substituent group α as shown below, a C_3-C_6 cycloalkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a phenyl group which may be substituted with a group selected from the substituent group β as shown below, a phenyl-C_1-C_3 alkyl group which may be substituted with any group selected from the substituent group β as shown below, a heterocyclic group selected from the heterocyclic ring group Z as shown below (the group may be substituted with a group selected from the substituent group β as shown below), or a heterocyclic-C_1-C_3 alkyl group in which the heterocyclic moiety is selected from the following heterocyclic ring group Z (the group may be substituted with a group selected from the substituent group β as shown below);

R^{42} is a halogen atom, a C_1-C_6 alkylthio group or a C_1-C_6 alkylsulfonyl group;

n is an integer from 0 to 4; and

R^{43} is a hydroxyl group.

[Substituent group α]

A group comprising a halogen atom, a cyano group, a C_1-C_6 alkoxy group, a C_1-C_6 alkoxy-C_1-C_6 alkoxy group, a C_1-C_6

alkylsulfonyl-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a phenoxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylcarbonyloxy group, a heterocyclic-C_1-C_{10} alkoxy group in which the heterocyclic moiety is selected from the following heterocyclic ring group Z, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, and a $R^{50}R^{51}N$-C=O group (R^{50} and R^{51} each independently represent a C_1-C_6 alkyl group, or R^{50} and R^{51} may be joined with the nitrogen atom to which they are bound, to form a 5- to 6-membered ring, while this ring may have an oxygen atom interposed, in addition to the nitrogen atom to which R^{50} and R^{51} are bound).

[Substituent group β]

A group comprising a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group, a heterocyclic-C_1-C_{10} alkoxy group in which the heterocyclic moiety is selected from the heterocyclic ring group Z as shown below, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl-C_1-C_3 alkyloxy group, a cyano-C_1-C_3 alkoxy group, and a C_1-C_6 acyl group.

[Heterocyclic ring group Z]

A group comprising tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, isoxazole, thiazole, isothiazole, 1,2,4-oxadiazole, pyridine, pyrimidine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, and benzo-1,4-dioxane.

[0038]

(21) The oxopyrazine derivative or the agrochemically acceptable salt thereof according to any one of (16) to (20) above, wherein in the formula [X],

X^{41} is an oxygen atom;

X^{42} is a nitrogen atom;

R^{41} is a C_1-C_{12} alkyl group which may be substituted with any group selected from the following substituent group α, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a phenyl group which may be substituted with any group selected from the following substituent group β, a phenyl-C_1-C_3 alkyl group, a heterocyclic group selected from the following heterocyclic group Z (the group may be substituted with any group selected from the following substituent group β), or a heterocyclic-C_1-C_3 alkyl group selected fromthefollowingheterocyclicgroupZ(thegroup may be substituted with any group selected from the following substituent group β);

R^{42} is a halogen atom or a C_1-C_6 alkoxy group;

n is an integer from 0 to 3;

R^{43} is a hydroxyl group;

A^{41} is CHR^{44};

A^{42} is CH_2;

A^{43} is CHR^{49};

R^{44} and R^{49} are hydrogen atoms, or R^{44} and R^{49} may be joined by a C_2-C_5 alkylene chain to constitute a ring.

[Substituent group α]

A group comprising a halogen atom, a C_1-C_6 alkoxy group, and a C_1-C_6 alkoxycarbonyl group.

[Substituent group β]

A group comprising a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, and a C_1-C_6 alkoxy group.

[Heterocyclic ring group Z]

A group comprising 4,5-dihydroisoxazole, thiophene, isoxazole, pyridine, 1,3-benzodioxole, and benzo-1,4-dioxane.
[0039]

(22) A herbicide characterizedbycomprising the oxopyrazine

derivative according to any one of (16) to (21) above or a salt thereof, as an active ingredient.

(23) A method of using a herbicide characterized by treating soil and/or plants with an effective amount of the herbicide according to (22) above.

(24) An agrochemical composition for herbicidal use, comprising the oxopyrazine derivative according to any one of (16) to (21) above or a salt thereof, and an agrochemically acceptable carrier thereof.

(25) A method for suppressing the growth of weeds, the method including spreading an agrochemical composition containing an effective amount of the oxopyrazine derivative according to any one of (16) to (21) above or a salt thereof, over a place where the weeds to be removed are growing.

[0040]

The oxopyrazine derivative represented by the formula [I] or a salt thereof according to the present invention has been re-written on the basis of the description on the oxopyrazine derivative represented by the formula [X] or a salt thereof.

In the present specification, the entirety of the subject matters described in the specification of Japanese Patent Application No. 2007-201387, on the basis of which the present patent application claims priority, has been incorporated into the description of the present specification.

Effect of the Invention

[0041]

The oxopyrazine derivative represented by formula [I] or an agrochemically acceptable salt thereof according to the present invention can control various weeds growing in upland fields, orchards, paddy fields and non-agricultural lands, and

has excellent effects ofactionas an agrochemical, such as showing high safety against useful plants, useful crops and the like.

Best Mode for Carrying Out the Invention

[0042]

The symbols and terms described in the present specification will be explained.

The halogen atom is a fluorine atom, a chlorine atom, a bromine atom or an iodine atom.

A notation showing elemental symbols and subscript numbers, such as in C_1-C_3, indicates that the number of elements of the group described subsequently to the notation is in the range indicated by the subscript numbers. For example, in this case, it is indicated that the carbon number is 1 to 3. The notation of C_1-C_6 indicates that the carbon number is 1 to 6, while the notation of C_1-C_{12} indicates that the carbon number is 1 to 12.

[0043]

The C_1-C_6 alkyl group represents, unless particularly limited, a straight-chained or branched alkyl group having 1 to 6 carbon atoms, and groups such as methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, n-pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1-ethylpropyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, neopentyl, n-hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1-ethylbutyl, 2-ethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl may be included, for example. A preferred alkyl group having 1 to 6 carbon atoms may be exemplified by a straight-chained or branched alkyl group having 1 to 4, or 1 to

3, carbon atoms.

[0044]

The C_1-C_{12} alkyl group represents, unless particularly limited, a straight-chained or branched alkyl group having 1 to 12 carbon atoms, and in addition to the examples of the C_1-C_6 alkyl group, groups such as n-heptyl, 1-methylhexyl, 5-methylhexyl, 1,1-dimethylpentyl, 2,2-dimethylpentyl, 4,4-dimethylpentyl, 1-ethylpentyl, 2-ethylpentyl, 1,1,3-trimethylbutyl, 1,2,2-trimethylbutyl, 1,3,3-trimethylbutyl, 2,2,3-trimethylbutyl, 2,3,3-trimethylbutyl, 1-propylbutyl, 1,1,2,2-tetramethylpropyl, n-octyl, 1-methylheptyl, 3-methylheptyl, 6-methylheptyl, 2-ethylhexyl, 5,5-dimethylhexyl, 2,4,4-trimethylpentyl, 1-ethyl-1-methylpentyl, nonyl, 1-methyloctyl, 2-methyloctyl, 3-methyloctyl, 7-methyloctyl, 1-ethylheptyl, 1,1-dimethylheptyl, 6,6-dimethylheptyl, decyl, 1-methylnonyl, 2-methylnonyl, 6-methylnonyl, 1-ethyloctyl, 1-propylheptyl, n-nonyl, n-decyl, n-undecyl and n-dodecyl may be included, for example. A preferred alkyl group having 1 to 12 carbon atoms may be exemplified by a straight-chained or branched alkyl group having 1 to 8, 1 to 6, or 1 to 3, carbon atoms.

[0045]

The C_3-C_8 cycloalkyl group represents, unless particularly limited, a cycloalkyl group having 3 to 8 carbon atoms, and groups such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl may be included, for example. A preferred cycloalkyl group having 3 to 8 carbon atoms may be exemplified by a cycloalkyl group having 3 to 6, or 4 to 6, carbon atoms.

The C_3-C_8 cycloalkyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a cycloalkyl group having 3 to 8 carbon atoms,

in which the cycloalkyl moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as cyclopropylmethyl, 1-cyclopropylethyl, 2-cyclopropylethyl, 1-cyclopropylpropyl, 2-cyclopropylpropyl, 3-cyclopropylpropyl, cyclobutylmethyl, cyclopentylmethyl and cyclohexylmethyl may be included, for example.

[0046]

The C_1-C_6 haloalkyl group represents a straight-chained or branched alkyl group having 1 to 6 carbon atoms substituted with one or more, preferably 1 to 10, and more preferably 1 to 5, halogen atoms, and groups such as fluoromethyl, chloromethyl, bromomethyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chlorodifluoromethyl, bromodifluoromethyl, 2-fluoroethyl, 1-chloroethyl, 2-chloroethyl, 1-bromoethyl, 2-bromoethyl, 2,2-difluoroethyl, 1,2-dichloroethyl, 2,2-dichloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 1,1,2,2-tetrafluoroethyl, pentafluoroethyl, 2-bromo-2-chloroethyl, 2-chloro-1,1,2,2-tetrafluoroethyl, 1-chloro-1,2,2,2-tetrafluoroethyl, 1-chloropropyl, 2-chloropropyl, 3-chloropropyl, 2-bromopropyl, 3-bromopropyl, 2-bromo-1-methylethyl, 3-iodopropyl, 2,3-dichloropropyl, 2,3-dibromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 3-bromo-3,3-difluoropropyl, 3,3-dichloro-3-fluoropropyl, 2,2,3,3-tetrafluoropropyl, 1-bromo-3,3,3-trifluoropropyl, 2,2,3,3,3-pentafluoropropyl, 2,2,2-trifluoro-1-trifluoromethylethyl, heptafluoropropyl, 1,2,2,2-tetrafluoro-1-trifluoromethylethyl, 2,3-dichloro-1,1,2,3,3-pentafluoropropyl, 2-chlorobutyl, 3-chlorobutyl, 4-chlorobutyl, 2-chloro-1,1-dimethylethyl, 4-bromobutyl, 3-bromo-2-methylpropyl, 2-bromo-1,1-dimethylethyl, 2,2-dichloro-1,1-dimethylethyl,

2-chloro-1-chloromethyl-2-methylethyl, 4,4,4-trifluorobutyl, 3,3,3-trifluoro-1-methylpropyl, 3,3,3-trifluoro-2-methylpropyl, 2,3,4-trichlorobutyl, 2,2,2-trichloro-1,1-dimethylethyl, 4-chloro-4,4-difluorobutyl, 4,4-dichloro-4-fluorobutyl, 4-bromo-4,4-difluorobutyl, 2,4-dibromo-4,4-difluorobutyl, 3,4-dichloro-3,4,4-trifluorobutyl, 3,3-dichloro-4,4,4-trifluorobutyl, 4-bromo-3,3,4,4-tetrafluorobutyl, 4-bromo-3-chloro-3,4,4-trifluorobutyl, 2,2,3,3,4,4-hexafluorobutyl, 2,2,3,4,4,4-hexafluorobutyl, 2,2,2-trifluoro-1-methyl-1-trifluoromethylethyl, 3,3,3-trifluoro-2-trifluoromethylpropyl, 2,2,3,3,4,4,4-heptafluorobutyl, 2,3,3,3-tetrafluoro-2-trifluoromethylpropyl, 1,1,2,2,3,3,4,4-octafluorobutyl, nonafluorobutyl, 4-chloro-1,1,2,2,3,3,4,4-octafluorobutyl, 5-fluoropentyl, 5-chloropentyl, 5,5-difluoropentyl, 5,5-dichloropentyl, 5,5,5-trifluoropentyl, 6,6,6-trifluorohexyl and 5,5,5,6,6,6-hexafluorohexyl may be included, for example.

[0047]

The C_2-C_6 alkenyl group represents, unless particularly limited, a straight-chained or branched alkenyl group having 2 to 6 carbon atoms, and groups such as vinyl, 1-propenyl, isopropenyl, 2-propenyl, 1-butenyl, 1-methyl-1-propenyl, 2-butenyl, 1-methyl-2-propenyl, 3-butenyl, 2-methyl-1-propenyl, 2-methyl-2-propenyl, 1,3-butadienyl, 1-pentenyl, 1-ethyl-2-propenyl, 2-pentenyl, 1-methyl-1-butenyl, 3-pentenyl, 1-methyl-2-butenyl, 4-pentenyl, 1-methyl-3-butenyl, 3-methyl-1-butenyl, 1,2-dimethyl-2-propenyl, 1,1-dimethyl-2-propenyl, 2-methyl-2-butenyl,

3-methyl-2-butenyl, 1,2-dimethyl-1-propenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,3-pentadienyl, 1-vinyl-2-propenyl, 1-hexenyl, 1-propyl-2-propenyl, 2-hexenyl, 1-methyl-1-pentenyl, 1-ethyl-2-butenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-4-pentenyl, 1-ethyl-3-butenyl, 1-(isobutyl)vinyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-2-propenyl, 1-(isopropyl)-2-propenyl, 2-methyl-2-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1,3-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1,5-hexadienyl, 1-vinyl-3-butenyl and 2,4-hexadienyl may be included, for example. A preferred alkenyl group having 2 to 6 carbon atoms may be exemplified by a straight-chained or branched alkenyl group having 2 to 4 carbon atoms.

[0048]

The C_2-C_6 alkynyl group represents, unless particularly limited, a straight-chained or branched alkynyl group having 2 to 6 carbon atoms, and groups such as ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 1 -methyl-2-propynyl, 2-butynyl, 3-butynyl, 1-pentynyl, 1-ethyl-2-propynyl, 2-pentynyl, 3-pentynyl, 1-methyl-2-butynyl, 4-pentynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 1-hexynyl, 1-(n-propyl)-2-propynyl, 2-hexynyl, 1-ethyl-2-butynyl, 3-hexynyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 4-methyl-1-pentynyl, 3-methyl-1-pentynyl, 5-hexynyl, 1-ethyl-3-butynyl, 1-ethyl-1-methyl-2-propynyl, 1-(isopropyl)-2-propynyl, 1,1-dimethyl-2-butynyl and 2,2-dimethyl-3-butynyl may be included, for example. A preferred alkynyl group having 2 to 6 carbon atoms may be exemplified by a straight-chained or branched alkynyl group having 2 to 4 carbon

atoms.

[0049]

The C_1-C_6 alkoxy group represents, unless particularly limited, a straight-chained or branched alkoxy group having 1 to 6 carbon atoms, and groups such as methoxy, ethoxy, propoxy, isopropoxy, butoxy, pentyloxy and hexyloxy may be included, for example. A preferred alkoxy group having 1 to 6 carbon atoms may be exemplified by a straight-chained or branched alkoxy group having 1 to 4, or 1 to 3, carbon atoms.

[0050]

The C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms, in which the alkyl moiety and the alkoxy moiety respectively have the same meanings as defined above, and groups such as methoxymethyl, ethoxymethyl, isopropoxymethyl, pentyloxymethyl, methoxyethyl and butoxyethyl may be included, for example.

[0051]

The C_1-C_6 alkoxy-C_1-C_6 alkoxy group represents an alkoxy group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms, in which the alkoxy moiety has the same meaning as defined above, and groups such as methoxymethoxy, ethoxymethoxy, 2-methoxyethoxy and 2-ethoxyethoxy may be included, for example.

[0052]

The C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group represents an (alkyl)-O- group having 1 to 6 carbon atoms substituted with a cycloalkyl group having 3 to 8 carbon atoms, in which the cycloalkyl moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as cyclopropylmethyloxy, cyclopropylethyloxy and

cyclopentylmethyloxy may be included, for example. A preferred cycloalkyl group having 3 to 8 carbon atoms may be exemplified by a cycloalkyl group having 3 to 6 carbon atoms.

[0053]

The cyano-C_1-C_6 alkoxy group represents an alkoxy group having 1 to 6 carbon atoms substituted with a cyano group, in which the alkoxy moiety has the same meaning as defined above, and groups such as 2-cyanoethoxy and 3-cyanopropoxy may be included, for example.

[0054]

The C_3-C_8 cycloalkyloxy group represents, unless particularly limited, a (cycloalkyl)-O- group having 3 to 8 carbon atoms in which the cycloalkyl moiety has the same meaning as defined above, and groups such as cyclopropyloxy, cyclobutyloxy, cyclopentyloxy and cyclohexyloxy may be included, for example.

The C_2-C_6 alkenyloxy group represents, unless particularly limited, an (alkenyl)-O- group having 2 to 6 carbon atoms in which the alkenyl moiety has the same meaning as defined above, and groups such as 2-propenyloxy may be included, for example.

The C_2-C_6 alkynyloxy group represents, unless particularly limited, an (alkynyl)-O- group having 2 to 6 carbon atoms in which the alkynyl moiety has the same meaning as defined above, and groups such as 2-propynyloxy may be included, for example.

[0055]

The C_1-C_6 alkylthio group represents an (alkyl)-S- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylthio, ethylthio, n-propylthio and isopropylthio may be included, for example.

The C_1-C_6 alkylsulfinyl group represents an (alkyl)-SO-

group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylsulfinyl, ethylsulfinyl, n-propylsulfinyl and isopropylsulfinyl may be included, for example.

The C_1-C_6 alkylsulfonyl group represents an (alkyl)-SO_2- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylsulfonyl, ethylsulfonyl, n-propylsulfonyl and isopropylsulfonyl may be included, for example.

The C_1-C_6 alkylsulfonyloxy group represents an (alkyl)-SO_2-O- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylsulfonyloxy and ethylsulfonyloxy may be included, for example.

The C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy group represents an alkoxy group having 1 to 6 carbon atoms substituted with an alkylsulfonyl group having 1 to 6 carbon atoms, in which the alkylsulfonyl moiety and the alkoxy moiety respectively have the same meanings as defined above, and groups such as 2-(methylsulfonyl)ethoxy and 2-(ethylsulfonyl)ethoxy may be included, for example.

[0056]

The mono(C_1-C_6 alkyl)amino group represents an (alkyl)-NH- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylamino and ethylamino may be included, for example.

The di(C_1-C_6 alkyl)amino group represents an $(alkyl)_2N$- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as dimethylamino, diethylamino, methylethylamino, dipropylamino and dibutylamino may be included, for example.

The mono(C_1-C_6 alkyl)aminocarbonyl group represents an (alkyl)-NH-C(=O)- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylaminocarbonyl and ethylaminocarbonylmaybeincluded, for example.

The di(C_1-C_6 alkyl)aminocarbonyl group represents an $(alkyl)_2N$-C(=O)- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as dimethylaminocarbonyl, diethylaminocarbonyl, methylethylaminocarbonyl, dipropylaminocarbonyl and dibutylaminocarbonyl may be included, for example.

[0057]

The C_1-C_6 alkoxycarbonyl group represents an (alkyl)-OC(=O)- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl and isopropoxycarbonyl may be included, for example.

The C_1-C_6 acyl group represents an acyl group derived from a straight-chained or branched aliphatic carboxylic acid having 1 to 6 carbon atoms, and groups such as formyl, acetyl, propionyl, isopropionyl, butyryl and pivaloyl may be included, for example.

The C_1-C_6 alkylcarbonyloxy group represents an (alkyl)-C(=O)-O- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as acetoxy, propionyloxy, isopropionyloxy and pivaloyloxy may be included, for example.

The C_2-C_6 alkenylcarbonyloxy group represents an (alkenyl)-C(=O)-O- group having 2 to 6 carbon atoms in which the alkenyl moiety has the same meaning as defined above, and groups such as 1-propenylcarbonyloxy, 2-propenylcarbonyloxy, 1-butenylcarbonyloxy and 1-methyl-1-propenylcarbonyloxy may be

included, for example.

The C_2-C_6 alkynylcarbonyloxy group represents an (alkynyl)-C(=O)-O- group having 2 to 6 carbon atoms in which the alkynyl moiety has the same meaning as defined above, and groups such as 1-propynylcarbonyloxy and 2-propynylcarbonyloxy may be included, for example.

[0058]

The C_1-C_6 haloalkylthio group represents a (haloalkyl)-S- group having 1 to 6 carbon atoms in which the haloalkyl moiety has the same meaning as defined above, and groups such as difluoromethylthio and trifluoromethylthio may be included, for example.

The C_1-C_6 haloalkylsulfinyl group represents a (haloalkyl)-SO- group having 1 to 6 carbon atoms in which the haloalkyl moiety has the same meaning as defined above, and groups such as chloromethylsulfinyl, difluoromethylsulfinyl and trifluoromethylsulfinyl may be included, for example.

The C_1-C_6 haloalkylsulfonyl group represents a (haloalkyl)-SO_2- group having 1 to 6 carbon atoms in which the haloalkyl moiety has the same meaning as defined above, and groups such as chloromethylsulfonyl, difluoromethylsulfonyl and trifluoromethylsulfonyl may be included, for example.

[0059]

The mono(C_1-C_6 alkyl)aminocarbonyl group represents an (alkyl)NH-C(=O)- group having 1 to 6 carbon atoms in which the alkyl moiety has the same meaning as defined above, and groups such as methylaminocarbonyl and ethylaminocarbonylmaybeincluded, for example.

The di(C_1-C_6 alkyl)aminocarbonyl group represents an $(alkyl)_2N$-C(=O)- group in which the alkyl moiety has the same meaning as defined above, and groups such as

dimethylaminocarbonyl, diethylaminocarbonyl, methylethylaminocarbonyl, dipropylaminocarbonyl and dibutylaminocarbonyl may be included, for example.

[0060]

The C_2-C_6 alkenylthio group represents an (alkenyl)-S- group having 2 to 6 carbon atoms in which the alkenyl moiety has the same meaning as defined above, and groups such as allylthio may be included, for example.

The C_2-C_6 alkenylsulfinyl group represents an (alkenyl)-SO- group having 2 to 6 carbon atoms in which the alkenyl moiety has the same meaning as defined above, and groups such as allylsulfinyl may be included, for example.

The C_2-C_6 alkenylsulfonyl group represents an (alkenyl)-SO_2- group having 2 to 6 carbon atoms in which the alkenyl moiety has the same meaning as defined above, and groups such as allylsulfonyl may be included, for example.

The C_2-C_6 alkynylthio group represents an (alkynyl)-S- group having 2 to 6 carbon atoms in which the alkynyl moiety has the same meaning as defined above, and groups such as 2-propynylthio may be included, for example.

The C_2-C_6 alkynylsulfinyl group represents an (alkynyl)-SO- group having 2 to 6 carbon atoms in which the alkynyl moiety has the same meaning as defined above, and groups such as 2-propynylsulfinyl may be included, for example.

The C_2-C_6 alkynylsulfonyl group represents an (alkynyl)-SO_2- having 2 to 6 carbon atoms in which the alkynyl moiety has the same meaning as defined above, and groups such as 2-propynylsulfonyl may be included, for example.

[0061]

The phenyl-C_1-C_6 alkyl group represents an alkyl group having 1 to 6 carbon atoms substituted with a phenyl group, in

which the alkyl moiety has the same meaning as defined above, and groups such as benzyl, 1-phenylethyl and 2-phenylethyl may be included, for example.

[0062]

The heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, represents, unless particularly limited, a monovalent group formed from a 3- to 8-membered, and preferably 5- to 7-membered monocyclic, polycyclic or fused-ring heterocyclic ring having 1 to 5, and preferably 1 to 3, heteroatoms selected from the group consisting of an oxygen atom, a sulfur atom and a nitrogen atom, and groups such as oxirane, tetrahydrofuran, pyrrolidine, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, piperidine, piperazine, morpholine, furan, thiophene, pyrrole, pyrazole, imidazole, oxazole, isoxazole, thiazole, isothiazole, 1,3,4-oxadiazole, 1,3,4-thiadiazole, 1,3,4-triazole, 1,2,4-oxadiazole, 1,2,4-thiadiazole, 1,2,4-triazole, 1,2,3-oxadiazole, 1,2,3-thiadiazole, 1,2,3-triazole, tetrazole, pyridine, pyrimidine, pyrazine, pyridazine, 1,3,5-triazine, 1,2,4-triazine, benzothiophene, benzofuran, indole, benzoxazole, benzothiazole, benzimidazole, benzisoxazole, benzisothiazole, indazole, 1,3-benzodioxole, benzo-1,4-dioxane and 2,3-dihydrobenzofuran may be included, for example.

[0063]

The heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a heterocyclic group in which

the alkyl moiety has the same meaning as defined above, and groups such as (tetrahydrofuran-2-yl)methyl, (4,5-dihydroisoxazol-5-yl)methyl, (isoxazol-5-yl)methyl and (thiophen-2-yl)methyl may be included, for example.

The heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, represents an alkoxy group having 1 to 6 carbon atoms substituted with a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, in which the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and the alkoxy moiety respectively have the same meanings as defined above, and groups such as (tetrahydrofuran-2-yl)methoxy and (tetrahydrofuran-3-yl)methoxy may be included, for example.

[0064]

The heterocyclic-C_1-C_6 alkoxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, represents an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms, which is substituted with a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, in which the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above. Groups such as (tetrahydrofuran-2-yl)methoxymethyl and (tetrahydrofuran-3-yl)methoxymethyl may be included, for example.

[0065]

The C_2-C_6 haloalkenyl group represents, unless particularly limited, a straight-chained or branched alkenyl group having 2 to 6 carbon atoms substituted with 1 to 11, and preferably 1 to 5, halogen atoms, and groups such as 2-chlorovinyl, 2-bromovinyl, 2-iodovinyl, 3-chloro-2-propenyl, 3-bromo-2-propenyl, 1-chloromethylvinyl, 2-bromo-1-methylvinyl, 1-trifluoromethylvinyl, 3,3,3-trichloro-1-propenyl, 3-bromo-3,3-difluoro-1-propenyl, 2,3,3,3-tetrachloro-1-propenyl, 1-trifluoromethyl-2,2-difluorovinyl, 2-chloro-2-propenyl, 3,3-difluoro-2-propenyl, 2,3,3-trichloro-2-propenyl, 4-bromo-3-chloro-3,4,4-trifluoro-1-butenyl, 1-bromomethyl-2-propenyl, 3-chloro-2-butenyl, 4,4,4-trifluoro-2-butenyl, 4-bromo-4,4-difluoro-2-butenyl, 3-bromo-3-butenyl, 3,4,4-trifluoro-3-butenyl, 3,4,4-tribromo-3-butenyl, 3-bromo-2-methyl-2-propenyl, 3,3-difluoro-2-methyl-2-propenyl, 3,3,3-trifluoro-2-methylpropenyl, 3-chloro-4,4,4-trifluoro-2-butenyl, 3,3,3-trifluoro-1-methyl-1-propenyl, 3,4,4-trifluoro-1,3-butadienyl, 3,4-dibromo-1-pentenyl, 4,4-difluoro-3-methyl-3-butenyl, 3,3,4,4,5,5,5-heptafluoro-1-pentenyl, 5,5-difluoro-4-pentenyl, 4,5,5-trifluoro-4-pentenyl, 3,4,4,4-tetrafluoro-3-trifluoromethyl-1-butenyl, 4,4,4-trifluoromethyl-3-methyl-2-butenyl, 3,5,5-trifluoro-2,4-pentadienyl, 4,4,5,5,6,6,6-heptafluoro-2-hexenyl, 3,4,4,5,5,5-hexafluoro-3-trifluoromethyl-1-pentenyl, 4,5,5,5-tetrafluoro-4-trifluoromethyl-2-pentenyl and 5-bromo-4,5,5-trifluoro-4-trifluoromethyl-2-pentenyl may be

included, for example.

The C_2-C_6 haloalkynyl group represents, unless particularly limited, a straight-chained or branched alkynyl group having 2 to 6 carbon atoms substituted with 1 to 4 identical or different halogen atoms, and groups such as 3-chloro-2-propynyl, 3-bromo-2-propynyl, 3-iodo-2-propynyl, 3-chloro-1-propynyl and 5-chloro-4-pentynyl may be included, for example.

[0066]

The amino-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an amino group, in which the alkyl moiety has the same meaning as defined above, and groups such as 2-aminoethyl and 3-aminopropyl may be included, for example.

The mono(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group represents, unless particularly limited, a straight-chained or branched alkyl group having 1 to 6 carbon atoms substituted with an amino group which is mono-substituted with an alkyl group, in which the alkyl moiety has the same meaning as defined above, and groups such as 2-(methylamino)ethyl and 3-(methylamino)propyl may be included, for example.

The di(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group represents, unless particularly limited, a straight-chained or branched alkyl group having 1 to 6 carbon atoms substituted with an amino group which is di-substituted with alkyl groups, in which the alkyl moiety has the same meaning as defined above, and groups such as N,N-dimethylaminomethyl and N,N-dimethylaminoethyl may be included, for example.

[0067]

The C_1-C_6 alkylthio-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkylthio group having 1 to 6 carbon atoms,

in which the alkyl moiety and the alkyl moiety of the alkylthio respectively have the same meanings as defined above, and groups such as methylthiomethyl and ethylthiomethyl may be included, for example.

The C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkylsulfinyl group having 1 to 6 carbon atoms, in which the alkyl moiety and the alkyl moiety of the alkylsulfinyl respectively have the same meanings as defined above, and groups such as methylsulfinylmethyl and ethylsulfinylmethyl may be included, for example.

The C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkylsulfonyl group having 1 to 6 carbon atoms, in which the alkyl moiety and the alkyl moiety of the alkylsulfonyl respectively have the same meanings as defined above, and groups such as methylsulfonylmethyl and ethylsulfonylmethyl may be included, for example.

The C_1-C_6 haloalkylthio-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (haloalkyl)-S- group having 1 to 6 carbon atoms, in which the alkyl moiety and the haloalkyl moiety respectively have the same meanings as defined above, and groups such as difluoromethylthiomethyl and trifluoromethylthiomethyl may be included, for example.

The C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (haloalkyl)-SO- group having 1 to 6 carbon atoms, in which the alkyl moiety and the haloalkyl moiety respectively have the same meanings as defined above, and groups such as difluoromethylsulfinylmethyl and

trifluoromethylsulfinylmethyl may be included, for example.

The C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (haloalkyl)-SO_2- group having 1 to 6 carbon atoms, in which the alkyl moiety and the haloalkyl moiety respectively have the same meanings as defined above, and groups such as difluoromethylsulfonylmethyl and trifluoromethylsulfonylmethyl may be included, for example.

[0068]

The phenyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with a phenyl group, in which the alkyl moiety and the alkoxy moiety respectively have the same meanings as defined above, and groups such as benzyloxymethyl and benzyloxyethyl may be included, for example.

The C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with an alkoxy group having 1 to 6 carbon atoms, in which the alkyl moiety and the alkoxy moiety respectively have the same meanings as defined above, and groups such as 2-(2-methoxyethoxy)ethyl and 2-(2-ethoxyethoxy)ethylmay be included, for example.

The C_3-C_8 cycloalkyloxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (cycloalkyl)-O- group having 3 to 8 carbon atoms, in which the alkyl moiety and the cycloalkyl moiety respectively have the same meanings as defined above, and groups such as cyclopropyloxymethyl, cyclobutyloxymethyl, cyclopentyloxymethyl and cyclohexyloxymethylmaybeincluded, for

example.

The phenyloxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (phenyl)-O- group, in which the alkyl moiety has the same meanings as defined above, and groups such as phenoxymethyl, 2-phenoxyethyl and 3-phenoxypropyl may be included, for example.

The phenylthio-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (phenyl)-S- group, in which the alkyl moiety has the same meaning as defined above, and groups such as phenylthiomethyl, 2-phenylthioethyl and 3-phenylthiopropyl may be included, for example.

[0069]

The C_1-C_6 haloalkoxy group represents, unless particularly limited, a straight-chained or branched alkyl-O- group having 1 to 6 carbon atoms substituted with 1 to 13, and preferably 1 to 5, identical or different halogen atoms, in which the haloalkyl moiety has the same meaning as defined above, and groups such as chloromethoxy, difluoromethoxy, chlorodifluoromethoxy, trifluoromethoxy and 2,2,2-trifluoroethoxy may be included, for example.

The C_1-C_6 haloalkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a haloalkoxy group having 1 to 6 carbon atoms, in which the haloalkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as chloromethoxymethyl, difluoromethoxymethyl, chlorodifluoromethoxymethyl, trifluoromethoxymethyl and 2,2,2-trifluoroethoxymethyl may be included, for example.

The C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group represents, unless

particularly limited, an alkoxy group having 1 to 6 carbon atoms substituted with a haloalkoxy group having 1 to 6 carbon atoms, in which the haloalkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as chloromethoxymethoxy, difluoromethoxymethoxy, chlorodifluoromethoxymethoxy, trifluoromethoxymethoxy and 2,2,2-trifluoroethoxymethoxy may be included, for example.

[0070]

The C_1-C_6 alkylthio-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with an alkylthio group having 1 to 6 carbon atoms, in which the alkylthio moiety, the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as 2-methylthioethoxymethyl and 2-ethylthioethoxymethyl may be included, for example.

The C_1-C_6 alkylsulfinyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with an alkylsulfinyl group having 1 to 6 carbon atoms, in which the alkylsulfinyl moiety, the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as 2-methylsulfinylethoxymethyl and 2-ethylsulfinylethoxymethyl may be included, for example.

The C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with an alkylsulfonyl group having 1 to 6 carbon atoms, in which the alkylsulfonyl moiety, the alkoxy moiety and the alkyl moiety respectively have the same

meanings as defined above, and groups such as 2-methylsulfonylethoxymethyl and 2-ethylsulfonylethoxymethyl may be included, for example.

[0071]

The cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with a cyano group, in which the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as 2-cyanoethoxymethyl and 3-cyanopropoxymethyl may be included, for example.

The cyano-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a cyano group, in which the alkyl moiety has the same meaning as defined above, and groups such as cyanomethyl and 2-cyanoethyl may be included, for example.

[0072]

The C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an (alkyl)-C(=O)O- group having 1 to 6 carbon atoms, in which the alkyl moiety has the same meaning as defined above, and groups such as acetoxymethyl, propionyloxymethyl, isopropionyloxymethyl and pivaloyloxymethyl may be included, for example.

The C_1-C_6 acyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an acyl group having 1 to 6 carbon atoms, in which the acyl moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as 2-oxopropyl, 3-oxopropyl and 2-oxobutyl may be included, for example.

The di(C_1-C_6 alkoxy)-C_1-C_6 alkyl group represents, unless

particularly limited, an alkyl group having 1 to 6 carbon atoms di-substituted with alkoxy groups each having 1 to 6 carbon atoms, in which the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as (2,2-dimethoxy)ethyl, (3,3-dimethoxy)propyl, (2,2-diethoxy)ethyl and (3,3-diethoxy)propyl may be included, for example.

The C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxycarbonyl group having 1 to 6 carbon atoms, in which the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as 2-methoxy-2-oxoethyl, 2-ethoxy-2-oxoethyl and 2-tert-butoxy-2-oxoethyl may be included, for example.

The C_1-C_6 alkoxyimino-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an (alkoxy)-N= group having 1 to 6 carbon atoms, in which the alkoxy moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as 2-methoxyiminoethyl and 3-methoxyiminopropyl may be included, for example.

[0073]

The C_6-C_{10} aryl group may be exemplified by groups such as phenyl and naphthyl.

The C_6-C_{10} aryl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an aryl group having 6 to 10 carbon atoms, in which the aryl moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as benzyl, phenethyl, 3-phenylpropyl, naphthalen-1-ylmethyl and naphthalen-2-ylmethyl may be included, for example.

The C_3-C_8 halocycloalkyl group represents, unless particularly limited, a cycloalkyl group having 3 to 8 carbon atoms substituted with 1 to 5, and preferably 1 to 3, halogen atoms, in which the cycloalkyl moiety and the halogen atom respectively have the same meanings as defined above, and groups such as 2,2-difluorocyclopropyl and 2,2-dichlorocyclopropyl may be included, for example.

The nitro-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a nitro group, in which the alkyl moiety has the same meaning as defined above, and groups such as nitromethyl and 2-nitroethyl may be included, for example.

The hydroxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a hydroxyl group, in which the alkyl moiety has the same meaning as defined above, and groups such as 2-hydroxyethyl and 3-hydroxypropyl may be included, for example.

The C_1-C_6 acylamino group represents, unless particularly limited, an amino group substituted with an acyl group having 1 to 6 carbon atoms, in which the acyl moiety has the same meaning as defined above, and groups such as formamide, acetamide and propionamide may be included, for example.

The (R^6R^7N-C=O)-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with (R^6R^7N-C=O), in which the alkyl moiety has the same meaning as defined above, and groups such as N,N-dimethylaminocarbonylmethyl, N,N-dimethylaminocarbonylethyl and N-methyl-N-ethylaminocarbonylmethyl may be included, for example.

The C_2-C_5 alkylene chain may be exemplified, unless particularly limited, by groups such as ethylene, trimethylene,

propylene, tetramethylene and pentamethylene.

[0074]

The heterocyclic-oxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (heterocyclic)-O-group, in which the alkyl moiety and the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom have the same meanings as defined above, and groups such as 2-(2-pyridyloxy)ethyl, 2-(2-pyrazinyloxy)ethyl, and 2-(2-thiazolyl)ethyl may be included, for example.

The C_3-C_8 cycloalkyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an alkoxy group having 1 to 6 carbon atoms which is substituted with a cycloalkyl group having 3 to 8 carbon atoms, in which the alkyl moiety, the alkoxy moiety and the cycloalkyl moiety respectively have the same meanings as defined above, and groups such as cyclopropylmethyloxymethyl, cyclobutylmethyloxymethyl, cyclopentylmethyloxymethyl and cyclohexylmethyloxymethyl may be included, for example.

The phenylsulfinyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (phenyl)-SO-group, in which the alkyl moiety has the same meaning as defined above, and groups such as phenylsulfinylmethyl, 2-phenylsulfinylethyl, and 3-phenylsulfinylpropyl may be included, for example.

The phenylsulfonyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a (phenyl)-SO_2-group, in which the alkyl moiety has the same meaning as defined above, and groups such as 2-phenylsulfonylethyl, 3-phenylsulfonylpropyl, and 4-phenylsulfonylbutyl may be included, for example.

The C_1-C_6 alkylidene group represents, unless particularly limited, a straight-chained or branched divalent alkyl group having 1 to 6 carbon atoms, and groups such as methylene, ethylidene, propylidene, and isopropylidene may be included, for example.

The C_1-C_6 alkylideneaminooxy-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with an (alkylidene)=N-O- having 1 to 6 carbon atoms, in which the alkylidene moiety and the alkyl moiety respectively have the same meanings as defined above, and groups such as methyleneaminooxymethyl, 2-(ethylideneaminooxy)ethyl, and 2-(isopropylideneaminooxy)ethyl may be included, for example.

The C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group represents, unless particularly limited, an alkyl group having 1 to 6 carbon atoms substituted with a cycloalkyl group having 3 to 8 carbon atoms which is substituted with 1 to 5, preferably 1 to 3 halogen atoms, in which the cycloalkyl moiety, the alkyl moiety, and the halogen atom respectively have the same meanings as defined above, and groups such as 2,2-difluorocyclopropylmethyl, and 2,2-dichlorocyclopropylmethyl may be included, for example.

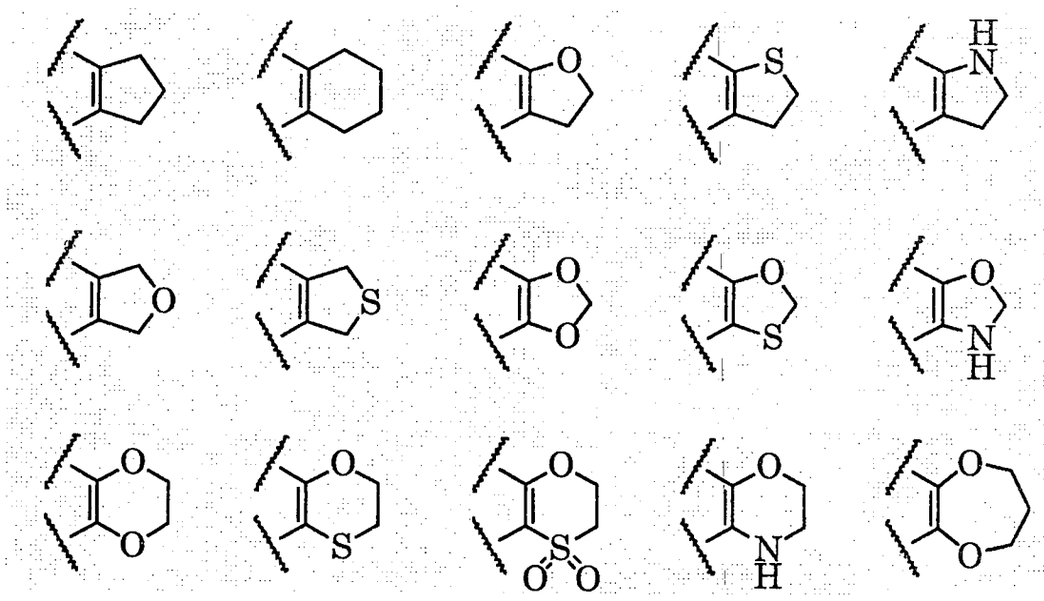
[0075]

The alkali metal may be exemplified by sodium, potassium and the like.

[0076]

The phrases "two adjacent R^2s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring, or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom," "two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring, or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom," and "two adjacent R^{13}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring, or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom," may be exemplified by the following, unless particularly limited.

[Chemical Formula 5]



[0077]

X^1 in the formula [I] of the present invention may be

exemplified by an oxygen atom or a sulfur atom. A preferred X^1 includes an oxygen atom. With regard to the formula [I], X^1 is described in the form of carbonyl group; however, in the case where the substituent R^1 on the adjacent nitrogen atom is such as a hydrogen atom, X^1 is not in the carbonyl form, but may exist in the enol form, which is a tautomer of the carbonyl form.

X^2 in the formula [I] of the present invention represents =CH- or $=N(O)_m-$ (provided that m represents an integer of 0 or 1). When X^2 is =CH-, the 6-membered ring containing X^2 turns to be a benzene ring which is fused with a pyrazine ring, to thus form a benzopyrazine ring. The benzene ring thus formed may be substituted with a substituent R^2 at the position of X^2, as is the case for the other carbon atoms on the benzene ring. In the present specification, this is expressed such that "the carbon atom may be substituted with R^2." Furthermore, when X^2 represents $=N(O)_m-$, that is, =N- or =N(O)-, the 6-membered ring containing X^2 turns to be a pyridine ring or a pyridine N-oxide ring which is fused with a pyrazine ring, to thus form a pyridopyrazine ring or an N-oxide ring thereof. Preferred X^2 in the formula [I] of the present invention includes =CH-, $=C(R^2)-$, or =N-.

[0078]

R^1 in the formula [I] of the present invention may be exemplified by a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_2-C_6 haloalkynyl group; an amino-C_1-C_6 alkyl group; a nitro-C_1-C_6 alkyl group; a mono(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group; a di(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6

haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a hydroxy-C_1-C_6 alkyl group; a phenyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s); a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyloxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s); a phenylthio-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s); a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a heterocyclic-C_1-C_6 alkoxy-C_1-C_6 alkyl group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^5s); a C_1-C_6 alkylthio-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a di(C_1-C_6 alkoxy)-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s which will be described later); a heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5

heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^9s which will be described later); a $NR^{10}R^{11}$ group; a C_1-C_6 alkoxy group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s which will be described later); or a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the group may be substituted with one or two or more identical or different R^{13}s which will be described later).

[0079]

Preferred examples of R^1 include a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a $(R^6R^7N\text{-}C{=}O)$-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s which will be described later); a heterocyclic-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s which will be described later); a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s which will be described later); a heterocyclic group (the

group may be substituted with one or two or more identical or different R^{13}s which will be described later); and the like. More preferred examples of R^1 include a C_1-C_{12} alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_2-C_6 haloalkenyl group, a C_1-C_6 alkylthio-C_1-C_6 alkyl group, a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group, a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group, a cyano-C_1-C_6 alkyl group, a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group, a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s which will be described later), a heterocyclic-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s which will be described later), a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s which will be described later), a heterocyclic group (the group may be substituted with one or two or more identical or different R^{13}s which will be described later); and the like.

[0080]

R^2 in the formula [I] of the present invention may be exemplified by a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_1-C_8 halocycloalkyl group; a C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyloxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an

amino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; a heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom; and the like.

Preferred examples of R^2 include a halogen atom; a nitro group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl group; and the like.

More preferred examples of R^2 include a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; and the like, and even more preferably, a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; and a C_1-C_6 alkoxy group.

[0081]

n in the formula [I] of the present invention is an integer from 0 to 4 (provided that when X^2 is $N(O)_m$, an integer from 0 to 3), preferably 0 to 2, and more preferably 0 to 1.

R^3 in the formula [I] of the present invention may be exemplified by a hydroxyl group; O^-M^+ (M^+ represents an alkali metal cation or an ammonium cation); an amino group; a halogen atom; a C_1-C_6 alkylsulfonyloxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_2-C_6 alkenylthio group; a C_2-C_6 alkenylsulfinyl group; a C_2-C_6 alkenylsulfonyl group; a C_2-C_6 alkynylthio group; a C_2-C_6 alkynylsulfinyl group; a C_2-C_6 alkynylsulfonyl group; a C_1-C_6 alkylcarbonyloxy group; a C_2-C_6

alkenylcarbonyloxy group; a C_2-C_6 alkynylcarbonyloxy group; a phenoxy group (the group may be substituted with one or two or more identical or different R^{14}s); a phenylthio group (the group may be substituted with one or two or more different R^{14}s); a phenylsulfinyl group (the group may be substituted with one or two or more different R^{14}s); a phenylsulfonyl group (the group may be substituted with one or two or more different R^{14}s); a phenylsulfonyloxy group (the group may be substituted with one or two or more different R^{14}s); a phenylcarbonyloxy group (the group may be substituted with one or two or more different R^{14}s); a 1,2,4-triazol-1-yl group; a 1,2,3-triazol-1-yl group; a 1,2,3-triazol-2-yl group; an imidazol-1-yl group; a pyrazol-1-yl group; a tetrazol-1-yl group; a tetrazol-2-yl group; and the like. A preferred example of R^3 includes a hydroxyl group, and derivatives such as salts, ethers and esters of these groups may also be employed.

A more preferred example of R^3 include a hydroxyl group.

[0082]

R^8 in the formula [I] of the present invention may be exemplified by a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group. Preferred examples of R^8 include a halogen atom; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 haloalkoxy group; and the like.

R^9 in the formula [I] of the present invention may be

exemplified by an oxo group; a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group.

Preferred examples of R^9 include a C_1-C_6 alkyl group; a halogen atom; a C_1-C_6 haloalkyl group and the like.

[0083]

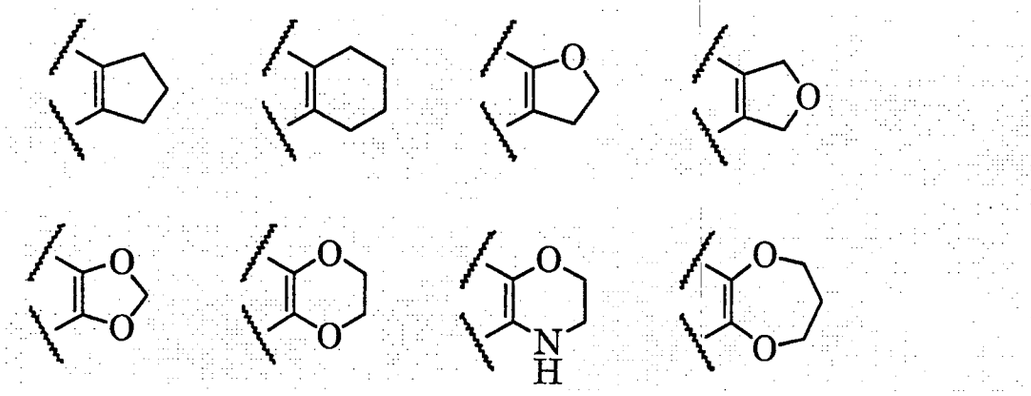
R^{12} in the formula [I] of the present invention may be exemplified by a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyloxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 alkylcarbonyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a C_1-C_6 acylamino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; a heterocyclic group having 2 to 10 carbon atoms and 1 to 5

heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety of the group may be substituted with one or two or more identical or different R^{14}s which will be described later); a heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, may be substituted with one or two or more identical or different R^{14}s which will be described later); and the like.

[0084]

Preferred examples of R^{12} include a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxycarbonyl group; a di(C_1-C_6 alkyl)amino group; a heterocyclic-C_1-C_6 alkoxy group; and those shown below.

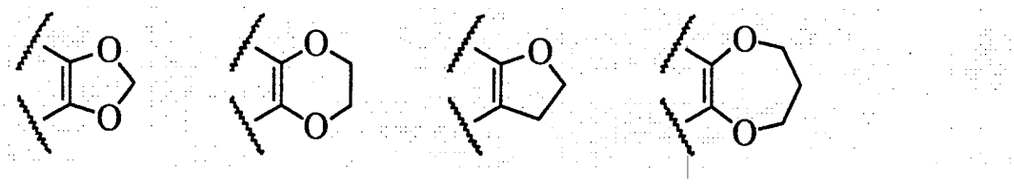
[Chemical Formula 6]



[0085]

More preferred examples of R^{12} include a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 acyl group; and those shown below.

[Chemical Formula 7]



[0086]

More preferred examples thereof include a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 haloalkoxy group; and those shown below.

[Chemical Formula 8]



[0087]

A^1 in the formula [I] of the present invention represents

$-C(R^{15}R^{16})-$.

A^2 in the formula [I] of the present invention represents $-C(R^{17}R^{18})-$ or C=O.

A^3 in the formula [I] of the present invention represents $-C(R^{19}R^{20})-$.

That is, $-A^1-A^2-A^3-$ in the formula [I] of the present invention represents:

$-C(R^{15}R^{16})-C(R^{17}R^{18})-C(R^{19}R^{20})-$ or

$-C(R^{15}R^{16})-C(=O)-C(R^{19}R^{20})-$,

and they form a 6-membered carbocyclic ring together with adjacent carbon atoms.

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} and R^{20} used herein each independently include a hydrogen atom; or a C_1-C_6 alkyl group. Furthermore, R^{15} and R^{20} may be joined with adjacent carbon atoms to form a 5- to 10-membered, and preferably 5- to 8-membered, carbocyclic ring. In other words, R^{15} and R^{20} may be joined to form a divalent straight-chained or branched C_2-C_5 alkylene chain. A preferred alkylene group may be exemplified by an ethylene group.

[0088]

Specific examples of the heterocyclic group as indicated in the "heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms arbitrarily selected from an oxygen atom, a sulfur atom and a nitrogen atom," "heterocyclic-C_1-C_6 alkoxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom," "heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom," or "heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms arbitrarily selected from an oxygen atom, a sulfur atom and a nitrogen atom,"

include tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran, indole and the like.

A group of preferred examples of the heterocyclic ring include 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, pyridine, pyrazine, 1,3-benzodioxole, and benzo-1,4-dioxane. More preferred examples of the heterocyclic ring include thiophene, isoxazole, pyridine, 1,3-benzodioxole, benzo-1,4-dioxane and the like.

[0089]

The heterocyclic group formed from the heterocyclic ring shown in the formula [I] of the present invention can be made into a radical being attached at any position of a selected heterocyclic ring. Even in the case where the selected heterocyclic ring is a ring fused with a benzene ring, the position at which the radical is formed is not limited to the heterocyclic moiety, and a position on the benzene ring can also be selected.

[0090]

Specific preferred examples of the compound represented by formula [I] of the present invention will be shown in the following Table 1 to Table 45. However, the compound of the present invention is not intended to be limited to these compounds. Additionally, compound numbers will be referred in the following descriptions.

The following notations in the tables in the present

specification represent the respective corresponding groups as indicated below. For example,

Me represents a methyl group,

Et represents an ethyl group,

n-Pr represents an n-propyl group,

i-Pr represents an isopropyl group,

c-Pr represents a cyclopropyl group,

n-Bu represents an n-butyl group,

s-Bu represents a sec-butyl group,

i-Bu represents an isobutyl group,

t-Bu represents a tert-butyl group,

c-Bu represents a cyclobutyl group,

n-Pen represents an n-pentyl group,

c-Pen represents a cyclopentyl group,

n-Hex represents an n-hexyl group,

Ph represents a phenyl group,

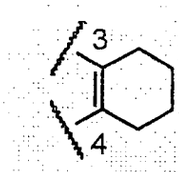
Bn represents a benzyl group,

"-" for R^2 and R^{12} implies that they are unsubstituted,

(4-Cl)Bn represents a 4-chlorobenzyl group,

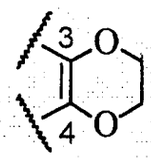
3,4-($CH_2CH_2CH_2CH_2$)- represents the following chemical structure in which the 3-position and the 4-position are bound by the butylene group to form a ring:

[Chemical Formula 9]



and 3,4-(OCH_2CH_2O)- represents the following chemical structure in which the 3-position and the 4-position are similarly bound by the ethylenedioxy group to form a ring:

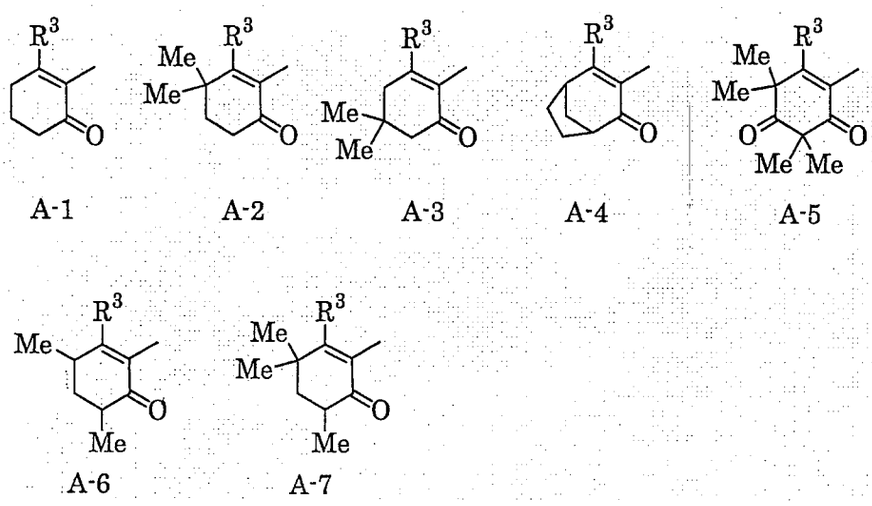
[Chemical Formula 10]



[0091]

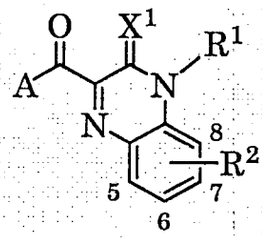
Furthermore, with regard to A in the tables, A-1, A-2, A-3, A-4, A-5, A-6 and A-7 respectively represent the following groups.

[Chemical Formula 11]



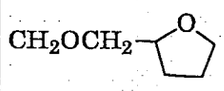
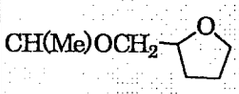
[0092]

[Table 1]

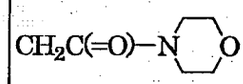


Compound No.	A	X^1	R^1	R^2	R^3
I-1	A-1	O	H	—	OH
I-2	A-1	O	Me	—	OH
I-3	A-2	O	Me	—	OH
I-4	A-3	O	Me	—	OH
I-5	A-4	O	Me	—	OH
I-6	A-5	O	Me	—	OH
I-7	A-1	O	Et	—	OH
I-8	A-2	O	Et	—	OH
I-9	A-3	O	Et	—	OH
I-10	A-4	O	Et	—	OH
I-11	A-5	O	Et	—	OH
I-12	A-1	O	n-Pr	—	OH
I-13	A-1	O	i-Pr	—	OH
I-14	A-1	O	c-Pr	—	OH
I-15	A-1	O	n-Bu	—	OH
I-16	A-1	O	s-Bu	—	OH
I-17	A-1	O	i-Bu	—	OH
I-18	A-1	O	t-Bu	—	OH
I-19	A-1	O	c-Bu	—	OH
I-20	A-1	O	n-Pen	—	OH
I-21	A-1	O	c-Pen	—	OH
I-22	A-1	O	n-Hex	—	OH
I-23	A-1	O	c-Hex	—	OH
I-24	A-1	O	$n\text{-}C_7H_{15}$	—	OH
I-25	A-1	O	$n\text{-}C_8H_{17}$	—	OH
I-26	A-1	O	$n\text{-}C_9H_{19}$	—	OH
I-27	A-1	O	$n\text{-}C_{10}H_{21}$	—	OH
I-28	A-1	O	$n\text{-}C_{11}H_{23}$	—	OH
I-29	A-1	O	$n\text{-}C_{12}H_{25}$	—	OH
I-30	A-1	O	$CH_2CH=CH_2$	—	OH
I-31	A-2	O	$CH_2CH=CH_2$	—	OH
I-32	A-3	O	$CH_2CH=CH_2$	—	OH
I-33	A-4	O	$CH_2CH=CH_2$	—	OH

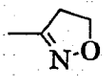
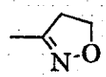
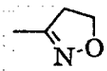
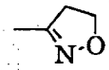
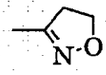
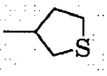
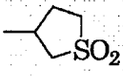
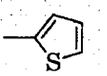
[Table 2]

Compound No.	A	X^1	R^1	R^2	R^3
I-34	A-5	O	$CH_2CH=CH_2$	—	OH
I-35	A-1	O	$CH_2C\equiv CH$	—	OH
I-36	A-2	O	$CH_2C\equiv CH$	—	OH
I-37	A-3	O	$CH_2C\equiv CH$	—	OH
I-38	A-4	O	$CH_2C\equiv CH$	—	OH
I-39	A-5	O	$CH_2C\equiv CH$	—	OH
I-40	A-1	O	CH_2CF_3	—	OH
I-41	A-2	O	CH_2CF_3	—	OH
I-42	A-3	O	CH_2CF_3	—	OH
I-43	A-4	O	CH_2CF_3	—	OH
I-44	A-5	O	CH_2CF_3	—	OH
I-45	A-1	O	CH_2CH_2F	—	OH
I-46	A-1	O	CH_2CH_2Cl	—	OH
I-47	A-1	O	$CH_2CH_2CF_3$	—	OH
I-48	A-1	O	$CH_2CH=CCl_2$	—	OH
I-49	A-1	O	CH_2OMe	—	OH
I-50	A-1	O	CH_2OEt	—	OH
I-51	A-2	O	CH_2OEt	—	OH
I-52	A-3	O	CH_2OEt	—	OH
I-53	A-4	O	CH_2OEt	—	OH
I-54	A-5	O	CH_2OEt	—	OH
I-55	A-1	O	$CH(Me)OMe$	—	OH
I-56	A-1	O	$CH(Me)OEt$	—	OH
I-57	A-1	O	CH_2OPh	—	OH
I-58	A-1	O	$CH_2OCH_2CH_2OMe$	—	OH
I-59	A-1	O	$CH_2OCH_2CF_3$	—	OH
I-60	A-2	O	$CH_2OCH_2CF_3$	—	OH
I-61	A-3	O	$CH_2OCH_2CF_3$	—	OH
I-62	A-4	O	$CH_2OCH_2CF_3$	—	OH
I-63	A-5	O	$CH_2OCH_2CF_3$	—	OH
I-64	A-1	O	$CH(Me)OCH_2CF_3$	—	OH
I-65	A-1	O		—	OH
I-66	A-1	O		—	OH
I-67	A-1	O	$CH_2OCH_2CH_2SO_2Me$	—	OH
I-68	A-1	O	$CH_2OCH_2CH_2CN$	—	OH
I-69	A-1	O	$CH_2OC(=O)t\text{-}Bu$	—	OH
I-70	A-1	O	CH_2SMe	—	OH

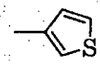
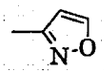
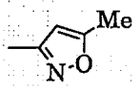
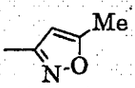
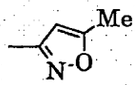
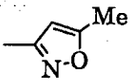
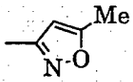
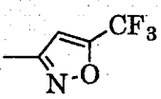
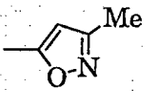
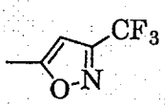
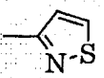
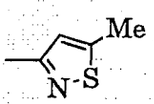
[Table 3]

Compound No.	A	X^1	R^1	R^2	R^3
I-71	A-4	O	CH_2SMe	—	OH
I-72	A-1	O	CH_2SEt	—	OH
I-73	A-1	O	CH_2S-n-Pr	—	OH
I-74	A-1	O	CH(Me)SMe	—	OH
I-75	A-1	O	CH(Me)SEt	—	OH
I-76	A-1	O	CH(Me)S-n-Pr	—	OH
I-77	A-1	O	CH_2SOMe	—	OH
I-78	A-1	O	CH_2SOEt	—	OH
I-79	A-1	O	CH_2SO-n-Pr	—	OH
I-80	A-1	O	CH_2SO_2Me	—	OH
I-81	A-4	O	CH_2SO_2Me	—	OH
I-82	A-1	O	CH_2SO_2Et	—	OH
I-83	A-1	O	CH_2SO_2-n-Pr	—	OH
I-84	A-1	O	$CH(Me)SO_2Me$	—	OH
I-85	A-1	O	$CH(Me)SO_2Et$	—	OH
I-86	A-1	O	$CH(Me)SO_2$-n-Pr	—	OH
I-87	A-1	O	CH_2CH_2OH	—	OH
I-88	A-1	O	CH_2CH_2OMe	—	OH
I-89	A-1	O	CH_2CH_2OEt	—	OH
I-90	A-1	O	$CH(Me)CH_2OMe$	—	OH
I-91	A-1	O	CH_2CH_2SMe	—	OH
I-92	A-1	O	$CH_2CH_2SO_2Me$	—	OH
I-93	A-1	O	$CH_2CH_2CH_2OMe$	—	OH
I-94	A-1	O	$CH_2C(=O)Me$	—	OH
I-95	A-1	O	$CH_2C(=O)OMe$	—	OH
I-96	A-1	O	$CH_2C(=O)OEt$	—	OH
I-97	A-1	O	$CH_2C(=O)O$-n-Pr	—	OH
I-98	A-1	O	$CH_2C(=O)O$-i-Pr	—	OH
I-99	A-1	O	$CH_2C(=O)O$-t-Bu	—	OH
I-100	A-1	O	$CH_2C(=O)NMe_2$	—	OH
I-101	A-1	O		—	OH
I-102	A-1	O	CH_2CN	—	OH
I-103	A-1	O	CH_2CH_2CN	—	OH
I-104	A-1	O	$CH(Me)CH_2CN$	—	OH
I-105	A-1	O	$CH_2CH_2CH_2CN$	—	OH
I-106	A-1	O	$CH_2CH_2NO_2$	—	OH
I-107	A-1	O	Bn	—	OH
I-108	A-1	O	(2-F)Bn	—	OH

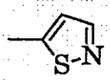
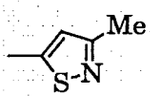
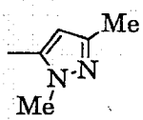
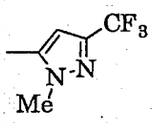
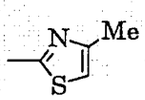
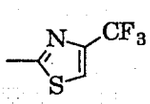
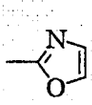
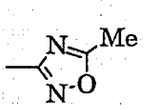
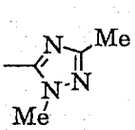
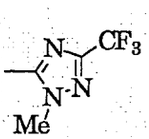
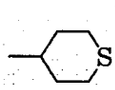
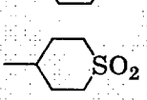
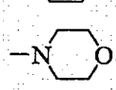
[Table 4]

Compound No.	A	X^1	R^1	R^2	R^3
I-109	A-1	O	(3-F)Bn	—	OH
I-110	A-1	O	(4-F)Bn	—	OH
I-111	A-1	O	(2-Cl)Bn	—	OH
I-112	A-1	O	(3-Cl)Bn	—	OH
I-113	A-1	O	(4-Cl)Bn	—	OH
I-114	A-1	O	(2-Me)Bn	—	OH
I-115	A-1	O	(3-Me)Bn	—	OH
I-116	A-1	O	(4-Me)Bn	—	OH
I-117	A-1	O	(2-CF_3)Bn	—	OH
I-118	A-1	O	(3-CF_3)Bn	—	OH
I-119	A-1	O	(4-CF_3)Bn	—	OH
I-120	A-1	O	(2-OMe)Bn	—	OH
I-121	A-2	O	(2-OMe)Bn	—	OH
I-122	A-3	O	(2-OMe)Bn	—	OH
I-123	A-4	O	(2-OMe)Bn	—	OH
I-124	A-5	O	(2-OMe)Bn	—	OH
I-125	A-1	O	(3-OMe)Bn	—	OH
I-126	A-1	O	(4-OMe)Bn	—	OH
I-127	A-1	O	(2,4-$(OMe)_2$)Bn	—	OH
I-128	A-1	O	(2,6-$(OMe)_2$)Bn	—	OH
I-129	A-1	O	(3,5-$(OMe)_2$)Bn	—	OH
I-130	A-1	O	CH(Me)Ph	—	OH
I-131	A-1	O		—	OH
I-132	A-2	O		—	OH
I-133	A-3	O		—	OH
I-134	A-4	O		—	OH
I-135	A-5	O		—	OH
I-136	A-1	O		—	OH
I-137	A-1	O		—	OH
I-138	A-1	O		—	OH

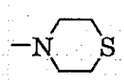
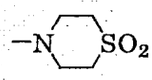
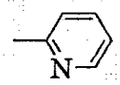
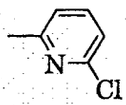
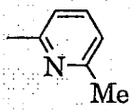
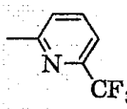
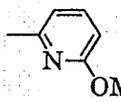
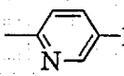
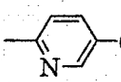
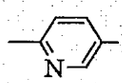
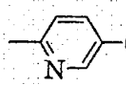
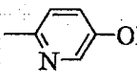
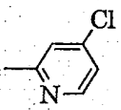
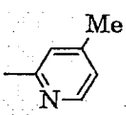
[Table 5]

Compound No.	A	X^1	R^1	R^2	R^3
I-139	A-1	O		—	OH
I-140	A-2	O		—	OH
I-141	A-3	O		—	OH
I-142	A-4	O		—	OH
I-143	A-5	O		—	OH
I-144	A-1	O		—	OH
I-145	A-1	O		—	OH
I-146	A-2	O		—	OH
I-147	A-3	O		—	OH
I-148	A-4	O		—	OH
I-149	A-5	O		—	OH
I-150	A-1	O		—	OH
I-151	A-1	O		—	OH
I-152	A-1	O		—	OH
I-153	A-1	O		—	OH
I-154	A-1	O		—	OH

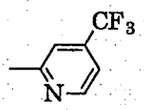
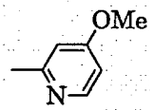
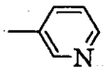
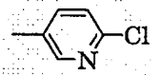
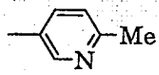
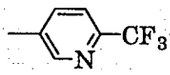
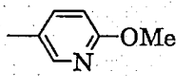
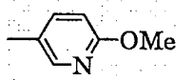
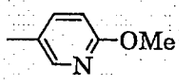
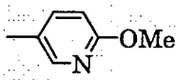
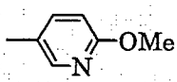
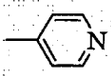
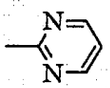
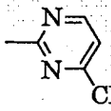
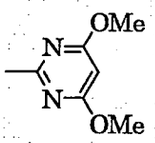
[Table 6]

Compound No.	A	X^1	R^1	R^2	R^3
I-155	A-1	O		—	OH
I-156	A-1	O		—	OH
I-157	A-1	O		—	OH
I-158	A-1	O		—	OH
I-159	A-1	O		—	OH
I-160	A-1	O		—	OH
I-161	A-1	O		—	OH
I-162	A-1	O		—	OH
I-163	A-1	O		—	OH
I-164	A-1	O		—	OH
I-165	A-1	O		—	OH
I-166	A-1	O		—	OH
I-167	A-1	O		—	OH
I-168	A-1	O		—	OH

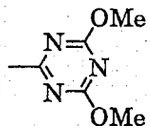
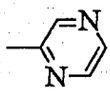
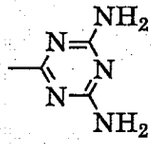
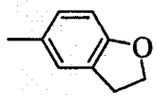
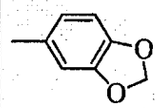
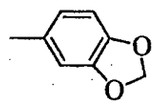
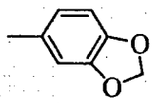
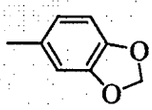
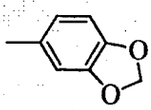
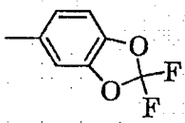
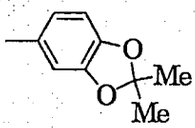
[Table 7]

Compound No.	A	X^1	R^1	R^2	R^3
I-169	A-1	O		—	OH
I-170	A-1	O		—	OH
I-171	A-1	O		—	OH
I-172	A-1	O		—	OH
I-173	A-1	O		—	OH
I-174	A-1	O		—	OH
I-175	A-1	O		—	OH
I-176	A-1	O		—	OH
I-177	A-1	O		—	OH
I-178	A-1	O		—	OH
I-179	A-1	O		—	OH
I-180	A-1	O		—	OH
I-181	A-1	O		—	OH
I-182	A-1	O		—	OH

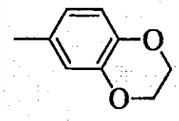
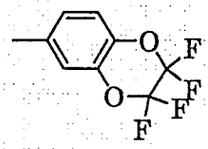
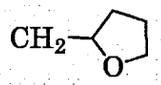
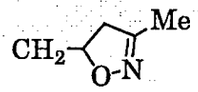
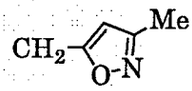
[Table 8]

Compound No.	A	X^1	R^1	R^2	R^3
I-183	A-1	O		—	OH
I-184	A-1	O		—	OH
I-185	A-1	O		—	OH
I-186	A-1	O		—	OH
I-187	A-1	O		—	OH
I-188	A-1	O		—	OH
I-189	A-1	O		—	OH
I-190	A-2	O		—	OH
I-191	A-3	O		—	OH
I-192	A-4	O		—	OH
I-193	A-5	O		—	OH
I-194	A-1	O		—	OH
I-195	A-1	O		—	OH
I-196	A-1	O		—	OH
I-197	A-1	O		—	OH

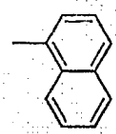
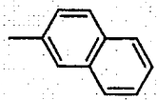
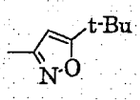
[Table 9]

Compound No.	A	X^1	R^1	R^2	R^3
I-198	A-1	O		—	OH
I-199	A-1	O		—	OH
I-200	A-1	O		—	OH
I-201	A-1	O		—	OH
I-202	A-1	O		—	OH
I-203	A-2	O		—	OH
I-204	A-3	O		—	OH
I-205	A-4	O		—	OH
I-206	A-5	O		—	OH
I-207	A-1	O		—	OH
I-208	A-1	O		—	OH

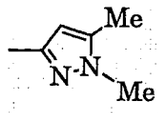
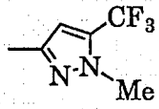
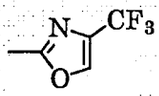
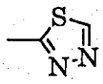
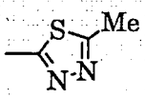
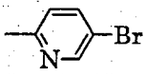
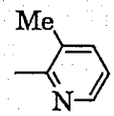
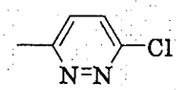
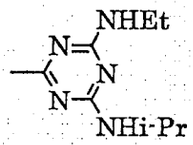
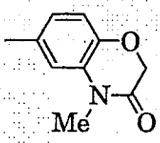
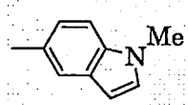
[Table 10]

Compound No.	A	X^1	R^1	R^2	R^3
I-209	A-1	O		—	OH
I-210	A-1	O		—	OH
I-211	A-1	O		—	OH
I-212	A-1	O		—	OH
I-213	A-1	O		—	OH
I-214	A-1	O	NH_2	—	OH
I-215	A-1	O	NHMe	—	OH
I-216	A-1	O	NMe_2	—	OH
I-217	A-1	O	OMe	—	OH
I-218	A-1	O	OEt	—	OH
I-219	A-1	O	Me	5-F	OH
I-220	A-1	O	Me	6-F	OH
I-221	A-1	O	Me	7-F	OH
I-222	A-1	O	Me	8-F	OH
I-223	A-1	O	Me	5-Cl	OH
I-224	A-1	O	CH_2CH_2OMe	5-Cl	OH
I-225	A-1	O	Me	6-Cl	OH
I-226	A-1	O	CH_2CH_2OMe	6-Cl	OH
I-227	A-1	O	Me	7-Cl	OH
I-228	A-1	O	CH_2CH_2OMe	7-Cl	OH
I-229	A-1	O	Me	8-Cl	OH
I-230	A-1	O	CH_2CH_2OMe	8-Cl	OH
I-232	A-1	O	Me	7-Me	OH
I-233	A-1	O	Me	6-CF_3	OH
I-234	A-1	O	Me	7-CF_3	OH
I-235	A-1	O	Me	6-OH	OH
I-236	A-1	O	Me	7-OH	OH

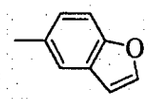
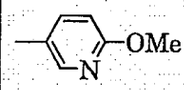
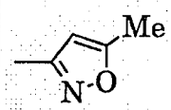
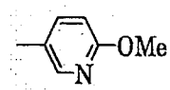
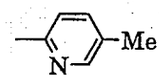
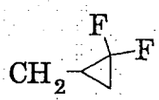
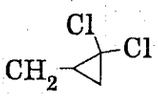
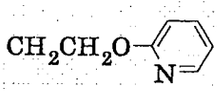
[Table 11]

Compound No.	A	X^1	R^1	R^2	R^3
I-237	A-1	O	Me	6-OMe	OH
I-238	A-1	O	Me	7-OMe	OH
I-239	A-1	O	Me	6-OCF_3	OH
I-240	A-1	O	Me	7-OCF_3	OH
I-241	A-1	O	Me	5-SMe	OH
I-242	A-1	O	Me	6-SMe	OH
I-243	A-1	O	Me	7-SMe	OH
I-244	A-1	O	Me	8-SMe	OH
I-245	A-1	O	Me	5-SO_2Me	OH
I-246	A-1	O	Me	6-SO_2Me	OH
I-247	A-1	O	Me	7-SO_2Me	OH
I-248	A-1	O	Me	8-SO_2Me	OH
I-249	A-1	O	Me	6-NO_2	OH
I-250	A-1	O	Me	7-NO_2	OH
I-251	A-1	O	Me	6-NH_2	OH
I-252	A-1	O	Me	7-NH_2	OH
I-253	A-1	O	Me	7-CN	OH
I-254	A-1	O	Me	6,7-Cl_2	OH
I-255	A-1	O	Me	6,7-Me_2	OH
I-256	A-1	S	Me	—	OH
I-257	A-4	O	Me	—	S(n-Hex)
I-258	A-4	O	Me	—	SO(n-Hex)
I-259	A-4	O	Me	—	SO_2(n-Hex)
I-260	A-4	O	Me	—	SPh
I-261	A-4	O	Me	—	SOPh
I-262	A-4	O	Me	—	SO_2Ph
I-263	A-1	O		—	OH
I-264	A-1	O		—	OH
I-265	A-1	O		—	OH

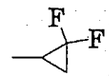
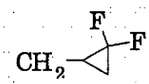
[Table 12]

Compound No.	A	X^1	R^1	R^2	R^3
I-266	A-1	O		—	OH
I-267	A-1	O		—	OH
I-268	A-1	O		—	OH
I-269	A-1	O		—	OH
I-270	A-1	O		—	OH
I-271	A-1	O		—	OH
I-272	A-1	O		—	OH
I-273	A-1	O		—	OH
I-274	A-1	O		—	OH
I-275	A-1	O		—	OH
I-276	A-1	O		—	OH

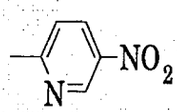
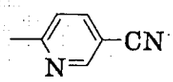
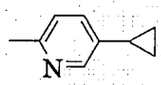
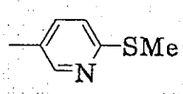
[Table 13]

Compound No.	A	X^1	R^1	R^2	R^3
I-277	A-1	O		—	OH
I-278	A-1	O	N(Me)C(=O)Ot-Bu	—	OH
I-279	A-1	O		5-F	OH
I-280	A-1	O	Bn	6-F	OH
I-281	A-1	O		6-F	OH
I-282	A-1	O	Me	5-CH_2OMe	OH
I-283	A-1	O		5,7-F_2	OH
I-284	A-1	O		7-Cl	OH
I-285	A-1	O	CH_2-c-Pr	—	OH
I-286	A-1	O	CH_2-c-Bu	—	OH
I-287	A-1	O	CH_2c-Pen	—	OH
I-288	A-1	O	CH_2O-c-Pen	—	OH
I-289	A-1	O	$CH_2CH_2NH_2$	—	OH
I-290	A-1	O	CH_2CH_2NHEt	—	OH
I-291	A-1	O	$CH_2CH_2NMe_2$	—	OH
I-292	A-1	O	$CH_2CH_2NEt_2$	—	OH
I-293	A-1	O	CH_2CH_2CHO	—	OH
I-294	A-1	O		—	OH
I-295	A-1	O		—	OH
I-296	A-1	O	CH_2OCH_2-c-Pr	—	OH
I-297	A-1	O	CH_2SPh	—	OH
I-298	A-1	O		—	OH

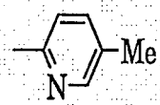
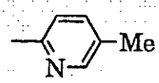
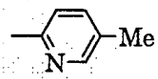
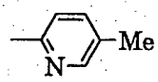
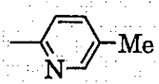
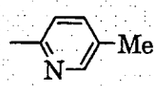
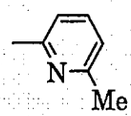
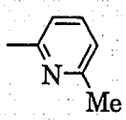
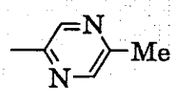
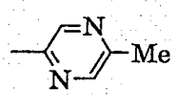
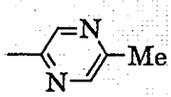
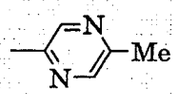
[Table 14]

Compound No.	A	X^1	R^1	R^2	R^3
I-299	A-1	O	$CH_2SCH_2CF_3$	—	OH
I-300	A-1	O	$CH_2SOCH_2CF_3$	—	OH
I-301	A-1	O	$CH_2SO_2CH_2CF_3$	—	OH
I-302	A-1	O	CH_2OCH_2Ph	—	OH
I-303	A-1	O	CH_2SOPh	—	OH
I-304	A-1	O	CH_2SO_2Ph	—	OH
I-305	A-1	O	$CH_2OCH_2CH_2SMe$	—	OH
I-306	A-1	O	$CH_2OCH_2CH_2SOMe$	—	OH
I-307	A-1	O	$CH_2CH_2CH(OEt)_2$	—	OH
I-308	A-1	O	$CH_2C(Me)=NOMe$	—	OH
I-309	A-1	O	$CH_2CH_2ON=CMe_2$	—	OH
I-310	A-1	O	Me	7-$CH=CMe_2$	OH
I-311	A-1	O	Me	7-$C\equiv CMe$	OH
I-312	A-1	O	Me	7-CH_2-c-Pr	OH
I-313	A-1	O	Me	7-$C(Me)=CF_2$	OH
I-314	A-1	O	Me	7- 	OH
I-315	A-1	O	Me	7-O-c-Pr	OH
I-316	A-1	O	Me	7- 	OH
I-317	A-1	O	Me	7-OCH_2-c-Pr	OH
I-318	A-1	O	Me	7-OCH_2OMe	OH
I-319	A-1	O	Me	7-OC(=O)Me	OH
I-320	A-1	O	Me	7-SOMe	OH
I-321	A-1	O	Me	7-SCF_3	OH
I-322	A-1	O	Me	7-$SOCH_2CF_3$	OH
I-323	A-1	O	Me	7-$SO_2CH_2CF_3$	OH
I-324	A-1	O	Me	7-NMe_2	OH
I-325	A-1	O	Me	7-NHC(=O)Me	OH
I-326	A-1	O	Me	7-CH_2OH	OH
I-327	A-1	O	Me	7-$CH(OEt)_2$	OH
I-328	A-1	O	Me	7-CH_2SMe	OH
I-329	A-1	O	Me	7-CH_2SOMe	OH
I-330	A-1	O	Me	7-CH_2SO_2Me	OH
I-331	A-1	O	Me	7-CH_2SCHF_2	OH
I-332	A-1	O	Me	7-CH_2SOCHF_2	OH
I-333	A-1	O	Me	7-$CH_2SO_2CHF_2$	OH

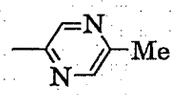
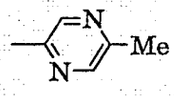
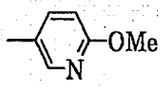
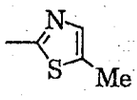
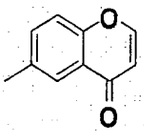
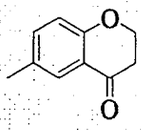
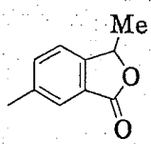
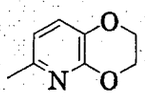
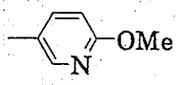
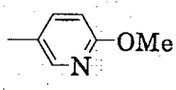
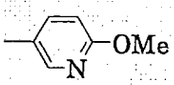
[Table 15]

Compound No.	A	X^1	R^1	R^2	R^3
I-334	A-1	O	Me	7-CH_2CN	OH
I-335	A-1	O	Me	7-C(Me)=NOMe	OH
I-336	A-1	O	Me	7-$C(=O)NH_2$	OH
I-337	A-1	O	Me	7- 	OH
I-338	A-1	O	$CH_2OCH_2Ph(2\text{-}F)$	—	OH
I-339	A-1	O	$CH_2OCH_2Ph(2\text{-}Cl)$		
I-340	A-1	O	$CH_2OCH_2Ph(2\text{-}NO_2)$	—	OH
I-341	A-1	O	$CH_2OCH_2Ph(2\text{-}CN)$	—	OH
I-342	A-1	O	$CH_2OCH_2Ph(2\text{-}Me)$	—	OH
I-343	A-1	O	$CH_2OCH_2Ph(2\text{-}CF_3)$	—	OH
I-344	A-1	O	$CH_2OCH_2Ph(2\text{-}OMe)$	—	OH
I-345	A-1	O	$CH_2OCH_2Ph(2\text{-}OCF_3)$	—	OH
I-346	A-1	O	$CH_2OCH_2Ph(2\text{-}SMe)$	—	OH
I-347	A-1	O	$CH_2OCH_2Ph(2\text{-}SO_2Me)$	—	OH
I-348	A-1	O	$CH_2OCH_2Ph(2\text{-}SCF_3)$	—	OH
I-349	A-1	O	$CH_2OCH_2Ph(2\text{-}CO_2Me)$	—	OH
I-350	A-1	O	$CH_2OCH_2Ph(2\text{-}COMe)$	—	OH
I-351	A-1	O	$(2\text{-}NO_2)Bn$	—	OH
I-352	A-1	O	(2-CN)Bn	—	OH
I-353	A-1	O	(3-SMe)Bn	—	OH
I-354	A-1	O	$(3\text{-}SO_2Me)Bn$	—	CH
I-355	A-1	O	$(3\text{-}SCF_3)Bn$	—	OH
I-356	A-1	O	$(3\text{-}CO_2Me)Bn$	—	OH
I-357	A-1	O	(3-COMe)Bn	—	OH
I-358	A-1	O	(3-OMe)Bn	—	OH
I-359	A-1	O		—	OH
I-360	A-1	O		—	OH
I-361	A-1	O		—	OH
I-362	A-1	O		—	OH

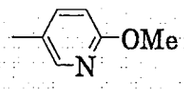
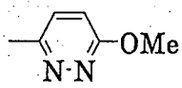
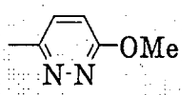
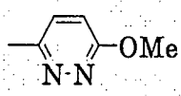
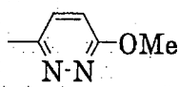
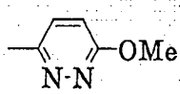
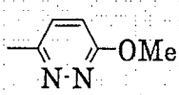
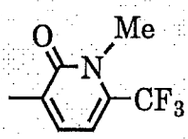
[Table 16]

Compound No.	A	X^1	R^1	R^2	R^3
I-363	A-1	O	Et	5-Cl	OH
I-364	A-1	O	n-Bu	5-Cl	OH
I-365	A-1	O		5-F	OH
I-366	A-1	O		5-Cl	OH
I-367	A-1	O		5-Me	OH
I-368	A-1	O		7-Me	OH
I-369	A-1	O		7-CF_3	OH
I-370	A-1	O		7-OMe	OH
I-371	A-1	O		6-F	OH
I-372	A-1	O		6-CF_3	OH
I-373	A-1	O		—	OH
I-374	A-1	O		5-F	OH
I-375	A-1	O		5-Cl	OH
I-376	A-1	O		5-Me	OH

[Table 17]

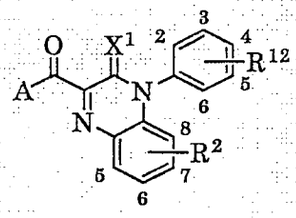
Compound No.	A	X^1	R^1	R^2	R^3
I-377	A-1	O		7-Me	OH
I-378	A-1	O		7-OMe	OH
I-379	A-1	O		5-Cl	OH
I-380	A-1	O		—	OH
I-381	A-1	O		—	OH
I-382	A-1	O		—	OH
I-383	A-1	O		—	OH
I-384	A-1	O		—	OH
I-385	A-1	O		5-Me	OH
I-386	A-1	O		5-Br	OH
I-387	A-1	O		7-Me	OH

[Table 18]

Compound No.	A	X^1	R^1	R^2	R^3
I-388	A-1	O		7-OMe	OH
I-389	A-1	O		–	OH
I-390	A-1	O		5-F	OH
I-391	A-1	O		5-Cl	OH
I-392	A-1	O		5-Me	OH
I-393	A-1	O		7-Me	OH
I-394	A-1	O		7-OMe	OH
I-395	A-1	O		–	OH

[0093]

[Table 19]



Compound No.	A	X^1	R^{12}	R^2	R^3
II-1	A-1	O	—	—	OH
II-2	A-2	O	—	—	OH
II-3	A-3	O	—	—	OH
II-4	A-4	O	—	—	OH
II-5	A-5	O	—	—	OH
II-6	A-1	O	2-F	—	OH
II-7	A-1	O	3-F	—	OH
II-8	A-1	O	4-F	—	OH
II-9	A-1	O	2-Cl	—	OH
II-10	A-4	O	2-Cl	—	OH
II-11	A-1	O	3-Cl	—	OH
II-12	A-4	O	3-Cl	—	OH
II-13	A-1	O	4-Cl	—	OH
II-14	A-4	O	4-Cl	—	OH
II-15	A-5	O	4-Cl	—	OH
II-16	A-1	O	2-Br	—	OH
II-17	A-1	O	3-Br	—	OH
II-18	A-1	O	4-Br	—	OH
II-19	A-1	O	2-Me	—	OH
II-20	A-1	O	3-Me	—	OH
II-21	A-1	O	4-Me	—	OH
II-22	A-1	O	2-Et	—	OH
II-23	A-1	O	3-Et	—	OH
II-24	A-1	O	4-Et	—	OH
II-25	A-1	O	2-n-Pr	—	OH
II-26	A-1	O	3-n-Pr	—	OH
II-27	A-1	O	4-n-Pr	—	OH
II-28	A-1	O	2-i-Pr	—	OH
II-29	A-1	O	3-i-Pr	—	OH
II-30	A-1	O	4-i-Pr	—	OH
II-31	A-1	O	2-c-Pr	—	OH
II-32	A-1	O	3-c-Pr	—	OH
II-33	A-1	O	4-c-Pr	—	OH

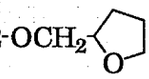
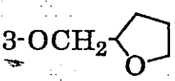
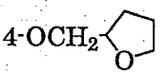
[Table 20]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-34	A-1	O	2-CF_3	—	OH
II-35	A-2	O	2-CF_3	—	OH
II-36	A-3	O	2-CF_3	—	OH
II-37	A-4	O	2-CF_3	—	OH
II-38	A-5	O	2-CF_3	—	OH
II-39	A-1	O	3-CF_3	—	OH
II-40	A-2	O	3-CF_3	—	OH
II-41	A-3	O	3-CF_3	—	OH
II-42	A-4	O	3-CF_3	—	OH
II-43	A-5	O	3-CF_3	—	OH
II-44	A-1	O	4-CF_3	—	OH
II-45	A-2	O	4-CF_3	—	OH
II-46	A-3	O	4-CF_3	—	OH
II-47	A-4	O	4-CF_3	—	OH
II-48	A-5	O	4-CF_3	—	OH
II-49	A-1	O	2-OH	—	OH
II-50	A-1	O	3-OH	—	OH
II-51	A-1	O	4-OH	—	OH
II-52	A-1	O	2-OMe	—	OH
II-53	A-2	O	2-OMe	—	OH
II-54	A-3	O	2-OMe	—	OH
II-55	A-4	O	2-OMe	—	OH
II-56	A-5	O	2-OMe	—	OH
II-57	A-1	O	3-OMe	—	OH
II-58	A-2	O	3-OMe	—	OH
II-59	A-3	O	3-OMe	—	OH
II-60	A-4	O	3-OMe	—	OH
II-61	A-5	O	3-OMe	—	OH
II-62	A-1	O	4-OMe	—	OH
II-63	A-2	O	4-OMe	—	OH
II-64	A-3	O	4-OMe	—	OH
II-65	A-4	O	4-OMe	—	OH
II-66	A-5	O	4-OMe	—	OH
II-67	A-1	O	2-OEt	—	OH
II-68	A-1	O	3-OEt	—	OH
II-69	A-1	O	4-OEt	—	OH
II-70	A-1	O	2-O-n-Pr	—	OH
II-71	A-1	O	3-O-n-Pr	—	OH
II-72	A-1	O	4-O-n-Pr	—	OH

[Table 21]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-73	A-1	O	2-O-i-Pr	—	OH
II-74	A-1	O	3-O-i-Pr	—	OH
II-75	A-1	O	4-O-i-Pr	—	OH
II-76	A-1	O	2-O-c-Pr	—	OH
II-77	A-1	O	3-O-c-Pr	—	OH
II-78	A-1	O	4-O-c-Pr	—	OH
II-79	A-1	O	2-$OCH_2CH=CH_2$	—	OH
II-80	A-1	O	3-$OCH_2CH=CH_2$	—	OH
II-81	A-1	O	4-$OCH_2CH=CH_2$	—	OH
II-82	A-1	O	2-$OCH_2C\equiv CH$	—	OH
II-83	A-1	O	3-$OCH_2C\equiv CH$	—	OH
II-84	A-1	O	4-$OCH_2C\equiv CH$	—	OH
II-85	A-1	O	2-$OCHF_2$	—	OH
II-86	A-2	O	2-$OCHF_2$	—	OH
II-87	A-3	O	2-$OCHF_2$	—	OH
II-88	A-4	O	2-$OCHF_2$	—	OH
II-89	A-5	O	2-$OCHF_2$	—	OH
II-90	A-1	O	3-$OCHF_2$	—	OH
II-91	A-2	O	3-$OCHF_2$	—	OH
II-92	A-3	O	3-$OCHF_2$	—	OH
II-93	A-4	O	3-$OCHF_2$	—	OH
II-94	A-5	O	3-$OCHF_2$	—	OH
II-95	A-1	O	4-$OCHF_2$	—	OH
II-96	A-2	O	4-$OCHF_2$	—	OH
II-97	A-3	O	4-$OCHF_2$	—	OH
II-98	A-4	O	4-$OCHF_2$	—	OH
II-99	A-5	O	4-$OCHF_2$	—	OH
II-100	A-1	O	2-OCF_3	—	OH
II-101	A-1	O	3-OCF_3	—	OH
II-102	A-2	O	3-OCF_3	—	OH
II-103	A-3	O	3-OCF_3	—	OH
II-104	A-4	O	3-OCF_3	—	OH
II-105	A-5	O	3-OCF_3	—	OH
II-106	A-1	O	4-OCF_3	—	OH
II-107	A-2	O	4-OCF_3	—	OH
II-108	A-3	O	4-OCF_3	—	OH
II-109	A-4	O	4-OCF_3	—	OH
II-110	A-5	O	4-OCF_3	—	OH
II-111	A-1	O	2-OCH_2CH_2OMe	—	OH

[Table 22]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-112	A-1	O	3-OCH_2CH_2OMe	—	OH
II-113	A-1	O	4-OCH_2CH_2OMe	—	OH
II-114	A-1	O	2- 	—	OH
II-115	A-1	O	3- 	—	OH
II-116	A-1	O	4- 	—	OH
II-117	A-1	O	2-OC(=O)Me	—	OH
II-118	A-1	O	3-OC(=O)Me	—	OH
II-119	A-1	O	3-OC(=O)Me	—	OH
II-120	A-1	O	2-SMe	—	OH
II-121	A-1	O	3-SMe	—	OH
II-122	A-1	O	4-SMe	—	OH
II-123	A-1	O	2-SO_2Me	—	OH
II-124	A-1	O	3-SO_2Me	—	OH
II-125	A-1	O	4-SO_2Me	—	OH
II-126	A-1	O	2-SCF_3	—	OH
II-127	A-1	O	3-SCF_3	—	OH
II-128	A-1	O	4-SCF_3	—	OH
II-129	A-1	O	2-NO_2	—	OH
II-130	A-1	O	3-NO_2	—	OH
II-131	A-1	O	4-NO_2	—	OH
II-132	A-1	O	2-NH_2	—	OH
II-133	A-1	O	3-NH_2	—	OH
II-134	A-1	O	4-NH_2	—	OH
II-135	A-1	O	2-CN	—	OH
II-136	A-1	O	3-CN	—	OH
II-137	A-1	O	4-CN	—	OH
II-138	A-1	O	2-C(=O)Me	—	OH
II-139	A-1	O	3-C(=O)Me	—	OH
II-140	A-1	O	4-C(=O)Me	—	OH
II-141	A-1	O	2-C(=O)OH	—	OH
II-142	A-1	O	3-C(=O)OH	—	OH
II-143	A-1	O	4-C(=O)OH	—	OH
II-144	A-1	O	2-C(=O)OMe	—	OH
II-145	A-1	O	3-C(=O)OMe	—	OH
II-146	A-1	O	4-C(=O)OMe	—	OH

[Table 23]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-147	A-1	O	2-CH_2OMe	—	OH
II-148	A-1	O	3-CH_2OMe	—	OH
II-149	A-1	O	4-CH_2OMe	—	OH
II-150	A-1	O	2,3-F_2	—	OH
II-151	A-1	O	2,4-F_2	—	OH
II-152	A-1	O	2,5-F_2	—	OH
II-153	A-1	O	2,6-F_2	—	OH
II-154	A-1	O	3,4-F_2	—	OH
II-155	A-1	O	3,5-F_2	—	OH
II-156	A-1	O	2,3-Cl_2	—	OH
II-157	A-1	O	2,4-Cl_2	—	OH
II-158	A-1	O	2,5-Cl_2	—	OH
II-159	A-1	O	2,6-Cl_2	—	OH
II-160	A-1	O	3,4-Cl_2	—	OH
II-161	A-1	O	3,5-Cl_2	—	OH
II-162	A-1	O	2-F, 3-OMe	—	OH
II-163	A-1	O	2-Cl, 3-OMe	—	OH
II-164	A-1	O	2-Me, 3-OMe	—	OH
II-165	A-1	O	2,3-$(OMe)_2$	—	OH
II-166	A-1	O	3-OMe, 4-F	—	OH
II-167	A-1	O	3-OMe, 4-Cl	—	OH
II-168	A-1	O	3-OMe, 4-Me	—	OH
II-169	A-1	O	3,4-$(OMe)_2$	—	OH
II-170	A-1	O	3-OMe, 5-F	—	OH
II-171	A-1	O	3-OMe, 5-Cl	—	OH
II-172	A-1	O	3-OMe, 5-Me	—	OH
II-173	A-1	O	3,5-$(OMe)_2$	—	OH
II-174	A-1	O	2-F, 4-OMe	—	OH
II-175	A-1	O	2-Cl, 4-OMe	—	OH
II-176	A-1	O	2-Me, 4-OMe	—	OH
II-177	A-1	O	2,4-$(OMe)_2$	—	OH
II-178	A-1	O	3-F, 4-OMe	—	OH
II-179	A-1	O	3-Cl, 4-OMe	—	OH
II-180	A-1	O	3-Me, 4-OMe	—	OH
II-181	A-1	O	2-F, 5-OMe	—	OH
II-182	A-1	O	2-Cl, 5-OMe	—	OH
II-183	A-1	O	2-Me, 5-OMe	—	OH
II-184	A-1	O	2,5-$(OMe)_2$	—	OH
II-185	A-1	O	3,4,5-$(OMe)_3$	—	OH

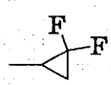
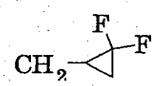
[Table 24]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-186	A-1	O	4-OMe	5-F	OH
II-187	A-1	O	4-OMe	6-F	OH
II-188	A-1	O	4-OMe	7-F	OH
II-189	A-1	O	4-OMe	8-F	OH
II-190	A-1	O	—	5-Cl	OH
II-191	A-1	O	—	6-Cl	OH
II-192	A-1	O	—	7-Cl	OH
II-193	A-1	O	—	8-Cl	OH
II-194	A-1	O	4-OMe	5-Cl	OH
II-195	A-1	O	4-OMe	6-Cl	OH
II-196	A-1	O	4-OMe	7-Cl	OH
II-197	A-1	O	4-OMe	8-Cl	OH
II-198	A-1	S	—	—	OH
II-199	A-4	O	—	—	S(n-Hex)
II-200	A-4	O	—	—	SO(n-Hex)
II-201	A-4	O	—	—	SO_2(n-Hex)
II-202	A-4	O	—	—	SPh
II-203	A-4	O	—	—	SOPh
II-204	A-4	O	—	—	SO_2Ph
II-205	A-1	O	4-OCH_2CN	—	OH
II-206	A-1	O	3-OCH_2-c-Pr	—	OH
II-207	A-1	O	3-OCH_2CF_3	—	OH
II-208	A-1	O	4-OCH_2-c-Pr	—	OH
II-209	A-1	O	4-OCH_2CF_3	—	OH
II-210	A-1	O	4-NMe_2	—	OH
II-211	A-1	O	3,4-Me_2	—	OH
II-212	A-1	O	2-F, 4-Me	—	OH
II-213	A-1	O	3-F, 4-Me	—	OH
II-214	A-1	O	3-Me, 4-F	—	OH
II-215	A-1	O	2-Cl, 4-Me	—	OH
II-216	A-1	O	3-Cl, 4-Me	—	OH
II-217	A-1	O	3-OEt, 4-OMe	—	OH
II-218	A-1	O	2,3,4-$(OMe)_3$	—	OH
II-219	A-1	O	2,5-F_2, 4-OMe	—	OH
II-220	A-1	O	3,5-F_2, 4-OMe	—	OH
II-221	A-1	O	3,5-Cl_2, 4-OMe	—	OH
II-222	A-1	O	3,4-$(CH_2CH_2CH_2)$-	—	OH
II-223	A-1	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—	OH

[Table 25]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-224	A-1	O	3,4-(CH_2OCH_2)-	—	OH
II-225	A-1	O	3,4-(OCH_2O)-	7-F	OH
II-226	A-1	O	2,3-(OCH_2CH_2O)-	—	OH
II-227	A-2	O	3,4-(OCH_2CH_2O)-	—	OH
II-228	A-3	O	3,4-(OCH_2CH_2O)-	—	OH
II-229	A-6	O	3,4-(OCH_2CH_2O)-	—	OH
II-230	A-7	O	3,4-(OCH_2CH_2O)-	—	OH
II-231	A-1	O	3,4-($OCH_2CH(Me)O$)-	—	OH
II-232	A-1	O	3,4-($OCH_2CH_2CH_2O$)-	—	OH
II-233	A-1	O	—	5-F	OH
II-234	A-1	O	3,4,5-$(OMe)_3$	5-F	OH
II-235	A-1	O	3,5-F_2, 4-OMe	5-F	OH
II-236	A-1	O	3,4-(OCH_2CH_2O)-	5-F	OH
II-237	A-1	O	—	6-F	OH
II-238	A-1	O	3,4,5-$(OMe)_3$	6-F	OH
II-239	A-1	O	3,4-(OCH_2O)-	6-F	OH
II-240	A-1	O	3,4-(OCH_2CH_2O)-	6-F	OH
II-241	A-1	O	—	7-F	OH
II-242	A-1	O	3,4-(OCH_2CH_2O)-	7-F	OH
II-243	A-1	O	—	8-F	OH
II-244	A-1	O	—	5-Me	OH
II-245	A-1	O	4-OMe	5-Me	OH
II-246	A-1	O	4-OMe	6-Me	OH
II-247	A-1	O	4-OMe	7-Me	OH
II-248	A-1	O	3,5-F_2, 4-OMe	7-Me	OH
II-249	A-1	O	—	6-CF_3	OH
II-250	A-1	O	—	6-OMe	OH
II-251	A-1	O	4-OMe	6-OMe	OH
II-252	A-1	O	—	7-OMe	OH
II-253	A-1	O	4-OMe	7-OMe	OH
II-254	A-1	O	2,5-F_2, 4-OMe	7-OMe	OH
II-255	A-1	O	3,5-F_2, 4-OMe	7-OMe	OH
II-256	A-1	O	—	8-OMe	OH
II-257	A-1	O	4-OMe	8-OMe	OH
II-258	A-1	O	4-OMe	5,6-F_2	OH
II-259	A-1	O	4-OMe	5,7-F_2	OH
II-260	A-1	O	—	6,7-F_2	OH
II-261	A-1	O	—	6,8-F_2	OH

[Table 26]

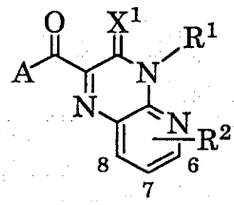
Compound No.	A	X^1	R^{12}	R^2	R^3
II-262	A-1	O	4-OMe	5,7-Cl_2	OH
II-263	A-1	O	4-OMe	6-F, 7-OMe	OH
II-264	A-1	O	—	7-c-Pr	OH
II-265	A-1	O	—	7-$OCH_2CH=CH_2$	OH
II-266	A-1	O	—	7-$OCH_2C\equiv CH$	OH
II-267	A-1	O	—	7-NHMe	OH
II-268	A-1	O	—	7-C(=O)H	OH
II-269	A-1	O	—	6-C(=O)Me	OH
II-270	A-1	O	—	7-C(=O)OH	OH
II-271	A-1	O	—	7-C(=O)OMe	OH
II-272	A-1	O	—	7-C(=O)OEt	OH
II-273	A-1	O	—	7-C(=O)NHNe	OH
II-274	A-1	O	—	7-C(=O)NMe_2	OH
II-275	A-1	O	—	6,7-(OCH_2CH_2O)-	OH
II-276	A-1	O	—	6,7-(OCH_2O)-	OH
II-277	A-1	O	4-OMe	6,7-(OCH_2CH_2O)-	OH
II-278	A-1	O	4-OMe	6,7-(OCH_2O)-	OH
II-279	A-1	O	2-CONHMe	—	OH
II-280	A-1	O	2-$CONMe_2$	—	OH
II-281	A-1	O	2-NHCOMe	—	OH
II-282	A-1	O	4-CH_2-c-Pr	—	OH
II-283	A-1	O	4-$CH=CH_2$	—	OH
II-284	A-1	O	4-$C\equiv CMe$	—	OH
II-285	A-1	O	3-$CH=CF_2$	—	OH
II-286	A-1	O	3- 	—	OH
II-287	A-1	O	4- 	—	OH
II-288	A-1	O	4-CH_2OH	—	OH
II-289	A-1	O	4-CH_2SMe	—	OH
II-290	A-1	O	4-CH_2SOMe	—	OH
II-291	A-1	O	4-CH_2SO_2Me	—	OH
II-292	A-1	O	4-CH_2SCHF_2	—	OH
II-293	A-1	O	4-CH_2SOCHF_2	—	OH
II-294	A-1	O	4-$CH_2SO_2CHF_2$	—	OH
II-295	A-1	O	4-CH_2CN	—	OH

[Table 27]

Compound No.	A	X^1	R^{12}	R^2	R^3
II-296	A-1	O	4-NHMe	—	OH
II-297	A-1	O	4-$OCH_2OCH_2CF_3$	—	OH
II-298	A-1	O	4-C(Me)=NOMe	—	OH
II-299	A-1	O	2-$CONH_2$	—	OH
II-300	A-1	O	4- 	—	OH
II-301	A-1	O	3-F, 4-OMe	5-Cl	OH
II-302	A-1	O	4-OMe	5,6,8-F_3, 7-OMe	OH
II-303	A-1	O	—	7-CF_3	OH
II-304	A-1	O	4-F	7-OMe	OH
II-305	A-1	O	4-$OCHF_2$	7-OMe	OH
II-306	A-1	O	4-Me	7-OMe	OH
II-307	A-1	O	4-OMe	5-Br	OH
II-308	A-1	O	4-OMe	5-CN	OH
II-309	A-1	O	4-OMe	5-CF_3	OH
II-310	A-1	O	2,3,5,6-F_4, 4-OMe	—	OH
II-311	A-1	O	4-Me	5-Cl	OH
II-312	A-1	O	3-F, 4-Me	5-Cl	OH
II-313	A-1	O	2-F, 4-OMe	5-Cl	OH
II-314	A-1	O	3-F	6-F	OH
II-315	A-1	O	3-Me	6-F	OH
II-316	A-1	O	3-F, 4-OMe	5-Me	OH
II-317	A-1	O	4-F	5-F	OH
II-318	A-1	O	4-F	5-Cl	OH
II-319	A-1	O	4-F	5-Me	OH
II-320	A-1	O	4-$OCHF_2$	5-F	OH
II-321	A-1	O	4-$OCHF_2$	5-Cl	OH
II-322	A-1	O	4-$OCHF_2$	5-Me	OH
II-323	A-1	O	3-F, 4-OEt	—	OH

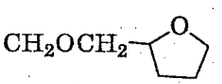
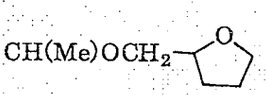
[0094]

[Table 28]



Compound No.	A	X^1	R^1	R^2	R^3
III-1	A-1	O	H	—	OH
III-2	A-1	O	Me	—	OH
III-3	A-2	O	Me	—	OH
III-4	A-3	O	Me	—	OH
III-5	A-4	O	Me	—	OH
III-6	A-5	O	Me	—	OH
III-7	A-1	O	Et	—	OH
III-8	A-2	O	Et	—	OH
III-9	A-3	O	Et	—	OH
III-10	A-4	O	Et	—	OH
III-11	A-5	O	Et	—	OH
III-12	A-1	O	n-Pr	—	OH
III-13	A-1	O	i-Pr	—	OH
III-14	A-1	O	c-Pr	—	OH
III-15	A-1	O	n-Bu	—	OH
III-16	A-1	O	s-Bu	—	OH
III-17	A-1	O	i-Bu	—	OH
III-18	A-1	O	t-Bu	—	OH
III-19	A-1	O	c-Bu	—	OH
III-20	A-1	O	n-Pen	—	OH
III-21	A-1	O	c-Pen	—	OH
III-22	A-1	O	n-Hex	—	OH
III-23	A-1	O	c-Hex	—	OH
III-24	A-1	O	$n\text{-}C_7H_{15}$	—	OH
III-25	A-1	O	$n\text{-}C_8H_{17}$	—	OH
III-26	A-1	O	$n\text{-}C_9H_{19}$	—	OH
III-27	A-1	O	$n\text{-}C_{10}H_{21}$	—	OH
III-28	A-1	O	$n\text{-}C_{11}H_{23}$	—	OH
III-29	A-1	O	$n\text{-}C_{12}H_{25}$	—	OH
III-30	A-1	O	$CH_2CH{=}CH_2$	—	OH
III-31	A-2	O	$CH_2CH{=}CH_2$	—	OH
III-32	A-3	O	$CH_2CH{=}CH_2$	—	OH
III-33	A-4	O	$CH_2CH{=}CH_2$	—	OH
III-34	A-5	O	$CH_2CH{=}CH_2$	—	OH
III-35	A-1	O	$CH_2C{\equiv}CH$	—	OH

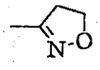
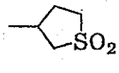
[Table 29]

Compound No.	A	X^1	R^1	R^2	R^3
III-36	A-2	O	$CH_2C\equiv CH$	—	OH
III-37	A-3	O	$CH_2C\equiv CH$	—	OH
III-38	A-4	O	$CH_2C\equiv CH$	—	OH
III-39	A-5	O	$CH_2C\equiv CH$	—	OH
III-40	A-1	O	CH_2CF_3	—	OH
III-41	A-2	O	CH_2CF_3	—	OH
III-42	A-3	O	CH_2CF_3	—	OH
III-43	A-4	O	CH_2CF_3	—	OH
III-44	A-5	O	CH_2CF_3	—	OH
III-45	A-1	O	CH_2CH_2F	—	OH
III-46	A-1	O	CH_2CH_2Cl	—	OH
III-47	A-1	O	$CH_2CH_2CF_3$	—	OH
III-48	A-1	O	$CH_2CH=CCl_2$	—	OH
III-49	A-1	O	CH_2OMe	—	OH
III-50	A-1	O	CH_2OEt	—	OH
III-51	A-2	O	CH_2OEt	—	OH
III-52	A-3	O	CH_2OEt	—	OH
III-53	A-4	O	CH_2OEt	—	OH
III-54	A-5	O	CH_2OEt	—	OH
III-55	A-1	O	CH(Me)OMe	—	OH
III-56	A-1	O	CH(Me)OEt	—	OH
III-57	A-1	O	CH_2OPh	—	OH
III-58	A-1	O	$CH_2OCH_2CH_2OMe$	—	OH
III-59	A-1	O	$CH_2OCH_2CF_3$	—	OH
III-60	A-2	O	$CH_2OCH_2CF_3$	—	OH
III-61	A-3	O	$CH_2OCH_2CF_3$	—	OH
III-62	A-4	O	$CH_2OCH_2CF_3$	—	OH
III-63	A-5	O	$CH_2OCH_2CF_3$	—	OH
III-64	A-1	O	$CH(Me)OCH_2CF_3$	—	OH
III-65	A-1	O		—	OH
III-66	A-1	O		—	OH
III-67	A-1	O	$CH_2OCH_2CH_2SO_2Me$	—	OH
III-68	A-1	O	$CH_2OCH_2CH_2CN$	—	OH
III-69	A-1	O	$CH_2OC(=O)t\text{-}Bu$	—	OH
III-70	A-1	O	CH_2SMe	—	OH
III-71	A-4	O	CH_2SMe	—	OH
III-72	A-1	O	CH_2SEt	—	OH

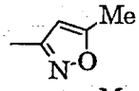
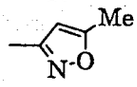
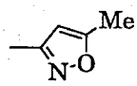
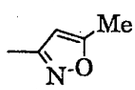
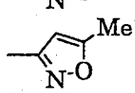
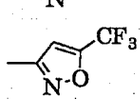
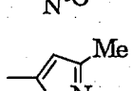
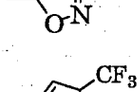
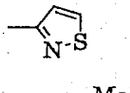
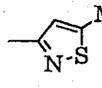
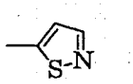
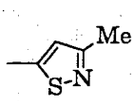
[Table 30]

Compound No.	A	X^1	R^1	R^2	R^3
III-73	A-1	O	CH_2S-n-Pr	—	OH
III-74	A-1	O	CH(Me)SMe	—	OH
III-75	A-1	O	CH(Me)SEt	—	OH
III-76	A-1	O	CH(Me)S-n-Pr	—	OH
III-77	A-1	O	CH_2SOMe	—	OH
III-78	A-1	O	CH_2SOEt	—	OH
III-79	A-1	O	CH_2SO-n-Pr	—	OH
III-80	A-1	O	CH_2SO_2Me	—	OH
III-81	A-4	O	CH_2SO_2Me	—	OH
III-82	A-1	O	CH_2SO_2Et	—	OH
III-83	A-1	O	CH_2SO_2-n-Pr	—	OH
III-84	A-1	O	$CH(Me)SO_2Me$	—	OH
III-85	A-1	O	$CH(Me)SO_2Et$	—	OH
III-86	A-1	O	$CH(Me)SO_2$-n-Pr	—	OH
III-87	A-1	O	CH_2CH_2OH	—	OH
III-88	A-1	O	CH_2CH_2OMe	—	OH
III-89	A-1	O	CH_2CH_2OEt	—	OH
III-90	A-1	O	$CH(Me)CH_2OMe$	—	OH
III-91	A-1	O	CH_2CH_2SMe	—	OH
III-92	A-1	O	$CH_2CH_2SO_2Me$	—	OH
III-93	A-1	O	$CH_2CH_2CH_2OMe$	—	OH
III-94	A-1	O	$CH_2C(=O)Me$	—	OH
III-95	A-1	O	$CH_2C(=O)OMe$	—	OH
III-96	A-1	O	$CH_2C(=O)OEt$	—	OH
III-97	A-1	O	$CH_2C(=O)O$n-Pr	—	OH
III-98	A-1	O	$CH_2C(=O)O$i-Pr	—	OH
III-99	A-1	O	$CH_2C(=O)O$t-Bu	—	OH
III-100	A-1	O	$CH_2C(=O)NMe_2$	—	OH
III-101	A-1	O	$CH_2C(=O)$—N⟨O⟩	—	OH
III-102	A-1	O	CH_2CN	—	OH
III-103	A-1	O	CH_2CH_2CN	—	OH
III-104	A-1	O	$CH(Me)CH_2CN$	—	OH
III-105	A-1	O	$CH_2CH_2CH_2CN$	—	OH
III-106	A-1	O	$CH_2CH_2NO_2$	—	OH
III-107	A-1	O	Bn	—	OH
III-108	A-1	O	(2-F)Bn	—	OH
III-109	A-1	O	(3-F)Bn	—	OH
III-110	A-1	O	(4-F)Bn	—	OH
III-111	A-1	O	(2-Cl)Bn	—	OH

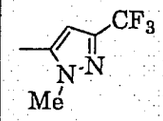
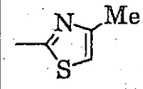
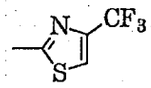
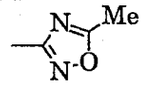
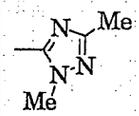
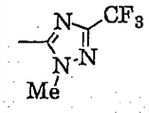
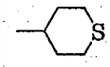
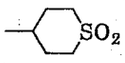
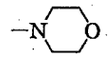
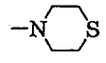
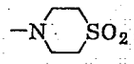
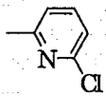
[Table 31]

Compound No.	A	X^1	R^1	R^2	R^3
III-112	A-1	O	(3-Cl)Bn	—	OH
III-113	A-1	O	(4-Cl)Bn	—	OH
III-114	A-1	O	(2-Me)Bn	—	OH
III-115	A-1	O	(3-Me)Bn	—	OH
III-116	A-1	O	(4-Me)Bn	—	OH
III-117	A-1	O	(2-CF_3)Bn	—	OH
III-118	A-1	O	(3-CF_3)Bn	—	OH
III-119	A-1	O	(4-CF_3)Bn	—	OH
III-120	A-1	O	(2-OMe)Bn	—	OH
III-121	A-2	O	(2-OMe)Bn	—	OH
III-122	A-3	O	(2-OMe)Bn	—	OH
III-123	A-4	O	(2-OMe)Bn	—	OH
III-124	A-5	O	(2-OMe)Bn	—	OH
III-125	A-1	O	(3-OMe)Bn	—	OH
III-126	A-1	O	(4-OMe)Bn	—	OH
III-127	A-1	O	(2,4-$(OMe)_2$)Bn	—	OH
III-128	A-1	O	(2,6-$(OMe)_2$)Bn	—	OH
III-129	A-1	O	(3,5-$(OMe)_2$)Bn	—	OH
III-130	A-1	O	CH(Me)Ph	—	OH
III-131	A-1	O		—	OH
III-132	A-2	O		—	OH
III-133	A-3	O		—	OH
III-134	A-4	O		—	OH
III-135	A-5	O		—	OH
III-136	A-1	O		—	OH
III-137	A-1	O		—	OH
III-138	A-1	O		—	OH
III-139	A-1	O		—	OH
III-140	A-2	O		—	OH

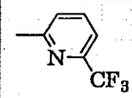
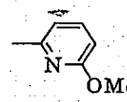
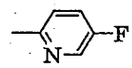
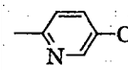
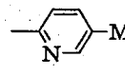
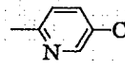
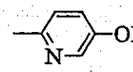
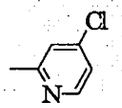
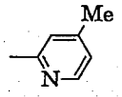
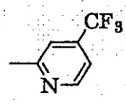
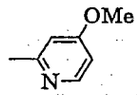
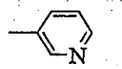
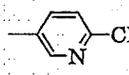
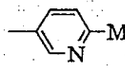
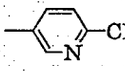
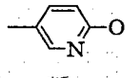
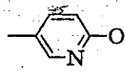
[Table 32]

Compound No.	A	X^1	R^1	R^2	R^3
III-141	A-3	O		—	OH
III-142	A-4	O		—	OH
III-143	A-5	O		—	OH
III-144	A-1	O		—	OH
III-145	A-1	O		—	OH
III-146	A-2	O		—	OH
III-147	A-3	O		—	OH
III-148	A-4	O		—	OH
III-149	A-5	O		—	OH
III-150	A-1	O		—	OH
III-151	A-1	O		—	OH
III-152	A-1	O		—	OH
III-153	A-1	O		—	OH
III-154	A-1	O		—	OH
III-155	A-1	O		—	OH
III-156	A-1	O		—	OH
III-157	A-1	O		—	OH

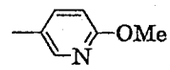
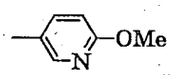
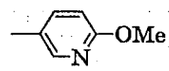
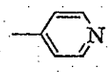
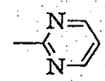
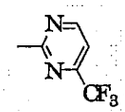
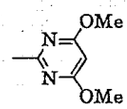
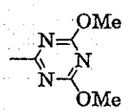
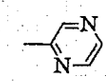
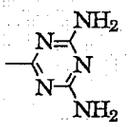
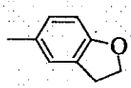
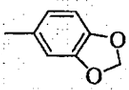
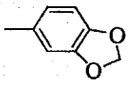
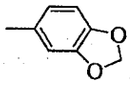
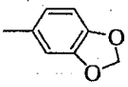
[Table 33]

Compound No.	A	X^1	R^1	R^2	R^3
III-158	A-1	O		—	OH
III-159	A-1	O		—	OH
III-160	A-1	O		—	OH
III-161	A-1	O		—	OH
III-162	A-1	O		—	OH
III-163	A-1	O		—	OH
III-164	A-1	O		—	OH
III-165	A-1	O		—	OH
III-166	A-1	O		—	OH
III-167	A-1	O		—	OH
III-168	A-1	O		—	OH
III-169	A-1	O		—	OH
III-170	A-1	O		—	OH
III-171	A-1	O		—	OH
III-172	A-1	O		—	OH
III-173	A-1	O		—	OH

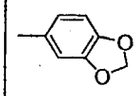
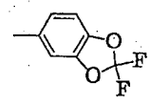
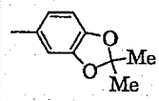
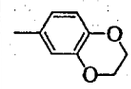
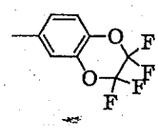
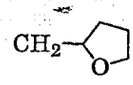
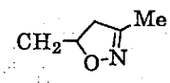
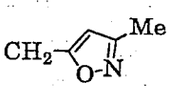
[Table 34]

Compound No.	A	X^1	R^1	R^2	R^3
III-174	A-1	O		—	OH
III-175	A-1	O		—	OH
III-176	A-1	O		—	OH
III-177	A-1	O		—	OH
III-178	A-1	O		—	OH
III-179	A-1	O		—	OH
III-180	A-1	O		—	OH
III-181	A-1	O		—	OH
III-182	A-1	O		—	OH
III-183	A-1	O		—	OH
III-184	A-1	O		—	OH
III-185	A-1	O		—	OH
III-186	A-1	O		—	OH
III-187	A-1	O		—	OH
III-188	A-1	O		—	OH
III-189	A-1	O		—	OH
III-190	A-2	O		—	OH

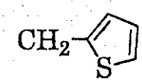
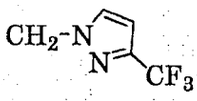
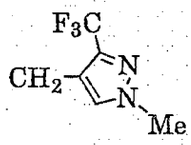
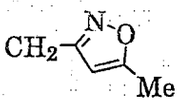
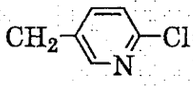
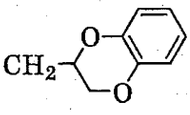
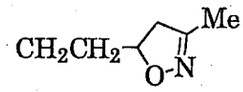
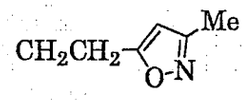
[Table 35]

Compound No.	A	X^1	R^1	R^2	R^3
III-191	A-3	O		—	OH
III-192	A-4	O		—	OH
III-193	A-5	O		—	OH
III-194	A-1	O		—	OH
III-195	A-1	O		—	OH
III-196	A-1	O		—	OH
III-197	A-1	O		—	OH
III-198	A-1	O		—	OH
III-199	A-1	O		—	OH
III-200	A-1	O		—	OH
III-201	A-1	O		—	OH
III-202	A-1	O		—	OH
III-203	A-2	O		—	OH
III-204	A-3	O		—	OH
III-205	A-4	O		—	OH

[Table 36]

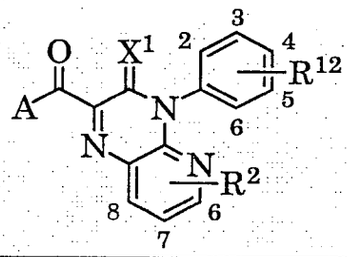
Compound No.	A	X^1	R^1	R^2	R^3
III-206	A-5	O		—	OH
III-207	A-1	O		—	OH
III-208	A-1	O		—	OH
III-209	A-1	O		—	OH
III-210	A-1	O		—	OH
III-211	A-1	O		—	OH
III-212	A-1	O		—	OH
III-213	A-1	O		—	OH
III-214	A-1	O	NH_2	—	OH
III-215	A-1	O	NHMe	—	OH
III-216	A-1	O	NMe_2	—	OH
III-217	A-1	O	OMe	—	OH
III-218	A-1	O	OEt	—	OH
III-219	A-1	O	Me	6-F	OH
III-220	A-1	O	Me	6-Cl	OH
III-221	A-1	O	Me	6-OMe	OH
III-222	A-1	S	Me	—	OH
III-223	A-4	O	Me	—	S(n-Hex)
III-224	A-4	O	Me	—	SO(n-Hex)
III-225	A-4	O	Me	—	SO_2(n-Hex)
III-226	A-4	O	Me	—	SPh
III-227	A-4	O	Me	—	SOPh
III-228	A-4	O	Me	—	SO_2Ph
III-229	A-1	O	$CH_2CH_2CH{=}CH_2$	—	OH
III-230	A-1	O	$CH_2CH_2CH{=}C(Me)_2$	—	OH
III-231	A-1	O	$CH_2CH_2C{\equiv}CH$	—	OH
III-232	A-1	O	$CH_2CH_2C(Me){=}CF_2$	—	OH
III-233	A-1	O	CH(Me)C(=O)Ot-Bu	—	OH

[Table 37]

Compound No.	A	X^1	R^1	R^2	R^3
III-234	A-1	O	(2-$OCHF_2$)Bn	—	OH
III-235	A-1	O	CH_2CH_2Ph	—	OH
III-236	A-1	O		—	OH
III-237	A-1	O		—	OH
III-238	A-1	O		—	OH
III-239	A-1	O		—	OH
III-240	A-1	O		—	OH
III-241	A-1	O		—	OH
III-242	A-1	O		—	OH
III-243	A-1	O		—	OH
III-244	A-1	O	Bn	8-Me	OH
III-245	A-1	O	Bn	7-Me	OH
III-246	A-1	O	Bn	6-Me	OH
III-247	A-1	O	Bn	6-OMe	OH

[0095]

[Table 38]



Compound No.	A	X^1	R^{12}	R^2	R^3
IV-1	A-1	O	—	—	OH
IV-2	A-2	O	—	—	OH
IV-3	A-3	O	—	—	OH
IV-4	A-4	O	—	—	OH
IV-5	A-5	O	—	—	OH
IV-6	A-1	O	2-F	—	OH
IV-7	A-1	O	3-F	—	OH
IV-8	A-1	O	4-F	—	OH
IV-9	A-1	O	2-Cl	—	OH
IV-10	A-4	O	2-Cl	—	OH
IV-11	A-1	O	3-Cl	—	OH
IV-12	A-4	O	3-Cl	—	OH
IV-13	A-1	O	4-Cl	—	OH
IV-14	A-4	O	4-Cl	—	OH
IV-15	A-5	O	4-Cl	—	OH
IV-16	A-1	O	2-Br	—	OH
IV-17	A-1	O	3-Br	—	OH
IV-18	A-1	O	4-Br	—	OH
IV-19	A-1	O	2-Me	—	OH
IV-20	A-1	O	3-Me	—	OH
IV-21	A-1	O	4-Me	—	OH
IV-22	A-1	O	2-Et	—	OH
IV-23	A-1	O	3-Et	—	OH
IV-24	A-1	O	4-Et	—	OH
IV-25	A-1	O	2-n-Pr	—	OH
IV-26	A-1	O	3-n-Pr	—	OH
IV-27	A-1	O	4-n-Pr	—	OH
IV-28	A-1	O	2-i-Pr	—	OH
IV-29	A-1	O	3-i-Pr	—	OH
IV-30	A-1	O	4-i-Pr	—	OH
IV-31	A-1	O	2-c-Pr	—	OH
IV-32	A-1	O	3-c-Pr	—	OH
IV-33	A-1	O	4-c-Pr	—	OH
IV-34	A-1	O	2-CF_3	—	OH

[Table 39]

Compound No.	A	X^1	R^{12}	R^2	R^3
IV-35	A-2	O	2-CF_3	—	OH
IV-36	A-3	O	2-CF_3	—	OH
IV-37	A-4	O	2-CF_3	—	OH
IV-38	A-5	O	2-CF_3	—	OH
IV-39	A-1	O	3-CF_3	—	OH
IV-40	A-2	O	3-CF_3	—	OH
IV-41	A-3	O	3-CF_3	—	OH
IV-42	A-4	O	3-CF_3	—	OH
IV-43	A-5	O	3-CF_3	—	OH
IV-44	A-1	O	4-CF_3	—	OH
IV-45	A-2	O	4-CF_3	—	OH
IV-46	A-3	O	4-CF_3	—	OH
IV-47	A-4	O	4-CF_3	—	OH
IV-48	A-5	O	4-CF_3	—	OH
IV-49	A-1	O	2-OH	—	OH
IV-50	A-1	O	3-OH	—	OH
IV-51	A-1	O	4-OH	—	OH
IV-52	A-1	O	2-OMe	—	OH
IV-53	A-2	O	2-OMe	—	OH
IV-54	A-3	O	2-OMe	—	OH
IV-55	A-4	O	2-OMe	—	OH
IV-56	A-5	O	2-OMe	—	OH
IV-57	A-1	O	3-OMe	—	OH
IV-58	A-2	O	3-OMe	—	OH
IV-59	A-3	O	3-OMe	—	OH
IV-60	A-4	O	3-OMe	—	OH
IV-61	A-5	O	3-OMe	—	OH
IV-62	A-1	O	4-OMe	—	OH
IV-63	A-2	O	4-OMe	—	OH
IV-64	A-3	O	4-OMe	—	OH
IV-65	A-4	O	4-OMe	—	OH
IV-66	A-5	O	4-OMe	—	OH
IV-67	A-1	O	2-OEt	—	OH
IV-68	A-1	O	3-OEt	—	OH
IV-69	A-1	O	4-OEt	—	OH
IV-70	A-1	O	2-O-n-Pr	—	OH
IV-71	A-1	O	3-O-n-Pr	—	OH
IV-72	A-1	O	4-O-n-Pr	—	OH
IV-73	A-1	O	2-O-i-Pr	—	OH
IV-74	A-1	O	3-O-i-Pr	—	OH
IV-75	A-1	O	4-O-i-Pr	—	OH

[Table 40]

Compound No.	A	X^1	R^{12}	R^2	R^3
IV-76	A-1	O	2-O-c-Pr	—	OH
IV-77	A-1	O	3-O-c-Pr	—	OH
IV-78	A-1	O	4-O-c-Pr	—	OH
IV-79	A-1	O	2-$OCH_2CH=CH_2$	—	OH
IV-80	A-1	O	3-$OCH_2CH=CH_2$	—	OH
IV-81	A-1	O	4-$OCH_2CH=CH_2$	—	OH
IV-82	A-1	O	2-$OCH_2C\equiv CH$	—	OH
IV-83	A-1	O	3-$OCH_2C\equiv CH$	—	OH
IV-84	A-1	O	4-$OCH_2C\equiv CH$	—	OH
IV-85	A-1	O	2-$OCHF_2$	—	OH
IV-86	A-2	O	2-$OCHF_2$	—	OH
IV-87	A-3	O	2-$OCHF_2$	—	OH
IV-88	A-4	O	2-$OCHF_2$	—	OH
IV-89	A-5	O	2-$OCHF_2$	—	OH
IV-90	A-1	O	3-$OCHF_2$	—	OH
IV-91	A-2	O	3-$OCHF_2$	—	OH
IV-92	A-3	O	3-$OCHF_2$	—	OH
IV-93	A-4	O	3-$OCHF_2$	—	OH
IV-94	A-5	O	3-$OCHF_2$	—	OH
IV-95	A-1	O	4-$OCHF_2$	—	OH
IV-96	A-2	O	4-$OCHF_2$	—	OH
IV-97	A-3	O	4-$OCHF_2$	—	OH
IV-98	A-4	O	4-$OCHF_2$	—	OH
IV-99	A-5	O	4-$OCHF_2$	—	OH
IV-100	A-1	O	2-OCF_3	—	OH
IV-101	A-1	O	3-OCF_3	—	OH
IV-102	A-2	O	3-OCF_3	—	OH
IV-103	A-3	O	3-OCF_3	—	OH
IV-104	A-4	O	3-OCF_3	—	OH
IV-105	A-5	O	3-OCF_3	—	OH
IV-106	A-1	O	4-OCF_3	—	OH
IV-107	A-2	O	4-OCF_3	—	OH
IV-108	A-3	O	4-OCF_3	—	OH
IV-109	A-4	O	4-OCF_3	—	OH
IV-110	A-5	O	4-OCF_3	—	OH
IV-111	A-1	O	2-OCH_2CH_2OMe	—	OH
IV-112	A-1	O	3-OCH_2CH_2OMe	—	OH
IV-113	A-1	O	4-OCH_2CH_2OMe	—	OH

[Table 41]

Compound No.	A	X^1	R^{12}	R^2	R^3
IV-114	A-1	O	2- 	—	OH
IV-115	A-1	O	3- 	—	OH
IV-116	A-1	O	4- 	—	OH
IV-117	A-1	O	2-OC(=O)Me	—	OH
IV-118	A-1	O	3-OC(=O)Me	—	OH
IV-119	A-1	O	3-OC(=O)Me	—	OH
IV-120	A-1	O	2-SMe	—	OH
IV-121	A-1	O	3-SMe	—	OH
IV-122	A-1	O	4-SMe	—	OH
IV-123	A-1	O	2-SO_2Me	—	OH
IV-124	A-1	O	3-SO_2Me	—	OH
IV-125	A-1	O	4-SO_2Me	—	OH
IV-126	A-1	O	2-SCF_3	—	OH
IV-127	A-1	O	3-SCF_3	—	OH
IV-128	A-1	O	4-SCF_3	—	OH
IV-129	A-1	O	2-NO_2	—	OH
IV-130	A-1	O	3-NO_2	—	OH
IV-131	A-1	O	4-NO_2	—	OH
IV-132	A-1	O	2-NH_2	—	OH
IV-133	A-1	O	3-NH_2	—	OH
IV-134	A-1	O	4-NH_2	—	OH
IV-135	A-1	O	2-CN	—	OH
IV-136	A-1	O	3-CN	—	OH
IV-137	A-1	O	4-CN	—	OH
IV-138	A-1	O	2-C(=O)Me	—	OH
IV-139	A-1	O	3-C(=O)Me	—	OH
IV-140	A-1	O	4-C(=O)Me	—	OH
IV-141	A-1	O	2-C(=O)OH	—	OH
IV-142	A-1	O	3-C(=O)OH	—	OH
IV-143	A-1	O	4-C(=O)OH	—	OH
IV-144	A-1	O	2-C(=O)OMe	—	OH
IV-145	A-1	O	3-C(=O)OMe	—	OH
IV-146	A-1	O	4-C(=O)OMe	—	OH
IV-147	A-1	O	2-CH_2OMe	—	OH
IV-148	A-1	O	3-CH_2OMe	—	OH

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[Table 42]

Compound No.	A	X^1	R^{12}	R^2	R^3
IV-149	A-1	O	4-CH_2OMe	—	OH
IV-150	A-1	O	2,3-F_2	—	OH
IV-151	A-1	O	2,4-F_2	—	OH
IV-152	A-1	O	2,5-F_2	—	OH
IV-153	A-1	O	2,6-F_2	—	OH
IV-154	A-1	O	3,4-F_2	—	OH
IV-155	A-1	O	3,5-F_2	—	OH
IV-156	A-1	O	2,3-Cl_2	—	OH
IV-157	A-1	O	2,4-Cl_2	—	OH
IV-158	A-1	O	2,5-Cl_2	—	OH
IV-159	A-1	O	2,6-Cl_2	—	OH
IV-160	A-1	O	3,4-Cl_2	—	OH
IV-161	A-1	O	3,5-Cl_2	—	OH
IV-162	A-1	O	2-F, 3-OMe	—	OH
IV-163	A-1	O	2-Cl, 3-OMe	—	OH
IV-164	A-1	O	2-Me, 3-OMe	—	OH
IV-165	A-1	O	2,3-$(OMe)_2$	—	OH
IV-166	A-1	O	3-OMe, 4-F	—	OH
IV-167	A-1	O	3-OMe, 4-Cl	—	OH
IV-168	A-1	O	3-OMe, 4-Me	—	OH
IV-169	A-1	O	3,4-$(OMe)_2$	—	OH
IV-170	A-1	O	3-OMe, 5-F	—	OH
IV-171	A-1	O	3-OMe, 5-Cl	—	OH
IV-172	A-1	O	3-OMe, 5-Me	—	OH
IV-173	A-1	O	3,5-$(OMe)_2$	—	OH
IV-174	A-1	O	2-F, 4-OMe	—	OH
IV-175	A-1	O	2-Cl, 4-OMe	—	OH
IV-176	A-1	O	2-Me, 4-OMe	—	OH
IV-177	A-1	O	2,4-$(OMe)_2$	—	OH
IV-178	A-1	O	3-F, 4-OMe	—	OH
IV-179	A-1	O	3-Cl, 4-OMe	—	OH
IV-180	A-1	O	3-Me, 4-OMe	—	OH
IV-181	A-1	O	2-F, 5-OMe	—	OH
IV-182	A-1	O	2-Cl, 5-OMe	—	OH
IV-183	A-1	O	2-Me, 5-OMe	—	OH
IV-184	A-1	O	2,5-$(OMe)_2$	—	OH
IV-185	A-1	O	3,4,5-$(OMe)_3$	—	OH
IV-186	A-1	O	—	6-F	OH
IV-187	A-1	O	4-OMe	6-F	OH

[Table 43]

Compound No.	A	X^1	R^{12}	R^2	R^3
IV-188	A-1	O	—	6-Cl	OH
IV-189	A-1	O	4-OMe	6-Cl	OH
IV-190	A-1	O	—	6-OMe	OH
IV-191	A-1	O	4-OMe	6-OMe	OH
IV-192	A-1	S	—	—	OH
IV-193	A-1	S	4-OMe	—	OH
IV-194	A-4	O	—	—	S(n-Hex)
IV-195	A-4	O	—	—	SO(n-Hex)
IV-196	A-4	O	—	—	SO_2(n-Hex)
IV-197	A-4	O	—	—	SPh
IV-198	A-4	O	—	—	SOPh
IV-199	A-4	O	—	—	SO_2Ph
IV-200	A-1	O	2-F,5-CF_3	—	OH
IV-201	A-1	O	3-CF_3,4-F	—	OH
IV-202	A-1	O	2-F,3-CF_3	—	OH
IV-203	A-1	O	3-F,5-CF_3	—	OH
IV-204	A-1	O	2,3-$(Me)_2$	—	OH
IV-205	A-1	O	2,4-$(Me)_2$	—	OH
IV-206	A-1	O	2,5-$(Me)_2$	—	OH
IV-207	A-1	O	2,6-$(Me)_2$	—	OH
IV-208	A-1	O	3,4-$(Me)_2$	—	OH
IV-209	A-1	O	3,5-$(Me)_2$	—	OH
IV-210	A-1	O	3,5-$(CF_3)_2$	—	OH
IV-211	A-1	O	2,6-$(OMe)_2$	—	OH
IV-212	A-1	O	2-F, 3-Cl	—	OH
IV-213	A-1	O	2-F, 4-Cl	—	OH
IV-214	A-1	O	2-F, 5-Cl	—	OH
IV-215	A-1	O	3-F, 4-Cl	—	OH
IV-216	A-1	O	4-F, 2-Cl	—	OH
IV-217	A-1	O	4-F, 3-Cl	—	OH
IV-218	A-1	O	2-F, 3-Me	—	OH
IV-219	A-1	O	2-F, 4-Me	—	OH
IV-220	A-1	O	2-F, 5-Me	—	OH
IV-221	A-1	O	3-F, 2-Me	—	OH
IV-222	A-1	O	3-F, 4-Me	—	OH
IV-223	A-4	O	3-F, 4-Me	—	OH
IV-224	A-1	O	3-F, 5-Me	—	OH
IV-225	A-1	O	4-F, 2-Me	—	OH
IV-226	A-1	O	4-F, 3-Me	—	OH

[Table 44]

Compound No.	A	X^1	R^{12}	R^2	R^3
IV-227	A-1	O	5-F, 2-Me	—	OH
IV-228	A-1	O	2-F, 4-CF_3	—	OH
IV-229	A-1	O	3-F, 4-CF_3	—	OH
IV-230	A-1	O	4-F, 2-CF_3	—	OH
IV-231	A-1	O	3-F, 2-OMe	—	OH
IV-232	A-1	O	4-F, 2-OMe	—	OH
IV-233	A-1	O	5-F, 2-OMe	—	OH
IV-234	A-1	O	2-F, 4-$OCHF_2$	—	OH
IV-235	A-1	O	3-F, 4-$OCHF_2$	—	OH
IV-236	A-1	O	4-F, 2-$OCHF_2$	—	OH
IV-237	A-1	O	4-F, 3-CN	—	OH
IV-238	A-1	O	2-Cl, 4-Me	—	OH
IV-239	A-1	O	3-Cl, 4-Me	—	OH
IV-240	A-1	O	3-Cl, 4-$OCHF_2$	—	OH
IV-241	A-1	O	4-Me, 3-CF_3	—	OH
IV-242	A-1	O	4-Me, 2-OMe	—	OH
IV-243	A-1	O	3-Me, 4-CN	—	OH
IV-244	A-1	O	4-Me, 3-CN	—	OH
IV-245	A-1	O	2,3,4-F_3	—	OH
IV-246	A-1	O	2,3,5-F_3	—	OH
IV-247	A-1	O	2,4,5-F_3	—	OH
IV-248	A-1	O	3,4,5-F_3	—	OH
IV-249	A-1	O	2,3-F_2, 4-Me	—	OH
IV-250	A-1	O	2,6-F_2, 4-OMe	—	OH
IV-251	A-1	O	3,5-F_2, 4-OMe	—	OH
IV-252	A-1	O	4-F, 2-Cl, 5-Me	—	OH
IV-253	A-1	O	—	7-Cl	OH
IV-254	A-1	O	—	6-Me	OH
IV-255	A-1	O	—	7-Me	OH
IV-256	A-1	O	—	8-Me	OH
IV-257	A-1	O	3-Me	8-Me	OH
IV-258	A-1	O	3-CF_3	8-Me	OH
IV-259	A-1	O	4-OMe	8-Me	OH
IV-260	A-1	O	3-F, 4-Me	8-Me	OH
IV-261	A-4	O	3-F, 4-Me	8-Me	OH
IV-262	A-1	O	3-F, 4-OMe	8-Me	OH
IV-263	A-1	O	—	8-OMe	OH
IV-264	A-1	O	3-CF_3	8-OMe	OH

[Table 45]

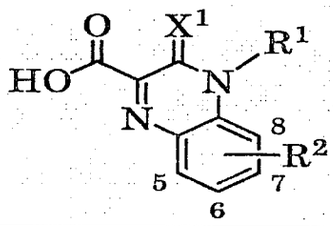
Compound No.	A	X^1	R^{12}	R^2	R^3
IV-265	A-1	O	3-F, 4-Me	8-Cl	OH
IV-266	A-1	O	3-F, 4-Me	6-F	OH
IV-267	A-1	O	3-F, 4-Me	6-Cl	OH
IV-268	A-1	O	3-F, 4-Me	7-Me	OH
IV-269	A-1	O	3-F, 4-Me	6-Me	OH
IV-270	A-1	O	—	8-Cl	OH
IV-271	A-1	O	3-F, 4-Me	6-OMe	OH
IV-272	A-1	O	3-F, 4-Me	6-OMe	OH
IV-273	A-1	O	4-OMe	8-Cl	OH
IV-274	A-1	O	4-OMe	6,8-Me_2	OH
IV-275	A-1	O	3-F, 4-OMe	6,8-Me_2	OH
IV-276	A-1	O	3,4-(OCH_2O)-	8-Me	OH
IV-277	A-1	O	3,4-(OCH_2CH_2O)-	8-Me	OH
IV-278	A-1	O	3,4-(OCH_2O)-	6,8-Me_2	OH
IV-279	A-1	O	3,4-(OCH_2CH_2O)-	6,8-Me_2	OH
IV-280	A-1	O	4-OMe	6-Cl, 8-Me	OH
IV-281	A-1	O	3-F, 4-OMe	6-Cl, 8-Me	OH
IV-282	A-1	O	3,4-(OCH_2O)-	6-Cl, 8-Me	OH
IV-283	A-1	O	3,4-(OCH_2CH_2O)-	6-Cl, 8-Me	OH
IV-284	A-1	O	—	6-SMe	OH
IV-285	A-1	O	3,4-(OCH_2CH_2O)-	6-OMe	OH
IV-286	A-1	O	3-F, 4-OEt	—	OH
IV-287	A-1	O	3,4-(OCH_2O)-	8-Cl	OH

[0096]

Specific preferred examples of the compound represented by formula [J2] which is a production intermediate of the present invention will be shown in the following Table 46 to Table 81. However, the compound is not intended to be limited to these compounds as in the case of the compound of the present invention. Additionally, compound numbers will be referred in the following descriptions, and the notations in the tables have the same meanings as mentioned above.

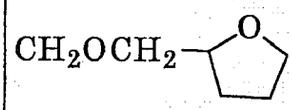
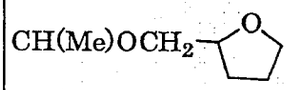
[0097]

[Table 46]

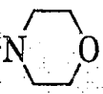
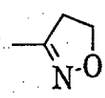
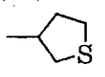
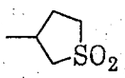


Compound No.	X^1	R^1	R^2
V-1	O	H	—
V-2	O	Me	—
V-3	O	Et	—
V-4	O	n-Pr	—
V-5	O	i-Pr	—
V-6	O	c-Pr	—
V-7	O	n-Bu	—
V-8	O	s-Bu	—
V-9	O	i-Bu	—
V-10	O	t-Bu	—
V-11	O	c-Bu	—
V-12	O	n-Pen	—
V-13	O	c-Pen	—
V-14	O	n-Hex	—
V-15	O	c-Hex	—
V-16	O	n-C_7H_{15}	—
V-17	O	n-C_8H_{17}	—
V-18	O	n-C_9H_{19}	—
V-19	O	n-$C_{10}H_{21}$	—
V-20	O	n-$C_{11}H_{23}$	—
V-21	O	n-$C_{12}H_{25}$	—
V-22	O	$CH_2CH=CH_2$	—
V-23	O	$CH_2C\equiv CH$	—
V-24	O	CH_2CF_3	—
V-25	O	CH_2CH_2F	—
V-26	O	CH_2CH_2Cl	—
V-27	O	$CH_2CH_2CF_3$	—
V-28	O	$CH_2CH=CCl_2$	—
V-29	O	CH_2OMe	—
V-30	O	CH_2OEt	—
V-31	O	CH(Me)OMe	—
V-32	O	CH(Me)OEt	—
V-33	O	CH_2OPh	—
V-34	O	$CH_2OCH_2CH_2OMe$	—

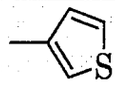
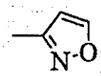
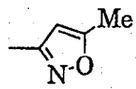
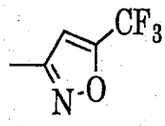
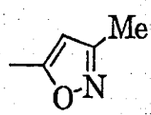
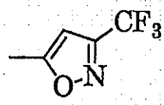
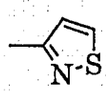
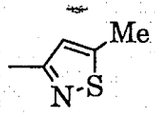
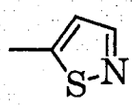
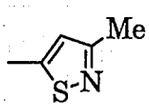
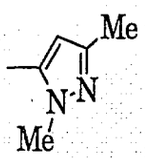
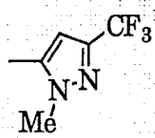
[Table 47]

Compound No.	X^1	R^1	R^2
V-35	O	$CH_2OCH_2CF_3$	—
V-36	O	$CH(Me)OCH_2CF_3$	—
V-37	O		—
V-38	O		—
V-39	O	$CH_2OCH_2CH_2SO_2Me$	—
V-40	O	$CH_2OCH_2CH_2CN$	—
V-41	O	$CH_2OC(=O)t\text{-}Bu$	—
V-42	O	CH_2SMe	—
V-43	O	CH_2SEt	—
V-44	O	$CH_2S\text{-}n\text{-}Pr$	—
V-45	O	$CH(Me)SMe$	—
V-46	O	$CH(Me)SEt$	—
V-47	O	$CH(Me)S\text{-}n\text{-}Pr$	—
V-48	O	CH_2SOMe	—
V-49	O	CH_2SOEt	—
V-50	O	$CH_2SO\text{-}n\text{-}Pr$	—
V-51	O	CH_2SO_2Me	—
V-52	O	CH_2SO_2Et	—
V-53	O	$CH_2SO_2\text{-}n\text{-}Pr$	—
V-54	O	$CH(Me)SO_2Me$	—
V-55	O	$CH(Me)SO_2Et$	—
V-56	O	$CH(Me)SO_2\text{-}n\text{-}Pr$	—
V-57	O	CH_2CH_2OH	—
V-58	O	CH_2CH_2OMe	—
V-59	O	CH_2CH_2OEt	—
V-60	O	$CH(Me)CH_2OMe$	—
V-61	O	CH_2CH_2SMe	—
V-62	O	$CH_2CH_2SO_2Me$	—
V-63	O	$CH_2CH_2CH_2OMe$	—
V-64	O	$CH_2C(=O)Me$	—
V-65	O	$CH_2C(=O)OMe$	—
V-66	O	$CH_2C(=O)OEt$	—
V-67	O	$CH_2C(=O)O\text{-}n\text{-}Pr$	—
V-68	O	$CH_2C(=O)O\text{-}i\text{-}Pr$	—
V-69	O	$CH_2C(=O)O\text{-}t\text{-}Bu$	—
V-70	O	$CH_2C(=O)NMe_2$	—

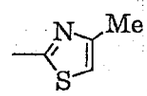
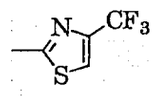
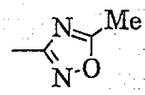
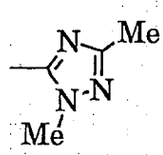
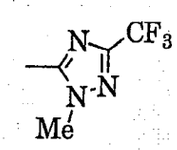
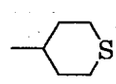
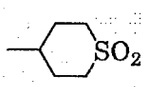
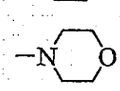
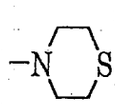
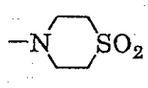
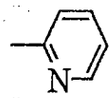
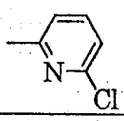
[Table 48]

Compound No.	X^1	R^1	R^2
V-71	O	$CH_2C(=O)-N$ 	–
V-72	O	CH_2CN	–
V-73	O	CH_2CH_2CN	–
V-74	O	$CH(Me)CH_2CN$	–
V-75	O	$CH_2CH_2CH_2CN$	–
V-76	O	$CH_2CH_2NO_2$	–
V-77	O	Bn	–
V-78	O	(2-F)Bn	–
V-79	O	(3-F)Bn	–
V-80	O	(4-F)Bn	–
V-81	O	(2-Cl)Bn	–
V-82	O	(3-Cl)Bn	–
V-83	O	(4-Cl)Bn	–
V-84	O	(2-Me)Bn	–
V-85	O	(3-Me)Bn	–
V-86	O	(4-Me)Bn	–
V-87	O	$(2\text{-}CF_3)Bn$	–
V-88	O	$(3\text{-}CF_3)Bn$	–
V-89	O	$(4\text{-}CF_3)Bn$	–
V-90	O	(2-OMe)Bn	–
V-91	O	(3-OMe)Bn	–
V-92	O	(4-OMe)Bn	–
V-93	O	$(2,4\text{-}(OMe)_2)Bn$	–
V-94	O	$(2,6\text{-}(OMe)_2)Bn$	–
V-95	O	$(3,5\text{-}(OMe)_2)Bn$	–
V-96	O	CH(Me)Ph	–
V-97	O		–
V-98	O		–
V-99	O		–
V-100	O		–

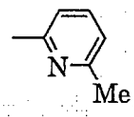
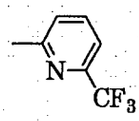
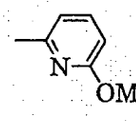
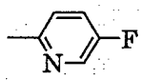
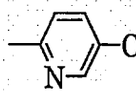
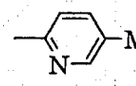
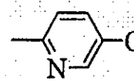
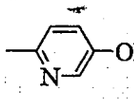
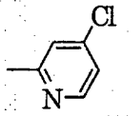
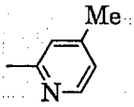
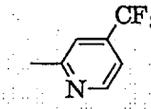
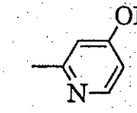
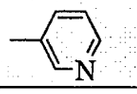
[Table 49]

Compound No.	X^1	R^1	R^2
V-101	O		—
V-102	O		—
V-103	O		—
V-104	O		—
V-105	O		—
V-106	O		—
V-107	O		—
V-108	O		—
V-109	O		—
V-110	O		—
V-111	O		—
V-112	O		—

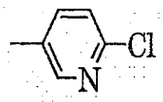
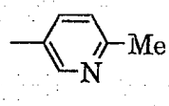
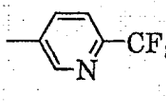
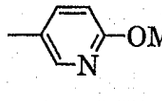
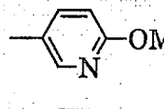
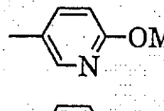
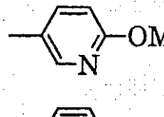
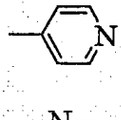
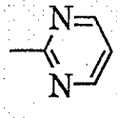
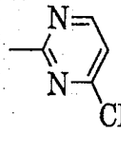
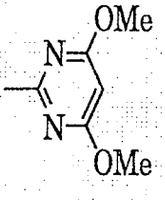
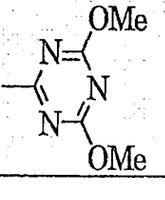
[Table 50]

Compound No.	X^1	R^1	R^2
V-113	O		—
V-114	O		—
V-115	O		—
V-116	O		—
V-117	O		—
V-118	O		—
V-119	O		—
V-120	O		—
V-121	O		—
V-122	O		—
V-123	O		—
V-124	O		—
V-125	O		—
V-126	O		—

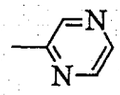
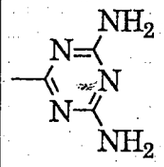
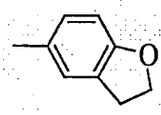
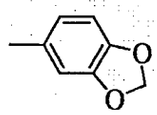
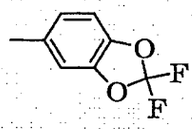
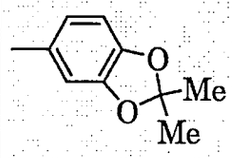
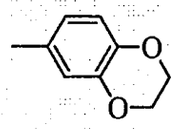
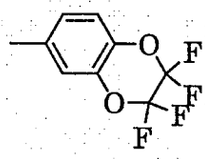
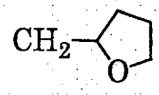
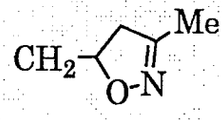
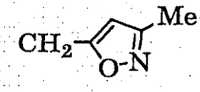
[Table 51]

Compound No.	X^1	R^1	R^2
V-127	O		—
V-128	O		—
V-129	O		—
V-130	O		—
V-131	O		—
V-132	O		—
V-133	O		—
V-134	O		—
V-135	O		—
V-136	O		—
V-137	O		—
V-138	O		—
V-139	O		—

[Table 52]

Compound No.	X^1	R^1	R^2
V-140	O		—
V-141	O		—
V-142	O		—
V-143	O		—
V-144	O		—
V-145	O		—
V-146	O		—
V-147	O		—
V-148	O		—
V-149	O		—
V-150	O		—
V-151	O		—

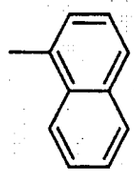
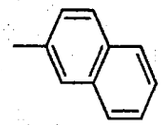
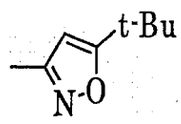
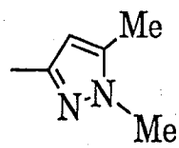
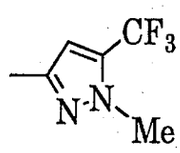
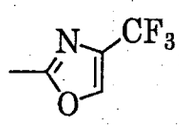
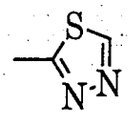
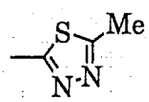
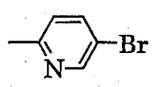
[Table 53]

Compound No.	X^1	R^1	R^2
V-152	O		—
V-153	O		—
V-154	O		—
V-155	O		—
V-156	O		—
V-157	O		—
V-158	O		—
V-159	O		—
V-160	O		—
V-161	O		—
V-162	O		—
V-163	O	NH_2	—

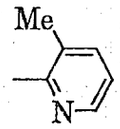
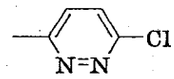
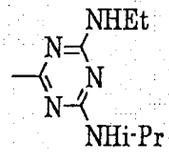
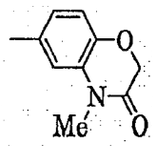
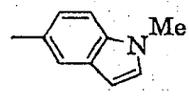
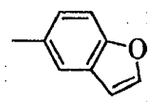
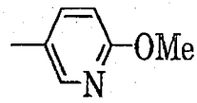
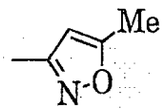
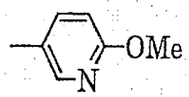
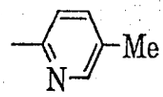
[Table 54]

Compound No.	X^1	R^1	R^2
V-164	O	NHMe	—
V-165	O	NMe_2	—
V-166	O	OMe	—
V-167	O	OEt	—
V-168	O	Me	5-F
V-169	O	Me	6-F
V-170	O	Me	7-F
V-171	O	Me	8-F
V-172	O	Me	5-Cl
V-173	O	CH_2CH_2OMe	5-Cl
V-174	O	Me	6-Cl
V-175	O	CH_2CH_2OMe	6-Cl
V-176	O	Me	7-Cl
V-177	O	CH_2CH_2OMe	7-Cl
V-178	O	Me	8-Cl
V-179	O	CH_2CH_2OMe	8-Cl
V-180	O	Me	7-Me
V-181	O	Me	6-CF_3
V-182	O	Me	7-CF_3
V-183	O	Me	6-OH
V-184	O	Me	7-OH
V-185	O	Me	6-OMe
V-186	O	Me	7-OMe
V-187	O	Me	6-OCF_3
V-188	O	Me	7-OCF_3
V-189	O	Me	5-SMe
V-190	O	Me	6-SMe
V-191	O	Me	7-SMe
V-192	O	Me	8-SMe
V-193	O	Me	5-SO_2Me
V-194	O	Me	6-SO_2Me
V-195	O	Me	7-SO_2Me
V-196	O	Me	8-SO_2Me
V-197	O	Me	6-NO_2
V-198	O	Me	7-NO_2
V-199	O	Me	6-NH_2
V-200	O	Me	7-NH_2

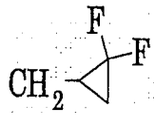
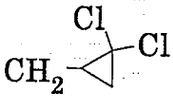
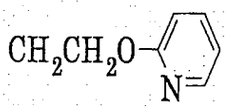
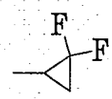
[Table 55]

Compound No.	X^1	R^1	R^2
V-201	O	Me	7-CN
V-202	O	Me	6,7-Cl_2
V-203	O	Me	6,7-Me_2
V-204	S	Me	—
V-205	O		—
V-206	O		—
V-207	O		—
V-208	O		—
V-209	O		—
V-210	O		—
V-211	O		—
V-212	O		—
V-213	O		—

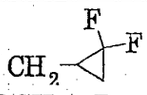
[Table 56]

Compound No.	X^1	R^1	R^2
V-214	O		—
V-215	O		—
V-216	O		—
V-217	O		—
V-218	O		—
V-219	O		—
V-220	O	N(Me)C(=O)Ot-Bu	—
V-221	O		5-F
V-222	O	Bn	6-F
V-223	O		6-F
V-224	O	Me	5-CH_2OMe
V-225	O		5,7-F_2
V-226	O		7-Cl
V-227	O	CH_2-c-Pr	—
V-228	O	CH_2-c-Bu	—
V-229	O	CH_2c-Pen	—
V-230	O	CH_2O-c-Pen	—

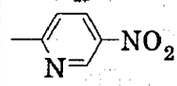
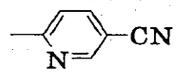
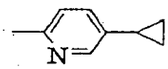
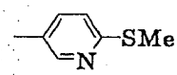
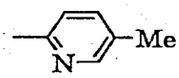
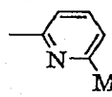
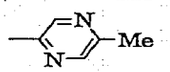
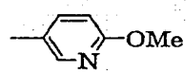
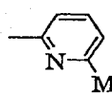
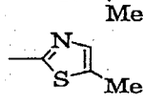
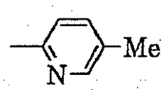
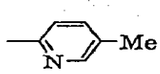
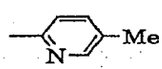
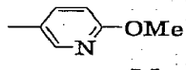
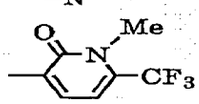
[Table 57]

Compound No.	X^1	R^1	R^2
V-231	O	$CH_2CH_2NH_2$	—
V-232	O	CH_2CH_2NHEt	—
V-233	O	$CH_2CH_2NMe_2$	—
V-234	O	$CH_2CH_2NEt_2$	—
V-235	O	CH_2CH_2CHO	—
V-236	O		—
V-237	O		—
V-238	O	CH_2OCH_2-c-Pr	—
V-239	O	CH_2SPh	—
V-240	O		—
V-241	O	$CH_2SCH_2CF_3$	—
V-242	O	$CH_2SOCH_2CF_3$	—
V-243	O	$CH_2SO_2CH_2CF_3$	—
V-244	O	CH_2OCH_2Ph	—
V-245	O	CH_2SOPh	—
V-246	O	CH_2SO_2Ph	—
V-247	O	$CH_2OCH_2CH_2SMe$	—
V-248	O	$CH_2OCH_2CH_2SOMe$	—
V-249	O	$CH_2CH_2CH(OEt)_2$	—
V-250	O	$CH_2C(Me)=NOMe$	—
V-251	O	$CH_2CH_2ON=CMe_2$	—
V-252	O	Me	7-$CH=CMe_2$
V-253	O	Me	7-$C\equiv CMe$
V-254	O	Me	7-CH_2-c-Pr
V-255	O	Me	7-$C(Me)=CF_2$
V-256	O	Me	7- 
V-257	O	Me	7-O-c-Pr

[Table 58]

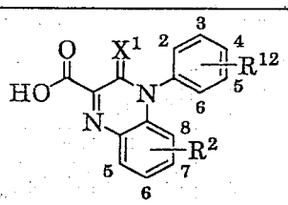
Compound No.	X^1	R^1	R^2
V-258	O	Me	7- 
V-259	O	Me	7-OCH_2-c-Pr
V-260	O	Me	7-OCH_2OMe
V-261	O	Me	7-OC(=O)Me
V-262	O	Me	7-SOMe
V-263	O	Me	7-SCF_3
V-264	O	Me	7-$SOCH_2CF_3$
V-265	O	Me	7-$SO_2CH_2CF_3$
V-266	O	Me	7-NMe_2
V-267	O	Me	7-NHC(=O)Me
V-268	O	Me	7-CH_2OH
V-269	O	Me	7-$CH(OEt)_2$
V-270	O	Me	7-CH_2SMe
V-271	O	Me	7-CH_2SOMe
V-272	O	Me	7-CH_2SO_2Me
V-273	O	Me	7-CH_2SCHF_2
V-274	O	Me	7-CH_2SOCHF_2
V-275	O	Me	7-$CH_2SO_2CHF_2$
V-276	O	Me	7-CH_2CN
V-277	O	Me	7-C(Me)=NOMe
V-278	O	Me	7-$C(=O)NH_2$
V-279	O	Me	7- 
V-280	O	$CH_2OCH_2Ph(2\text{-}F)$	—
V-281	O	$CH_2OCH_2Ph(2\text{-}Cl)$	
V-282	O	$CH_2OCH_2Ph(2\text{-}NO_2)$	—
V-283	O	$CH_2OCH_2Ph(2\text{-}CN)$	—
V-284	O	$CH_2OCH_2Ph(2\text{-}Me)$	—
V-285	O	$CH_2OCH_2Ph(2\text{-}CF_3)$	—
V-286	O	$CH_2OCH_2Ph(2\text{-}OMe)$	—
V-287	O	$CH_2OCH_2Ph(2\text{-}OCF_3)$	—
V-288	O	$CH_2OCH_2Ph(2\text{-}SMe)$	—
V-289	O	$CH_2OCH_2Ph(2\text{-}SO_2Me)$	—
V-290	O	$CH_2OCH_2Ph(2\text{-}SCF_3)$	—
V-291	O	$CH_2OCH_2Ph(2\text{-}CO_2Me)$	—
V-292	O	$CH_2OCH_2Ph(2\text{-}COMe)$	—
V-293	O	$(2\text{-}NO_2)Bn$	—
V-294	O	(2-CN)Bn	—
V-295	O	(3-SMe)Bn	—

[Table 59]

Compound No.	X^1	R^1	R^2
V-296	O	(3-SO_2Me)Bn	—
V-297	O	(3-SCF_3)Bn	—
V-298	O	(3-CO_2Me)Bn	—
V-299	O	(3-COMe)Bn	—
V-300	O	(3-OMe)Bn	—
V-301	O		—
V-302	O		—
V-303	O		—
V-304	O		—
V-305	O	Et	5-Cl
V-306	O	n-Bu	5-Cl
V-307	O		7-Me
V-308	O		6-CF_3
V-309	O		—
V-310	O		5-Cl
V-311	O		6-F
V-312	O		—
V-313	O	NHC(=O)O-t-Bu	—
V-314	O	N(Me)C(=O)O-t-Bu	—
V-315	O		5-F
V-316	O		5-Cl
V-317	O		5-Me
V-318	O		5-Me
V-319	O		—

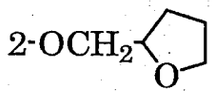
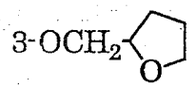
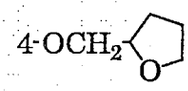
[0098]

[Table 60]



Compound No.	X^1	R^{12}	R^2
VI-1	O	—	—
VI-2	O	2-F	—
VI-3	O	3-F	—
VI-4	O	4-F	—
VI-5	O	2-Cl	—
VI-6	O	3-Cl	—
VI-7	O	4-Cl	—
VI-8	O	2-Br	—
VI-9	O	3-Br	—
VI-10	O	4-Br	—
VI-11	O	2-Me	—
VI-12	O	3-Me	—
VI-13	O	4-Me	—
VI-14	O	2-Et	—
VI-15	O	3-Et	—
VI-16	O	4-Et	—
VI-17	O	2-n-Pr	—
VI-18	O	3-n-Pr	—
VI-19	O	4-n-Pr	—
VI-20	O	2-i-Pr	—
VI-21	O	3-i-Pr	—
VI-22	O	4-i-Pr	—
VI-23	O	2-c-Pr	—
VI-24	O	3-c-Pr	—
VI-25	O	4-c-Pr	—
VI-26	O	2-CF_3	—
VI-27	O	3-CF_3	—
VI-28	O	4-CF_3	—
VI-29	O	2-OH	—
VI-30	O	3-OH	—
VI-31	O	4-OH	—
VI-32	O	2-OMe	—
VI-33	O	3-OMe	—
VI-34	O	4-OMe	—
VI-35	O	2-OEt	—
VI-36	O	3-OEt	—

[Table 61]

Compound No.	X^1	R^{12}	R^2
VI-37	O	4-OEt	—
VI-38	O	2-O-n-Pr	—
VI-39	O	3-O-n-Pr	—
VI-40	O	4-O-n-Pr	—
VI-41	O	2-O-i-Pr	—
VI-42	O	3-O-i-Pr	—
VI-43	O	4-O-i-Pr	—
VI-44	O	2-O-c-Pr	—
VI-45	O	3-O-c-Pr	—
VI-46	O	4-O-c-Pr	—
VI-47	O	2-$OCH_2CH=CH_2$	—
VI-48	O	3-$OCH_2CH=CH_2$	—
VI-49	O	4-$OCH_2CH=CH_2$	—
VI-50	O	2-$OCH_2C\equiv CH$	—
VI-51	O	3-$OCH_2C\equiv CH$	—
VI-52	O	4-$OCH_2C\equiv CH$	—
VI-53	O	2-$OCHF_2$	—
VI-54	O	3-$OCHF_2$	—
VI-55	O	4-$OCHF_2$	—
VI-56	O	2-OCF_3	—
VI-57	O	3-OCF_3	—
VI-58	O	4-OCF_3	—
VI-59	O	2-OCH_2CH_2OMe	—
VI-60	O	3-OCH_2CH_2OMe	—
VI-61	O	4-OCH_2CH_2OMe	—
VI-62	O		—
VI-63	O		—
VI-64	O		—
VI-65	O	2-OC(=O)Me	—
VI-66	O	3-OC(=O)Me	—
VI-67	O	3-OC(=O)Me	—
VI-68	O	2-SMe	—
VI-69	O	3-SMe	—
VI-70	O	4-SMe	—

[Table 62]

Compound No.	X^1	R^{12}	R^2
VI-71	O	2-SO_2Me	—
VI-72	O	3-SO_2Me	—
VI-73	O	4-SO_2Me	—
VI-74	O	2-SCF_3	—
VI-75	O	3-SCF_3	—
VI-76	O	4-SCF_3	—
VI-77	O	2-NO_2	—
VI-78	O	3-NO_2	—
VI-79	O	4-NO_2	—
VI-80	O	2-NH_2	—
VI-81	O	3-NH_2	—
VI-82	O	4-NH_2	—
VI-83	O	2-CN	—
VI-84	O	3-CN	—
VI-85	O	4-CN	—
VI-86	O	2-C(=O)Me	—
VI-87	O	3-C(=O)Me	—
VI-88	O	4-C(=O)Me	—
VI-89	O	2-C(=O)OH	—
VI-90	O	3-C(=O)OH	—
VI-91	O	4-C(=O)OH	—
VI-92	O	2-C(=O)OMe	—
VI-93	O	3-C(=O)OMe	—
VI-94	O	4-C(=O)OMe	—
VI-95	O	2-CH_2OMe	—
VI-96	O	3-CH_2OMe	—
VI-97	O	4-CH_2OMe	—
VI-98	O	2,3-F_2	—
VI-99	O	2,4-F_2	—
VI-100	O	2,5-F_2	—
VI-101	O	2,6-F_2	—
VI-102	O	3,4-F_2	—
VI-103	O	3,5-F_2	—
VI-104	O	2,3-Cl_2	—
VI-105	O	2,4-Cl_2	—
VI-106	O	2,5-Cl_2	—
VI-107	O	2,6-Cl_2	—
VI-108	O	3,4-Cl_2	—
VI-109	O	3,5-Cl_2	—

[Table 63]

Compound No.	X^1	R^{12}	R^2
VI-110	O	2-F, 3-OMe	—
VI-111	O	2-Cl, 3-OMe	—
VI-112	O	2-Me, 3-OMe	—
VI-113	O	2,3-$(OMe)_2$	—
VI-114	O	3-OMe, 4-F	—
VI-115	O	3-OMe, 4-Cl	—
VI-116	O	3-OMe, 4-Me	—
VI-117	O	3,4-$(OMe)_2$	—
VI-118	O	3-OMe, 5-F	—
VI-119	O	3-OMe, 5-Cl	—
VI-120	O	3-OMe, 5-Me	—
VI-121	O	3,5-$(OMe)_2$	—
VI-122	O	2-F, 4-OMe	—
VI-123	O	2-Cl, 4-OMe	—
VI-124	O	2-Me, 4-OMe	—
VI-125	O	2,4-$(OMe)_2$	—
VI-126	O	3-F, 4-OMe	—
VI-127	O	3-Cl, 4-OMe	—
VI-128	O	3-Me, 4-OMe	—
VI-129	O	2-F, 5-OMe	—
VI-130	O	2-Cl, 5-OMe	—
VI-131	O	2-Me, 5-OMe	—
VI-132	O	2,5-$(OMe)_2$	—
VI-133	O	3,4,5-$(OMe)_3$	—
VI-134	O	4-OMe	5-F
VI-135	O	4-OMe	6-F
VI-136	O	4-OMe	7-F
VI-137	O	4-OMe	8-F
VI-138	O	—	5-Cl
VI-139	O	—	6-Cl
VI-140	O	—	7-Cl
VI-141	O	—	8-Cl
VI-142	O	4-OMe	5-Cl
VI-143	O	4-OMe	6-Cl
VI-144	O	4-OMe	7-Cl
VI-145	O	4-OMe	8-Cl
VI-146	S	—	—
VI-147	O	4-OCH_2CN	—
VI-148	O	3-OCH_2-c-Pr	—

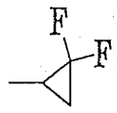
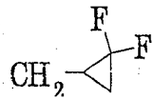
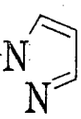
[Table 64]

Compound No.	X^1	R^{12}	R^2
VI-149	O	3-OCH_2CF_3	—
VI-150	O	4-OCH_2-c-Pr	—
VI-151	O	4-OCH_2CF_3	—
VI-152	O	4-NMe_2	—
VI-153	O	3,4-Me_2	—
VI-154	O	2-F, 4-Me	—
VI-155	O	3-F, 4-Me	—
VI-156	O	3-Me, 4-F	—
VI-157	O	2-Cl, 4-Me	—
VI-158	O	3-Cl, 4-Me	—
VI-159	O	3-OEt, 4-OMe	—
VI-160	O	2,3,4-$(OMe)_3$	—
VI-161	O	2,5-F_2, 4-OMe	—
VI-162	O	3,5-F_2, 4-OMe	—
VI-163	O	3,5-Cl_2, 4-OMe	—
VI-164	O	3,4-$(CH_2CH_2CH_2)$-	—
VI-165	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
VI-166	O	3,4-(CH_2OCH_2)-	—
VI-167	O	3,4-(OCH_2O)-	7-F
VI-168	O	2,3-(OCH_2CH_2O)-	—
VI-169	O	3,4-$(OCH_2CH(Me)O)$-	—
VI-170	O	3,4-$(OCH_2CH_2CH_2O)$-	—
VI-171	O	—	5-F
VI-172	O	3,4,5-$(OMe)_3$	5-F
VI-173	O	3,5-F_2, 4-OMe	5-F
VI-174	O	3,4-(OCH_2CH_2O)-	5-F
VI-175	O	—	6-F
VI-176	O	3,4,5-$(OMe)_3$	6-F
VI-177	O	3,4-(OCH_2O)-	6-F
VI-178	O	3,4-(OCH_2CH_2O)-	6-F
VI-179	O	—	7-F
VI-180	O	3,4-(OCH_2CH_2O)-	7-F
VI-181	O	—	8-F
VI-182	O	—	5-Me
VI-183	O	4-OMe	5-Me
VI-184	O	4-OMe	6-Me
VI-185	O	4-OMe	7-Me
VI-186	O	3,5-F_2, 4-OMe	7-Me

[Table 65]

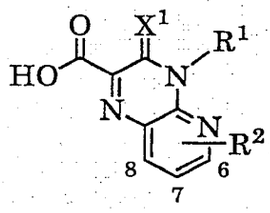
Compound No.	X^1	R^{12}	R^2
VI-187	O	—	6-CF_3
VI-188	O	—	6-OMe
VI-189	O	4-OMe	6-OMe
VI-190	O	—	7-OMe
VI-191	O	4-OMe	7-OMe
VI-192	O	2,5-F_2, 4-OMe	7-OMe
VI-193	O	3,5-F_2, 4-OMe	7-OMe
VI-194	O	—	8-OMe
VI-195	O	4-OMe	8-OMe
VI-196	O	4-OMe	5,6-F_2
VI-197	O	4-OMe	5,7-F_2
VI-198	O	—	6,7-F_2
VI-199	O	—	6,8-F_2
VI-200	O	4-OMe	5,7-Cl_2
VI-201	O	4-OMe	6-F, 7-OMe
VI-202	O	—	7-c-Pr
VI-203	O	—	7-$OCH_2CH=CH_2$
VI-204	O	—	7-$OCH_2C\equiv CH$
VI-205	O	—	7-NHMe
VI-206	O	—	7-C(=O)H
VI-207	O	—	6-C(=O)Me
VI-208	O	—	7-C(=O)OH
VI-209	O	—	7-C(=O)OMe
VI-210	O	—	7-C(=O)OEt
VI-211	O	—	7-C(=O)NHNe
VI-212	O	—	7-$C(=O)NMe_2$
VI-213	O	—	6,7-(OCH_2CH_2O)-
VI-214	O	—	6,7-(OCH_2O)-
VI-215	O	4-OMe	6,7-(OCH_2CH_2O)-
VI-216	O	4-OMe	6,7-(OCH_2O)-
VI-217	O	2-CONHMe	—
VI-218	O	2-$CONMe_2$	—
VI-219	O	2-NHCOMe	—
VI-220	O	4-CH_2-c-Pr	—
VI-221	O	4-$CH=CH_2$	—
VI-222	O	4-$C\equiv CMe$	—
VI-223	O	3-$CH=CF_2$	—

[Table 66]

Compound No.	X^1	R^{12}	R^2
VI-224	O	3- 	—
VI-225	O	4- 	—
VI-226	O	4-CH_2OH	—
VI-227	O	4-CH_2SMe	—
VI-228	O	4-CH_2SOMe	—
VI-229	O	4-CH_2SO_2Me	—
VI-230	O	4-CH_2SCHF_2	—
VI-231	O	4-CH_2SOCHF_2	—
VI-232	O	4-$CH_2SO_2CHF_2$	—
VI-233	O	4-CH_2CN	—
VI-234	O	4-NHMe	—
VI-235	O	4-$OCH_2OCH_2CF_3$	—
VI-236	O	4-C(Me)=NOMe	—
VI-237	O	2-$CONH_2$	—
VI-238	O	4- 	—
VI-239	O	3-F, 4-OMe	5-Cl
VI-240	O	4-OMe	5,6,8-F_3, 7-OMe
VI-241	O	—	7-CF_3
VI-242	O	4-F	7-OMe
VI-243	O	4-$OCHF_2$	7-OMe
VI-244	O	4-Me	7-OMe
VI-245	O	3,4-(OCH_2CH_2O)-	6,8-F_2
VI-246	O	3,4-(OCH_2CH_2O)-	8-F
VI-247	O	4-OMe	5-Br
VI-248	O	4-F	5-F
VI-249	O	3-F, 4-OEt	—

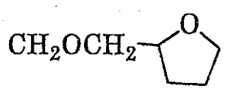
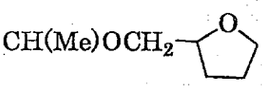
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[Table 67]

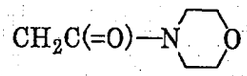
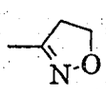
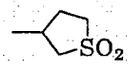


Compound No.	X^1	R^1	R^2
VII-1	O	H	—
VII-2	O	Me	—
VII-3	O	Et	—
VII-4	O	n-Pr	—
VII-5	O	i-Pr	—
VII-6	O	c-Pr	—
VII-7	O	n-Bu	—
VII-8	O	s-Bu	—
VII-9	O	i-Bu	—
VII-10	O	t-Bu	—
VII-11	O	c-Bu	—
VII-12	O	n-Pen	—
VII-13	O	c-Pen	—
VII-14	O	n-Hex	—
VII-15	O	c-Hex	—
VII-16	O	$n\text{-}C_7H_{15}$	—
VII-17	O	$n\text{-}C_8H_{17}$	—
VII-18	O	$n\text{-}C_9H_{19}$	—
VII-19	O	$n\text{-}C_{10}H_{21}$	—
VII-20	O	$n\text{-}C_{11}H_{23}$	—
VII-21	O	$n\text{-}C_{12}H_{25}$	—
VII-22	O	$CH_2CH{=}CH_2$	—
VII-23	O	$CH_2C{\equiv}CH$	—
VII-24	O	CH_2CF_3	—
VII-25	O	CH_2CH_2F	—
VII-26	O	CH_2CH_2Cl	—
VII-27	O	$CH_2CH_2CF_3$	—
VII-28	O	$CH_2CH{=}CCl_2$	—
VII-29	O	CH_2OMe	—
VII-30	O	CH_2OEt	—
VII-31	O	CH(Me)OMe	—
VII-32	O	CH(Me)OEt	—
VII-33	O	CH_2OPh	—

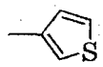
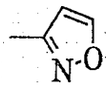
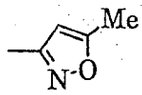
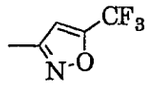
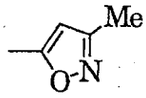
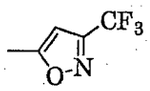
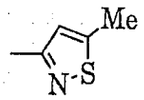
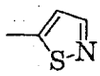
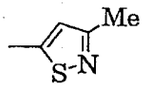
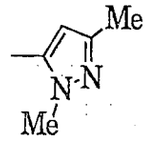
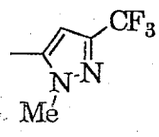
[Table 68]

Compound No.	X^1	R^1	R^2
VII-34	O	$CH_2OCH_2CH_2OMe$	—
VII-35	O	$CH_2OCH_2CF_3$	—
VII-36	O	$CH(Me)OCH_2CF_3$	—
VII-37	O		—
VII-38	O		—
VII-39	O	$CH_2OCH_2CH_2SO_2Me$	—
VII-40	O	$CH_2OCH_2CH_2CN$	—
VII-41	O	$CH_2OC(=O)t\text{-}Bu$	—
VII-42	O	CH_2SMe	—
VII-43	O	CH_2SMe	—
VII-44	O	CH_2SEt	—
VII-45	O	$CH_2S\text{-}n\text{-}Pr$	—
VII-46	O	$CH(Me)SMe$	—
VII-47	O	$CH(Me)SEt$	—
VII-48	O	$CH(Me)S\text{-}n\text{-}Pr$	—
VII-49	O	CH_2SOMe	—
VII-50	O	CH_2SOEt	—
VII-51	O	$CH_2SO\text{-}n\text{-}Pr$	—
VII-52	O	CH_2SO_2Me	—
VII-53	O	CH_2SO_2Et	—
VII-54	O	$CH_2SO_2\text{-}n\text{-}Pr$	—
VII-55	O	$CH(Me)SO_2Me$	—
VII-56	O	$CH(Me)SO_2Et$	—
VII-57	O	$CH(Me)SO_2\text{-}n\text{-}Pr$	—
VII-58	O	CH_2CH_2OH	—
VII-59	O	CH_2CH_2OMe	—
VII-60	O	CH_2CH_2OEt	—
VII-61	O	$CH(Me)CH_2OMe$	—
VII-62	O	CH_2CH_2SMe	—
VII-63	O	$CH_2CH_2SO_2Me$	—
VII-64	O	$CH_2CH_2CH_2OMe$	—
VII-65	O	$CH_2C(=O)Me$	—
VII-66	O	$CH_2C(=O)OMe$	—

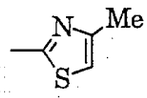
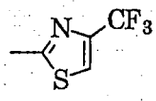
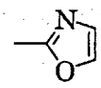
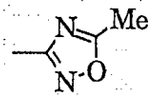
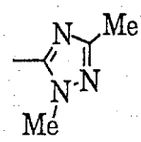
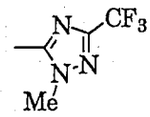
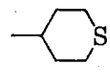
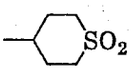
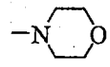
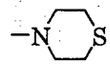
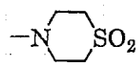
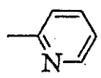
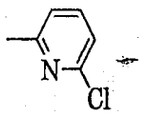
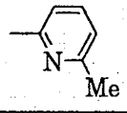
[Table 69]

Compound No.	X^1	R^1	R^2
VII-67	O	$CH_2C(=O)OEt$	—
VII-68	O	$CH_2C(=O)On\text{-}Pr$	—
VII-69	O	$CH_2C(=O)Oi\text{-}Pr$	—
VII-70	O	$CH_2C(=O)Ot\text{-}Bu$	—
VII-71	O	$CH_2C(=O)NMe_2$	—
VII-72	O		—
VII-73	O	CH_2CN	—
VII-74	O	CH_2CH_2CN	—
VII-75	O	$CH(Me)CH_2CN$	—
VII-76	O	$CH_2CH_2CH_2CN$	—
VII-77	O	$CH_2CH_2NO_2$	—
VII-78	O	Bn	—
VII-79	O	(2-F)Bn	—
VII-80	O	(3-F)Bn	—
VII-81	O	(4-F)Bn	—
VII-82	O	(2-Cl)Bn	—
VII-83	O	(3-Cl)Bn	—
VII-84	O	(4-Cl)Bn	—
VII-85	O	(2-Me)Bn	—
VII-86	O	(3-Me)Bn	—
VII-87	O	(4-Me)Bn	—
VII-88	O	$(2\text{-}CF_3)Bn$	—
VII-89	O	$(3\text{-}CF_3)Bn$	—
VII-90	O	$(4\text{-}CF_3)Bn$	—
VII-91	O	(2-OMe)Bn	—
VII-92	O	(3-OMe)Bn	—
VII-93	O	(4-OMe)Bn	—
VII-94	O	$(2,4\text{-}(OMe)_2)Bn$	—
VII-95	O	$(2,6\text{-}(OMe)_2)Bn$	—
VII-96	O	$(3,5\text{-}(OMe)_2)Bn$	—
VII-97	O	CH(Me)Ph	—
VII-98	O		—
VII-99	O		—
VII-100	O		—

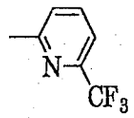
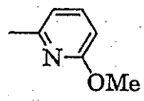
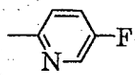
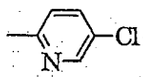
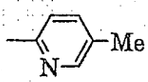
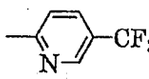
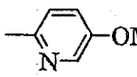
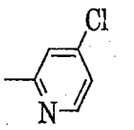
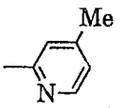
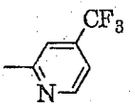
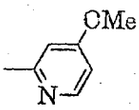
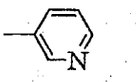
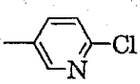
[Table 70]

Compound No.	X^1	R^1	R^2
VII-101	O		—
VII-102	O		—
VII-103	O		—
VII-104	O		—
VII-105	O		—
VII-106	O		—
VII-107	O		—
VII-108	O		—
VII-109	O		—
VII-110	O		—
VII-111	O		—
VII-112	O		—
VII-113	O		—
VII-114	O		—

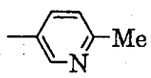
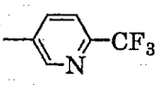
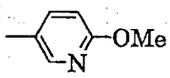
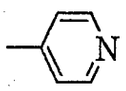
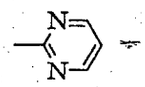
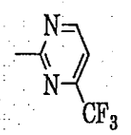
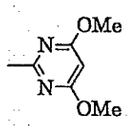
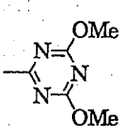
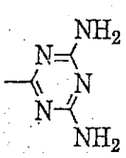
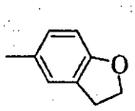
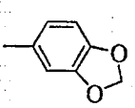
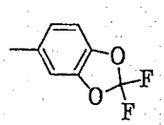
[Table 71]

Compound No.	X^1	R^1	R^2
VII-115	O		—
VII-116	O		—
VII-117	O		—
VII-118	O		—
VII-119	O		—
VII-120	O		—
VII-121	O		—
VII-122	O		—
VII-123	O		—
VII-124	O		—
VII-125	O		—
VII-126	O		—
VII-127	O		—
VII-128	O		—

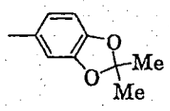
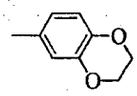
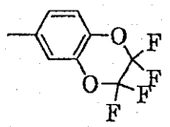
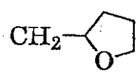
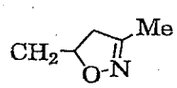
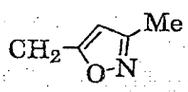
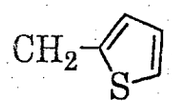
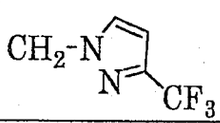
[Table 72]

Compound No.	X^1	R^1	R^2
VII-129	O		—
VII-130	O		—
VII-131	O		—
VII-132	O		—
VII-133	O		—
VII-134	O		—
VII-135	O		—
VII-136	O		—
VII-137	O		—
VII-138	O		—
VII-139	O		—
VII-140	O		—
VII-141	O		—

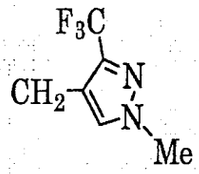
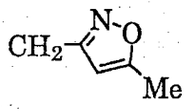
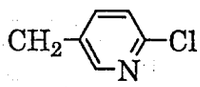
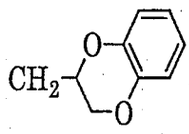
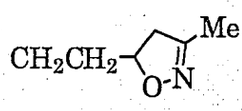
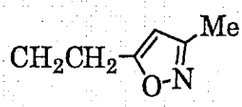
[Table 73]

Compound No.	X^1	R^1	R^2
VII-142	O		—
VII-143	O		—
VII-144	O		—
VII-145	O		—
VII-146	O		—
VII-147	O		—
VII-148	O		—
VII-149	O		—
VII-150	O		—
VII-151	O		—
VII-152	O		—
VII-153	O		—
VII-154	O		—

[Table 74]

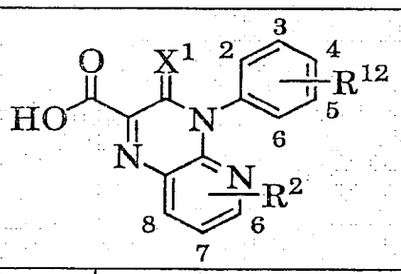
Compound No.	X^1	R^1	R^2
VII-155	O		—
VII-156	O		—
VII-157	O		—
VII-158	O		—
VII-159	O		—
VII-160	O		—
VII-161	O	NH_2	—
VII-162	O	NHMe	—
VII-163	O	NMe_2	—
VII-164	O	OMe	—
VII-165	O	OEt	—
VII-166	O	Me	6-F
VII-167	O	Me	6-Cl
VII-168	O	Me	6-OMe
VII-169	S	Me	—
VII-170	O	$CH_2CH_2CH=CH_2$	—
VII-171	O	$CH_2CH_2CH=C(Me)_2$	—
VII-172	O	$CH_2CH_2C\equiv CH$	—
VII-173	O	$CH_2CH_2C(Me)=CF_2$	—
VII-174	O	CH(Me)C(=O)Ot-Bu	—
VII-175	O	(2-$OCHF_2$)Bn	—
VII-176	O	CH_2CH_2Ph	—
VII-177	O		—
VII-178	O		—

[Table 75]

Compound No.	X^1	R^1	R^2
VII-179	O		—
VII-180	O		—
VII-181	O		—
VII-182	O		—
VII-183	O		—
VII-184	O		—
VII-185	O	Bn	8-Me
VII-186	O	Bn	7-Me
VII-187	O	Bn	6-Me
VII-188	O	Bn	6-OMe

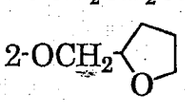
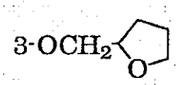
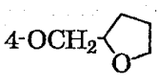
[0100]

[Table 76]



Compound No.	X^1	R^{12}	R^2
VIII-1	O	—	—
VIII-2	O	2-F	—
VIII-3	O	3-F	—
VIII-4	O	4-F	—
VIII-5	O	2-Cl	—
VIII-6	O	3-Cl	—
VIII-7	O	4-Cl	—
VIII-8	O	2-Br	—
VIII-9	O	3-Br	—
VIII-10	O	4-Br	—
VIII-11	O	2-Me	—
VIII-12	O	3-Me	—
VIII-13	O	4-Me	—
VIII-14	O	2-Et	—
VIII-15	O	3-Et	—
VIII-16	O	4-Et	—
VIII-17	O	2-n-Pr	—
VIII-18	O	3-n-Pr	—
VIII-19	O	4-n-Pr	—
VIII-20	O	2-i-Pr	—
VIII-21	O	3-i-Pr	—
VIII-22	O	4-i-Pr	—
VIII-23	O	2-c-Pr	—
VIII-24	O	3-c-Pr	—
VIII-25	O	4-c-Pr	—
VIII-26	O	2-CF_3	—
VIII-27	O	3-CF_3	—
VIII-28	O	4-CF_3	—
VIII-29	O	2-OH	—
VIII-30	O	3-OH	—
VIII-31	O	4-OH	—

[Table 77]

Compound No.	X^1	R^{12}	R^2
VIII-32	O	2-OMe	—
VIII-33	O	3-OMe	—
VIII-34	O	4-OMe	—
VIII-35	O	2-OEt	—
VIII-36	O	3-OEt	—
VIII-37	O	4-OEt	—
VIII-38	O	2-O-n-Pr	—
VIII-39	O	3-O-n-Pr	—
VIII-40	O	4-O-n-Pr	—
VIII-41	O	2-O-i-Pr	—
VIII-42	O	3-O-i-Pr	—
VIII-43	O	4-O-i-Pr	—
VIII-44	O	2-O-c-Pr	—
VIII-45	O	3-O-c-Pr	—
VIII-46	O	4-O-c-Pr	—
VIII-47	O	2-$OCH_2CH=CH_2$	—
VIII-48	O	3-$OCH_2CH=CH_2$	—
VIII-49	O	4-$OCH_2CH=CH_2$	—
VIII-50	O	2-$OCH_2C\equiv CH$	—
VIII-51	O	3-$OCH_2C\equiv CH$	—
VIII-52	O	4-$OCH_2C\equiv CH$	—
VIII-53	O	2-$OCHF_2$	—
VIII-54	O	3-$OCHF_2$	—
VIII-55	O	4-$OCHF_2$	—
VIII-56	O	2-OCF_3	—
VIII-57	O	3-OCF_3	—
VIII-58	O	4-OCF_3	—
VIII-59	O	2-OCH_2CH_2OMe	—
VIII-60	O	3-OCH_2CH_2OMe	—
VIII-61	O	4-OCH_2CH_2OMe	—
VIII-62	O	2- 	—
VIII-63	O	3- 	—
VIII-64	O	4- 	—

[Table 78]

Compound No.	X^1	R^{12}	R^2
VIII-65	O	2-OC(=O)Me	—
VIII-66	O	3-OC(=O)Me	—
VIII-67	O	3-OC(=O)Me	—
VIII-68	O	2-SMe	—
VIII-69	O	3-SMe	—
VIII-70	O	4-SMe	—
VIII-71	O	2-SO_2Me	—
VIII-72	O	3-SO_2Me	—
VIII-73	O	4-SO_2Me	—
VIII-74	O	2-SCF_3	—
VIII-75	O	3-SCF_3	—
VIII-76	O	4-SCF_3	—
VIII-77	O	2-NO_2	—
VIII-78	O	3-NO_2	—
VIII-79	O	4-NO_2	—
VIII-80	O	2-NH_2	—
VIII-81	O	3-NH_2	—
VIII-82	O	4-NH_2	—
VIII-83	O	2-CN	—
VIII-84	O	3-CN	—
VIII-85	O	4-CN	—
VIII-86	O	2-C(=O)Me	—
VIII-87	O	3-C(=O)Me	—
VIII-88	O	4-C(=O)Me	—
VIII-89	O	2-C(=O)OH	—
VIII-90	O	3-C(=O)OH	—
VIII-91	O	4-C(=O)OH	—
VIII-92	O	2-C(=O)OMe	—
VIII-93	O	3-C(=O)OMe	—
VIII-94	O	4-C(=O)OMe	—
VIII-95	O	2-CH_2OMe	—
VIII-96	O	3-CH_2OMe	—
VIII-97	O	4-CH_2OMe	—
VIII-98	O	2,3-F_2	—
VIII-99	O	2,4-F_2	—

[Table 79]

Compound No.	X^1	R^{12}	R^2
VIII-100	O	2,5-F_2	—
VIII-101	O	2,6-F_2	—
VIII-102	O	3,4-F_2	—
VIII-103	O	3,5-F_2	—
VIII-104	O	2,3-Cl_2	—
VIII-105	O	2,4-Cl_2	—
VIII-106	O	2,5-Cl_2	—
VIII-107	O	2,6-Cl_2	—
VIII-108	O	3,4-Cl_2	—
VIII-109	O	3,5-Cl_2	—
VIII-110	O	2-F, 3-OMe	—
VIII-111	O	2-Cl, 3-OMe	—
VIII-112	O	2-Me, 3-OMe	—
VIII-113	O	2,3-$(OMe)_2$	—
VIII-114	O	3-OMe, 4-F	—
VIII-115	O	3-OMe, 4-Cl	—
VIII-116	O	3-OMe, 4-Me	—
VIII-117	O	3,4-$(OMe)_2$	—
VIII-118	O	3-OMe, 5-F	—
VIII-119	O	3-OMe, 5-Cl	—
VIII-120	O	3-OMe, 5-Me	—
VIII-121	O	3,5-$(OMe)_2$	—
VIII-122	O	2-F, 4-OMe	—
VIII-123	O	2-Cl, 4-OMe	—
VIII-124	O	2-Me, 4-OMe	—
VIII-125	O	2,4-$(OMe)_2$	—
VIII-126	O	3-F, 4-OMe	—
VIII-127	O	3-Cl, 4-OMe	—
VIII-128	O	3-Me, 4-OMe	—
VIII-129	O	2-F, 5-OMe	—
VIII-130	O	2-Cl, 5-OMe	—
VIII-131	O	2-Me, 5-OMe	—
VIII-132	O	2,5-$(OMe)_2$	—
VIII-133	O	3,4,5-$(OMe)_3$	—
VIII-134	O	—	6-F
VIII-135	O	4-OMe	6-F
VIII-136	O	—	6-Cl

[Table 80]

Compound No.	X^1	R^{12}	R^2
VIII-137	O	4-OMe	6-Cl
VIII-138	O	—	6-OMe
VIII-139	O	4-OMe	6-OMe
VIII-140	S	—	—
VIII-141	S	4-OMe	—
VIII-142	O	2-F,5-CF_3	—
VIII-143	O	3-CF_3,4-F	—
VIII-144	O	2-F,3-CF_3	—
VIII-145	O	3-F,5-CF_3	—
VIII-146	O	2,3-$(Me)_2$	—
VIII-147	O	2,4-$(Me)_2$	—
VIII-148	O	2,5-$(Me)_2$	—
VIII-149	O	2,6-$(Me)_2$	—
VIII-150	O	3,4-$(Me)_2$	—
VIII-151	O	3,5-$(Me)_2$	—
VIII-152	O	3,5-$(CF_3)_2$	—
VIII-153	O	2,6-$(OMe)_2$	—
VIII-154	O	2-F, 3-Cl	—
VIII-155	O	2-F, 4-Cl	—
VIII-156	O	2-F, 5-Cl	—
VIII-157	O	3-F, 4-Cl	—
VIII-158	O	4-F, 2-Cl	—
VIII-159	O	4-F, 3-Cl	—
VIII-160	O	2-F, 3-Me	—
VIII-161	O	2-F, 4-Me	—
VIII-162	O	2-F, 5-Me	—
VIII-163	O	3-F, 2-Me	—
VIII-164	O	3-F, 4-Me	—
VIII-165	O	3-F, 5-Me	—
VIII-166	O	4-F, 2-Me	—
VIII-167	O	4-F, 3-Me	—
VIII-168	O	5-F, 2-Me	—
VIII-169	O	2-F, 4-CF_3	—
VIII-170	O	3-F, 4-CF_3	—
VIII-171	O	4-F, 2-CF_3	—
VIII-172	O	3-F, 2-OMe	—
VIII-173	O	4-F, 2-OMe	—

[Table 81]

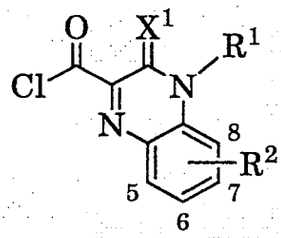
Compound No.	X^1	R^{12}	R^2
VIII-174	O	5-F, 2-OMe	—
VIII-175	O	2-F, 4-$OCHF_2$	—
VIII-176	O	3-F, 4-$OCHF_2$	—
VIII-177	O	4-F, 2-$OCHF_2$	—
VIII-178	O	4-F, 3-CN	—
VIII-179	O	2-Cl, 4-Me	—
VIII-180	O	3-Cl, 4-Me	—
VIII-181	O	3-Cl, 4-$OCHF_2$	—
VIII-182	O	4-Me, 3-CF_3	—
VIII-183	O	4-Me, 2-OMe	—
VIII-184	O	3-Me, 4-CN	—
VIII-185	O	4-Me, 3-CN	—
VIII-186	O	2,3,4-F_3	—
VIII-187	O	2,3,5-F_3	—
VIII-188	O	2,4,5-F_3	—
VIII-189	O	3,4,5-F_3	—
VIII-190	O	2,3-F_2, 4-Me	—
VIII-191	O	2,6-F_2, 4-OMe	—
VIII-192	O	3,5-F_2, 4-OMe	—
VIII-193	O	4-F, 2-Cl, 5-Me	—
VIII-194	O	—	7-Cl
VIII-195	O	—	6-Me
VIII-196	O	—	7-Me
VIII-197	O	—	8-Me
VIII-198	O	3-Me	8-Me
VIII-199	O	3-CF_3	8-Me
VIII-200	O	4-OMe	8-Me
VIII-201	O	3-F, 4-Me	8-Me
VIII-202	O	3-F, 4-OMe	8-Me
VIII-203	O	—	8-OMe
VIII-204	O	3-CF_3	8-OMe
VIII-205	O	3-F, 4-Me	8-Cl
VIII-206	O	3-F, 4-Me	6-F
VIII-207	O	3-F, 4-Me	6-Cl
VIII-208	O	3-F, 4-Me	7-Me
VIII-209	O	3-F, 4-Me	6-Me
VIII-210	O	—	8-Cl
VIII-211	O	3-F, 4-Me	6-OMe
VIII-212	O	3-OEt	—
VIII-213	O	4-OEt	—
VIII-214	O	4-OMe	8-Cl
VIII-215	O	—	6-SMe
VIII-216	O	3,4-(OCH_2CH_2O)-	6-OMe
VIII-217	O	3-F, 4-OEt	—
VIII-218	O	3,4-(OCH_2O)-	8-Cl

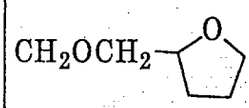
[0101]

Specific preferred examples of the compound represented by formula [J1] and formula [J2] which are production intermediates of the present invention will be shown in the following Table 82 to Table 123. However, the compound is not intended to be limited to these compounds as in the case of the compound of the present invention.

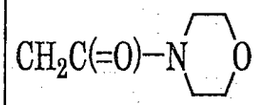
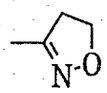
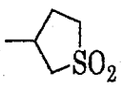
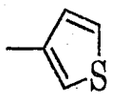
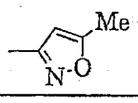
[0102]

[Table 82]

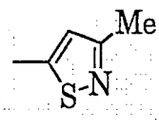
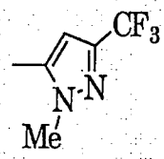
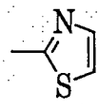
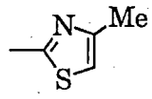
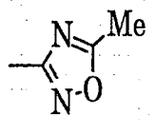
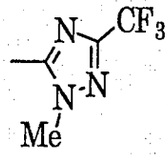
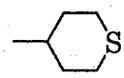
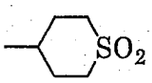
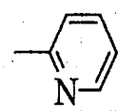
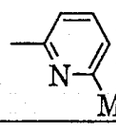


Compound No.	X^1	R^1	R^2
IX-1	O	Me	—
IX-2	O	Et	—
IX-3	O	n-Pr	—
IX-4	O	i-Pr	—
IX-5	O	c-Pr	—
IX-6	O	n-Bu	—
IX-7	O	t-Bu	—
IX-8	O	c-Pen	—
IX-9	O	n-Hex	—
IX-10	O	$CH_2CH=CH_2$	—
IX-11	O	$CH_2C\equiv CH$	—
IX-12	O	CH_2CF_3	—
IX-13	O	CH_2OMe	—
IX-14	O	CH_2OEt	—
IX-15	O	CH_2OPh	—
IX-16	O	$CH_2OCH_2CH_2OMe$	—
IX-17	O	$CH_2OCH_2CF_3$	—
IX-18	O		—
IX-19	O	$CH_2OCH_2CH_2SO_2Me$	—
IX-20	O	$CH_2OCH_2CH_2CN$	—
IX-21	O	$CH_2OC(=O)t\text{-}Bu$	—
IX-22	O	CH_2SMe	—
IX-23	O	CH_2SEt	—
IX-24	O	CH_2SO_2Me	—
IX-25	O	CH_2SO_2Et	—
IX-26	O	CH_2CH_2OMe	—
IX-27	O	$CH(Me)CH_2OMe$	—
IX-28	O	CH_2CH_2SMe	—
IX-29	O	$CH_2CH_2SO_2Me$	—
IX-30	O	$CH_2CH_2CH_2OMe$	—

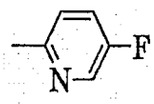
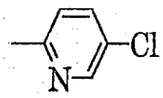
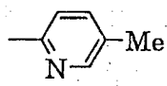
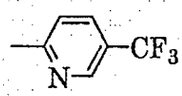
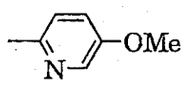
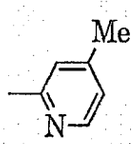
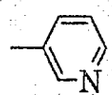
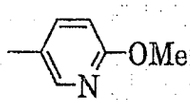
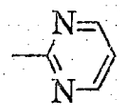
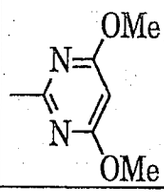
[Table 83]

Compound No.	X^1	R^1	R^2
IX-31	O	$CH_2C(=O)Me$	—
IX-32	O	$CH_2C(=O)O$-t-Bu	—
IX-33	O	$CH_2C(=O)NMe_2$	—
IX-34	O		—
IX-35	O	CH_2CN	—
IX-36	O	CH_2CH_2CN	—
IX-37	O	Bn	—
IX-38	O	(2-Cl)Bn	—
IX-39	O	(3-Cl)Bn	—
IX-40	O	(4-Cl)Bn	—
IX-41	O	(2-OMe)Bn	—
IX-42	O	(3-OMe)Bn	—
IX-43	O	(4-OMe)Bn	—
IX-44	O	(2,6-$(OMe)_2$)Bn	—
IX-45	O		—
IX-46	O		—
IX-47	O		—
IX-48	O		—
IX-49	O		—
IX-50	O		—

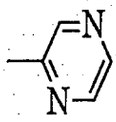
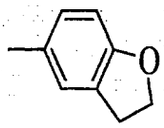
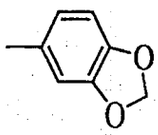
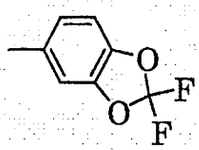
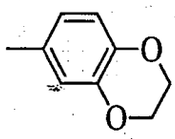
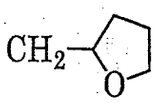
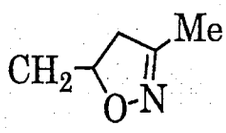
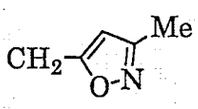
[Table 84]

Compound No.	X^1	R^1	R^2
IX-51	O		—
IX-52	O		—
IX-53	O		—
IX-54	O		—
IX-55	O		—
IX-56	O		—
IX-57	O		—
IX-58	O		—
IX-59	O		—
IX-60	O		—

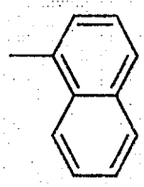
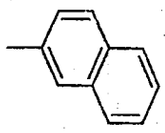
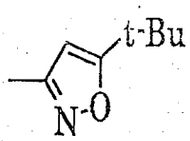
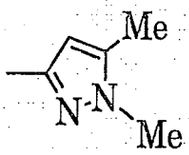
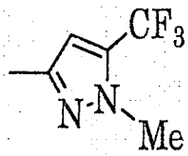
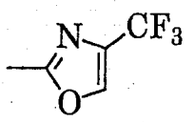
[Table 85]

Compound No.	X^1	R^1	R^2
IX-61	O		—
IX-62	O		—
IX-63	O		—
IX-64	O		—
IX-65	O		—
IX-66	O		—
IX-67	O		—
IX-68	O		—
IX-69	O		—
IX-70	O		—

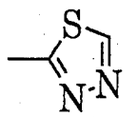
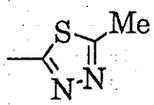
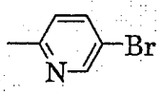
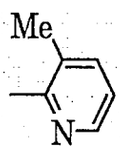
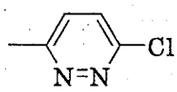
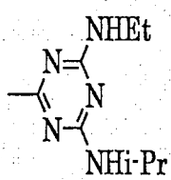
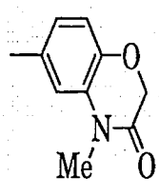
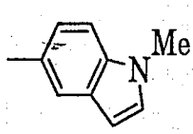
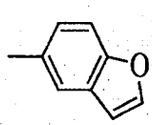
[Table 86]

Compound No.	X^1	R^1	R^2
IX-71	O		—
IX-72	O		—
IX-73	O		—
IX-74	O		—
IX-75	O		—
IX-76	O		—
IX-77	O		—
IX-78	O		—
IX-79	O	NHMe	—
IX-80	O	Me	6-F
IX-81	O	Me	7-F
IX-82	O	Me	5-Cl
IX-83	O	Me	6-Cl
IX-84	O	Me	7-Cl
IX-85	O	Me	8-Cl

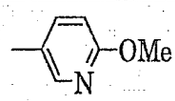
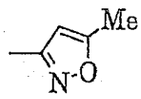
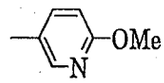
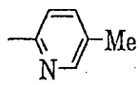
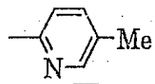
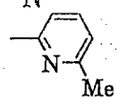
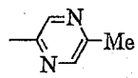
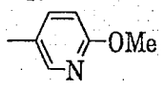
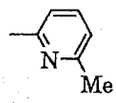
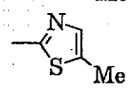
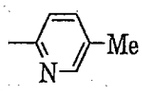
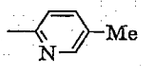
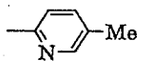
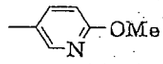
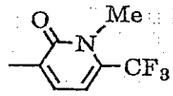
[Table 87]

Compound No.	X^1	R^1	R^2
IX-86	O	CH_2CH_2OMe	8-Cl
IX-87	O	Me	7-OMe
IX-88	O	Me	5-SMe
IX-89	O	Me	7-SMe
IX-90	O	Me	5-SO_2Me
IX-91	O	Me	7-SO_2Me
IX-92	O	Me	6-NO_2
IX-93	O	Me	7-NO_2
IX-94	S	Me	—
IX-95	O		—
IX-96	O		—
IX-97	O		—
IX-98	O		—
IX-99	O		—
IX-100	O		—

[Table 88]

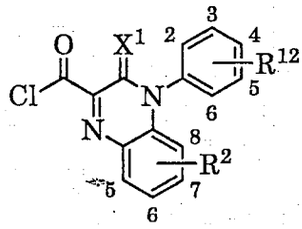
Compound No.	X^1	R^1	R^2
IX-101	O		—
IX-102	O		—
IX-103	O		—
IX-104	O		—
IX-105	O		—
IX-106	O		—
IX-107	O		—
IX-108	O		—
IX-109	O		—
IX-110	O	N(Me)C(=O)Ot-Bu	—

[Table 89]

Compound No.	X^1	R^1	R^2
IX-111	O		5-F
IX-112	O	Bn	6-F
IX-113	O		6-F
IX-114	O	Me	5-CH_2OMe
IX-115	O		5,7-F_2
IX-116	O		7-Cl
IX-117	O	Et	5-Cl
IX-118	O	n-Bu	5-Cl
IX-119	O		7-Me
IX-120	O		6-CF_3
IX-121	O		—
IX-122	O		5-Cl
IX-123	O		6-F
IX-124	O		—
IX-125	O		5-F
IX-126	O		5-Cl
IX-127	O		5-Me
IX-128	O		5-Me
IX-129	O		—

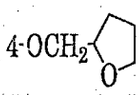
[0103]

[Table 90]



Compound No.	X^1	R^{12}	R^2
X-1	O	—	—
X-2	O	2-F	—
X-3	O	3-F	—
X-4	O	4-F	—
X-5	O	2-Cl	—
X-6	O	3-Cl	—
X-7	O	4-Cl	—
X-8	O	3-Me	—
X-9	O	4-Me	—
X-10	O	3-Et	—
X-11	O	4-Et	—
X-12	O	3-i-Pr	—
X-13	O	4-c-Pr	—
X-14	O	3-CF_3	—
X-15	O	4-CF_3	—
X-16	O	4-OH	—
X-17	O	2-OMe	—
X-18	O	3-OMe	—
X-19	O	4-OMe	—
X-20	O	3-OEt	—
X-21	O	4-OEt	—
X-22	O	3-O-n-Pr	—
X-23	O	3-O-i-Pr	—
X-24	O	4-O-i-Pr	—
X-25	O	4-$OCH_2CH{=}CH_2$	—
X-26	O	4-$OCH_2C{\equiv}CH$	—

[Table 91]

Compound No.	X^1	R^{12}	R^2
X-27	O	3-$OCHF_2$	—
X-28	O	4-$OCHF_2$	—
X-29	O	3-OCF_3	—
X-30	O	4- 	—
X-31	O	3-SMe	—
X-32	O	4-SMe	—
X-33	O	3-SO_2Me	—
X-34	O	4-SO_2Me	—
X-35	O	2-NO_2	—
X-36	O	3-NO_2	—
X-37	O	4-NO_2	—
X-38	O	3-CN	—
X-39	O	4-CN	—
X-40	O	4-C(=O)Me	—
X-41	O	4-C(=O)OMe	—
X-42	O	4-CH_2OMe	—
X-43	O	3-OMe, 4-Cl	—
X-44	O	3-OMe, 4-Me	—
X-45	O	3,4-$(OMe)_2$	—
X-46	O	3,5-$(OMe)_2$	—
X-47	O	2-F, 4-OMe	—
X-48	O	2-Cl, 4-OMe	—
X-49	O	2,4-$(OMe)_2$	—
X-50	O	3-F, 4-OMe	—
X-51	O	3-Cl, 4-OMe	—
X-52	O	3-Me, 4-OMe	—
X-53	O	3,4,5-$(OMe)_3$	—
X-54	O	4-OMe	5-F
X-55	O	4-OMe	6-F
X-56	O	4-OMe	7-F

[Table 92]

Compound No.	X^1	R^{12}	R^2
X-57	O	4-OMe	8-F
X-58	O	—	5-Cl
X-59	O	—	8-Cl
X-60	O	4-OMe	5-Cl
X-61	O	4-OMe	6-Cl
X-62	O	4-OMe	7-Cl
X-63	O	4-OMe	8-Cl
X-64	O	4-OCH_2CN	—
X-65	O	4-OCH_2-c-Pr	—
X-66	O	4-OCH_2CF_3	—
X-67	O	4-NMe_2	—
X-68	O	3,4-Me_2	—
X-69	O	2-F, 4-Me	—
X-70	O	3-F, 4-Me	—
X-71	O	3-Me, 4-F	—
X-72	O	2-Cl, 4-Me	—
X-73	O	3-Cl, 4-Me	—
X-74	O	3-OEt, 4-OMe	—
X-75	O	2,3,4-$(OMe)_3$	—
X-76	O	2,5-F_2, 4-OMe	—
X-77	O	3,5-F_2, 4-OMe	—
X-78	O	3,5-Cl_2, 4-OMe	—
X-79	O	3,4-$(CH_2CH_2CH_2)$-	—
X-80	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
X-81	O	3,4-(CH_2OCH_2)-	—
X-82	O	3,4-(OCH_2O)-	7-F
X-83	O	2,3-(OCH_2CH_2O)-	—
X-84	O	3,4-$(OCH_2CH(Me)O)$-	—
X-85	O	3,4-$(OCH_2CH_2CH_2O)$-	—

[Table 93]

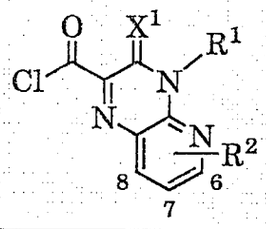
Compound No.	X^1	R^{12}	R^2
X-86	O	—	5-F
X-87	O	3,4,5-$(OMe)_3$	5-F
X-88	O	3,5-F_2, 4-OMe	5-F
X-89	O	3,4-(OCH_2CH_2O)-	5-F
X-90	O	—	6-F
X-91	O	3,4,5-$(OMe)_3$	6-F
X-92	O	3,4-(OCH_2O)-	6-F
X-93	O	3,4-(OCH_2CH_2O)-	6-F
X-94	O	—	7-F
X-95	O	3,4-(OCH_2CH_2O)-	7-F
X-96	O	—	8-F
X-97	O	—	5-Me
X-98	O	4-OMe	5-Me
X-99	O	4-OMe	6-Me
X-100	O	4-OMe	7-Me
X-101	O	3,5-F_2, 4-OMe	7-Me
X-102	O	—	6-CF_3
X-103	O	—	6-OMe
X-104	O	4-OMe	6-OMe
X-105	O	—	7-OMe
X-106	O	4-OMe	7-OMe
X-107	O	2,5-F_2, 4-OMe	7-OMe
X-108	O	3,5-F_2, 4-OMe	7-OMe
X-109	O	—	8-OMe
X-110	O	4-OMe	8-OMe
X-111	O	4-OMe	5,6-F_2
X-112	O	4-OMe	5,7-F_2
X-113	O	—	6,7-F_2

[Table 94]

Compound No.	X^1	R^{12}	R^2
X-114	O	—	6,8-F_2
X-115	O	4-OMe	5,7-Cl_2
X-116	O	4-OMe	6-F, 7-OMe
X-117	O	3-F, 4-OMe	5-Cl
X-118	O	4-OMe	5,6,8-F_3, 7-OMe
X-119	O	—	7-CF_3
X-120	O	4-F	7-OMe
X-121	O	4-$OCHF_2$	7-OMe
X-122	O	4-Me	7-OMe

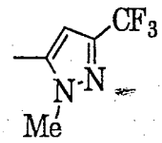
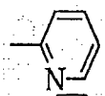
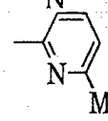
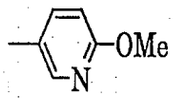
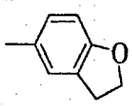
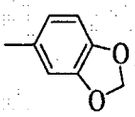
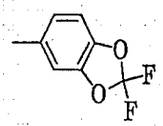
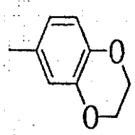
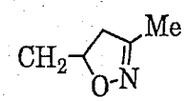
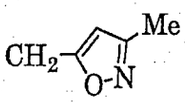
[0104]

[Table 95]

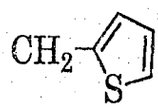
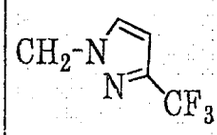
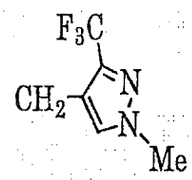
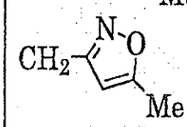
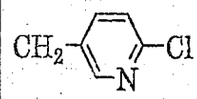
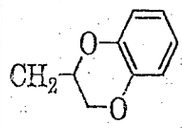
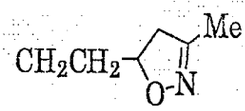
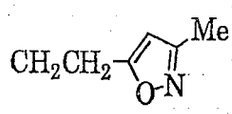


Compound No.	X^1	R^1	R^2
XI-1	O	Me	—
XI-2	O	Et	—
XI-3	O	n-Pr	—
XI-4	O	i-Pr	—
XI-5	O	n-Bu	—
XI-6	O	s-Bu	—
XI-7	O	$CH_2CH=CH_2$	—
XI-8	O	$CH_2C\equiv CH$	—
XI-9	O	CH_2CF_3	—
XI-10	O	CH_2CH_2F	—
XI-11	O	$CH_2OCH_2CF_3$	—
XI-12	O	CH_2SMe	—
XI-13	O	CH_2CH_2OMe	—
XI-14	O	$CH(Me)CH_2OMe$	—
XI-15	O	$CH_2C(=O)OEt$	—
XI-16	O	$CH_2C(=O)Ot\text{-}Bu$	—
XI-17	O	Bn	—
XI-18	O	(2-F)Bn	—
XI-19	O	(2-Cl)Bn	—
XI-20	O	(2-CF_3)Bn	—
XI-21	O	(3-CF_3)Bn	—
XI-22	O	(2-OMe)Bn	—
XI-23	O	CH(Me)Ph	—
XI-24	O		—

[Table 96]

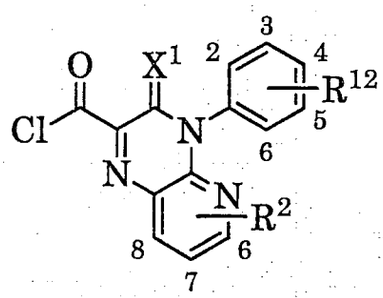
Compound No.	X^1	R^1	R^2
XI-25	O		—
XI-26	O		—
XI-27	O		—
XI-28	O		—
XI-29	O		—
XI-30	O		—
XI-31	O		—
XI-32	O		—
XI-33	O		—
XI-34	O		—
XI-35	O	Me	6-Cl

[Table 97]

Compound No.	X^1	R^1	R^2
XI-36	O	Me	6-OMe
XI-37	O	$CH_2CH_2CH=CH_2$	—
XI-38	O	$CH_2CH_2CH=C(Me)_2$	—
XI-39	O	$CH_2CH_2C\equiv CH$	—
XI-40	O	$CH_2CH_2C(Me)=CF_2$	—
XI-41	O	CH(Me)C(=O)Ot-Bu	—
XI-42	O	(2-$OCHF_2$)Bn	—
XI-43	O	CH_2CH_2Ph	—
XI-44	O		—
XI-45	O		—
XI-46	O		—
XI-47	O		—
XI-48	O		—
XI-49	O		—
XI-50	O		—
XI-51	O		—
XI-52	O	Bn	8-Me
XI-53	O	Bn	7-Me
XI-54	O	Bn	6-Me
XI-55	O	Bn	6-OMe

[0105]

[Table 98]



Compound No.	X^1	R^{12}	R^2
XII-1	O	—	—
XII-2	O	2-F	—
XII-3	O	3-F	—
XII-4	O	4-F	—
XII-5	O	2-Cl	—
XII-6	O	3-Cl	—
XII-7	O	4-Cl	—
XII-8	O	3-Br	—
XII-9	O	4-Br	—
XII-10	O	2-Me	—
XII-11	O	3-Me	—
XII-12	O	4-Me	—
XII-13	O	3-Et	—
XII-14	O	4-Et	—
XII-15	O	4-i-Pr	—
XII-16	O	4-c-Pr	—
XII-17	O	2-CF_3	—
XII-18	O	3-CF_3	—
XII-19	O	4-CF_3	—
XII-20	O	2-OMe	—
XII-21	O	3-OMe	—
XII-22	O	4-OMe	—
XII-23	O	2-$OCHF_2$	—
XII-24	O	3-$OCHF_2$	—
XII-25	O	4-$OCHF_2$	—

[Table 99]

Compound No.	X^1	R^{12}	R^2
XII-26	O	2-OCF_3	—
XII-27	O	3-OCF_3	—
XII-28	O	4-OCF_3	—
XII-29	O	4-SCF_3	—
XII-30	O	3-CN	—
XII-31	O	2,3-F_2	—
XII-32	O	2,4-F_2	—
XII-33	O	2,5-F_2	—
XII-34	O	2,6-F_2	—
XII-35	O	3,4-F_2	—
XII-36	O	3,5-F_2	—
XII-37	O	2,3-Cl_2	—
XII-38	O	2,4-Cl_2	—
XII-39	O	2,5-Cl_2	—
XII-40	O	2,6-Cl_2	—
XII-41	O	3,4-Cl_2	—
XII-42	O	3,5-Cl_2	—
XII-43	O	2,3-$(OMe)_2$	—
XII-44	O	3-OMe, 4-F	—
XII-45	O	3-OMe, 4-Me	—
XII-46	O	3,4-$(OMe)_2$	—
XII-47	O	3-OMe, 5-F	—
XII-48	O	3,5-$(OMe)_2$	—
XII-49	O	2-F, 4-OMe	—
XII-50	O	2,4-$(OMe)_2$	—
XII-51	O	3-F, 4-OMe	—
XII-52	O	3-Cl, 4-OMe	—
XII-53	O	3-Me, 4-OMe	—
XII-54	O	2,5-$(OMe)_2$	—
XII-55	O	3,4,5-$(OMe)_3$	—

[Table 100]

Compound No.	X^1	R^{12}	R^2
XII-56	O	—	6-F
XII-57	O	4-OMe	6-F
XII-58	O	—	6-Cl
XII-59	O	4-OMe	6-Cl
XII-60	O	4-OMe	6-OMe
XII-61	O	2-F,5-CF_3	—
XII-62	O	3-CF_3,4-F	—
XII-63	O	2-F,3-CF_3	—
XII-64	O	3-F,5-CF_3	—
XII-65	O	2,5-$(Me)_2$	—
XII-66	O	3,4-$(Me)_2$	—
XII-67	O	3,5-$(Me)_2$	—
XII-68	O	3,5-$(CF_3)_2$	—
XII-69	O	2,6-$(OMe)_2$	—
XII-70	O	2-F, 3-Cl	—
XII-71	O	2-F, 4-Cl	—
XII-72	O	2-F, 5-Cl	—
XII-73	O	3-F, 4-Cl	—
XII-74	O	4-F, 2-Cl	—
XII-75	O	4-F, 3-Cl	—
XII-76	O	2-F, 3-Me	—
XII-77	O	2-F, 4-Me	—
XII-78	O	2-F, 5-Me	—
XII-79	O	3-F, 2-Me	—
XII-80	O	3-F, 4-Me	—

[Table 101]

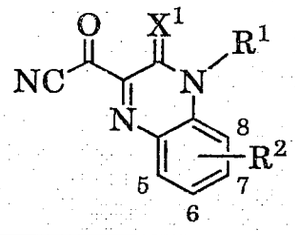
Compound No.	X^1	R^{12}	R^2
XII-81	O	3-F, 5-Me	—
XII-82	O	4-F, 2-Me	—
XII-83	O	4-F, 3-Me	—
XII-84	O	5-F, 2-Me	—
XII-85	O	2-F, 4-CF_3	—
XII-86	O	3-F, 4-CF_3	—
XII-87	O	4-F, 2-CF_3	—
XII-88	O	3-F, 2-OMe	—
XII-89	O	4-F, 2-OMe	—
XII-90	O	5-F, 2-OMe	—
XII-91	O	2-F, 4-$OCHF_2$	—
XII-92	O	3-F, 4-$OCHF_2$	—
XII-93	O	4-F, 2-$OCHF_2$	—
XII-94	O	4-F, 3-CN	—
XII-95	O	2-Cl, 4-Me	—
XII-96	O	3-Cl, 4-Me	—
XII-97	O	3-Cl, 4-$OCHF_2$	—
XII-98	O	4-Me, 3-CF_3	—
XII-99	O	4-Me, 2-OMe	—
XII-100	O	3-Me, 4-CN	—
XII-101	O	4-Me, 3-CN	—
XII-102	O	2,3,4-F_3	—
XII-103	O	2,3,5-F_3	—
XII-104	O	2,4,5-F_3	—
XII-105	O	3,4,5-F_3	—
XII-106	O	2,3-F_2, 4-Me	—
XII-107	O	2,6-F_2, 4-OMe	—

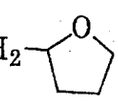
[Table 102]

Compound No.	X^1	R^{12}	R^2
XII-108	O	3,5-F_2, 4-OMe	—
XII-109	O	4-F, 2-Cl, 5-Me	—
XII-110	O	—	7-Cl
XII-111	O	—	6-Me
XII-112	O	—	7-Me
XII-113	O	—	8-Me
XII-114	O	3-Me	8-Me
XII-115	O	3-CF_3	8-Me
XII-116	O	4-OMe	8-Me
XII-117	O	3-F, 4-Me	8-Me
XII-118	O	3-F, 4-OMe	8-Me
XII-119	O	—	8-OMe
XII-120	O	3-CF_3	8-OMe
XII-121	O	3-F, 4-Me	8-Cl
XII-122	O	3-F, 4-Me	6-F
XII-123	O	3-F, 4-Me	6-Cl
XII-124	O	3-F, 4-Me	7-Me
XII-125	O	3-F, 4-Me	6-Me
XII-126	O	—	8-Cl
XII-127	O	3-F, 4-Me	6-OMe
XII-128	O	3-OEt	—
XII-129	O	4-OEt	—
XII-130	O	4-OMe	8-Cl
XII-131	O	—	6-SMe
XII-132	O	3,4-(OCH_2CH_2O)-	6-OMe
XII-133	O	3-F, 4-OEt	—
XII-134	O	3,4-(OCH_2O)-	8-Cl

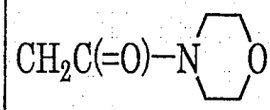
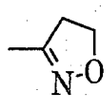
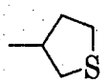
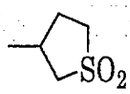
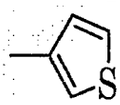
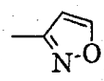
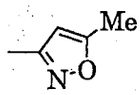
[0106]

[Table 103]

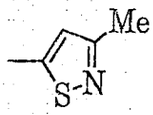
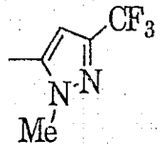
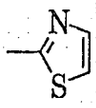
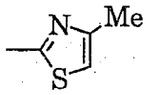
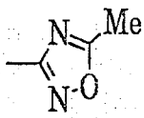
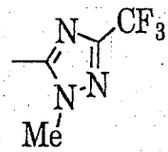
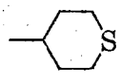
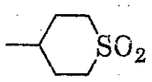
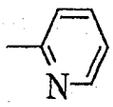
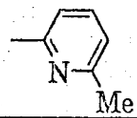


Compound No.	X^1	R^1	R^2
XIII-1	O	Me	—
XIII-2	O	Et	—
XIII-3	O	n-Pr	—
XIII-4	O	i-Pr	—
XIII-5	O	c-Pr	—
XIII-6	O	n-Bu	—
XIII-7	O	t-Bu	—
XIII-8	O	c-Pen	—
XIII-9	O	n-Hex	—
XIII-10	O	$CH_2CH=CH_2$	—
XIII-11	O	$CH_2C\equiv CH$	—
XIII-12	O	CH_2CF_3	—
XIII-13	O	CH_2OMe	—
XIII-14	O	CH_2OEt	—
XIII-15	O	CH_2OPh	—
XIII-16	O	$CH_2OCH_2CH_2OMe$	—
XIII-17	O	$CH_2OCH_2CF_3$	—
XIII-18	O		—
XIII-19	O	$CH_2OCH_2CH_2SO_2Me$	—
XIII-20	O	$CH_2OCH_2CH_2CN$	—
XIII-21	O	$CH_2OC(=O)t\text{-}Bu$	—
XIII-22	O	CH_2SMe	—
XIII-23	O	CH_2SEt	—
XIII-24	O	CH_2SO_2Me	—
XIII-25	O	CH_2SO_2Et	—
XIII-26	O	CH_2CH_2OMe	—
XIII-27	O	$CH(Me)CH_2OMe$	—
XIII-28	O	CH_2CH_2SMe	—
XIII-29	O	$CH_2CH_2SO_2Me$	—
XIII-30	O	$CH_2CH_2CH_2OMe$	—

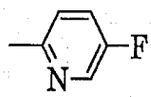
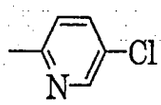
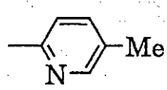
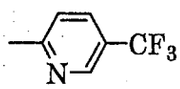
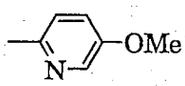
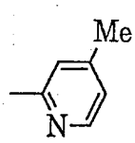
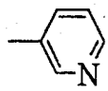
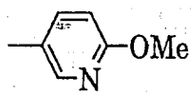
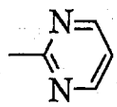
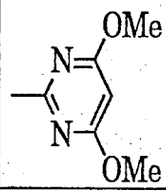
[Table 104]

Compound No.	X^1	R^1	R^2
XIII-31	O	$CH_2C(=O)Me$	—
XIII-32	O	$CH_2C(=O)O$-t-Bu	—
XIII-33	O	$CH_2C(=O)NMe_2$	—
XIII-34	O		—
XIII-35	O	CH_2CN	—
XIII-36	O	CH_2CH_2CN	—
XIII-37	O	Bn	—
XIII-38	O	(2-Cl)Bn	—
XIII-39	O	(3-Cl)Bn	—
XIII-40	O	(4-Cl)Bn	—
XIII-41	O	(2-OMe)Bn	—
XIII-42	O	(3-OMe)Bn	—
XIII-43	O	(4-OMe)Bn	—
XIII-44	O	(2,6-$(OMe)_2$)Bn	—
XIII-45	O		—
XIII-46	O		—
XIII-47	O		—
XIII-48	O		—
XIII-49	O		—
XIII-50	O		—

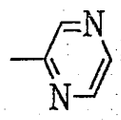
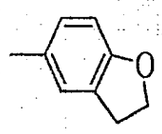
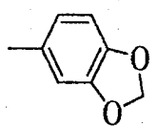
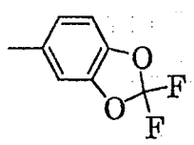
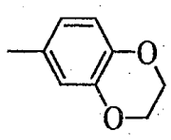
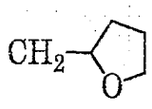
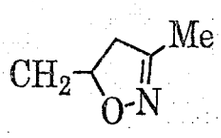
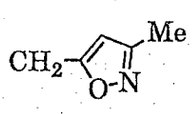
[Table 105]

Compound No.	X^1	R^1	R^2
XIII-51	O		—
XIII-52	O		—
XIII-53	O		—
XIII-54	O		—
XIII-55	O		—
XIII-56	O		—
XIII-57	O		—
XIII-58	O		—
XIII-59	O		—
XIII-60	O		—

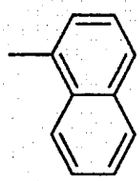
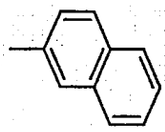
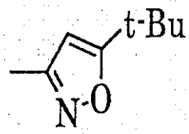
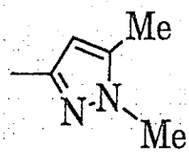
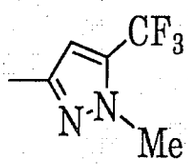
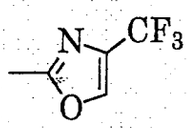
[Table 106]

Compound No.	X^1	R^1	R^2
XIII-61	O		—
XIII-62	O		—
XIII-63	O		—
XIII-64	O		—
XIII-65	O		—
XIII-66	O		—
XIII-67	O		—
XIII-68	O		—
XIII-69	O		—
XIII-70	O		—

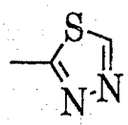
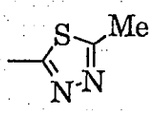
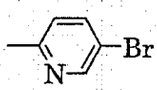
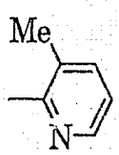
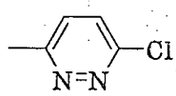
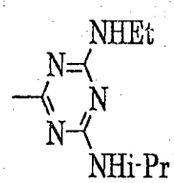
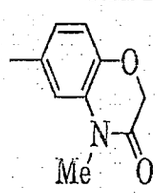
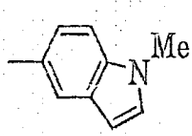
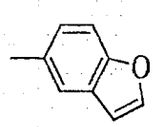
[Table 107]

Compound No.	X^1	R^1	R^2
XIII-71	O		—
XIII-72	O		—
XIII-73	O		—
XIII-74	O		—
XIII-75	O		—
XIII-76	O		—
XIII-77	O		—
XIII-78	O		—
XIII-79	O	NHMe	—
XIII-80	O	Me	6-F
XIII-81	O	Me	7-F
XIII-82	O	Me	5-Cl
XIII-83	O	Me	6-Cl
XIII-84	O	Me	7-Cl
XIII-85	O	Me	8-Cl

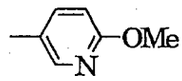
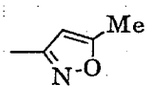
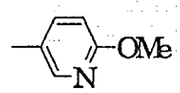
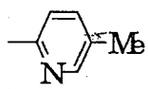
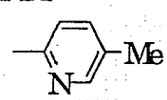
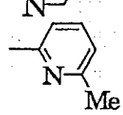
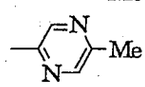
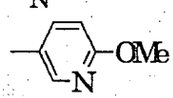
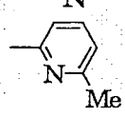
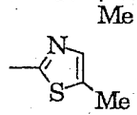
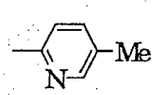
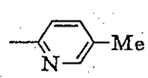
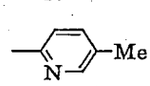
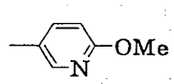
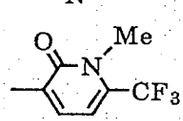
[Table 108]

Compound No.	X^1	R^1 *	R^2
XIII-86	O	CH_2CH_2OMe	8-Cl
XIII-87	O	Me	7-OMe
XIII-88	O	Me	5-SMe
XIII-89	O	Me	7-SMe
XIII-90	O	Me	5-SO_2Me
XIII-91	O	Me	7-SO_2Me
XIII-92	O	Me	6-NO_2
XIII-93	O	Me	7-NO_2
XIII-94	S	Me	—
XIII-95	O		—
XIII-96	O		—
XIII-97	O		—
XIII-98	O		—
XIII-99	O		—
XIII-100	O		—

[Table 109]

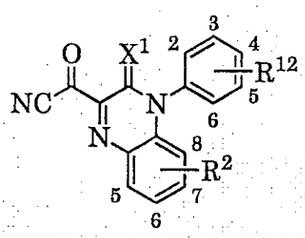
Compound No.	X^1	R^1	R^2
XIII-101	O		—
XIII-102	O		—
XIII-103	O		—
XIII-104	O		—
XIII-105	O		—
XIII-106	O		—
XIII-107	O		—
XIII-108	O		—
XIII-109	O		—
XIII-110	O	N(Me)C(=O)Ot-Bu	—

[Table 110]

Compound No.	X^1	R^1	R^2
XIII-111	O		5-F
XIII-112	O	Bn	6-F
XIII-113	O		6-F
XIII-114	O	Me	5-CH_2OMe
XIII-115	O		5,7-F_2
XIII-116	O		7-Cl
XIII-117	O	Et	5-Cl
XIII-118	O	n-Bu	5-Cl
XIII-119	O		7-Me
XIII-120	O		6-CF_3
XIII-121	O		—
XIII-122	O		5-Cl
XIII-123	O		6-F
XIII-124	O		—
XIII-125	O		5-F
XIII-126	O		5-Cl
XIII-127	O		5-Me
XIII-128	O		5-Me
XIII-129	O		—

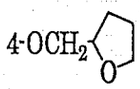
[0107]

[Table 111]



Compound No.	X^1	R^{12}	R^2
XIV-1	O	—	—
XIV-2	O	2-F	—
XIV-3	O	3-F	—
XIV-4	O	4-F	—
XIV-5	O	2-Cl	—
XIV-6	O	3-Cl	—
XIV-7	O	4-Cl	—
XIV-8	O	3-Me	—
XIV-9	O	4-Me	—
XIV-10	O	3-Et	—
XIV-11	O	4-Et	—
XIV-12	O	3-i-Pr	—
XIV-13	O	4-c-Pr	—
XIV-14	O	3-CF_3	—
XIV-15	O	4-CF_3	—
XIV-16	O	4-OH	—
XIV-17	O	2-OMe	—
XIV-18	O	3-OMe	—
XIV-19	O	4-OMe	—
XIV-20	O	3-OEt	—
XIV-21	O	4-OEt	—
XIV-22	O	3-O-n-Pr	—
XIV-23	O	3-O-i-Pr	—
XIV-24	O	4-O-i-Pr	—
XIV-25	O	4-$OCH_2CH{=}CH_2$	—
XIV-26	O	4-$OCH_2C{\equiv}CH$	—

[Table 112]

Compound No.	X^1	R^{12}	R^2
XIV-27	O	3-$OCHF_2$	—
XIV-28	O	4-$OCHF_2$	—
XIV-29	O	3-OCF_3	—
XIV-30	O		—
XIV-31	O	3-SMe	—
XIV-32	O	4-SMe	—
XIV-33	O	3-SO_2Me	—
XIV-34	O	4-SO_2Me	—
XIV-35	O	2-NO_2	—
XIV-36	O	3-NO_2	—
XIV-37	O	4-NO_2	—
XIV-38	O	3-CN	—
XIV-39	O	4-CN	—
XIV-40	O	4-C(=O)Me	—
XIV-41	O	4-C(=O)OMe	—
XIV-42	O	4-CH_2OMe	—
XIV-43	O	3-OMe, 4-Cl	—
XIV-44	O	3-OMe, 4-Me	—
XIV-45	O	3,4-$(OMe)_2$	—
XIV-46	O	3,5-$(OMe)_2$	—
XIV-47	O	2-F, 4-OMe	—
XIV-48	O	2-Cl, 4-OMe	—
XIV-49	O	2,4-$(OMe)_2$	—
XIV-50	O	3-F, 4-OMe	—
XIV-51	O	3-Cl, 4-OMe	—
XIV-52	O	3-Me, 4-OMe	—
XIV-53	O	3,4,5-$(OMe)_3$	—
XIV-54	O	4-OMe	5-F
XIV-55	O	4-OMe	6-F
XIV-56	O	4-OMe	7-F

[Table 113]

Compound No.	X^1	R^{12}	R^2
XIV-57	O	4-OMe	8-F
XIV-58	O	—	5-Cl
XIV-59	O	—	8-Cl
XIV-60	O	4-OMe	5-Cl
XIV-61	O	4-OMe	6-Cl
XIV-62	O	4-OMe	7-Cl
XIV-63	O	4-OMe	8-Cl
XIV-64	O	4-OCH_2CN	—
XIV-65	O	4-OCH_2-c-Pr	—
XIV-66	O	4-OCH_2CF_3	—
XIV-67	O	4-NMe_2	—
XIV-68	O	3,4-Me_2	—
XIV-69	O	2-F, 4-Me	—
XIV-70	O	3-F, 4-Me	—
XIV-71	O	3-Me, 4-F	—
XIV-72	O	2-Cl, 4-Me	—
XIV-73	O	3-Cl, 4-Me	—
XIV-74	O	3-OEt, 4-OMe	—
XIV-75	O	2,3,4-$(OMe)_3$	—
XIV-76	O	2,5-F_2, 4-OMe	—
XIV-77	O	3,5-F_2, 4-OMe	—
XIV-78	O	3,5-Cl_2, 4-OMe	—
XIV-79	O	3,4-$(CH_2CH_2CH_2)$-	—
XIV-80	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
XIV-81	O	3,4-(CH_2OCH_2)-	—
XIV-82	O	3,4-(OCH_2O)-	7-F
XIV-83	O	2,3-(OCH_2CH_2O)-	—
XIV-84	O	3,4-$(OCH_2CH(Me)O)$-	—
XIV-85	O	3,4-$(OCH_2CH_2CH_2O)$-	—

[Table 114]

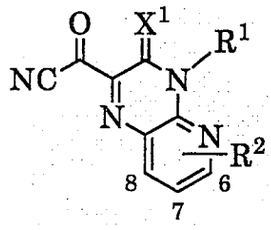
Compound No.	X^1	R^{12}	R^2
XIV-86	O	—	5-F
XIV-87	O	3,4,5-$(OMe)_3$	5-F
XIV-88	O	3,5-F_2, 4-OMe	5-F
XIV-89	O	3,4-(OCH_2CH_2O)-	5-F
XIV-90	O	—	6-F
XIV-91	O	3,4,5-$(OMe)_3$	6-F
XIV-92	O	3,4-(OCH_2O)-	6-F
XIV-93	O	3,4-(OCH_2CH_2O)-	6-F
XIV-94	O	—	7-F
XIV-95	O	3,4-(OCH_2CH_2O)-	7-F
XIV-96	O	—	8-F
XIV-97	O	—	5-Me
XIV-98	O	4-OMe	5-Me
XIV-99	O	4-OMe	6-Me
XIV-100	O	4-OMe	7-Me
XIV-101	O	3,5-F_2, 4-OMe	7-Me
XIV-102	O	—	6-CF_3
XIV-103	O	—	6-OMe
XIV-104	O	4-OMe	6-OMe
XIV-105	O	—	7-OMe
XIV-106	O	4-OMe	7-OMe
XIV-107	O	2,5-F_2, 4-OMe	7-OMe
XIV-108	O	3,5-F_2, 4-OMe	7-OMe
XIV-109	O	—	8-OMe
XIV-110	O	4-OMe	8-OMe
XIV-111	O	4-OMe	5,6-F_2
XIV-112	O	4-OMe	5,7-F_2
XIV-113	O	—	6,7-F_2

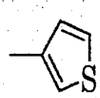
[Table 115]

Compound No.	X^1	R^{12}	R^2
XIV-114	O	—	6,8-F_2
XIV-115	O	4-OMe	5,7-Cl_2
XIV-116	O	4-OMe	6-F, 7-OMe
XIV-117	O	3-F, 4-OMe	5-Cl
XIV-118	O	4-OMe	5,6,8-F_3, 7-OMe
XIV-119	O	—	7-CF_3
XIV-120	O	4-F	7-OMe
XIV-121	O	4-$OCHF_2$	7-OMe
XIV-122	O	4-Me	7-OMe
XIV-123	O	4-OMe	5-Br
XIV-124	O	4-F	5-F
XIV-125	O	3-F, 4-OEt	—

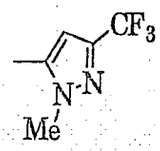
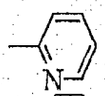
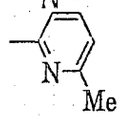
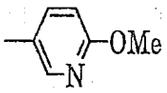
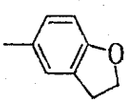
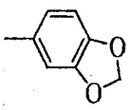
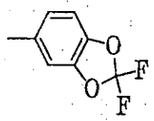
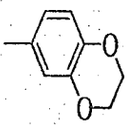
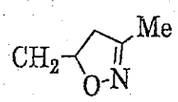
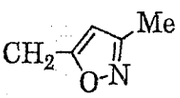
[0108]

[Table 116]

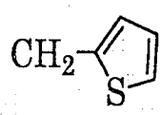
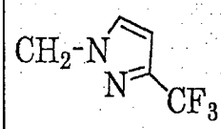
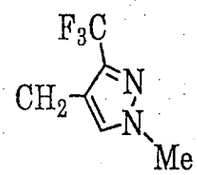
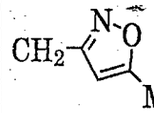
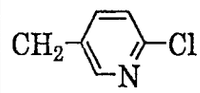
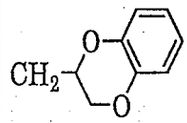
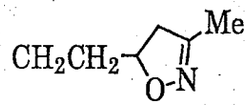
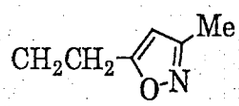


Compound No.	X^1	R^1	R^2
XV-1	O	Me	—
XV-2	O	Et	—
XV-3	O	n-Pr	—
XV-4	O	i-Pr	—
XV-5	O	n-Bu	—
XV-6	O	s-Bu	—
XV-7	O	$CH_2CH=CH_2$	—
XV-8	O	$CH_2C\equiv CH$	—
XV-9	O	CH_2CF_3	—
XV-10	O	CH_2CH_2F	—
XV-11	O	$CH_2OCH_2CF_3$	—
XV-12	O	CH_2SMe	—
XV-13	O	CH_2CH_2OMe	—
XV-14	O	$CH(Me)CH_2OMe$	—
XV-15	O	$CH_2C(=O)OEt$	—
XV-16	O	$CH_2C(=O)Ot\text{-}Bu$	—
XV-17	O	Bn	—
XV-18	O	(2-F)Bn	—
XV-19	O	(2-Cl)Bn	—
XV-20	O	$(2\text{-}CF_3)Bn$	—
XV-21	O	$(3\text{-}CF_3)Bn$	—
XV-22	O	(2-OMe)Bn	—
XV-23	O	CH(Me)Ph	—
XV-24	O		—

[Table 117]

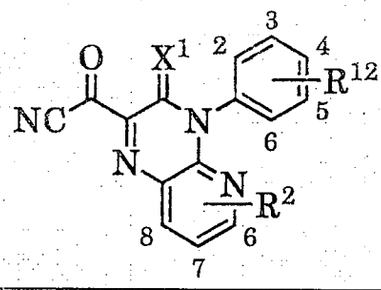
Compound No.	X^1	R^1	R^2
XV-25	O		—
XV-26	O		—
XV-27	O		—
XV-28	O		—
XV-29	O		—
XV-30	O		—
XV-31	O		—
XV-32	O		—
XV-33	O		—
XV-34	O		—
XV-35	O	Me	6-Cl

[Table 118]

Compound No.	X^1	R^1	R^2
XV-36	O	Me	6-OMe
XV-37	O	$CH_2CH_2CH=CH_2$	—
XV-38	O	$CH_2CH_2CH=C(Me)_2$	—
XV-39	O	$CH_2CH_2C\equiv CH$	—
XV-40	O	$CH_2CH_2C(Me)=CF_2$	—
XV-41	O	CH(Me)C(=O)Ot-Bu	—
XV-42	O	(2-$OCHF_2$)Bn	—
XV-43	O	CH_2CH_2Ph	—
XV-44	O		—
XV-45	O		—
XV-46	O		—
XV-47	O		—
XV-48	O		—
XV-49	O		—
XV-50	O		—
XV-51	O		—
XV-52	O	Bn	8-Me
XV-53	O	Bn	7-Me
XV-54	O	Bn	6-Me
XV-55	O	Bn	6-OMe

[0109]

[Table 119]



Compound No.	X^1	R^{12}	R^2
XVI-1	O	—	—
XVI-2	O	2-F	—
XVI-3	O	3-F	—
XVI-4	O	4-F	—
XVI-5	O	2-Cl	—
XVI-6	O	3-Cl	—
XVI-7	O	4-Cl	—
XVI-8	O	3-Br	—
XVI-9	O	4-Br	—
XVI-10	O	2-Me	—
XVI-11	O	3-Me	—
XVI-12	O	4-Me	—
XVI-13	O	3-Et	—
XVI-14	O	4-Et	—
XVI-15	O	4-i-Pr	—
XVI-16	O	4-c-Pr	—
XVI-17	O	2-CF_3	—
XVI-18	O	3-CF_3	—
XVI-19	O	4-CF_3	—
XVI-20	O	2-OMe	—
XVI-21	O	3-OMe	—
XVI-22	O	4-OMe	—
XVI-23	O	2-$OCHF_2$	—
XVI-24	O	3-$OCHF_2$	—
XVI-25	O	4-$OCHF_2$	—

[Table 120]

Compound No.	X^1	R^{12}	R^2
XVI-26	O	2-OCF_3	—
XVI-27	O	3-OCF_3	—
XVI-28	O	4-OCF_3	—
XVI-29	O	4-SCF_3	—
XVI-30	O	3-CN	—
XVI-31	O	2,3-F_2	—
XVI-32	O	2,4-F_2	—
XVI-33	O	2,5-F_2	—
XVI-34	O	2,6-F_2	—
XVI-35	O	3,4-F_2	—
XVI-36	O	3,5-F_2	—
XVI-37	O	2,3-Cl_2	—
XVI-38	O	2,4-Cl_2	—
XVI-39	O	2,5-Cl_2	—
XVI-40	O	2,6-Cl_2	—
XVI-41	O	3,4-Cl_2	—
XVI-42	O	3,5-Cl_2	—
XVI-43	O	2,3-$(OMe)_2$	—
XVI-44	O	3-OMe, 4-F	—
XVI-45	O	3-OMe, 4-Me	—
XVI-46	O	3,4-$(OMe)_2$	—
XVI-47	O	3-OMe, 5-F	—
XVI-48	O	3,5-$(OMe)_2$	—
XVI-49	O	2-F, 4-OMe	—
XVI-50	O	2,4-$(OMe)_2$	—
XVI-51	O	3-F, 4-OMe	—
XVI-52	O	3-Cl, 4-OMe	—
XVI-53	O	3-Me, 4-OMe	—
XVI-54	O	2,5-$(OMe)_2$	—
XVI-55	O	3,4,5-$(OMe)_3$	—

[Table 121]

Compound No.	X^1	R^{12}	R^2
XVI-56	O	—	6-F
XVI-57	O	4-OMe	6-F
XVI-58	O	—	6-Cl
XVI-59	O	4-OMe	6-Cl
XVI-60	O	4-OMe	6-OMe
XVI-61	O	2-F,5-CF_3	—
XVI-62	O	3-CF_3,4-F	—
XVI-63	O	2-F,3-CF_3	—
XVI-64	O	3-F,5-CF_3	—
XVI-65	O	2,5-$(Me)_2$	—
XVI-66	O	3,4-$(Me)_2$	—
XVI-67	O	3,5-$(Me)_2$	—
XVI-68	O	3,5-$(CF_3)_2$	—
XVI-69	O	2,6-$(OMe)_2$	—
XVI-70	O	2-F, 3-Cl	—
XVI-71	O	2-F, 4-Cl	—
XVI-72	O	2-F, 5-Cl	—
XVI-73	O	3-F, 4-Cl	—
XVI-74	O	4-F, 2-Cl	—
XVI-75	O	4-F, 3-Cl	—
XVI-76	O	2-F, 3-Me	—
XVI-77	O	2-F, 4-Me	—
XVI-78	O	2-F, 5-Me	—
XVI-79	O	3-F, 2-Me	—
XVI-80	O	3-F, 4-Me	—

[Table 122]

Compound No.	X^1	R^{12}	R^2
XVI-81	O	3-F, 5-Me	—
XVI-82	O	4-F, 2-Me	—
XVI-83	O	4-F, 3-Me	—
XVI-84	O	5-F, 2-Me	—
XVI-85	O	2-F, 4-CF_3	—
XVI-86	O	3-F, 4-CF_3	—
XVI-87	O	4-F, 2-CF_3	—
XVI-88	O	3-F, 2-OMe	—
XVI-89	O	4-F, 2-OMe	—
XVI-90	O	5-F, 2-OMe	—
XVI-91	O	2-F, 4-$OCHF_2$	—
XVI-92	O	3-F, 4-$OCHF_2$	—
XVI-93	O	4-F, 2-$OCHF_2$	—
XVI-94	O	4-F, 3-CN	—
XVI-95	O	2-Cl, 4-Me	—
XVI-96	O	3-Cl, 4-Me	—
XVI-97	O	3-Cl, 4-$OCHF_2$	—
XVI-98	O	4-Me, 3-CF_3	—
XVI-99	O	4-Me, 2-OMe	—
XVI-100	O	3-Me, 4-CN	—
XVI-101	O	4-Me, 3-CN	—
XVI-102	O	2,3,4-F_3	—
XVI-103	O	2,3,5-F_3	—
XVI-104	O	2,4,5-F_3	—
XVI-105	O	3,4,5-F_3	—
XVI-106	O	2,3-F_2, 4-Me	—
XVI-107	O	2,6-F_2, 4-OMe	—

[Table 123]

Compound No.	X^1	R^{12}	R^2
XVI-108	O	3,5-F_2, 4-OMe	—
XVI-109	O	4-F, 2-Cl, 5-Me	—
XVI-110	O	—	7-Cl
XVI-111	O	—	6-Me
XVI-112	O	—	7-Me
XVI-113	O	—	8-Me
XVI-114	O	3-Me	8-Me
XVI-115	O	3-CF_3	8-Me
XVI-116	O	4-OMe	8-Me
XVI-117	O	3-F, 4-Me	8-Me
XVI-118	O	3-F, 4-OMe	8-Me
XVI-119	O	—	8-OMe
XVI-120	O	3-CF_3	8-OMe
XVI-121	O	3-F, 4-Me	8-Cl
XVI-122	O	3-F, 4-Me	6-F
XVI-123	O	3-F, 4-Me	6-Cl
XVI-124	O	3-F, 4-Me	7-Me
XVI-125	O	3-F, 4-Me	6-Me
XVI-126	O	—	8-Cl
XVI-127	O	3-F, 4-Me	6-OMe
XVI-128	O	3-OEt	—
XVI-129	O	4-OEt	—
XVI-130	O	4-OMe	3-Cl
XVI-131	O	—	6-SMe
XVI-132	O	3,4-(OCH_2CH_2O)-	6-OMe
XVI-133	O	3-F, 4-OEt	—
XVI-134	O	3,4-(OCH_2O)-	8-Cl

[0110]

Representative methods for producing the compound of the present invention represented by formula [I] will be-yllustrated below, but the method is not to be limited to these methods.

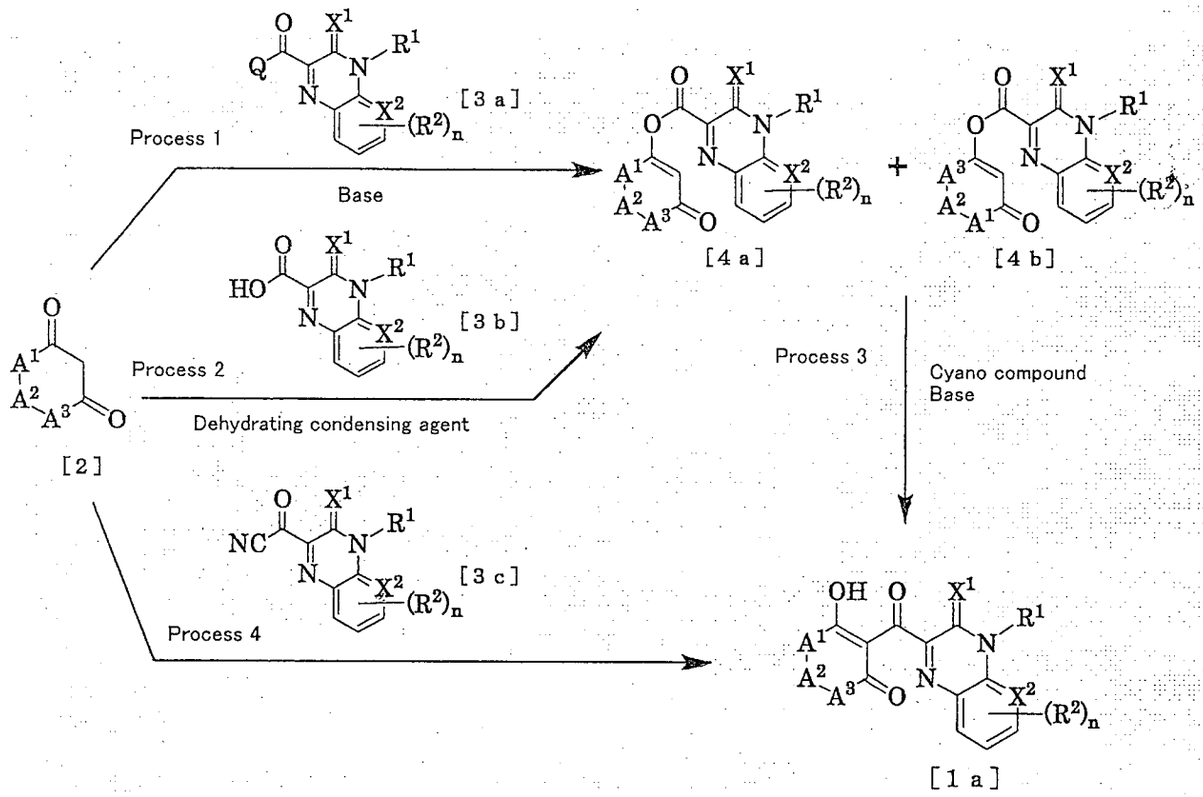
[0111]

<Production Method 1>

The compound of the present invention represented by the following formula [1a] can be produced by the methods based on

the reaction scheme as-yllustrated in the following.

[Chemical Formula 12]



wherein R^1, R^2, A^1, A^2, A^3, n, X^1 and X^2 respectively have the same meanings as defined above; and Q represents a leaving group such as halogen, an alkylcarbonyloxy group, an alkoxycarbonyloxy group, a haloalkylcarbonyloxy group, a haloalkoxycarbonyloxy group, a benzoyloxy group, a pyridyl group or an imidazolyl group.

[0112]

(Process 1)

Enol ester compounds represented by formulas [4a] and [4b] can be produced by allowing a compound represented by formula [2] to react with a compound represented by formula [3a] in a solvent in the presence of a base.

(Hereinafter, for example, the "compound represented by formula [2]" may also be simply described as "formula [2].")

The amount of use of the formula [3a] as used herein may be appropriately selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on 1 mole of the formula [2].

As the base that can be used in the present process, for example, organic amines such as triethylamine, pyridine, 4-dimethylaminopyridine, N,N-dimethylaniline and 1,8-diazabicyclo[5.4.0]-7-undecene; carboxylic acid metal salts represented by metal carbonates such as sodium carbonate, potassium carbonate, magnesium carbonate and calcium carbonate; metal hydrogen carbonates such as sodium hydrogen carbonate and potassium hydrogen carbonate; and metal acetates such as sodium acetate, potassium acetate, calcium acetate and magnesium acetate; metal alkoxides such as sodium methoxide, sodium ethoxide, sodium tertiary butoxide, potassium methoxide and potassium tertiary butoxide; metal hydroxides such as sodium hydroxide, potassium hydroxide, calcium hydroxide and magnesium hydroxide; metal hydrides such as lithium hydride, sodium hydride, potassium hydride and calcium hydride; and the like may be included.

The amount of use of the base may be appropriately selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the formula [2].

[0113]

The solvent that can be used in the present process may be any solvent as long as it does not inhibit the progress of the present reaction, and for example, nitriles such as acetonitrile; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, monoglyme and diglyme; halogenated hydrocarbons such as dichloroethane, chloroform, tetrachlorocarbon and tetrachloroethane; aromatic hydrocarbons such as benzene, chlorobenzene, nitrobenzene and toluene; amides such as

N,N-dimethylformamide and N,N-dimethylacetamide; imidazolinones such as 1,3-dimethyl-2-imidazolinone; sulfur compounds such as dimethylsulfoxide; and the like can be used. Further, solvent mixtures of these can also be used.

The amount of use of the solvent is 0.01 to 100 L, and preferably 0.1 to 10 L, based on the formula [2].

The reaction temperature may be selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C.

[0114]

Furthermore, the reaction can be performed using a phase transfer catalyst such as a quaternary ammonium salt. In the case of using a phase transfer catalyst, the amount of use thereof is 0.0001 to 1.0 mole, and preferably 0.001 to 0.1 moles, based on one mole of the formula [2].

The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 48 hours.

The compounds of formula [4a] and formula [4b], which are the target products of the reaction, can be collected from the reaction system by a conventional method after completion of the reaction, and then can be purified by operations such as column chromatography and recrystallization, as necessary.

[0115]

(Process 2)

The formulas [4a] and [4b] can also be produced by allowing the formula [2] and the formula [3b] to react in a solvent in the presence of a dehydrating condensing agent in the presence or absence of a base.

The amount of use of the formula [3b] as used in the present process may be appropriately selected in the range of 0.5 to 10

moles, and preferably 1.0 to 1.2 moles, based on one mole of the formula [2].

As the dehydrating condensing agent, dicyclohexylcarbodiimide (DCC), N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide (EDC or WSC), N,N-carbonyldiimidazole, 2-chloro-1,3-dimethylimidazolium chloride, 2-chloro-1-pyridinium iodide and the like can be used.

The base and the solvent that can be used in the present process may be exemplified by those described in the Process 1.

The amount of the base used in the reaction of the present process is 0 to 100 moles, and preferably 0 to 10 moles, based on one mole of the formula [2].

The amount of use of the solvent is 0.01 to 100 L, and preferably 0.1 to 10 L, based on one mole of the formula [2].

The reaction temperature may be appropriately selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C.

The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 48 hours.

[0116]

(Process 3)

The formula [1a] can be produced by reacting the formula [4a] and the formula [4b] produced in the process 2 or 3, with a cyano compound in the presence of a base.

The base that can be used in the present process may be exemplified by the same bases as those described with regard to the process 1.

The amount of use of the base may be appropriately selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the formula [4a] and formula [4b].

The cyano compound that can be used in the present process may be exemplified by potassium cyanide, sodium cyanide, acetone cyanohydrin, hydrogen cyanide, a polymer carrying hydrogen cyanide, and the like.

The amount of use of the cyano compound may be appropriately selected in the range of 0.01 to 1.0 moles, and preferably 0.05 to 0.2 moles, based on one mole of the formulas [4a] and [4b].

Furthermore, in the present process, a phase transfer catalyst such as crown ether may also be used.

The amount of use of the phase transfer catalyst is 0.001 to 10 moles, and preferably 0.01 to 1.0 mole, based on one mole of the formulas [4a] and [4b].

The solvent that can be used in the present reaction may be exemplified by the same solvents as those described with regard to the process 1, and the amount of use thereof is 0.01 to 100 L, and preferably 0.1 to 10 L, based on one mole of the formulas [4a] and [4b].

The reaction temperature may be selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C.

The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 48 hours.

Additionally, in the present process, the formula [1a] can still be produced, even if the formulas [4a] and [4b] produced in the process 1 or process 2 are used without being isolated.

[0117]

(Process 4)

The compound of the formula [1a] can also be produced by reacting the formula [2] with the formula [3c] in the presence of a base or a Lewis acid. In addition, the production

intermediate of the formula [3c] can be produced by reacting a compound represented by formula [3a-1] with a cyanogenating agent. The amount of use of the formula [3c] used in the present process may be appropriately selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the formula [2].

The Lewis acid that can be used includes zinc chloride, aluminum chloride and the like.

In the case of using a Lewis acid, the amount of use of the Lewis acid may be appropriately selected in the range of 0.01 to 100 moles, and preferably 0.1 to 10 moles, based on one mole of the formula [2].

The base that can be used in the present process may be exemplified by the same bases as those described with regard to the process 1.

In the case of using a base, the amount of use of the base may be appropriately selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the formula [2].

The solvent that can be used in the present process may be exemplified by the same solvents as those described with regard to the process 1, and the amount of use thereof is 0.01 to 100 L, and preferably 0.1 to 10 L, based on one mole of the formula [2].

The reaction temperature may be selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C.

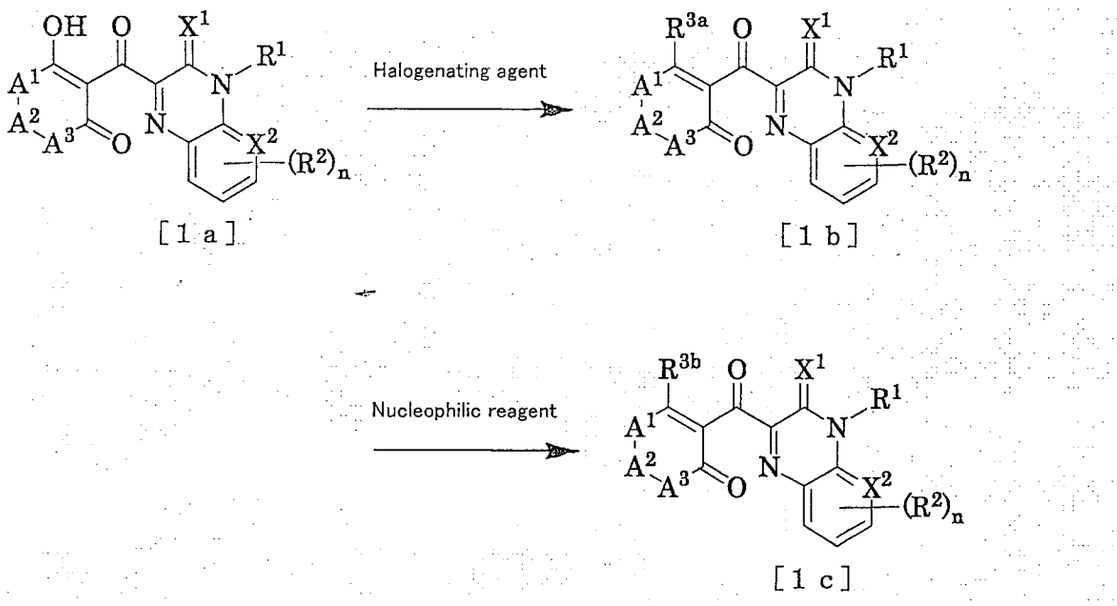
The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 48 hours.

[0118]

<Production method 2>

Furthermore, compounds represented by formula [1b] and [1c] of the present invention can be produced from the compound represented by the formula [1a] of the present invention, according to the following production method.

[Chemical Formula 13]



[1a] [1b] [1c]

wherein X^1, X^2, R^1, R^2, A^1, A^2, A^3 and n respectively have the same meanings as defined above; R^{3a} represents a halogen atom such as chlorine or bromine; and R^{3b} represents an amino group, a C_1-C_6 alkylthio group, a C_1-C_6 alkyl-C_1-C_6 haloalkylthio group, a C_2-C_6 alkenylthio group, a C_2-C_6 alkynylthio group, a C_1-C_6 alkylcarbonyloxy group, a C_2-C_6 alkenylcarbonyloxy group, a C_2-C_6 alkynylcarbonyloxy group, a phenoxy group (the group may be substituted with one or two or more identical or different R^{14}s), a phenylthio group (the group may be substituted with one or two or more identical or different R^{14}s), a phenylcarbonyloxy group (the group may be substituted with one or two or more identical or different R^{14}s), a 1,2,4-triazol-1-yl group, a 1,2,3-triazol-1-yl group, a 1,2,3-triazol-2-yl group, an

imidazol-1-yl group, a pyrazol-1-yl group, a tetrazol-1-yl group, or a tetrazol-2-yl group.

In other words, the compound of formula [1b] can be produced by reacting the compound of formula [1a] with a halogenating agent, and the compound of formula [1c] can be produced by reacting the compound of formula [1b] with a nucleophilic reagent in the presence of a base.

The halogenating agent that can be used in the process of converting from the formula [1a] to the formula [1b], includes thionyl chloride, thionyl bromide, phosphorus oxychloride, phosphorus oxybromide, phenyltrimethylammonium tribromide, a bromide of Meldrums acid, and the like. The amount of use of the halogenating agent may be appropriately selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the compound of formula [1a].

The solvent that can be used may be exemplified by the same solvents as those described with regard to the process 1 of the production method 1.

The reaction temperature may be selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C. The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction, and the like, but is usually from 10 minutes to 48 hours.

[0119]

As the nucleophilic reagent that can be used in the process of converting from the formula [1b] to the formula [1c], alcohols such as methanol, ethanol and benzyl alcohol; mercaptans such as methyl mercaptan and ethyl mercaptan; amines such as ammonia, methylamine and ethylamine; and the like may be included. The amount of use of the nucleophilic reagent may be appropriately

selected in the range of 0.5 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the compound of formula [1a].

The base that can be used may be exemplified by the same bases as those described with regard to the process 1 of the production method 1, and the solvent that can be used may be exemplified by the same solvents as those described with regard to the process 1 of the production method 1.

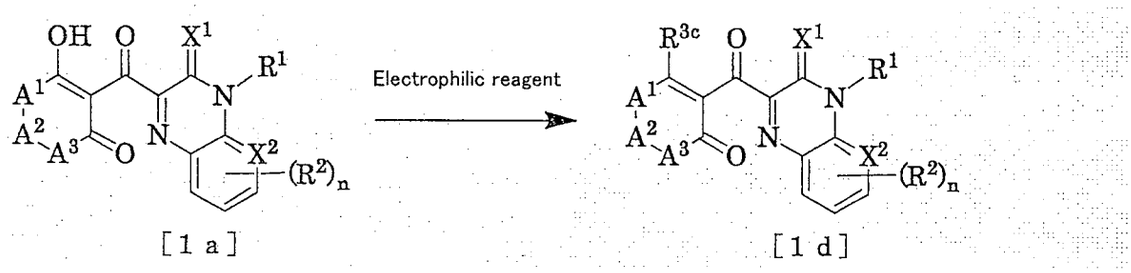
The reaction temperature may be selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C. The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction, and the like, but is usually from 10 minutes to 48 hours.

[0120]

<Production method 3>

The compound of the present invention represented by the following formula [1d] can be produced by a method as shown in the reaction scheme-yllustrated below.

[Chemical Formula 14]



[1a] [1d]

wherein X^1, X^2, R^1, R^2, A^1, A^2, A^3 and n respectively have the same meanings as defined above; and R^{3c} represents a C_1-C_6 alkoxy group, a C_1-C_6 alkylsulfonyloxy group, a C_1-C_6 alkylcarbonyloxy group, a C_2-C_6 alkenylcarbonyloxy group, a C_2-C_6 alkynylcarbonyloxy group, a phenylsulfonyloxy group (the group may be substituted with one

or two or more identical or different R^{14}s) or a phenylcarbonyloxy group (the group may be substituted with one or two or more identical or different R^{14}s).

In other words, the compound of formula [1d] can be produced by reacting the compound of formula [1a] with an electrophilic reagent in a solvent in the presence/absence of a base.

As the electrophilic reagent that can be used, halides such as iodomethane and benzyl bromide; acid chlorides such as acetyl chloride and benzoyl chloride; sulfonic acid chlorides such as methanesulfonyl chloride and p-toluenesulfonyl chloride; sulfuric acid esters such as dimethyl sulfuric acid and diethyl sulfuric acid; and the like may be included, for example. The amount of use of the electrophilic reagent may be appropriately selected in the range of 0.1 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the compound of formula [1a].

The base that can be used may be exemplified by the same bases as those described with regard to the process 1 of the production method 1, and the amount of use of the base may be appropriately selected in the range of 0 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the compound of formula [1a].

The solvent that can be used may be exemplified by the same solvents as those described with regard to the process 1 of the production method 1.

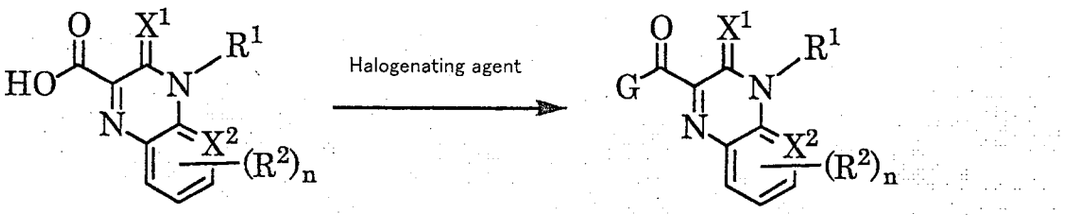
The reaction temperature may be selected in the range of -20°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 0°C to 100°C. The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction, and the like, but is usually from 10 minutes to 48 hours.

[0121]

The method for producing a production intermediate for the compound of the present invention will be described.

<Intermediate production method 1>

[Chemical Formula 15]



[3 b] [3 a − 1]

wherein R^1, R^2, n, X^1 and X^2 respectively have the same meanings as defined above; and G represents a halogen atom such as chlorine or bromine.

The formula [3a-1] which is a production intermediate for the compound of the present invention, can be produced by reacting the formula [3b] with an appropriate halogenating agent in a solvent or without solvent.

As the halogenating agent that can be used in the present process, oxalyl chloride, thionyl chloride and the like may be included, for example.

The amount of use of the halogenating agent may be appropriately selected in the range of 0.01 to 100 moles, and preferably 0.1 to 10 moles, based on one mole of the formula [3b].

Examples of the solvent include halogenated hydrocarbons such as dichloromethane and chloroform; ethers such as diethyl ether and tetrahydrofuran; and aromatic hydrocarbons such as benzene and toluene.

The amount of use of the solvent is 0 to 100 L, and preferably 0.01 to 10 L, based on one mole of the formula [3b].

The reaction temperature may be selected in the range of

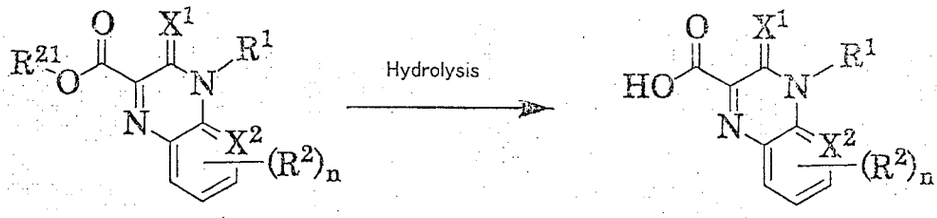
-100°C to 200°C, and is preferably selected to be from 0°C to 100°C.

The reaction time may vary with the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 24 hours.

[0122]

<Intermediate production method 2>

[Chemical Formula 16]



[3d] [3b]

wherein R^1, R^2, n, X^1 and X^2 respectively have the same meanings as defined above; and R^{21} represents a lower alkyl group, a benzyl group which may be substituted, or a phenyl group which may be substituted.

The production intermediate of formula [3b] can be produced by hydrolyzing the formula [3d] in water or a solvent mixture, in the presence of an acid or in the presence of a base.

As the base that can be used in the present process, inorganic bases such as potassium carbonate, sodium hydride and sodium hydroxide; and organic bases such as 1,8-diazabicyclo[5,4,0]-7-undecene may be included, for example.

The amount of use of the base may be appropriately selected in the range of 0.01 to 100 moles, and preferably 0.1 to 10 moles, based on one mole of the compound [3d].

As the acid that can be used in the present process, inorganic acids such as hydrochloric acid, hydrobromic acid and sulfuric acid; and organic acids such as acetic acid and

trifluoroacetic acid may be included, for example.

The amount of use of the acid can be from 1 mole to a large excess, and preferably 1 to 100 moles, based on one mole of the compound of formula [3d].

The solvent mixture that can be used in the present process is a solvent mixture of water and an organic solvent, and examples of the organic solvent include alcohols such as methanol and ethanol; ethers such as tetrahydrofuran; ketones such as acetone and methyl isobutyl ketone; amides such as N,N-dimethylformamide and N,N-dimethylacetamide; sulfur compounds such as dimethylsulfoxide and sulfolane; acetonitrile; and mixtures thereof.

The amount of use of the solvent is 0.01 to 100 L, and preferably 0.1 to 10 L, based on one mole of the formula [3d].

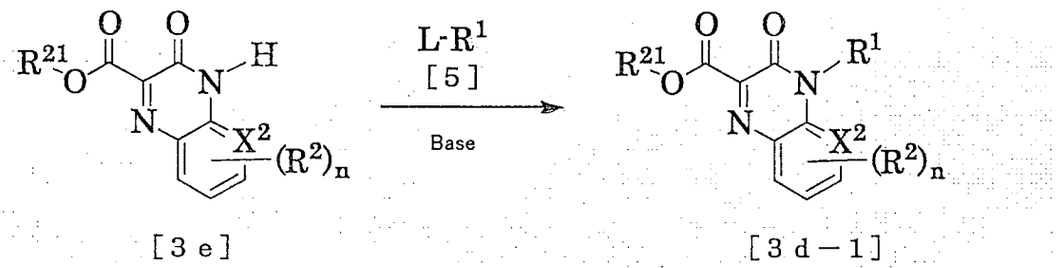
The reaction temperature may be selected in the range of -100 to 200°C, and is preferably selected in the range of 0°C to 100°C.

The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 24 hours.

[0123]

<Intermediate production method 3>

[Chemical Formula 17]



[3e] [3d-1]

wherein L represents a leaving group such as a halogen atom, a

C_1-C_4 alkylsulfonyloxy group, a C_1-C_4 alkylsulfonyl group, a benzylsulfonyl group which may be substituted, a phenylsulfonyl group which may be substituted, a phenylsulfonyloxy group which may be substituted, or a benzylsulfonyloxy group which may be substituted; and R^1, R^2, R^{21}, n and X^2 respectively have the same meanings as defined above; provided that when R^1 is a haloalkyl group, L represents a leaving group having higher reactivity than the halogen atom remaining behind after haloalkylation. For example, when R^1 is a CHF_2 group, L represents a chlorine atom or a bromine atom, and when R^1 is a CH_2CF_3 group, L represents a leaving group such as a chlorine atom, a bromine atom, a p-toluenesulfonyloxy group, a methylsulfonyloxy group, or a trifluoromethanesulfonyloxy group.

[0124]

The production intermediate for the formula [3d-1] can be produced by reacting the formula [3e] with the formula [5] in the presence or absence of a base, in a solvent or without solvent.

The amount of use of the formula [5] used in the present process may be appropriately selected in the range of 0.01 to 100 moles, and preferably 0.1 to 10 moles, based on one mole of the formula [3e].

As the base that can be used in the present process, alkali metal carbonates such as sodium carbonate and potassium carbonate; alkali metal hydroxides such as sodium hydroxide and potassium hydroxide; alkali metal hydrides such as potassium hydride and sodium hydride; alkali metal alcoholates such as sodium ethoxide and sodium methoxide; and organic bases such as 1,8-diazabicyclo[5,4,0]-7-undecene may be included, for example.

The amount of use of the base that can be used in the present process may be appropriately selected in the range of 0 to 100 moles, and preferably 0.1 to 10 moles, based on one mole of the

formula [3e].

As the solvent that can be used in the present process, halogenated hydrocarbons such as dichloromethane and chloroform; ethers such as diethyl ether and tetrahydrofuran; aromatic hydrocarbons such as benzene and toluene; aliphatic hydrocarbons such as hexane and heptane; ketones such as acetone and methyl isobutyl ketone; ester such as ethyl acetate and methyl acetate; amides such as N-methylpyrrolidone and N,N-dimethylformamide; sulfur compounds such as dimethylsulfoxide and sulfolane; nitriles such as acetonitrile; and mixtures thereof.

The amount of use of the solvent that can be used in the present process may be appropriately selected in the range of 0 to 100 L, and preferably 0 to 10 L, based on one mole of the formula [3e].

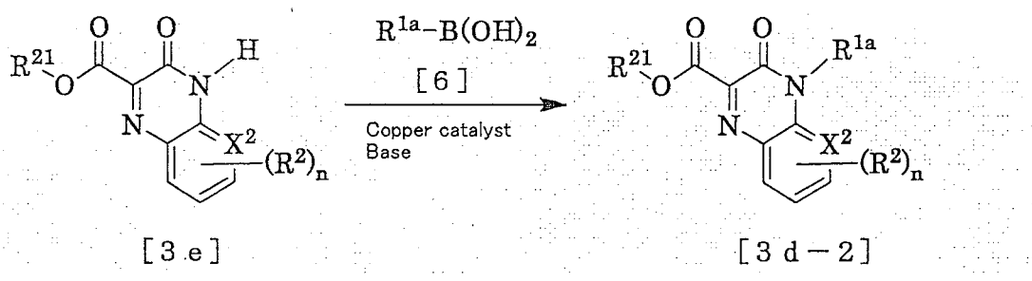
The reaction temperature of the present process may be selected in the range of -100°C to the boiling point region of the inert solvent used, and is preferably selected in the range of -20 °C to 100°C.

The reaction time of the present process may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 1 hour to 168 hours.

[0125]

<Intermediate production method 4>

[Chemical Formula 18]



[3e] [3d−2]

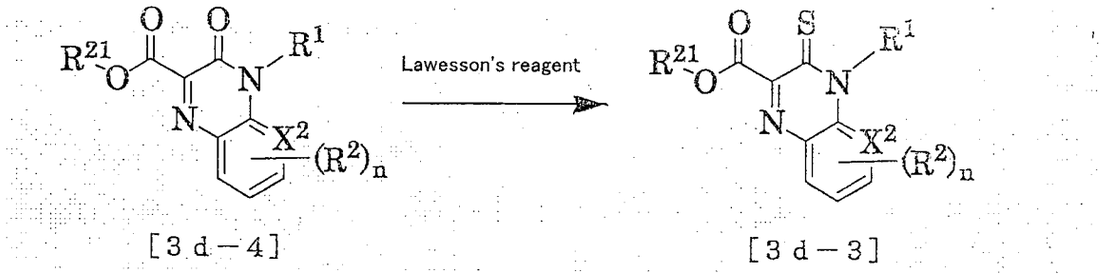
wherein R^{1a} represents a C_6-C_{10} aryl group or a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom; and R^2, R^{21}, n and X^2 respectively have the same meanings as defined above.

The production intermediate of formula [3d-2] can be produced by reacting the formula [3e] with the formula [6] in the presence of a copper catalyst and a base, according to the method described in Tetrahedron, Vol. 55, pp. 12757-12770 (1999).

[0126]

<Intermediate production method 5>

[Chemical Formula 19]



[3d-4] [3d-3]

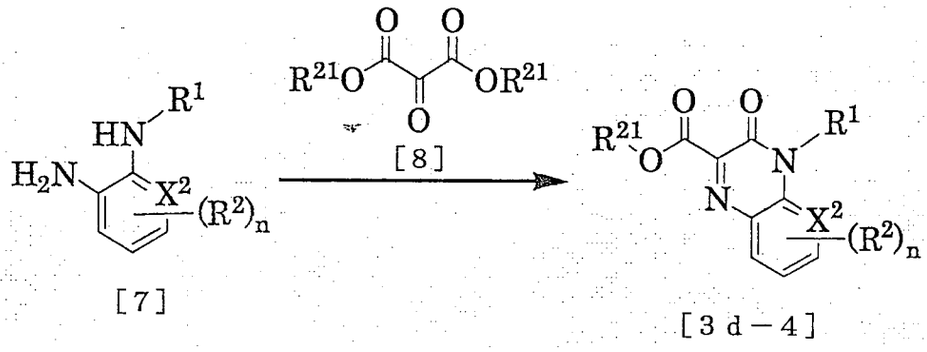
wherein R^1, R^2, R^{21}, n and X^2 respectively have the same meanings as defined above.

The production intermediate of formula [3d-3] can be produced by reacting a compound represented by formula [3d-4] with Lawesson's reagent, according to the method described in US2005/256000.

[0127]

<Intermediate production method 6>

[Chemical Formula 20]



[7] [8] [3d-4]

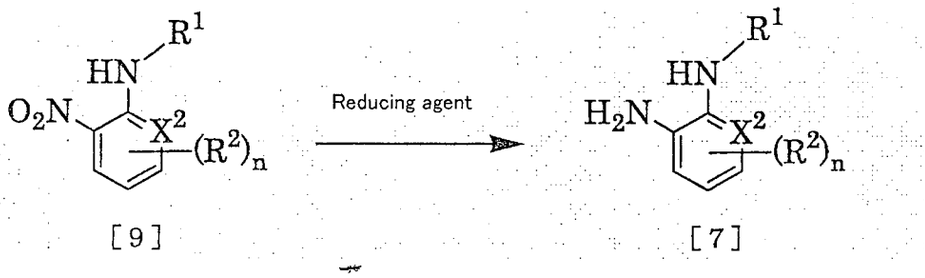
wherein R^1, R^2, R^{21}, n and X^2 respectively have the same meanings as defined above.

The production intermediate of formula [3d-4] can be produced by reacting the formula [7] with a ketomalonic acid diester represented by formula [8], according to the methods described in US 6329389, US 6348461; Journal of the Chemical Society, pp. 430-439 (1957); WO 2005/21547, US 4296114; Journal of the Chemical Society, Perkin Transactions 1, pp. 75-84 (1987), and the like.

[0128]

<Intermediate production method 7>

[Chemical Formula 21]



[9] [7]

wherein R^1, R^2, n and X^2 respectively have the same meanings as defined above.

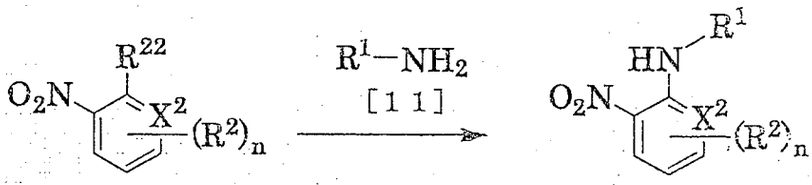
The formula [7] can be produced by reducing a nitro compound represented by formula [9] according to the descriptions in the Lectures on Experimental Chemistry, 4th Edition, Vol. 26,

"Reduction in General", published by Maruzen Co., Ltd.

[0129]

<Intermediate production method 8>

[Chemical Formula 22]



[10] [9]

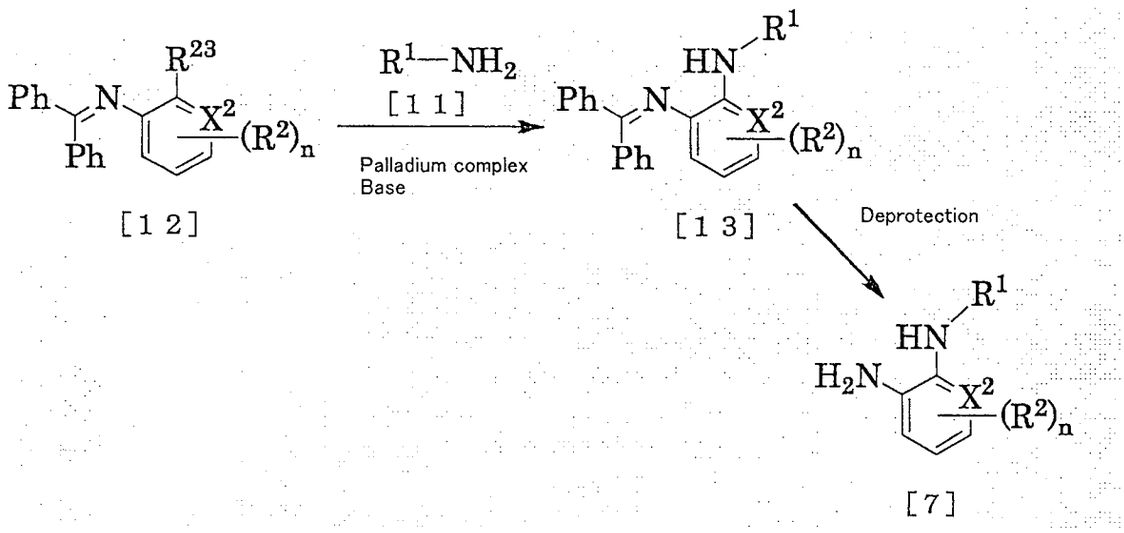
wherein R^1, R^2, n and X^2 respectively have the same meanings as defined above; and R^{22} represents a halogen atom such as a fluorine atom, a chlorine atom or a bromine atom.

The production intermediate of formula [9] can be produced by reacting the formula [10] with the formula [11] according to the methods described in WO 2004/817, US 6348461; Journal of Medicinal Chemistry, Vol. 41, pp. 5457-5465 (1998); Journal of the Chemical Society, Perkin Transactions 1, pp. 2387-2391 (1980), and the like.

[0130]

<Intermediate production method 9>

[Chemical Formula 23]



wherein R^1, R^2, n and X^2 respectively have the same meanings as defined above; and R^{23} represents a chlorine atom, a bromine atom or an iodine atom.

The production intermediate of formula [7] can be produced by the process shown above.

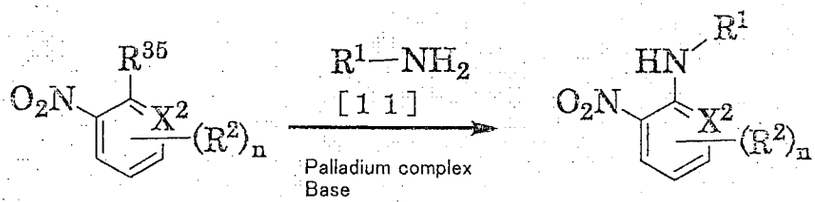
The production intermediate of formula [13] can be produced by reacting a compound represented by formula [12] with a compound represented by formula [11] in the presence of a palladium complex and a base, according to the methods described in Journal of Organic Chemistry, Vol. 65, pp. 1144-1157 (2000); Journal of Organic Chemistry, Vol. 65, pp. 1158-1174 (2000); and the like.

The production intermediate of formula [7] can also be produced by deprotecting the amino group of the compound represented by formula [13], according to the methods described in Tetrahedron Letters, pp. 2641-2644 (1978); Synthesis, pp. 359-363; Journal of the Chemical Society, Perkin Transactions 1, pp. 3081-3084 (1988); and the like.

[0131]

<Intermediate production method 10>

[Chemical Formula 24]



[19] [9]

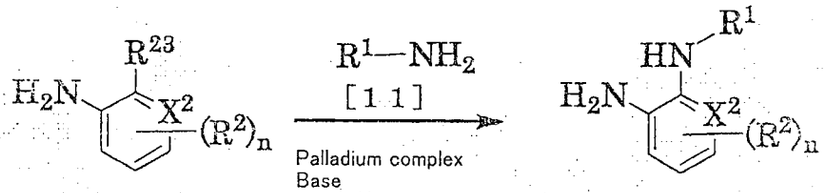
wherein R^1, R^2, n and X^2 respectively have the same meanings as defined above; and R^{35} represents a chlorine atom, a bromine atom, an iodine atom or a trifluoromethanesulfonyloxy group.

The production intermediate of formula [9] can be produced by reacting the compound of formula [19] with the compound of formula [11] in the presence of a palladium complex and a base, according to the method for producing production intermediate of formula [13] in <intermediate production method 9>.

[0132]

<Intermediate production method 11>

[Chemical Formula 25]



[20] [7]

wherein R^1, R^2, R^{23}, n and X^2 respectively have the same meanings as defined above.

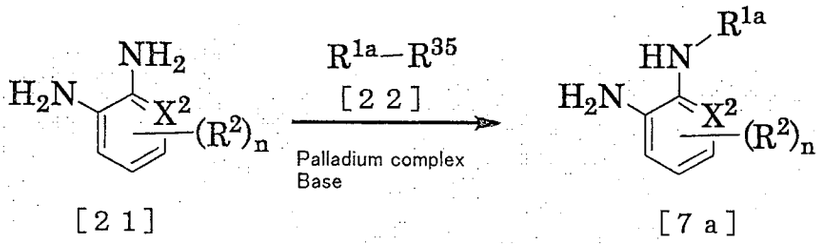
The production intermediate of formula [7] can be produced by reacting thecompoundofformula [20] with the compoundofformula [11] in the presence of a palladium complexand a base, according to the method for producing production intermediate of formula

[13] in <intermediate production method 9>.

[0133]

<Intermediate production method 12>

[Chemical Formula 26]



[21] [7a]

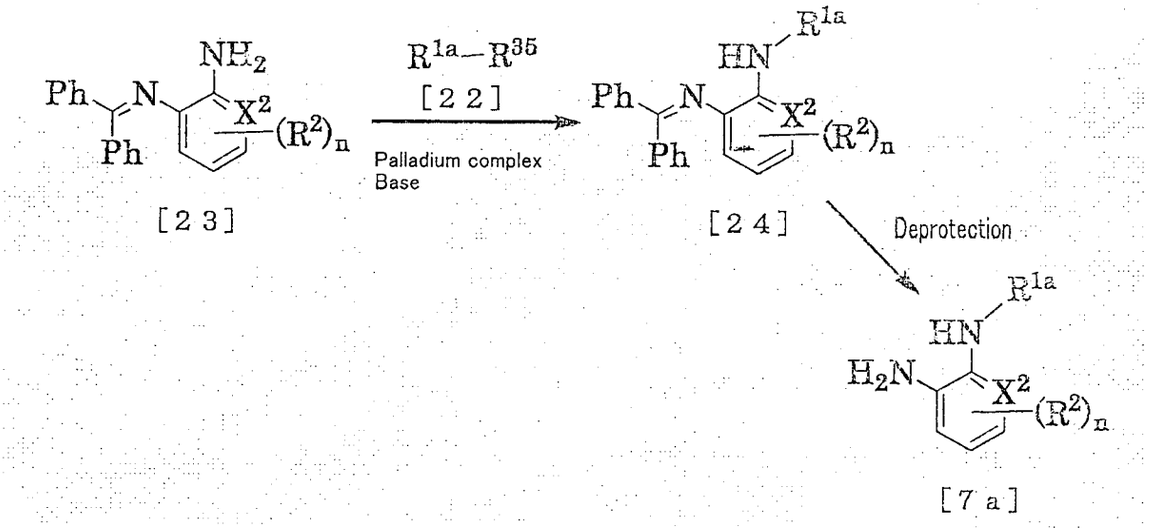
wherein R^{1a}, R^2, R^{35}, n and X^2 respectively have the same meanings as defined above.

The production intermediate of formula [7a] can be produced by reacting thecompoundofformula [21] with the compoundofformula [22] in the presence of a palladium complexand a base, according to the method for producing production intermediate of formula [13] in <intermediate production method 9>.

[0134]

<Intermediate production method 13>

[Chemical Formula 27]



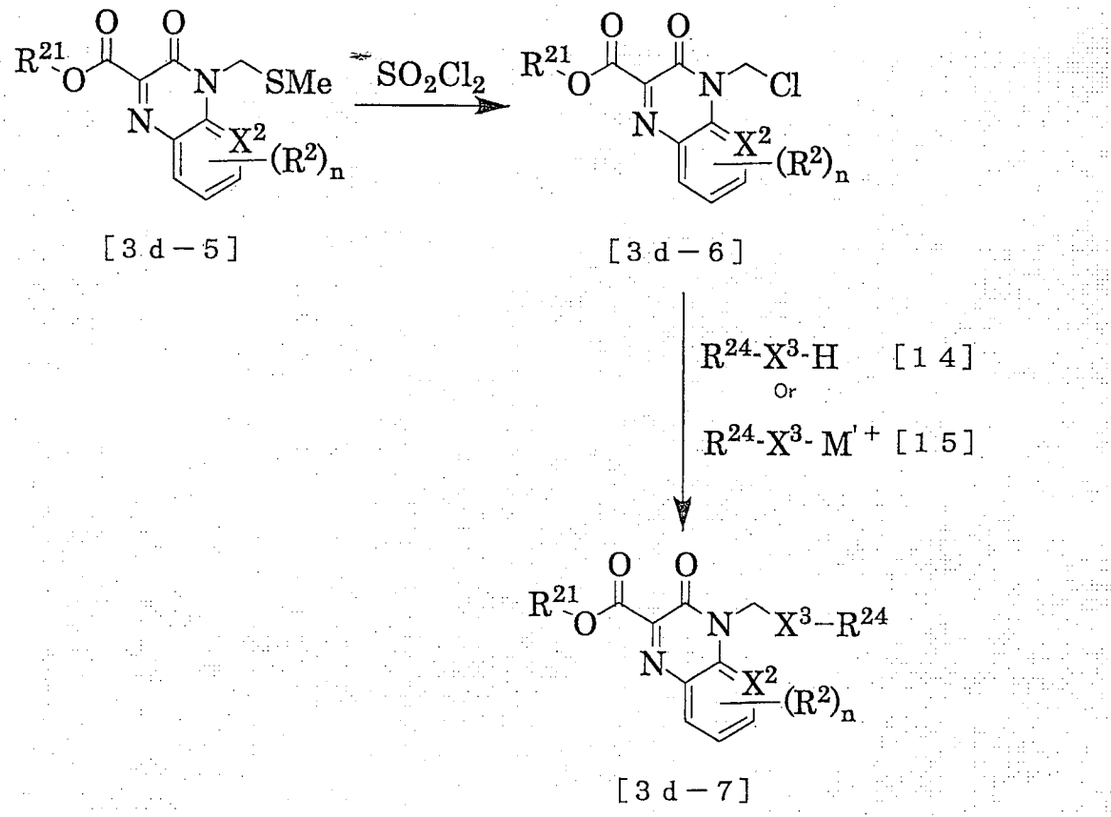
wherein R^{1a}, R^2, R^{35}, n and X^2 respectively have the same meanings as defined above.

The production intermediate of formula [7a] can also be produced by deprotecting the amino group of the compound represented by formula [24] which is produced by reacting the compound of formula [23] with the compound of formula [22] in the presence of a palladium complex and a base, according to the production method of <intermediate production method 9>.

[0135]

<Intermediate production method 14>

[Chemical Formula 28]



wherein R^2, R^{21}, n and X^2 respectively have the same meanings as defined above; R^{24} represents a C_1-C_6 alkoxy group, a C_3-C_8 cycloalkyloxy group, a C_1-C_6 haloalkoxy group, a phenoxy group, a C_1-C_6 alkylcarbonyloxy group, a C_1-C_6 alkoxy-C_1-C_6 alkoxy group, a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a heterocyclic-C_1-C_6 alkoxy group having 2 to 10 carbon atoms and 1 to 5 heteroatoms, which may be identical or different, selected from an oxygen atom, a sulfur atom and a nitrogen atom, or a C_1-C_6 alkylthio group; M'^+ represents an alkali metal cation; and X^3 represents an oxygen atom or a sulfur atom.

The production intermediate of formula [3d-7] can be produced by the process shown above.

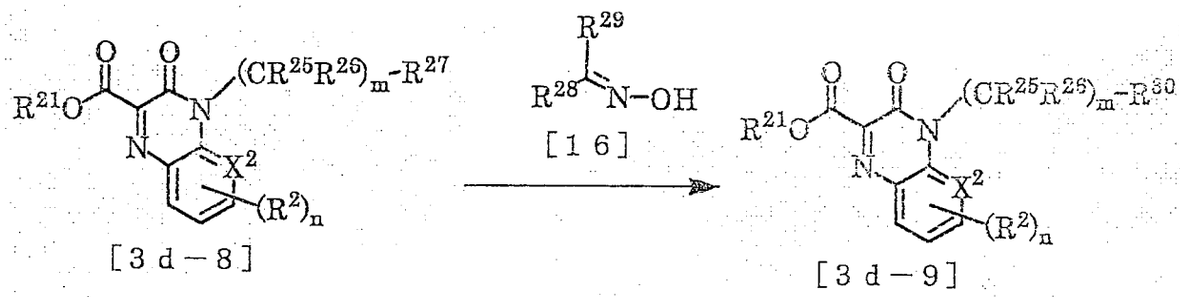
Specifically, the formula [3d-6] can be produced by reacting the formula [3d-5] with sulfuryl chloride according to the methods described in US 2003/195169; Tetrahedron Letters, Vol. 37, No. 6, pp. 759-762 (1996); and the like.

The formula [3d-7] can be produced by reacting the formula [3d-6] with a compound represented by formula [14] or formula [15], according to the methods described in US5155272, EP-1228067, US 4058392; Journal of the Chemical Society, Perkin Transactions 1, pp. 781-790 (1987); and the like.

[0136]

<Intermediate production method 15>

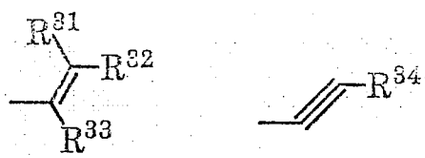
[Chemical Formula 29]



[3d-8] [16] [3d-9]

wherein R^2, R^{21}, X^2 and n respectively have the same meanings as defined above; R^{27} represents a group represented by the following formula [17a] or formula [17b]:

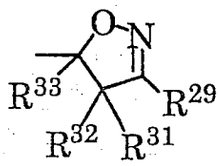
[Chemical Formula 30]



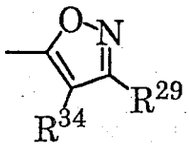
[17a] [17b]

R^{30} represents a group represented by the following formula [18a] or [18b]:

[Chemical Formula 31]



[18a]



[18b]

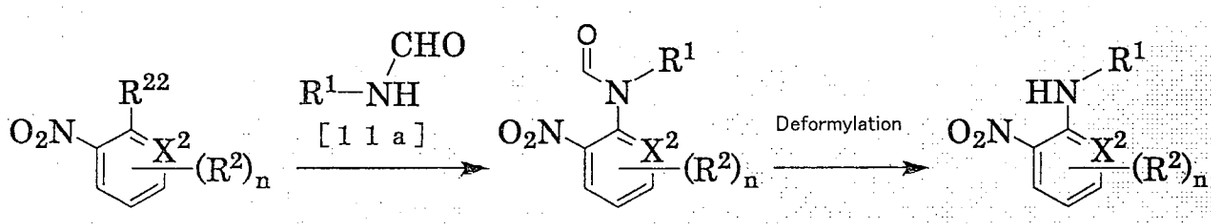
R^{25}, R^{26}, R^{31}, R^{32}, R^{33} and R^{34} each independently represent a hydrogen atom, an alkyl group, an alkenyl group, an alkynyl group, a cycloalkyl group or a haloalkyl group; R^{29} reprsents an alkyl group, an alkenyl group, an alkynyl group, an alkoxy group, a cycloalkyl group, a haloalkyl group or a haloalkenyl group; R^{28} represents a halogen atom; and t represents an integer from 0 to 6; provided that when R^{27} is the formula [17a], R^{30} is the formula [18a], and when R^{27} is the formula [17b], R^{30} is the formula [18b].

The production intermediate of formula [3d-9] can be produced by reacting the formula [3d-8] with the formula [16] according to the methods described in WO 2005/26123; Tetrahedron, Vol. 40, p. 2985 (1984); Synthetic Communications, Vol. 18, p. 1171 (1988); and the like.

[0137]

<Intermediate production method 16>

[Chemical Formula 32]



wherein R^1, R^2, R^{22}, n and X^2 respectively have the same meanings as defined above.

The production intermediate of formula [9] can also be produced by the process mentioned above.

Theproduction intermediate of formula [9a] can be produced by reacting theformula [10] with the formula [11a] in the presence of a base, in a solvent or without solvent.

The amount of use of the formula [11a] as used in the present process may be appropriately selected in the range of 0.1 to 10 moles, and preferably 1.0 to 1.1 moles, based on one mole of the formula [10].

As the base that can be used in the present process, for example, alkali metal carbonates such as sodium carbonate or potassium carbonate; alkali metal hydroxides such as sodium hydroxide or potassium hydroxide; alkali metal hydrides such as potassium hydride orsodium hydride; alkalimetal alcoholates such as sodium ethoxide or sodium methoxide; or organic bases such as 1,8-diazabicyclo[5,4,0]-7-undeceneand the like may be included.

The amount of use of the base as used in the present process may be appropriately selected in the range of 0.1 to 10 moles, and preferably 1.0 to 1.1 moles, based on one mole of the formula [10].

As the solvent that can be used in the present process, halogenated hydrocarbons such as dichloromethane and chloroform; ethers such as diethyl ether and tetrahydrofuran; aromatic hydrocarbons such as benzene and toluene; aliphatic hydrocarbons such as hexane and heptane; ketones such as acetone and methyl isobutyl ketone; esters such as ethyl acetate and methyl acetate; amides such as N-methylpyrrolidone and N,N-dimethylformamide; sulfur compounds such as dimethylsulfoxide and sulfolane; nitriles

such as acetonitrile; and mixtures thereof.

The amount of use of the solvent that can be used in the present process may be appropriately selected in the range of 0 to 100 L, and preferably 1 to 2 L, based on one mole of the formula [10].

The reaction temperature of the present process may be selected in the range of -100°C to the boiling point region of the inert solvent used, and is preferably selected in the range of 15 °C to 140°C.

The reaction time of the present process may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 1 hour to 168 hours.

Additionally, the production intermediate of formula [9] can be produced by deformylating the formula [9a] in water or a solvent mixture, in the presence of an acid or in the presence of a base.

As the base that can be used in the present process, inorganic bases such as potassium carbonate, sodium hydride and sodium hydroxide; and organic bases such as 1,8-diazabicyclo[5,4,0]-7-undecene may be included, for example.

The amount of use of the base may be appropriately selected in the range of 0.1 to 10 moles, and preferably 1.0 to 1.2 moles, based on one mole of the compound [9a].

As the acid that can be used in the present process, inorganic acids such as hydrochloric acid, hydrobromic acid and sulfuric acid; and organic acids such as acetic acid and trifluoroacetic acid may be included, for example.

The amount of use of the acid can be from 1 mole to a large

excess, and preferably 1 to 100 moles, based on one mole of the compound of formula [9a].

The solvent mixture that can be used in the present process is a solvent mixture of water and an organic solvent, and examples of the organic solvent include alcohols such as methanol and ethanol; ethers such as tetrahydrofuran; ketones such as acetone and methyl isobutyl ketone; amides such as N,N-dimethylformamide and N,N-dimethylacetamide; sulfur compounds such as dimethylsulfoxide and sulfolane; acetonitrile; and mixtures thereof.

The amount of use of the solvent is 0.1 to 100 L, and preferably 1.0 to 10 L, based on one mole of the formula [9a].

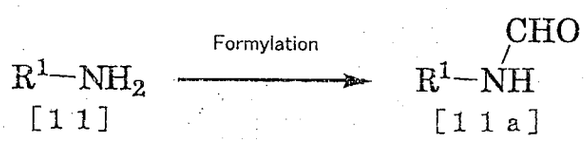
The reaction temperature may be selected in the range of -100 to 200°C, and is preferably selected in the range of 0°C to 100°C.

The reaction time may vary depending on the reaction temperature, reaction substrate, the extent of reaction and the like, but is usually from 10 minutes to 24 hours.

[0138]

<Intermediate production method 17>

[Chemical Formula 33]



wherein R^1 has the same meaning as defined above.

The production intermediate of formula [11a] can be produced by formylating the formula [11], according to the method described

in Journal of the American Chemical Society, Vol. 80, pp. 1154 and US2004/198981.

[0139]

The herbicide and agrochemical composition of the present invention are characterized by containing the oxopyrazine derivative represented by formula [I] of the present invention or an agrochemically acceptable salt thereof, as an active ingredient. The present invention also relates to an agrochemical composition, more particularly a herbicidal composition, containing the oxopyrazine derivative represented by formula [I] of the present invention, or one or two or more of agrochemically acceptable salts thereof, and a carrier allowed to be used in agrochemical preparations.

[0140]

The herbicide of the present invention can contain additive components (carrier) that are conventionally used in agrochemical preparations, as necessary.

Examples of these additive components include carriers such as solid carriers or liquid carriers, surfactants, binders or adhesiveness imparting agents, thickeners, colorants, extending agents, spreading agents, antifreezing agents, anticaking agents, disintegrants, stabilizers, and the like. In addition to these, antiseptics, plant pieces and the like may also be used as additive components, according to necessity.

These additive components may be used individually, or may also be used in combination of two or more species.

[0141]

The above-mentioned additive components will be discussed.

Examples of the solid carrier include naturally occurring minerals such as quartz, clay, kaolinite, pyrophyllite, sericite, talc, bentonite, acid clay, attapulgite, zeolite and

diatomaceous earth; inorganic salts such as calcium carbonate, ammonium sulfate, sodium sulfate and potassium chloride; organic solid carriers such as synthetic silicic acid, synthetic silicates, starch, celluloses and plant powders; plastic carriers such as polyethylene, polypropylene and polyvinylidene chloride; and the like. These may be used individually, or may be used in combination of two or more species.

Examples of the liquid carrier include alcohols which are largely classified into monohydric alcohols such as methanol, ethanol, propanol, isopropanol and butanol; and polyhydric alcohols such as ethylene glycol, diethylene glycol, propylene glycol, hexylene glycol, polyethylene glycol, polypropylene glycol and glycerin; polyhydric alcohol derivatives such as propylene-based glycol ethers; ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone, diisobutyl ketone, cyclohexanone and isophorone; ethers such as ethyl ether, dioxane, cellosolve, dipropyl ether and tetrahydrofuran; aliphatic hydrocarbons such as normal paraffin, naphthene, isoparaffin, kerosene and mineral oil; aromatic hydrocarbons such as benzene, toluene, xylene, solvent naphtha and alkylnaphthalene; halogenated hydrocarbons such as dichloroethane, chloroform and tetrachlorocarbon; esters such as ethyl acetate, diisopropyl phthalate, dibutyl phthalate, dioctyl phthalate and dimethyl adipate; lactones such as γ-butylolactone; amides such as dimethylformamide, diethylformamide, dimethylacetamide and N-alkylpyrrolidinone; nitriles such as acetonitrile; sulfur compounds such as dimethylsulfoxide; plant oils such as soybean oil, rapeseed oil, cotton seed oil and castor oil; water; and the like. These may be used individually, or may be used in combination of two or more species.

[0142]

The surfactant is not particularly limited, but is preferably a surfactant which gelates or shows swellability in water. Examples thereof include nonionic surfactants such as sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, sucrose fatty acid esters, polyoxyethylene fatty acid esters, polyoxyethylene resin acid esters, polyoxyethylene fatty acid diesters, polyoxyethylene alkyl ethers, polyoxyethylene alkyl phenyl ethers, polyoxyethylene dialkylphenyl ethers, polyoxyethylene alkyl phenyl ether-formalin condensates, polyoxyethylene-polyoxypropylene block polymers, alkyl polyoxyethylene-polypropylene block polymer ethers, polyoxyethylene alkylamines, polyoxyethylene fatty acid amides, polyoxyethylene fatty acid bisphenyl ethers, polyalkylene benzyl phenyl ethers, polyoxyalkylene styryl phenyl ethers, acetylenediol, polyoxyalkylene addition acetylenediol, polyoxyethylene ether type silicones, ester type silicones, fluorine-based surfactants, polyoxyethylene castor oil and polyoxyethylene hydrogenated castor oil; anionic surfactants such as alkyl sulfates, polyoxyethylene alkyl ether sulfates, polyoxyethylene alkyl phenyl ether sulfates, polyoxyethylene styryl phenyl ether sulfates, alkyl benzenesulfonates, lignin sulfonates, alkyl sulfosuccinates, naphthalenesulfonates, alkyl naphthalenesulfonates, salts of naphthalenesulfonic acid-formalin condensate, salts of alkyl naphthalenesulfonic acid-formalin condensate, fatty acid salts, polycarboxylic acid salts, N-methyl-fatty acid sarcosinate, resin acid salts, polyoxyethylene alkyl ether phosphates and polyoxyethylene alkyl phenyl ether phosphates; cationic surfactants such as alkylamine salts such as laurylamine hydrochlorides, stearylamine hydrochlorides, oleylamine hydrochlorides, stearylamine acetates, stearylaminopropylamine acetates,

alkyltrimethylammonium chlorides and alkyldimethylbenzalkonium chlorides; amphoteric surfactants such as amino acid type or betaine type surfactants; and the like.

These surfactants may be used individually, or may be used in combination of two or more species.

[0143]

Examples of the binder or adhesiveness imparting agent include carboxymethylcellulose or salts thereof, dextrin, water-soluble starch, xanthan gum, guar gum, sucrose, polyvinylpyrrolidone, gum arabic, polyvinyl alcohol, polyvinyl acetate, sodium polyacrylate, polyethylene glycol having an average molecular weight of 6000 to 20000, polyethylene oxide having an average molecular weight of 100000 to 5000000, naturally occurring phospholipids (for example, cephalic acid, lecithin, etc.), and the like.

Examples of the thickener include water-soluble polymers such as xanthan gum, guar gum, carboxymethylcellulose, polyvinylpyrrolidone, carboxyvinyl polymers, acrylic polymers, starch derivatives and polysaccharides; inorganic fine powders such as high purity bentonite and white carbon; and the like.

Examples of the colorant include inorganic pigments such as iron oxide, titanium oxide and Prussian Blue; organic pigments such as alizarin dyes, azo dyes and metal phthalocyanine dyes; and the like.

Examples of the extending agent include silicone-based surfactants, powdered celluloses, dextrin, processed starch, polyaminocarboxylic acid chelate compounds, crosslinked polyvinylpyrrolidone, maleic acid and styrenes, methacrylic acid copolymers, half esters of a polyhydric alcohol polymer and a dicarboxylic acid anhydride, water-soluble salts of polystyrenesulfonic acid, and the like.

Examples of the spreading agent include various surfactants such as sodium dialkylsulfosuccinate, polyoxyethylene alkyl ethers, polyoxyethylene alkyl phenyl ethers and polyoxyethylene fatty acid esters; paraffins, terpenes, polyamide resins, polyacrylates, polyoxyethylene, waxes, polyvinyl alkyl ethers, alkylphenol-formalin condensates, synthetic resin emulsions, and the like.

Examples of the antifreezing agent include polyhydric alcohols such as ethylene glycol, diethylene glycol, propylene glycol and glycerin; and the like.

Examples of the anticaking agent include polysaccharides such as starch, alginic acid, mannose and galactose; polyvinylpyrrolidone, white carbon, ester gums, petroleum resins, and the like.

Examples of the disintegrants include sodium tripolyphosphate, sodium hexametaphosphate, stearic acid metal salts, powdered cellulose, dextrin, copolymers of methacrylic acid esters, polyvinylpyrrolidone, polyaminocarboxylic acid chelate compounds, sulfonated styrene-isobutylene-maleic anhydride copolymers, starch-polyacrylonitrile graft copolymers, and the like.

Examples of the stabilizer include drying agents such as zeolites, quicklime and magnesium oxide; antioxidants of phenol type, amine type, sulfur type, phosphoric acid type and the like; ultraviolet absorbents of salicylic acid type, benzophenone type and the like; and the like.

Examples of the antiseptic include potassium sorbate, 1,2-benzothiazolin-3-one and the like.

Examples of the plant pieces include sawdust, coconut shell, corncob, tobacco stalk, and the like.

[0144]

In the case of incorporating the additive components into the herbicide of the present invention, the content proportion is selected in the range of usually 5 to 95%, and preferably 20 to 90%, for the carrier; usually 0.1% to 30%, and preferably 0.5 to 10%, for the surfactant; and usually 0.1 to 30%, and preferably 0.5 to 10%, for the other additives, all based on the mass of the herbicide.

[0145]

The herbicide of the present invention is used after being formulated into any formulation such as a liquid formulation, an emulsifiable concentrate, a wettable powder formulation, a dust formulation, an oil formulation, a water dispersible granule formulation, a flowable formulation, an emulsified suspension, a granule formulation, a jumbo formulation, a suspoemulsion or a Mametsubu (registered trademark) formulation.

During this formulation, the herbicide can be prepared into a mixed composition with at least one of agrochemicals such as other herbicides, insecticides, bactericides and plant growth regulators, a safeners, a fertilizer and the like, or the herbicide can be used in combination with these components.

At the time of use, the herbicide of the present invention may be diluted to an appropriate concentration and sprayed, or may be directly applied.

The oxopyrazine derivative represented by formula [I] of the present invention or an agrochemically acceptable salt thereof can be used alone as an active ingredient, but can also be used as a mixture or in combination with other active ingredients.

[0146]

Examples of known herbicidal compounds and plant growth regulators which may be mixed or used in combination, will be

listed in the following.

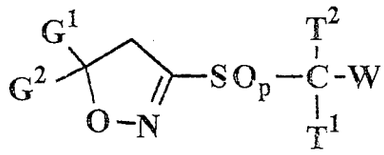
2,3,6-TBA, 2,4-D, 2,4-DB, DNOC, EPTC, ethoxyfen-ethyl, MCPA, MCPA-thioethyl, MCPB, S-metolachlor, TCA, ioxynil, aclonifen, azafenidin, acifluorfen, azimsulfuron, asulam, acetochlor, atrazine, anilofos, amicarbazone, amidosulfuron, amitrole, aminopyralid, amiprophos-methyl, ametryn, alachlor, alloxydim, ancymidol, iodosulfulon-methyl-sodium, isouron, isoxachlortole, isoxaflutole, isoxaben, isoproturon, imazaquin, imazapyr, imazamethabenz-methyl, imazapic, imazamox, imazethapyr, imazosulfuron, indanofan, esprocarb, ethametsulfuron-methyl, ethalfluralin, ethidimuron, ethoxysulfuron, ethofumesate, etobenzanid, oxadiazon, oxadiargyl, oxaziclomefone, oxasulfuron, oxyfluorfen, oryzalin, orbencarb, cafenstrole, carfentrazone-ethyl, karbutilate, carbetamide, quizalofop, quizalofop-P-ethyl, quizalofop-P-tefuryl, quizalofop-ethyl, quinclorac, quinmerac, cumyluron, glyphosate, glyphosate-trimesium, glufosinate-ammonium, glufosinate-sodium, clethodim, clodinafop-propargyl, clopyralid, clomazone, chlomethoxyfen, clomeprop, cloransulam-methyl, chloramben, chloridazon, chlorimuron-ethyl, chlorsulfuron, chlorthal-dimethyl, chlorthiamid, chlorpropham, chlormequat chloride, chloroxuron, chlorotoluron, chlorobromuron, cyanazine, diuron, dicamba, cycloate, cycloxydim, diclosulam, cyclosulfamuron, dichlobenil, diclofop-methyl, dichlorprop, dichlorprop-P, diquat dibromide, dithiopyr, siduron, dinitramine, cinidon-ethyl, cinosulfuron, dinoseb, dinoterb, cyhalofop-butyl, diphenamid, difenzoquat, diflufenican, diflufenzopyr, diflumetorim, simazine, dimethachlor, dimethametryn, dimethenamid, simetryn, dimepiperate, dimefuron, cinmethylin, sulcotrione, sulfentrazone, sulfosulfuron, sulfometuron-methyl, sethoxydim,

terbacil, daimuron, dalapon, thiazopyr, tiocarbazil, thiobencarb, thidiazimin, thidiazuron, thifensulfuron-methyl, desmedipham, desmetryne, thenylchlor, tebutam, tebuthiuron, tepraloxydim, tefuryltrion, terbuthylazine, terbutryn, terbumeton, tembotrione, topramezone, tralkoxydim, triaziflam, triasulfuron, triallate, trietazine, triclopyr, triflusulfuron-methyl, tritosulfuron, trifluralin, trifloxysulfuron-sodium, tribenuron-methyl, naptalam, naproanilide, napropamide, nicosulfuron, neburon, norflurazon, vernolate, paraquat dichloride, haloxyfop, haloxyfop-P-methyl, halosulfuron-methyl, pinoxaden, picloram, picolinafen, bispyribac-sodium, bifenox, piperophos, pyraclonil, pyrasulfotole, pyrazoxyfen, pyrazosulfuron-ethyl, pyrazolynate, bilanafos, pyraflufen-ethyl, pyridafol, pyrithiobac-sodium, pyridate, pyriftalid, pyributicarb, pyribenzoxim, pyrimisulfan, primisulfuron-methyl, pyriminobac-methyl, pyroxysulam, fenuron, fenoxaprop-P-ethyl, fenoxaprop-ethyl, fenclorim, fentrazamide, phenmedipham, foramsulfuron, butachlor, butafenacil, butamifos, butylate, butralin, butroxydim, flazasulfuron, flamprop-M, fluazifop-butyl, fluazifop-P-butyl, fluazolate, fluometuron, fluoroglycofen-ethyl, flucarbazone-sodium, flucetosulfuron, fluthiacet-methyl, flupyrsulfuron-methyl-sodium, flufenacet, flufenpyr-ethyl, flupropanate, flupoxame, flumioxazin, flumiclorac-pentyl, flumetsulam, fluridone, flurtamone, flurprimidol, fluroxypyr, flurochloridone, pretilachlor, prodiamine, prosulfuron, prosulfocarb, propaquizafop, propachlor, propazine, propanil, propyzamide, propisochlor, propham, profluazol, propoxycarbazone, propoxycarbazone-sodium, profoxydim, bromacil, prometryn, prometon, bromoxynil, bromofenoxim, bromobutide, florasulam, hexazinone, pethoxamid, benazolin, penoxsulam, beflubutamid, pebulate, bencarbazone,

pendimethalin, benzfendizone, bensulide, bensulfuron-methyl, benzobicyclon, benzofenap, bentazone, pentanochlor, pentoxazone, benfluralin, benfuresate, fosamine, fomesafen, forchlorfenuron, maleic hydrazide, mecoprop, mecoprop-P, mesosulfuron-methyl, mesotrione, metazachlor, methabenzthiazuron, metamitron, metamifop, methyl-dimuron, metoxuron, metosulam, metsulfuron-methyl, metobromuron, metobenzuron, metolachlor, metribuzin, mepiquat chloride, mefenacet, monolinuron, molinate, lactofen, linuron, rimsulfuron, lenacil, prohexadione-calcium, trinexapac-ethyl, pyroxasulfone, an isoxazoline derivative represented by the following formula [C]:

[0147]

[Chemical Formula 34]



[C]

wherein p represents an integer from 0 to 2; T^1 and T^2 each independently represent a hydrogen atom, a halogen atom, a cyano group, a lower alkoxycarbonyl group or a C_1-C_6 alkyl group; G^1 and G^2 each independently represent a hydrogen atom, a C_1-C_6 alkyl group or a C_1-C_6 haloalkyl group; W represents a phenyl group (substituted with 1 to 5 identical or different Vs); and V represents a hydrogen atom, a C_1-C_6 alkyl group {which may be substituted with 1 to 3 identical or different halogen atoms, a C_1-C_6 alkoxy group, a hydroxyl group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 alkylamino group, a C_1-C_6 dialkylamino group, a cyano group or a phenoxy group (which may be substituted)}, a C_1-C_6 alkoxy group (which may be substituted with 1 to 3 identical or different

halogen atoms, a C_1-C_6 alkoxy group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkoxycarbonyl group, a C_1-C_6 alkylcarbonyl group or a C_3-C_8 cycloalkyl group), a C_3-C_8 cycloalkyloxy group or a halogen atom,

and the like may be included.

[0148]

Furthermore, examples of known bactericidal compounds which may be mixed or used in combination, will be listed in the following.

Benomyl, carbendazim, fuberidazole, thiabendazole, thiophanate, thiophanate-methyl, chlozolinate, iprodione, procymidone, vinclozolin, azaconazole, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenarimol, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, nuarimol, oxpoconazole fumarate, paclobutrazol, pefurazoate, penconazole, prochloraz, propiconazole, prothioconazole, pyrifenox, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triflumizole, triforine, triticonazole, benalaxyl, furalaxyl, mefenoxam, metalaxyl, metalaxyl-M, ofurace, oxadixyl, aldimorph, dodemorph, fenpropidin, fenpropimorph, piperalin, spiroxamine, tridemorph, edifenphos, iprobenfos, isoprothiolane, pyrazophos, benodanil, boscalid, carboxin, fenfuram, flutolanil, furametpyr, mepronil, oxycarboxin, penthiopyrad, thifluzamide, bupirimate, dimethirimol, ethirimol, cyprodinil, mepanipyrim, pyrimethanil, diethofencarb, azoxystrobin, dimoxystrobin, enestrobin, famoxadone, fenamidone, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin, trifloxystrobin, fenpiclonil, fludioxonil, quinoxyfen, biphenyl, chloroneb, dicloran, etridiazole, quintozene, tecnazene,

tolclofos-methyl, fthalide, pyroquilon, tricyclazole, carpropamid, diclocymet, fenoxanil, fenhexamid, pyributicarb, polyoxin, pencycuron, cyazofamid, zoxamide, blasticidin-S, kasugamycin, streptomycin, validamycin, cymoxanil, iodocarb, propamocarb, prothiocarb, binapacryl, dinocap, ferimzone, fluazinam, TPTA (fentin acetate), TPTC (fentin chloride), TPTH (fentin hydroxide), oxolinic acid, hymexazol, octhilinone, fosetyl, phosphoric acid and salts thereof, tecloftalam, triazoxide, flusulfamide, diclomezine, silthiofam, diflumetorim, benthiavalicarb-isopropyl, dimethomorph, flumorph, iprovalicarb, mandipropamid, oxytetracycline, methasulfocarb, chinomethionate, fluoroimide, milneb, copper hydroxide, copper octanoate, copper oxychloride, copper sulfate, cuprous oxide, mancopper, oxine-copper, sulfur, ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb, ziram, captafol, captan, folpet, chlorothalonil, dichlofluanid, tolylfluanid, anilazine, dodine, guazatine, iminoctadine, dithianon, acibenzolar-S-methyl, probenazole, tiadinil, ethaboxam, cyflufenamid, proquinazid, metrafenone, fluopicolide, dazomet, difenzoquat, amisubrom, Bordeaux mixture, F-991, nabam, phenazine oxide, polycarbamate, pyribencarb, and the like may be included.

[0149]

Examples of known insecticidal and nematocidal compounds which may be mixed or used in combination, will be listed in the following.

Acetamiprid, clothianidin, dinotefuran, imidacloprid, nitenpyram, thiacloprid, thiamethoxam, ethiprole, fipronil, acetoprol, chromafenozide, halofenozide, methoxyfenozide, tebufenozide, acrinathrin, allethrin, alpha-cypermethrin, beta-cyfluthrin, beta-cypermethrin, bifenthrin, bioallethrin,

bioresmethrin, cycloprothrin, cyfluthrin, cyhalothrin, cypermethrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, fenpropathrin, fenvalerate, flucythrinate, flumethrin, gamma-cyhalothrin, imiprothrin, lambda-cyhalothrin, methothrin, permethrin, phenothrin, prallethrin, resmethrin, kadethrin, tau-fluvalinate, tefluthrin, tetramethrin, zeta-cypermethrin, tralomethrin, transfluthrin, etofenprox, halfenprox, silafluofen, bensultap, cartap, thiocyclam, thiosultap-sodium, acephate, azamethiphos, azinphos-ethyl, azinphos-methyl, cadusafos, chlorethoxyfos, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, coumaphos, cyanophos, demeton-S-methyl, diazinon, dichlorvos, dicrotophos, dimethoate, dimethylvinphos, disulfoton, EPN, ethion, ethoprophos, famphur, fenamiphos, fenitrothion, fenthion, fosthiazate, heptenophos, isocarbophos, isoxathion, malathion, mecarbam, methamidophos, methidathion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phenthoate, phorate, phosalone, phosmet, phosphamidon, phoxim, pirimiphos-methyl, profenofos, propetamphos, prothiofos, pyraclofos, pyridaphenthion, quinalphos, sulfotep, tebupirimfos, temephos, terbufos, tetrachlorvinphos, thiometon, triazophos, trichlorfon, vamidothion, imicyafos, flupyrazofos, aldicarb, bendiocarb, benfuracarb, carbaryl, carbofuran, carbosulfan, ethiofencarb, fenobucarb, formetanate, furathiocarb, isoprocarb, methiocarb, methomyl, metolcarb, oxamyl, pirimicarb, propoxur, trimethacarb, XMC, xylylcarb, alanycarb, butocarboxim, butoxycarboxim, thiodicarb, thiofanox, bistrifluron, chlorfluazuron, diflubenzuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, teflubenzuron, triflumuron, abamectin, emamectin, chlorfenapyr, fenoxycarb, hydroprene,

kinoprene, methoprene, pyriproxyfen, dienochlor, cyenopyrafen, cyflumetofen, spiromesifen, spirodiclofen, spirotetramat, flubendiamide, flurimfen (flufenerim), flonicamid, metaflumizon, rynaxypyr, lepmectin, pyridalyl, fluacrypyrim, indoxacarb, bromopropylate, triazamate, fenazaquin, fenpyroximate, pyridaben, tebufenpyrad, clofentezine, etoxazole, hexythiazox, pymetrozine, buprofezin, 1.3-dichloropropene (1.3-D), isocarbophos, ammonium N-methyldithiocarbamate (NCS), azocyclotin, endosulfan, chlordane, chloropicrin, cyhexatin, spinosad, sodium dimethyldithiocarbamate, fenbutatin oxide, flusulfamide, methyl isothiocyanate (MITC), rotenone, CL900167, sodium aluminium fluoride, pyrifluquinazon, RU-15525, XDE-175, ZXI-8901 and the like may be included.

[0150]

Examples of known safeners which may be mixed or used in combination, will be listed in the following.

Benoxacor, furilazole, dichlormid, dicyclonone, DKA-24 (N1,N2-diallyl-N2-dichloroacetylglycinamide), AD-67 (4-dichloroacetyl-1-oxa-4-azaspiro[4.5]decane), PPG-1292 (2,2-dichloro-N-(1,3-dioxan-2-ylmethyl)-N-(2-propenyl)acetamide), R-29148 (3-dichloroacetyl-2,2,5-trimethyl-1,3-oxazolidine), cloquintcet-mexyl, 1,8-naphthalic anhydride, mefenpyr-diethyl, mefenpyr, fenchlorazole-ethyl, fenclorim, MG-191 (2-dichloromethyl-2-methyl-1,3-dioxane), cyometrinil, flurazole, fluxofenim, isoxadifen-ethyl, mecoprop, MCPA, daimuron, 2,4-D, isoxadifen, MON4660, oxabetrinil, cyprosulfamide, and the like may be included.

[0151]

The proportion of incorporation of the active ingredient in the herbicide of the present invention is appropriately

selected according to necessity, and may be appropriately selected in the range of 0.01 to 10% by weight, and preferably 0.05 to 5% by weight, in the case of a dust formulation or a granule formulation. The proportion of incorporation may be appropriately selected in the range of 1 to 50% by weight, and preferably 5 to 30% by weight, in the case of an emulsion or a wettable powder formulation. The proportion of incorporation may be appropriately selected in the range of 1 to 40% by weight, and preferably 5 to 30% by weight, in the case of a flowable formulation and the like.

[0152]

The amount of application of the herbicide of the present invention may vary depending on the type of the compound used, weed to be treated, tendency of occurrence, environmental conditions, formulation used, and the like, but in the case of using the herbicide directly such as in the form of a dust formulation or a granule formulation as it is, the amount of application may be appropriately selected in the range of 1 g to 50 kg, and preferably 10 g to 10 kg, per one hectare in terms of the active ingredient. In the case of using the herbicide in the liquid state such as in the form of an emulsifiable concentrate, a wettable powder formulation or a flowable formulation, the amount of application may be appropriately selected in the range of 0.1 to 50000 ppm, and preferably 10 to 10000 ppm.

[0153]

The herbicide of the present invention can be used through foliar application, soil application or submerged application, to upland fields, paddy fields, orchards and the like. The herbicide of the present invention can also be used for the purpose of controlling general weeds in fallow fields, ridges between rice fields, farm roads, drainage ditches, reclaimed

pastures, burial grounds, parklands, streets, playgrounds, vacant lots around buildings, reclaimed lands, track ends, forests, and the like.

[0154]

The herbicide of the present invention exhibits excellent herbicidal effects over a wide range from pre-emergence to the growing period of weeds including, for example, Persicaria spp. such as Polygonum lapathifolium L., Polygonum longisetum De Bruyn and Rumex japonicus Houtt.; Amaranthus spp. such as Amaranthus viridis L., Amaranthus palmeri S. Wats. and Amaranthus retroflexus L.; broad leaf weeds such as Solanum carolinense L., Solanum nigrum L., Chenopodium album L., Abutilon theophrasti medicus, Sida spinosa L., Sesbania exaltata Cory, Ambrosia elatior L., Papaver rhoeas L., Ipomoea spp., Xanthium strumarium L., Stellaria media Villars, Matricaria chamomilla L., Galium spurium L. var. echinospermon Hayek, Viola mandshurica, Veronica persica Poiret, Veronica hederifolia L., Lamium amplexicaule L., Vicia angustifolia L., Senecio vulgaris L., and Capsella Bursa-pastoris (L.) medik; perennial or annual cyperaceous weeds such as Cyperus rotundus L., Cyperus esculentus L., Cyperus brevifolius Hassk. var. leiolepis T. Koyama, Cyperus microiria Steud., and Cyperus iria; and graminaceous weeds such as Echinochloa esculenta (A. Braun) H. Scholz, Digitaria ciliaris (Retz.) Koel., Setaria viridis (L.) P. Beauv., Poa annua L., Alopecurus aequalis Sobol. var. amurensis Ohwi, Sorghum halepense Pers., Alopecurus myosuroides Huds., Lolium multiflorum Lamarck., and Avena sativa L. The herbicide of the present invention can also control annual weeds growing in paddy fields, such as Echinochloa oryzicola Vasing, Echinochloa crus-galli (L.) P. Beauv. var. crus-galli, Cyperus difformis L., Leptochloa chinensis (L.) Nees, Monochoria vaginalis (Burm. f.)

Presl var. plantaginea (Roxb.) Solms-Laub., Lindernia dubia (L.) Pennell, Lindernia procumbens (Krock.) Philcox., Rotala indica (Willd.) Koehne var. uliginosa (Miq.) Koehne, Vandellia angustifolia Benth., Limnophila sessiliflora, Ammannia multiflora Roxb., Elatine triandra Schk. var. pedicellata Krylov., Monochoria korsakowii Regel et Maack, Ludwigia prostrata Roxb., Eclipta prostrata L., Bidens frondosa L., Aeschynomene indica L., and Murdannia keisak Hand-Mazz.; and perennial weeds such as Sagittaria pygmaea Miq., Sagittaria triflolia L., Cyperus serotinus Rottb., Eleocharis kuroguwai Ohwi, Scirpus juncoides Roxb., Alisma canaliculatum A. Br. et Bouche, Potamogeton distinctus A. Bennett, Leersia japonica Makino, Paspalum distichum L., Leersia oryzoides (L.) Swartz, and Eleocharis acicularis Roem. et Schult. var. longiseta Svenson.

[0155]

Furthermore, the herbicide of the present invention is highly safe for useful plants and useful crops, and exhibits high safety for, for example, crops such as rice, wheat, barley, common oat, rye, foxtail millet, common millet, corn and grain sorghum; soybean, cotton, sugarbeet, sugarcane, onion, sunflower, oilseed rape, peanut, flax, tobacco, coffee, sweet potato, potato, tomato and other vegetables, or turf and the like.

[0156]

The useful crops and useful plants as used herein include so-called genetically modified cropsandbreedings which have been transformed by genetic engineering technologies to exhibit resistance to herbicides, pests, diseases and the like, such as corn, soybean, cotton, oilseed rape and sugarcane; and plants exhibiting resistance to herbicides, pests, diseases and the like through screening.

[0157]

Hereinafter, the method for producing the compound of formula [I] according to the present invention, preparation examples and uses will be illustrated in detail by the following Examples, but should not be construed to be limited thereto.

In addition, in the following description, "%" represents percentage by weight, and "parts" represents parts by weight.

[Example 1]

[0158]

Production of 3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-methylquinoxalin-2(1H)-one (Compound No. I-2 of the invention (see Table 1))

[0159]

(1) Production of 3-oxo-1-cyclohexenyl 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

4.6 g (22.5 mmol) of 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid was dissolved in dichloromethane (200 mL), and N,N-dimethylformamide (0.5 mL) and 4.3 g (33.9 mmol) of oxalyl chloride were added thereto. The mixture was stirred for 1 hour at room temperature. The reaction mixture was concentrated under reduced pressure, and the obtained residue was dissolved in dichloromethane (100 mL). This solution was added dropwise at room temperature to a solution prepared by dissolving 2.8 g (25.0 mmol) of 1,3-cyclohexanedione and 2.7 g(26.7 mmol) of triethylamine in dichloromethane (100 mL). The mixture was stirred for 1 hour at room temperature, and then, the reaction mixture was washed with water, and dried over anhydrous sodium sulfate. The inorganic matter was separated by filtration, and then the solvent was distilled off under reduced pressure, to obtain 6.3 g (yield 94%) of 3-oxo-1-cyclohexenyl 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a yellow solid.

[0160]
^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):
2.11-2.18(2H,m), 2.46-2.49(2H,m), 2.76-2.78(2H,m), 3.77(3H,s), 6.13(1H,s), 7.39-7.47(2H,m), 7.73(1H,t), 8.00(1H,d)

[0161]
(2) Production of 3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-methylquinoxalin-2(1H)-one

6.3 g (21.1 mmol) of 3-oxo-1-cyclohexenyl 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in dichloromethane (100 mL), and to this solution, 2.4 g (23.7 mmol) of triethylamine and acetone cyanchydrin (1 mL) were added. The mixture was stirred for a day at room temperature. The reaction mixture was washed with 10% hydrochloric acid and dried over anhydrous sodium sulfate, and the solvent was distilled off under reduced pressure. The residue was recrystallized from methanol, to obtain 4.3 g (yield: 68%) of 3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-methylquinoxalin-2(1H)-one as a white powder (melting point 191 to 192°C).

[0162]
^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):
2.05-2.12(2H,m), 2.45-2.47(2H,m), 2.76-2.80(2H,m), 3.71(3H,s), 7.33-7.38(2H,m), 7.60(1H,t), 7.85(1H,d), 16.3(1H,s)

[Example 2]

[0163]
Production of 2-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-4-phenyl-pyrido[2,3-b]pyrazin-3(4H)-one (Compound No. IV-1 of the invention (see Table 38))

6.50 g (24 mmol) of 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic

acid was dissolved in dichloromethane (100 mL), and to this solution, N,N-dimethylformamide (0.5 mL) and 3.8 g (30 mmol) of oxalyl chloride were added sequentially. The mixture was stirred for one hour at room temperature. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure. The obtained residue was dissolved in dichloromethane (30 mL), and this solution was added dropwise at 0°C over 5 minutes to a solution prepared by dissolving 2.7 g (24 mmol) of 1,3-cyclohexanedione and 2.5 g (24 mmol) of triethylamine in dichloromethane (30 mL). The reaction solution was returned to room temperature and stirred for 3 hours, and then acetone cyanohydrin (1 mL) and 2.5 g (24 mmol) of triethylamine were added thereto. The mixture was stirred for 12 hours at room temperature. After confirming the completion of the reaction, water (50 mL) was added to the reaction solution, the reaction solution was adjusted to pH 12 using a 10% aqueous solution of sodium hydroxide, and the reaction solution was separated. The aqueous layer was adjusted to pH 1 by adding 6 N hydrochloric acid, and then the aqueous layer was extracted with dichloromethane. The obtained organic layer was dried over anhydrous magnesium sulfate, and then the solvent was distilled off under reduced pressure. The residue thus obtained was washed with methanol, to obtain 6.5 g (yield: 73%) of 2-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-4-phenyl-pyrido[2,3-b]pyrazin-3(4H)-one.

[0164]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.06(2H,□), 2.44(2H, s), 2.77(2H, t), 7.30(3H, d), 7.53(3H, m), 8.18(1H, m), 8.47(1H, d), 16.10(1H,s)

[Example 3]

[0165]

Production of 2-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-4-(4-methoxyphenyl)-pyrido[2,3-b]pyrazin-3(4H)-one (Compound No. IV-62 of the invention (see Table 39))

4.4 g (15 mmol) of 4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid was dissolved in dichloromethane (100 mL), and to this solution, N,N-dimethylformamide (0.5 mL) and 3.8 g(30 mmol) of oxalyl chloride were added sequentially. The mixture was heated to reflux for one hour while stirring. After confirming the completion of the reaction, the reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. The residue thus obtained was dissolved in dichloromethane (30 mL), and this solution was added dropwise at 0°C over 5 minutes to a solution prepared by dissolving 1.8 g (16 mmol) of 1,3-cyclohexanedione and 1.8 g (18 mmol) of triethylamine in dichloromethane (30 mL). The reaction solution was returned to room temperature and stirred for 3 hours, and then acetone cyanohydrin (1 mL) and 1.8 g (18 mmol) of triethylamine were further added thereto. The mixture was stirred for 12 hours at room temperature. After confirming the completion of the reaction, water (20 mL) was added to the reaction solution, the reaction solution was adjusted to pH 12 using a 10% aqueous solution of sodium hydroxide, and the reaction solution was separated. The aqueous layer was adjusted to pH 1 by adding 6 N hydrochloric acid, and then the aqueous layer was extracted with dichloromethane. The obtained organic layer was dried over anhydrous magnesium sulfate, and then the solvent was distilled off under reduced pressure. The residue thus obtained was washed with methanol, to obtain 4.5 g (yield: 78%) of 2-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-4-(4-methoxyphenyl)

-pyrido[2,3-b]pyrazin-3(4H)-one.

[0166]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.06(2H, s), 2.44(2H, s), 2.77(2H, t), 3.86(3H, s),
7.07(2H, d), 7.28(2H, d), 8.17(1H, d), 8.49(1H, d)

[Example 4]

[0167]

Production of 3-hydroxy-2-(1-methyl-2-thioxo-1,2-dihydroquinoxalin-3-yl-carbonyl)-2-cyclohexen-1-one (Compound No. I-256 of the invention (see Table 11))

2.4 g (10.3 mmol) of ethyl 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate and 4.2 g (10.4 mmol) of Lawesson's reagent were added to toluene (50 mL), and the mixture was stirred for a day while maintaining the liquid temperature at 100°C. The reaction mixture was cooled to room temperature, and then the solvent was distilled off under reduced pressure. Chloroform was added to the residue, the insoluble was separated by filtration, and the filtrate was concentrated under reduced pressure. The residue thus obtained was dissolved in ethanol (300 mL), 4.9 g of a 25% aqueous solution of sodium hydroxide was added at room temperature, and the mixture was stirred for a day at room temperature. The reaction mixture was concentrated under reduced pressure, water was added thereto, and the mixture was adjusted to pH 1 using 10% hydrochloric acid. A solid precipitated therefrom was collected by filtration, and was washed with water. The solid thus obtained was dried, and this solid was dissolved in chloroform (50 mL). 1.7 g (13.4 mmol) of oxalyl chloride and one droplet of N,N-dimethylformamide were added to the solution, and the reaction solution was stirred for 2 hours at room temperature, and concentrated under reduced

pressure. The residue thus obtained was dissolved in chloroform (20 mL), 0.84 g (7.49 mmol) of 1,3-cyclohexanedione and 0.83 g (8.20 mmol) of triethylamine were added thereto, and the mixture was stirred for one hour at room temperature. 0.83 g (8.20 mmol) of triethylamine and 0.64 g (7.52 mmol) of acetone cyanohydrin were further added at room temperature, and the mixture was stirred for two days at room temperature. The reaction mixture was washed with 10% hydrochloric acid, and dried over anhydrous magnesium sulfate, and the solvent was distilled off under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate/chloroform = 1/1). The resulting solid was washed with ethyl acetate, to obtain 0.40 g of 3-hydroxy-2-(1-methyl-2-thioxo-1,2-dihydroquinoxaline-3-carbonyl)-2-cyclohexen-1-one as a yellow powder (melting point 300°C or above).

[0168]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.01-2.11(2H,m), 2.38-2.44(2H,m), 2.75-2.81(2H,m), 4.19(3H,s), 7.44(1H,t), 7.55(1H,d), 7.68(1H,t), 7.88(1H,d), 16.3(1H,s)

[Example 5]

[0169]

Production of 5-fluoro-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(4-methoxyphenyl)-quinoxalin-2(1H)-one (Compound No. II-186 of the invention (see Table 24))

11.1 g (35 mmol) of 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid was dissolved in chloroform (300 mL), and to this solution, N,N-dimethylformamide (0.5 mL) and 6.7 g (53 mmol) of oxalyl chloride were added sequentially. The mixture was

stirred for one hour at room temperature. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure. The residue thus obtained was dissolved in chloroform (100 mL), and this solution was added dropwise at 0°C over 5 minutes to a solution prepared by dissolving 4.4 g (39 mmol) of 1,3-cyclohexanedione and 4.3 g (43 mmol) of triethylamine in chloroform (200 mL). The reaction solution was returned to room temperature and stirred for 3 hours, and then 1.5 g (18 mmol) of acetone cyanohydrin and 4.3 g (43 mmol) of triethylamine were added thereto. The mixture was stirred for 12 hours at room temperature. The reaction solution was washed with 10% hydrochloric acid and dried over anhydrous sodium sulfate, and then the solvent was distilled off under reduced pressure. The residue thus obtained was washed with methanol, to obtain 13.6 g (yield: 94%) of 5-fluoro-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(4-methoxyphenyl)-quinoxalin-2(1H)-one as a pale orange-colored powder.

[0170]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.06(2H, □), 2.43(2H, br), 2.75(2H, t), 3.88(3H, s), 6.57(1H, d), 7.01-7.10(3H, m), 7.26-7.35(3H, m), 16.19(1H, s)

[Example 6]

[0171]

Production of 5-chloro-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(4-methoxyphenyl)-quinoxalin-2(1H)-one (Compound No. II-194 of the invention (see Table 24))

6.7 g (20 mmol) of 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid was dissolved in chloroform (300 mL), and to this solution, N,N-dimethylformamide (0.5 mL) and 5.2 g (41 mmol) of

oxalyl chloride were added sequentially. The mixture was stirred for one hour at room temperature. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure. The residue thus obtained was dissolved in chloroform (70 mL), and this solution was added dropwise at 0°C over 5 minutes to a solution prepared by dissolving 2.5 g (22 mmol) of 1,3-cyclohexanedione and 2.5 g (25 mmol) of triethylamine in chloroform (70 mL). The reaction solution was returned to room temperature and stirred for 3 hours, and then 0.86 g (10 mmol) of acetone cyanohydrin and 2.5 g (25 mmol) of triethylamine were added thereto. The mixture was stirred for 12 hours at room temperature. The reaction solution was washed with 10% hydrochloric acid and dried over anhydrous sodium sulfate, and then the solvent was distilled off under reduced pressure. The residue thus obtained was washed with ethyl acetate, to obtain 6.0 g (yield: 70%) of 5-chloro-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(4-methoxyphenyl)quinoxalin-2(1H)-one as a pale orange-colored powder.

[0172]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.06(2H, □), 2.44(2H, br), 2.75(2H, t), 3.88(3H, s),
6.71(1H, d), 7.09(2H, d), 7.25-7.30(3H, m), 7.39(1H, d),
16.23(1H, s)

[Example 7]

[0173]

Production of 1-(benzo[d][1,3]dioxol-5-yl)-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-quinoxalin-2(1H)-one (Compound No. I-202 of the invention (see Table 9))

5.7 g (19 mmol) of 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-c

arboxylic acid and 3.1 g (24 mmol) of oxalyl chloride were dissolved in dichloromethane (10 mL), and 0.026 g (0.35 mmol) of dimethylformamide was added thereto. The mixture was heated to reflux for 2 hours while stirring. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure to obtain 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-carbonyl chloride as yellow crystals (Compound No. of Production Intermediate: IX-73).

[0174]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

6.12 (2H, d), 6.74-6.76 (2H, m), 6.88 (1H, d), 7.01 (1H, d), 7.43 (1H, t), 7.57 (1H, t), 8.04 (1H, d)

[0175]

The 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-carbonyl chloride thus obtained was dissolved in dichloromethane (30 mL), and the solution was added dropwise to a liquid mixture containing 2.7 g (24 mmol) of 1,3-cyclohexanedione, 3.7 g (36 mmol) of triethylamine and dichloromethane (20 mL), under ice-cooling. After stirring the reaction solution for 3 hours at room temperature, 0.04 g (0.53 mmol) of acetone cyanohydrin and 3.7 g (36 mmol) of triethylamine were added to the liquid mixture, and the mixture was stirred for 12 hours at room temperature. The reaction solution was poured into water, and the aqueous layer was acidified with 10% hydrochloric acid, and extracted with dichloromethane. The obtained organic layer was dried over anhydrous magnesium sulfate, and the inorganic matter was separated by filtration. The solvent was distilled off under reduced pressure, and to the residue thus obtained, a mixed solution of methanol and water was added to obtain a solid. The solid was collected by filtration and dried, to obtain 5.5 g (yield: 75%) of

1-(benzo[d][1,3]dioxol-5-yl)-3-(2-hydroxy-6-oxo-1-cyclohexene carbonyl)-quinoxalin-2(1H)-one as a yellow amorphous matter.

[0176]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.03-2.05 (2H, m), 2.43 (2H, brs), 2.73 (2H, t), 6.08 (2H, d), 6.38-6.87 (3H, m), 6.99 (1H, d), 7.29-7.43 (2H, m), 7.88 (1H, d), 16.27 (1H, s)

[Example 8]

[0177]

Production of 1-(2,3-dihydrobenzo[b]1,4-dioxin-6-yl)-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-quinoxalin-2(1H)-one (Compound No. I-209 of the invention (see Table 10))

9.9 g (31 mmol) of 1-(2,3-dihydrobenzo[b]1,4-dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid was dissolved in dichloromethane (100 mL), and to this solution, N,N-dimethylformamide (0.5 mL) and 4.7 g (37 mmol) of oxalyl chloride were added sequentially. The mixture was stirred for one hour at room temperature. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure to obtain 1-(2,3-dihydrobenzo[b]1,4-dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carbonyl chloride as yellow crystals (Compound No. of Production Intermediate: IX-75).

[0178]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

4.33 (4H, s), 6.74-6.89 (3H, m), 7.08 (1H, d), 7.44 (1H, t), 7.55 (1H, t), 8.02 (1H, d)

[0179]

The 1-(2,3-dihydrobenzo[b]1,4-dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carbonyl chloride thus obtained was dissolved

in dichloromethane (30 mL), and this solution was added dropwise at 0°C over 5 minutes to a solution prepared by dissolving 4.2 g (37 mmol) of 1,3-cyclohexanedione and 6.2 g (61 mmol) of triethylamine in dichloromethane (30 mL). The reaction solution was returned to room temperature and stirred for 3 hours, and then acetone cyanohydrin (1 mL) and 6.2 g (61 mmol) of triethylamine were added thereto. The mixture was stirred for 12 hours at room temperature. After confirming the completion of the reaction, water (50 mL) was added to the reaction solution, the reaction solution was adjusted to pH 12 using a 10% aqueous solution of sodium hydroxide, and the reaction solution was separated. The aqueous layer was adjusted to pH 1 by adding 6 N hydrochloric acid, and then the aqueous layer was extracted with dichloromethane. The obtained organic layer was dried over anhydrous magnesium sulfate, and then the solvent was distilled off under reduced pressure. The residue thus obtained was washed with methanol, to obtain 5.2 g (yield: 40%) of 1-(2,3-dihydrobenzo[b]1,4-dioxin-6-yl)-3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-quinoxalin-2(1H)-one as milky white crystals.

[0180]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.02-2.09 (2H, m), 2.44 (2H, br), 2.73-2.77 (2H, m), 4.32 (4H, s), 6.84-6.87 (3H, m), 7.04 (1H, d), 7.28-7.42 (2H, m), 7.87 (1H, d), 16.3 (1H, s)

[Example 9]

[0181]

Production of 4-(3-fluoro-4-methylphenyl)-2-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-pyrido[2,3-b]pyrazin-3(4H)-one (Compound No. IV-222 of the invention (see Table 43))

24 g (80 mmol) of 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid was dissolved in chloroform (180 mL), and N,N-dimethylformamide (1 mL) and 20 g (160 mmol) of oxalyl chloride were added thereto. The mixture was stirred for one hour at 40°C. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure. The residue thus obtained was dissolved in chloroform (180 mL), and this solution was added dropwise at 0°C over 5 minutes to a solution prepared by dissolving 10 g (90 mmol) of 1,3-cyclohexanedione and 9.0 g (90 mmol) of triethylamine in chloroform (180 mL). The reaction solution was returned to room temperature, and stirred for 3 hours, and then 0.8 g (10 mmol) of acetone cyanohydrin and 9.0 g (90 mmol) of triethylamine were added thereto. The mixture was stirred for 12 hours at room temperature.

After confirming the completion of the reaction, the solvent was distilled off under reduced pressure, and the residue was extracted with ethyl acetate. A 10% aqueous solution of sodium hydroxide was added, and the mixture was adjusted to pH 12. Subsequently, the obtained aqueous layer was adjusted to pH 1 by adding 6 N hydrochloric acid, and the aqueous layer was extracted again with chloroform. Anhydrous magnesium sulfate and florisil were added to the organic layer to dry the layer, and the solvent was distilled off under reduced pressure. The residue thus obtained was washed with methanol, to obtain 15 g (yield: 48%) of 4-(3-fluoro-4-methylphenyl)-2-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-pyrido[2,3-b]pyrazin-3(4H)-one.

[0182]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.07 (2H, t), 2.35 (3H, d), 2.44 (2H, brs), 2.78 (2H, t), 7.06 (2H, d), 7.29-7.41 (2H, m), 8.18 (1H, dd), 8.48 (1H, dd), 16.06 (1H, brs)

[Example 10]

[0183]

Production of 3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(6-methyl-2-pyridyl)-quinoxalin-2(1H)-one (Compound No. I-173 of the invention (see Table 7))

[0184]

(1) Production of 3-oxo-1-cyclohexenyl 1-(6-methyl-2-pyridyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

23.3 g of 1-(6-methyl-2-pyridyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid was dissolved in chloroform (100 mL), and 21.0 g of oxalyl chloride was added thereto. The mixture was stirred for one hour at room temperature. The solvent was distilled off under reduced pressure, and the residue was dissolved in 100 mL of chloroform. 10.2 g of 1,3-cyclohexadione and 10.1 g of triethylamine were added, and the mixture was stirred for 2 hours at room temperature. The reaction mixture was poured into water, and extracted with chloroform. The organic layer was washed with saturated brine, and dried over anhydrous magnesium sulfate, and then the solvent was distilled off under reduced pressure, to obtain 28.8 g of 3-oxo-1-cyclohexenyl 1-(6-methyl-2-pyridyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate.

[0185]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.12 (2H, m), 2.46 (2H, t), 2.65 (3H, s), 2.74 (2H, t),

6.11 (1H, s), 6.68 (1H, d), 7.28 (1H, d), 7.51 (3H, m), 7.93 (1H, d), 8.03 (1H, d)

[0186]

(2) Production of 3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(6-methyl-2-pyridyl)-quinoxalin-2(1H)-one

28.8 g of 3-oxo-1-cyclohexenyl 1-(6-methyl-2-pyridyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in 100 mL of acetonitrile, and 9.3 g of triethylamine and 7.2 g of acetone cyanohydrin were added thereto. The mixture was stirred for one hour at 80°C. The solvent was distilled off under reduced pressure, the residue was dissolved in chloroform, and the solution was adjusted to below pH 4. The organic layer was washed with saturated brine, and dried over anhydrous magnesium sulfate, and then the solvent was distilled off under reduced pressure, to obtain 24.2 g of 3-(2-hydroxy-6-oxo-1-cyclohexenecarbonyl)-1-(6-methyl-2-pyridyl)-quinoxalin-2(1H)-one.

[0187]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.06 (m, 2H), 2.45 (t, 2H), 2.64 (s, 3H), 2.76 (t, 2H), 6.68 (s, 1H), 7.39 (m, 4H), 7.89 (m, 2H), 16.32 (s, 1H)

[0188]

The property values of the compounds obtained in the above Examples 1 to 10, and the compounds of the present invention produced in the same manner as in these Examples are presented in Table 124 to Table 134.

[0189]

[Table 124]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
I-1	Melting Point	84-86
I-2	Melting Point	191-192
I-5	Melting Point	193-195
I-7	Melting Point	155-157
I-12	Melting Point	164-165
I-13	Melting Point	151-152
I-14	Melting Point	172-174
I-15	Refractive Rate	1.6148
I-18	Melting Point	157-159
I-21	Melting Point	144-147
I-22	Melting Point	125-128
I-30	Melting Point	171-172
I-35	Melting Point	205-206
I-40	Melting Point	190-192
I-49	Melting Point	140-141
I-50	Melting Point	144-145
I-57	Melting Point	201-203
I-58		Incapable measurement
I-59	Melting Point	1.5663
I-62	Melting Point	164-166
I-65	Refractive Rate	1.6070
I-67	Melting Point	184-185
I-68	Melting Point	151-152
I-69	Melting Point	216-218
I-70	Melting Point	179-181
I-71	Melting Point	203-205
I-72	Melting Point	179-181
I-80	Melting Point	249-251
I-81	Melting Point	272-274
I-82	Melting Point	226-228
I-88	Melting Point	142-144
I-90	Melting Point	153-155
I-91	Melting Point	148-149
I-92	Melting Point	218-222
I-93		Oily
I-94	Melting Point	186-187
I-99	Melting Point	187-189
I-100	Melting Point	217-219
I-101	Melting Point	239-241
I-102	Melting Point	181-183
I-103	Melting Point	184-186
I-107	Melting Point	181-183
I-111	Melting Point	214-216
I-112	Melting Point	192-194
I-113	Melting Point	195-196
I-120	Melting Point	215-218
I-125	Melting Point	203-204
I-126	Melting Point	207-210
I-128	Melting Point	233-235

[Table 125]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
I-131	Melting Point	207-208
I-136	Melting Point	178-181
I-137	Melting Point	126-127
I-139	Melting Point	189-192
I-144	Melting Point	171-174
I-145	Melting Point	87-88
I-148	Melting Point	207-208
I-149	Melting Point	73-75
I-156	Melting Point	105-107
I-158	Melting Point	133-135
I-159		Oily
I-160	Melting Point	168-169
I-163	Melting Point	182-183
I-165	Melting Point	185-187
I-166	Melting Point	99-101
I-167	Melting Point	150-153
I-171	Melting Point	177-179
I-173	Melting Point	177-179
I-176	Melting Point	170-171
I-177	Melting Point	125-128
I-178	Melting Point	115-117
I-179	Melting Point	116-118
I-180	Melting Point	129-130
I-182	Melting Point	208-210
I-185	Melting Point	168-170
I-189	Melting Point	141-144
I-195	Melting Point	237-239
I-197	Melting Point	217-219
I-199	Melting Point	187-189
I-201	Melting Point	156-157
I-202	Melting Point	150-151
I-207	Melting Point	146-147
I-209	Melting Point	246-248
I-211	Melting Point	151-153
I-212	Melting Point	199-200
I-213	Melting Point	172-175
I-220	Melting Point	235-237
I-221	Melting Point	187-189
I-223	Melting Point	213-216
I-225	Melting Point	225-228
I-227	Melting Point	205-207
I-229	Melting Point	162-163
I-230	Melting Point	161-164
I-238	Melting Point	179-181
I-241	Melting Point	161-164
I-243	Melting Point	170-171
I-245	Melting Point	229-231
I-247	Melting Point	233-235
I-249	Melting Point	225-226
I-250	Melting Point	195-197
I-256	Melting Point	300 or more
I-263	Melting Point	148-150

[Table 126]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
I-264	Melting Point	170-173
I-265	Melting Point	193-195
I-266	Melting Point	199-200
I-267	Melting Point	163-166
I-268	Melting Point	127-129
I-269	Melting Point	211-213
I-270	Melting Point	167-169
I-271	Melting Point	138-140
I-272	Melting Point	173-174
I-273	Melting Point	141-143
I-274	Melting Point	148-150
I-275	Melting Point	204-205
I-276		Incapable measurement
I-277	Melting Point	135-137
I-278	Melting Point	144-145
I-279	Melting Point	166-168
I-280	Melting Point	186-188
I-281	Melting Point	139-141
I-282	Melting Point	164-165
I-283	Melting Point	190-192
I-284	Melting Point	160-162
I-363	Melting Point	250-252
I-364	Melting Point	168-170
I-365	Melting Point	182-183
I-366	Melting Point	212-214
I-367	Melting Point	208-210
I-368	Melting Point	152-154
I-371	Melting Point	189-190
I-372	Melting Point	205-207
I-373	Melting Point	124-126
I-379	Melting Point	208-209
I-380	Melting Point	146-147
I-385	Melting Point	194-196
I-388	Melting Point	172-175
I-395	Melting Point	140-142

[Table 127]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
II-1	Melting Point	68-71
II-4	Melting Point	203-204
II-5	Melting Point	180-182
II-6	Melting Point	172-174
II-7	Melting Point	177-178
II-8	Melting Point	70-72
II-9	Melting Point	100-101
II-11	Melting Point	202-204
II-13	Melting Point	201-202
II-14	Melting Point	105-107
II-15	Melting Point	176-179
II-20	Melting Point	93-94
II-21	Melting Point	123-126
II-23	Melting Point	136-138
II-24	Melting Point	101-104
II-29	Melting Point	169-171
II-33	Melting Point	147-149
II-39	Melting Point	125-127
II-44	Melting Point	112-114
II-51	Melting Point	264-266
II-52	Melting Point	201-202
II-57	Melting Point	124-125
II-62	Melting Point	112-114
II-63	Melting Point	175-177
II-64	Melting Point	174-176
II-68	Melting Point	164-167
II-69	Melting Point	88-90
II-71	Melting Point	78-80
II-74	Melting Point	106-108
II-75	Melting Point	173-175
II-81	Melting Point	135-136
II-84	Melting Point	149-150
II-90	Melting Point	103-105
II-95	Melting Point	111-113
II-101	Melting Point	61-64
II-116	Melting Point	93-96
II-121	Melting Point	125-128
II-122	Melting Point	172-174
II-124	Melting Point	91-94
II-125	Melting Point	274-277
II-129	Melting Point	230-232
II-130	Melting Point	96-99
II-131	Melting Point	136-137
II-136	Melting Point	143-146
II-137	Melting Point	107-110

[Table 128]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
II-140	Melting Point	128-130
II-146	Melting Point	111-113
II-149	Melting Point	101-103
II-167	Melting Point	108-110
II-168	Melting Point	122-123
II-169	Melting Point	215-216
II-173	Melting Point	185-188
II-174	Melting Point	98-101
II-175	Melting Point	110-112
II-177	Melting Point	136-138
II-178	Melting Point	113-115
II-179	Melting Point	190-193
II-180	Melting Point	106-109
II-185	Melting Point	124-126
II-186	Melting Point	242-245
II-187	Melting Point	114-116
II-188	Melting Point	136-138
II-189	Melting Point	187-189
II-190	Melting Point	217-219
II-193	Melting Point	173-175
II-194	Melting Point	105-107
II-195	Melting Point	191-193
II-196	Melting Point	120-122
II-197	Melting Point	205-207
II-205	Melting Point	139-142
II-208	Melting Point	102-104
II-209	Melting Point	109-111
II-210	Melting Point	98-100
II-211	Melting Point	113-115
II-212	Melting Point	126-129
II-213	Melting Point	171-172
II-214	Melting Point	97-99
II-215	Melting Point	116-117
II-216	Melting Point	181-183
II-217	Melting Point	197-199
II-218	Melting Point	138-140
II-219	Melting Point	183-185
II-220	Melting Point	128-130
II-221	Melting Point	106-109
II-222	Melting Point	91-92
II-223	Melting Point	110-111
II-224	Melting Point	143-145
II-225	Melting Point	135-138
II-226	Melting Point	141-143
II-227	Melting Point	201-203
II-228	Melting Point	182-185
II-229	Melting Point	145-148

[Table 129]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
II-230	Melting Point	161-163
II-231	Melting Point	154-157
II-232	Melting Point	205-208
II-233	Melting Point	212-214
II-234	Melting Point	118-121
II-235	Melting Point	97-98
II-236	Melting Point	232-234
II-237	Melting Point	182-183
II-238	Melting Point	213-216
II-239	Melting Point	153-155
II-240	Melting Point	135-137
II-241	Melting Point	121-123
II-242	Melting Point	145-146
II-243	Melting Point	176-179
II-244	Melting Point	257-260
II-245	Melting Point	165-166
II-246	Melting Point	155-158
II-247	Melting Point	201-204
II-248	Melting Point	113-116
II-249	Melting Point	165-167
II-250	Melting Point	197-198
II-251	Melting Point	129-131
II-252	Melting Point	162-164
II-253	Melting Point	202-204
II-254	Melting Point	104-106
II-255	Melting Point	118-120
II-256	Melting Point	189-190
II-257	Melting Point	91-92
II-258	Melting Point	195-197
II-259	Melting Point	214-216
II-260	Melting Point	224-226
II-261	Melting Point	181-183
II-262	Melting Point	264-267
II-263	Melting Point	194-196
II-301	Melting Point	222-223
II-302	Melting Point	190-192
II-303	Melting Point	102-103
II-304	Melting Point	183-185
II-305	Melting Point	93-95
II-306	Melting Point	156-157
II-307	Melting Point	227-229
II-317	Melting Point	107-109
II-323	Melting Point	106-108

[Table 130]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
III-2	Melting Point	177-180
III-5	Melting Point	101-103
III-7	Melting Point	105-106
III-12	Refractive Rate	1.5852
III-13	Melting Point	124-126
III-15	Refractive Rate	1.5521
III-30	Melting Point	128-130
III-35	Melting Point	198-200
III-40	Melting Point	163-165
III-45	Melting Point	141-142
III-59	Melting Point	87-88
III-70	Melting Point	158-159
III-88	Melting Point	119-121
III-90	Melting Point	126-128
III-96	Melting Point	132-134
III-99	Melting Point	142-144
III-107	Melting Point	178-180
III-108	Melting Point	155-157
III-111	Melting Point	170-172
III-117	Melting Point	185-188
III-118	Melting Point	149-151
III-120	Melting Point	167-168
III-130	Melting Point	184-185
III-139	Melting Point	226-229
III-158	Melting Point	185-187
III-173	Melting Point	202-204
III-189	Melting Point	196-198
III-201	Melting Point	135-138
III-202	Melting Point	197-199
III-207	Melting Point	201-203
III-209	Melting Point	231-233
III-212	Melting Point	93-96
III-213	Melting Point	139-141
III-220	Melting Point	148-151
III-221	Melting Point	182-185
III-229	Melting Point	87-88
III-230	Melting Point	113-115
III-231	Melting Point	143-144
III-232	Melting Point	108-110
III-233	Melting Point	83-85
III-234	Melting Point	168-170
III-235	Melting Point	136-138
III-236	Melting Point	168-171
III-237	Melting Point	128-130
III-238	Melting Point	136-137
III-239	Melting Point	122-124
III-240	Melting Point	222-224

[Table 131]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
III-241	Melting Point	159-160
III-242	Melting Point	71-73
III-243	Melting Point	88-89
III-244	Melting Point	178-180
III-245	Melting Point	161-162
III-246	Melting Point	129-131
III-247	Melting Point	133-134

[Table 132]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
IV-1	Melting Point	253-255
IV-2	Melting Point	158-159
IV-3	Melting Point	216-218
IV-4	Melting Point	224-226
IV-6	Melting Point	216-217
IV-7	Melting Point	231-232
IV-8	Melting Point	231-232
IV-9	Melting Point	181-183
IV-11	Melting Point	224-227
IV-13	Melting Point	203-206
IV-17	Melting Point	264-266
IV-18	Melting Point	237-239
IV-19	Melting Point	251-253
IV-20	Melting Point	163-165
IV-21	Melting Point	203-205
IV-23	Melting Point	110-112
IV-24	Melting Point	117-119
IV-30	Melting Point	123-125
IV-33	Melting Point	198-199
IV-34	Melting Point	183-185
IV-39	Melting Point	168-171
IV-40	Melting Point	176-178
IV-41	Melting Point	203-205
IV-44	Melting Point	94-96
IV-52	Melting Point	171-172
IV-57	Melting Point	177-180
IV-62	Melting Point	133-135
IV-68		Incapable measurement
IV-69	Melting Point	102-104
IV-85	Melting Point	185-186
IV-90	Melting Point	172-174
IV-95	Melting Point	133-136
IV-100	Melting Point	100-102
IV-101	Melting Point	155-156
IV-106	Melting Point	166-169
IV-128	Melting Point	176-178
IV-136	Melting Point	196-197
IV-150	Melting Point	201-202
IV-151	Melting Point	177-178
IV-152	Melting Point	230-232
IV-153	Melting Point	242-245
IV-154	Melting Point	226-228
IV-155	Melting Point	260-261
IV-156	Melting Point	215-217
IV-157	Melting Point	121-124

[Table 133]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
IV-158	Melting Point	251-253
IV-159	Melting Point	183-185
IV-160	Melting Point	208-211
IV-161	Melting Point	237-240
IV-165	Melting Point	228-231
IV-166	Melting Point	196-199
IV-168	Melting Point	199-201
IV-169	Melting Point	171-173
IV-170	Melting Point	216-217
IV-173	Melting Point	208-210
IV-174	Melting Point	196-197
IV-177	Melting Point	204-207
IV-178	Melting Point	167-169
IV-179	Melting Point	153-155
IV-180	Melting Point	177-179
IV-184	Melting Point	181-182
IV-185	Melting Point	104-106
IV-186	Melting Point	221-223
IV-187	Melting Point	214-216
IV-188	Melting Point	217-219
IV-189	Melting Point	194-196
IV-191	Melting Point	118-120
IV-200	Melting Point	237-239
IV-201	Melting Point	167-168
IV-202	Melting Point	155-157
IV-203	Melting Point	189-191
IV-206	Melting Point	122-125
IV-208	Melting Point	171-172
IV-209	Melting Point	242-245
IV-210	Melting Point	222-224
IV-211		Incapable measurement
IV-212	Melting Point	208-210
IV-213	Melting Point	177-179
IV-214	Melting Point	219-222
IV-215	Melting Point	176-178
IV-216	Melting Point	118-122
IV-217	Melting Point	231-232
IV-218	Melting Point	180-182
IV-219	Melting Point	200-202
IV-220	Melting Point	225-228
IV-221	Melting Point	185-187
IV-222	Melting Point	185-186
IV-223	Melting Point	210-212
IV-224	Melting Point	254-257
IV-225	Melting Point	167-169
IV-226	Melting Point	186-189
IV-227	Melting Point	200-202
IV-228	Melting Point	182-184
IV-229	Melting Point	177-178
IV-230	Melting Point	142-144

[Table 134]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
IV-231	Melting Point	262-265
IV-232	Melting Point	181-183
IV-233	Melting Point	200-203
IV-234	Melting Point	125-127
IV-235	Melting Point	88-89
IV-236	Melting Point	170-172
IV-237	Melting Point	179-182
IV-238	Melting Point	146-149
IV-239	Melting Point	207-209
IV-240	Melting Point	135-137
IV-241	Melting Point	208-211
IV-242	Melting Point	137-139
IV-243	Melting Point	128-130
IV-244	Melting Point	181-182
IV-245	Melting Point	92-94
IV-246	Melting Point	198-201
IV-247	Melting Point	98-100
IV-248	Melting Point	122-124
IV-249	Melting Point	104-107
IV-250	Melting Point	119-122
IV-251	Melting Point	184-187
IV-252	Melting Point	119-121
IV-253	Melting Point	204-205
IV-254	Melting Point	233-235
IV-255	Melting Point	247-249
IV-256	Melting Point	230-232
IV-257	Melting Point	234-236
IV-258	Melting Point	191-192
IV-259	Melting Point	228-229
IV-260	Melting Point	202-204
IV-261	Melting Point	126-128
IV-262	Melting Point	235-237
IV-263	Melting Point	216-218
IV-264	Melting Point	197-199
IV-265	Melting Point	266-268
IV-266	Melting Point	210-211
IV-267	Melting Point	208-210
IV-268	Melting Point	217-219
IV-269	Melting Point	207-210
IV-270	Melting Point	217-220
IV-271	Melting Point	74-76
IV-273	Melting Point	268-271
IV-274	Melting Point	196-199
IV-284	Melting Point	181-183
IV-285	Melting Point	100-103
IV-286	Melting Point	103-105
IV-287	Melting Point	217-219

[0190]

[NMR data]

For Compound Nos. I-15, I-58, I-65, I-93, I-159, I-276, III-12, III-15 and IV-211, the ^{1}H-NMR data ($CDCl_3$/TMS δ (ppm) value) are presented in the following.

[0191]

Compound No. I-15:

1.02(3H, t), 1.55(2H, m), 1.81(2H, m), 2.07(2H, t), 2.44(2H, s), 2.77(2H, t), 4.27(2H, t), 7.36(2H, m), 7.58(1H, t), 7.86(1H, d), 16.32(1H, s)

[0192]

Compound No. I-58:

2.07(2H, s), 2.42(2H,s), 2.77(2H,t), 3.34(3H, s), 3.51(2H, s), 3.78(2H, s), 5.79(2H, s), 7.35(1H, t), 7.64(2H, m), 7.83(1H, d), 16.27(1H, s)

[0193]

Compound No. I-65:

1.42-2.09 (4H, m), 2.07 (2H, s), 2.43 (2H, s), 3.01 (2H, s), 3.59-4.05 (5H, m), 5.75 (1H, d), 5.85 (1H, d), 7.36 (1H, t), 7.54-7.65 (2H, m), 7.82 (1H, d), 16.3 (1H, br)

[0194]

Compound No. I-68:

1.41 (3H, t), 2.07 (2H, s), 2.44 (2H, s), 2.77 (2H, t), 4.04 (2H, q), 6.87 (1H, m), 7.02 (1H, d), 7.31 (1H, m), 7.46 (1H, t), 8.18 (1H, d), 8.49 (1H, d), 1.48 (3H, t), 4.13 (2H, q), 7.15 (2H, d), 7.23 (2H, d), 7.56 (1H, m), 8.63 (1H, d), 8.72 (1H, d)

[0195]

Compound No. I-93:

2.00-2.08(4H, m), 2.43(2H, br), 2.77(2H, t), 3.36(3H, s), 3.47(2H, t), 4.36(2H, t), 7.34(1H, t), 7.50(1H, d), 7.57(1H, t), 7.86(1H, d), 16.33(1H, br).

[0196]

Compound No. I-159:

2.07(2H, t), 2.46(2H, br), 2.78(2H, t), 7.25(1H, s), 7.36(1H, t), 7.46(1H, t), 7.61(1H, d), 7.87(1H, d), 7.89(1H, d), 16.23(1H, br).

[0197]

Compound No. I-276:

2.04(2H, m), 2.42(2H, m), 2.53(2H, m), 3.84(3H, s),
6.52-6.60(2H, m), 7.06-7.59(6H, m), 7.86(1H, d), 18.1(1H, s)

[0198]

Compound No. III-12:

1.06 (3H, s), 1.83 (2H, m), 2.08 (2H, t), 2.44 (2H, s),
2.65 (2H, s), 4.41 (2H, t), 7.27 (1H, d), 8.13 (1H, d),
8.61 (1H, d), 16.13 (1H, s)

[0199]

Compound No. III-15:

1.01 (3H, s), 1.52 (2H, m), 1.79 (2H, m), 2.05 (2H, t),
2.45 (2H, s), 2.76 (2H, t), 4.47 (2H, t), 7.28 (1H, d),
8.13 (1H, d),
8.61 (1H, d), 16.16 (1H, s)

[0200]

Compound No. IV-211:

2.06(2H, t), 2.45(2H, brs), 2.78(2H, brs), 3.73(6H, s),
6.72(2H, d), 7.25(1H, d), 7.42(1H, t), 8.16(1H, dd), 8.46(1H, dd),
16.11(1H, brs)

[0201]

[Reference Example 1]

(Production Intermediate) Production of
1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid
(Compound No. of Production Intermediate: V-2)

[0202]

(1) Production of ethyl
1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

4.0 g (18.3 mmol) of ethyl
2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in

N,N-dimethylformamide (100 mL), and under a nitrogen stream, 0.81 g (20.3 mmol) of 60% sodium hydride (oily) was added thereto, while maintaining the liquid temperature in the range of 5°C to 10°C. After stirring the mixture for one hour at room temperature, 3.9 g (27.5 mmol) of iodomethane was added dropwise to the reaction solution. The mixture was stirred for a day at room temperature, and then the reaction mixture was poured into water, and extracted with ethyl acetate. The organic layer was washed with water and a saturated aqueous solution of sodium chloride, and dried over anhydrous sodium sulfate. The inorganic matter was separated by filtration, and then the solvent was distilled off under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate:hexane = 3:2), to obtain 3.0 g (yield: 71%) of ethyl 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a white powder.

[0203]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.45(3H,t), 3.74(3H,s), 4.51(2H,q), 7.35-7.43(2H,m), 7.67(1H,t), 7.96(1H,d)

[0204]

(2) Production of 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid

3.0 g (12.9 mmol) of ethyl 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in ethanol (100 mL), and 4.1 g (25.6 mmol) of a 25% aqueous solution of sodium hydroxide was added dropwise thereto at room temperature. The mixture was stirred for a day at room temperature, and then the reaction mixture was concentrated under reduced pressure. Water was added to the reaction mixture, and the solution was acidified using 10% hydrochloric acid, and

extracted with chloroform. The organic layer was dried over anhydrous sodium sulfate, and then the inorganic matter was separated by filtration. Then, the solvent was distilled off under reduced pressure, to obtain 2.6 g (yield: 99%) of 1-methyl-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid as a milky yellow powder.

[0205]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.89(3H,s), 7.52(1H,d), 7.58(1H,t), 7.86(1H,t), 8.28(1H,d), 14.23(1H,br)

[0206]

[Reference Example 2]

(Production Intermediate) Production of 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid (Compound No. of Production Intermediate: VIII-1)

[0207]

(1) Production of ethyl 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate

11.68 g (63 mmol) of 3-amino-2-anilinopyridine was dissolved in ethanol (150 mL), and 12.07 g (69 mmol) of diethyl ketomalonate was added thereto. The mixture was heated to reflux for 4 hours while stirring. After confirming the completion of the reaction, the reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. The residue thus obtained was washed using diisopropyl ether, to obtain 10.74 g (yield: 58%) of ethyl 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate as pale yellow crystals.

[0208]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.44(3H, t), 4.51(2H, q), 7.30(2H, d), 7.35(1H, q), 7.56(3H, m), 8.30(1H, q), 8.52(1H, q)

[0209]

(2) Production of 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid

10.74 g (36 mmol) of ethyl 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate was dissolved in acetic acid (270 mL), and 6 N hydrochloric acid (80 mL) was added thereto at room temperature. Then, the mixture was stirred overnight at room temperature. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure, and water (100 mL) was added. The solid thus obtained was separated by filtration and dried, to obtain 6.50 g (yield: 67%) of 3-oxo-4-phenyl-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid as pale brown crystals.

[0210]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

7.33(2H, d), 7.57(1H, m), 7.65(3H, m), 8.64(1H. q), 8.70(1H, q)

[0211]

[Reference Example 3]

(Production Intermediate) Production of 4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid (Compound No. of Production Intermediate: VIII-34)

[0212]

(1) Production of N-(4-methoxyphenyl)-3-nitropyridin-2-amine

5.0 g (32 mmol) of 2-chloro-3-nitropyridine was dissolved in 2-ethoxyethanol (150 mL), and water (150 mL) was added thereto.

To the mixed solution, 3.9 g (32 mmol) of 4-methoxyaniline and 6 N hydrochloric acid (1 mL) were added, and the mixture was heated to reflux for 12 hours while stirring. After confirming the completion of the reaction, the reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. The residue was extracted with ethyl acetate, and washed with saturated brine, and then the obtained organic layer was dried over anhydrous magnesium sulfate. The inorganic matter was separated by filtration, and then the solvent was distilled off under reduced pressure, to obtain 6.6 g (yield: 85%) of N-(4-methoxyphenyl)-3-nitropyridin-2-amine as orange-colored crystals.

[0213]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.83(3H, s), 6.78(1H, q), 6.94(2H, d), 7.49(2H, d), 8.44(1H, q), 8.51(1H, q), 9.96(1H, brs)

[0214]

(2) Production of 3-amino-2-(4-methoxyphenyl)aminopyridine

6.6 g (27 mmol) of 2-(4-methoxyphenyl)amino-3-nitropyridine was dissolved in methanol (150 mL). A solution in which tin (II) chloride dihydrate was dissolved in 12 N hydrochloric acid (40 mL) was prepared, and this solution was added dropwise to the reaction solution at 0°C over 5 minutes. The reaction solution was returned to room temperature, and then stirred for 3 hours. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure, and water (100 mL) was added. The reaction solution was adjusted to pH 12 using a 10% aqueous solution of sodium hydroxide, subsequently filtered, and extracted with dichloromethane. The obtained organic layer was

dried over anhydrous magnesium sulfate, and then the inorganic matter was separated by filtration. The solvent was distilled off under reduced pressure, to obtain 5.2 g (yield: 90%) of 3-amino-2-(4-methoxyphenyl)aminopyridine as orange-colored crystals.

[0215]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.34(2H, s), 3.79(3H, s), 6.06(1H, brs), 6.69(1H, q), 6.87(2H, d), 6.97(1H, q), 7.22(2H, d), 7.80(1H, q)

[0216]

(3) Production of ethyl 4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate

5.2 g (24 mmol) of 3-amino-2-(4-methoxyphenyl)aminopyridine was dissolved in ethanol (150 mL), and 4.6 g (27 mmol) of diethyl ketomalonate was added thereto. The mixture was heated to reflux for 9 hours while stirring. After confirming the completion of the reaction, the reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. The residue thus obtained was purified by column chromatography (developing solvent: ethyl acetate:hexane = 1:2), to obtain 2.9 g (yield: 37%) of ethyl 4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate as yellow crystals.

[0217]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.44(3H, t), 3.88(2H, s), 4.51(2H, q), 7.09(2H, d), 7.22(2H, d), 7.35(1H, q), 8.29(1H, q), 8.54(1H, q)

[0218]

(4) Production of

4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid

2.9 g (9 mmol) of ethyl 4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate was dissolved in 1,4-dioxane (30 mL). A solution in which 1.8 g (13 mmol) of potassium carbonate was dissolved in water (60 mL) was prepared, and was added to the reaction solution at room temperature, and then the mixture was stirred for one hour at 50°C. After confirming the completion of the reaction, the reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. Water (50 mL) was added, and then the reaction solution was cooled to 0°C, and adjusted to pH 1 using 6 N hydrochloric acid. Subsequently, a solid was separated by filtration and dried, to obtain 2.6 g (yield: 98%) of 4-(4-methoxyphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid as yellow crystals.

[0219]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.91(3H, s), 7.15(2H, d), 7.23(2H, d), 7.56(1H, q), 8.63(1H. q), 8.72(1H, q)

[0220]

[Reference Example 4]

(Production Intermediate) Production of ethyl 1-(3-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

2.0 g (9.2 mmol) of ethyl 2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in dichloromethane (100 mL), and 2.8 g (1.8 mmol) of 3-methoxyphenylboric acid, 3.3 g (1.8 mmol) of anhydrous copper (II) acetate and 1.4 g (1.8 mmol) of pyridine were added thereto.

The mixture was stirred for 72 hours at room temperature. After completion of the reaction, the inorganic matter was separated by filtration, and then the solvent was distilled off under reduced pressure. The residue thus obtained was purified by column chromatography (developing solvent: ethyl acetate:hexane = 1:1), to obtain 1.2 g (yield: 42%) of ethyl 1-(3-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a white powder.

[0221]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.43(3H, t), 3.83(3H, s), 4.51(2H, q), 6.77-6.88(2H, m), 7.08(2H, d), 7.35(1H, t), 7.39-7.53(2H, m), 7.98(1H, d)

[0222]

[Reference Example 5]

(Production Intermediate) Production of 1-[(3-methylisoxazol-5-yl)methyl]-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid (Compound No. of Production Intermediate: V-103)

[0223]

(1) Production of ethyl 2-oxo-1-(2-propynyl)-1,2-dihydroquinoxaline-3-carboxylate

9.2 g (62.9 mmol) of N-(2-propynyl) 1,2-phenylenediamine and 12.1 g (69.2 mmol) of diethyl ketomalonate were dissolved in toluene (100 mL), and the solution was refluxed for 3 hours. After confirming the completion of the reaction, the reaction solution was concentrated under reduced pressure, and the solid matter thus obtained was washed with diisopropyl ether, to obtain 15.0 g (yield: 93%) of ethyl 2-oxo-1-(2-propynyl)-1,2-dihydroquinoxaline-3-carboxylate as a white powder.

[0224]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):
1.45(3H,t), 2.32(1H,t), 4.51(2H,q), 5.08(2H,d), 7.43(1H,t), 7.52(1H,d), 7.70(1H,t), 7.97(1H,d)

[0225]

(2) Production of chloroacetaldoxime

10.4 g (77.9mmol) of N-chlorosuccinimide was dissolved in N,N-dimethylformamide (65 mL), and 3.6 g (60.9 mmol) of acetaldoxime was added dropwise at a temperature in the range of 0°C to 5°C. The mixture was stirred for 3 hours at 10°C. Water was added to the reaction solution, and the mixture was extracted with diethyl ether. The organic layer was washed with a saturated aqueous solution of sodium chloride, dried and concentrated, to obtain chloroacetaldoxime as a yellow liquid. The obtained chloroacetaldoxime was used in the subsequent reaction without purification.

[0226]

(3) Production of ethyl 1-[(3-methylisoxazol-5-yl)methyl]-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

3.0 g (11.7 mmol) of ethyl 2-oxo-1-(2-propynyl)-1,2-dihydroquinoxaline-3-carboxylate and 3.28 g (35.1 mmol) of chloroacetaldoxime were dissolved in tetrahydrofuran (30 mL), and 3.55 g (35.1mmol) of triethylamine was added dropwise at a temperature in the range of 0°C to 5°C. The mixture was stirred for 3 days at room temperature. After confirming the completion of the reaction, the solvent was distilled off under reduced pressure, and the residue was extracted with ethyl acetate. The organic layer was washed with an aqueous solution of citric acid, dried over anhydrous sodium sulfate, and concentrated. The solid matter thus obtained was washed with diisopropyl ether, to obtain 3.4 g (yield: 93%) of

ethyl
1-[(3-methylisoxazol-5-yl)methyl]-2-oxo-1,2-dihydroquinoxalin
e-3-carboxylate as a white powder.

[0227]
^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):
1.45(3H,t), 2.25(3H,s), 4.52(2H,q), 5.52(2H,s), 6.14(1H,s),
7.42(1H,t), 7.52(1H,d), 7.68(1H,t), 7.98(1H,d)

[0228]
(4) Production of
1-[(3-methylisoxazol-5-yl)methyl]-2-oxo-1,2-dihydroquinoxalin
e-3-carboxylic acid

3.0 g (9.58 mmol) of ethyl
1-[(3-methylisoxazol-5-yl)methyl]-2-oxo-1,2-dihydroquinoxalin
e-3-carboxylate and 0.46 g (11.5 mmol) of lithium hydroxide monohydrate were dissolved in a solvent mixture of ethanol (30 mL) and water (30 mL), and the solution was stirred for 2 hours at room temperature. The reaction solution was concentrated to a half the original volume, and water was added to the residue. The mixture was acidified using 10% hydrochloric acid, and then extracted with ethyl acetate. The organic layer was washed with water, dried over anhydrous sodium sulfate, and concentrated, to obtain 2.3 g (yield: 84%) of
1-[(3-methylisoxazol-5-yl)methyl]-2-oxo-1,2-dihydroquinoxalin
e-3-carboxylic acid as a white powder.

[0229]
^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):
2.28□3H,s□, 5.66(2H,s), 7.62(1H,t), 7.71(1H,d), 7.87(1H,t),
8.28(1H,d)

[0230]
[Reference Example 6]

Production of 2-oxo-1-[(2,2,2-trifluoroethoxy)methyl]-1,2-dihydroquinoxaline-3-carboxylic acid (Compound No. of Production Intermediate: V-35)

[0231]

(1) Production of ethyl 1-(methylthiomethyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

5.0 g (22.9 mmol) of ethyl 2-oxo-1,2-dihydroquinoxaline-3-carboxylate, 3.8 g (27.5 mmol) of potassium carbonate, 4.56 g (27.5 mmol) of potassium iodide and 2.43 g (25.2 mmol) of chloromethyl methyl sulfide were dissolved in acetone (100 mL), and the solution was refluxed for 5 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, and to the residue thus obtained, a mixture of water and ethyl acetate was added. The resulting mixture was separated. The organic layer was dried over anhydrous magnesium sulfate, and the inorganic matter was separated by filtration. The solvent was distilled off under reduced pressure, and the residue thus obtained was solidified using diethyl ether, to obtain 3.5 g (yield: 55%) of ethyl 1-(methylthiomethyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a white powder.

[0232]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.44(3H,t), 2.32(3H,s), 4.51(2H,q), 5.39(2H,s), 7.40(2H,m), 7.67(1H,t), 7.98(1H,d)

[0233]

(2) Production of ethyl 1-(chloromethyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

2.1 g (7.5 mmol) of ethyl 1-(methylthiomethyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxyla

te was dissolved in dichloromethane (10 mL), and 1.3 g (9.8 mmol) of sulfuryl chloride was added dropwise at or below 10°C. The mixture was stirred for 2 hours at room temperature. After completion of the reaction, the solvent was distilled off under reduced pressure, to obtain ethyl 1-(chloromethyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate. The chloromethylation product thus obtained was used in the subsequent reaction without purification.

[0234]

(3) Production of ethyl 2-oxo-1-[(2,2,2-trifluoroethoxy)methyl]-1,2-dihydroquinoxaline-3-carboxylate

1.2 g (9.0 mmol) of 2,2,2,-trifluoroethanol was dissolved in N,N-dimethylformamide (20 mL), and 0.36 g (9.0 mmol) of 60% sodium hydride (oily) was added in small portions. The mixture was stirred for one hour at room temperature. To this reaction solution, the ethyl 1-(chloromethyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate obtained previously was added at or below 10°C. The mixture was stirred for 3 hours at room temperature, and then poured into ice water, and a solid matter precipitated therefrom was collected by filtration. The solid matter thus obtained was washed with water, and then dried under reduced pressure, to obtain 2.0 g (yield: 81%) of ethyl 2-oxo-1-[(2,2,2-trifluoroethoxy)methyl]-1,2-dihydroquinoxaline-3-carboxylate as a yellow powder.

[0235]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.45(3H,t), 4.10□2H,q□, 4.52□2H,q□, 5.85(2H,s), 7.45(1H,t), 7.54(1H,d), 7.68(1H,t), 7.97(1H,d)

[0236]

(4) Production of 2-oxo-1-[(2,2,2-trifluoroethoxy)methyl]-1,2-dihydroquinoxaline-3-carboxylic acid

1.5 g (4.54 mmol) of ethyl 2-oxo-1-[(2,2,2-trifluoroethoxy)methyl]-1,2-dihydroquinoxaline-3-carboxylate and 0.28 g (6.81 mmol) of lithium hydroxide monohydrate were dissolved in a solvent mixture of ethanol (30 mL) and water (30 mL), and the solution was stirred for 2 hours at room temperature. The reaction solution was concentrated to a half the original volume, and then water was added to the residue. The mixture was acidified using 10% hydrochloric acid, and then extracted with ethyl acetate. The organic layer was washed with water, dried over anhydrous sodium sulfate, and concentrated, to obtain 2.4 g (yield: 53%) of 2-oxo-1-[(2,2,2-trifluoroethoxy)methyl]-1,2-dihydroquinoxaline-3-carboxylic acid as a white powder.

[0237]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

4.14□2H,q□, 5.98(2H,s), 7.64(2H,m), 7.86(1H,t), 8.26(1H,d)

[0238]

[Reference Example 7]

Production of 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid (Compound No. of Production Intermediate: VI-134)

[0239]

(1) Production of 3-fluoro-N-(4-methoxyphenyl)-2-nitroaniline

20.0 g (126 mmol) of 2,6-difluoronitrobenzene and 17.0 g (138 mmol) of p-anisidine were dissolved in N,N-dimethylformamide (60 ml), and 20.8 g (150 mmol) of potassium carbonate was added thereto. The mixture was heated with

stirring for 12 hours at 75°C. The reaction mixture was poured into water, and extracted with ethyl acetate. The extracted organic layer was washed with water, 10% hydrochloric acid, water, and a saturated aqueous solution of sodium chloride in this order, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate:hexane = 1:9), to obtain 21.2 g (yield: 64%) of 3-fluoro-N-(4-methoxyphenyl)-2-nitroaniline as a brown liquid.

[0240]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.84(s,3H), 6.50(t,1H), 6.73(d,1H), 6.94(d,2H), 7.15-7.21(m,3H), 8.51(br,1H)

[0241]

(2) Production of 3-fluoro-N^1-(4-methoxyphenyl)-1,2-phenylenediamine

21.2 g (80.8 mmol) of 3-fluoro-N-(4-methoxyphenyl)-2-nitroaniline was dissolved in ethanol (600 ml), and 2.1 g of 5% palladium-activated carbon was added thereto. The mixture was stirred for 12 hours in a hydrogen atmosphere. The reaction mixture was filtered, and the filtrate was concentrated under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate:hexane = 1:4), to obtain 15.4 g (yield 82%) of 3-fluoro-N^1-(4-methoxyphenyl)-1,2-phenylenediamine as a pale yellow liquid.

[0242]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.63(br,2H), 3.78(s,3H), 5.12(br,1H), 6.61-6.83(m,7H)

[0243]

(3) Production of ethyl 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

15.4 g (66.3 mmol) of 3-fluoro-N^1-(4-methoxyphenyl)-1,2-phenylenediamine and 11.6 g (66.6 mmol) of diethyl ketomalonate were dissolved in benzene (400 ml), and the solution was heated to reflux for 2 hours while removing water using a Dean-Stark apparatus. The reaction mixture was concentrated under reduced pressure, and then the residue thus obtained was dissolved in ethyl acetate, and washed with water, 10% hydrochloric acid and a saturated aqueous solution of sodium chloride. The organic layer was dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue thus obtained was recrystallized from ethanol, to obtain 14.5 g (yield: 64%) of ethyl 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as pale magenta-colored acicular crystals.

[0244]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.43(t,3H), 3.89(s,3H), 4.50(q,2H), 6.56(d,1H), 7.05-7.22(m,5H), 7.39(m,1H)

[0245]

(4) Production of 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid

14.3 g (41.8 mmol) of ethyl 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in ethanol (100 ml), and 10.0 g (62.5 mmol) of a 25% aqueous solution of sodium hydroxide was added thereto. The mixture was stirred for 2 hours at room temperature. The reaction mixture was concentrated under reduced pressure, and

water was added to the residue thus obtained. The mixture was acidified using 10% hydrochloric acid. A solid precipitated therefrom was separated by filtration, washed with water, and then dried, to obtain 11.1 g (yield: 84%) of 5-fluoro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid as a pale yellow powder.

[0246]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.93(s,3H), 6.71(d,1H), 7.15-7.29(m,5H), 7.60(m,1H)

[0247]

[Reference Example 8]

Production of 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid (Compound No. of Production Intermediate: VI-142)

[0248]

(1) Production of 3-chloro-1,2-phenylenediamine

12.5 g (72.4 mmol) of 3-chloro-2-nitroaniline was dissolved in methanol (250 ml), and a solution prepared by dissolving 57.2 g (253 mmol) of tin (II) chloride dihydrate in concentrated hydrochloric acid (75 mL) was added dropwise. The reaction mixture was heated with stirring for 3 hours, and concentrated under reduced pressure. Water was added to the residue thus obtained, and the solution was alkalinized with a 25% aqueous solution of sodium hydroxide, and extracted with methylene chloride. The extracted organic layer was dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure, to obtain 10.5 g (yield: > 99%) of 3-chloro-1,2-phenylenediamine as a pale magenta-colored liquid.

[0249]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.58(br,4H), 6.60-6.65(m,2H), 6.82(m□1H)

[0250]

(2) Production of ethyl 5-chloro-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

10.5 g (73.6 mmol) of 3-chloro-1,2-phenylenediamine and 12.8 g (73.5 mmol) of diethyl ketomalonate were dissolved in ethanol, and the solution was heated to reflux for 3 hours. While heating to reflux, ethanol was added thereto until precipitated crystals dissolved. The reaction mixture was cooled to room temperature, and then precipitated crystals were separated by filtration. The filter cake was washed with cold ethanol, and then dried, to obtain 10.5 g (yield: 51%) of ethyl 5-chloro-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as pale yellow acicular crystals.

[0251]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.44(t,3H), 4.51(q,2H), 7.26-7.46(m,3H), 12.79(br□1H)

[0252]

(3) Production of ethyl 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

To a slurry containing 5.7 g (22.6 mmol) of ethyl 5-chloro-2-oxo-1,2-dihydroquinoxaline-3-carboxylate, 6.9 g (45.4 mmol) of 4-methoxyphenylboric acid, 8.2 g (45.1 mmol) of anhydrous copper (II) acetate and chloroform (200 ml), 3.6 g (45.5 mmol) of pyridine and 4.6 g (45.5 mmol) of triethylamine were added dropwise, and the mixture was stirred for 72 hours at room temperature. The reaction mixture was washed with 10% hydrochloric acid, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue thus obtained

was isolated by silica gel chromatography (developing solvent: ethyl acetate:hexane = 2:3), and the isolated solid was washed with diisopropyl ether, to obtain 6.3 g (yield: 78%) of ethyl 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a pale yellow powder.

[0253]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.43(t,3H), 3.89(s,3H), 4.51(q,2H), 6.69(d,1H), 7.11(d,2H), 7.18(d,2H), 7.33(t,1H), 7.44(d,1H)

[0254]

(4) Production of 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid

7.7 g (21.5 mmol) of ethyl 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in ethanol (100 ml), and 6.9 g (43.1 mmol) of a 25% aqueous solution of sodium hydroxide was added thereto. The mixture was stirred for 12 hours at room temperature. The reaction mixture was concentrated under reduced pressure, water was added to the residue thus obtained, and the mixture was acidified using 10% hydrochloric acid. A solid precipitated therefrom was separated by filtration, washed with water, and then dried, to obtain 6.7 g (yield: 94%) of 5-chloro-1-(4-methoxyphenyl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid as a pale yellow powder.

[0255]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.93(s,3H), 6.82(d,1H), 7.17(d,2H), 7.22(d,2H), 7.55(t,1H), 7.62(d,1H)

[0256]

[Reference Example 9]

Production of 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid (production intermediate of Compound No. V-155)

[0257]

(1) Production of N-(2-nitrophenyl)benzo[d][1,3]dioxol-5-ylamine

9.3 g (66 mmol) of 2-fluoro-nitrobenzene and 10 g (73 mmol) of benzo[d][1,3]dioxol-5-ylamine were dissolved in N,N-dimethylformamide (60 mL) and 10.9 g (79 mmol) of potassium carbonate was added thereto. Mixed solution was heated to reflux for 10 hours while stirring. The reaction mixture was poured into water, and extracted with ethyl acetate. The extracted organic layer was washed with saturated aqueous solution of sodium chloride, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate:hexane = 1:3), to obtain 11 g (yield: 65%) of N-(2-nitrophenyl)benzo[d][1,3]dioxol-5-ylamine as red-purple crystals.

[0258]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

6.02 (1H, s), 6.76-6.87 (3H, m), 7.23 (1H, d), 7.46 (1H, d), 7.62 (1H, d), 7.99 (1H, d), 8.47 (1H, s)

[0259]

(2) Production of N-(benzo[d][1,3]dioxol-5-yl)benzene-1,2-diamine

13.6 g (244 mmol) of iron powder and 10.5 g (40 mmol) of

N-(2-nitrophenyl)benzo[d][1,3]dioxol-5-ylamine were added to a solvent mixture of ethyl acetate (130 ml), water (65 mL) and acetic acid (15mL), and the mixture was stirred for 1 hour at 70°C. The reaction solution was cooled to room temperature, and the waste was separated by filtration using a filter aid. The organic layer of the filtrate was batched off, washed with water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain 9.2 g (yield: 99%) of N-(benzo[d][1,3]dioxol-5-yl)benzene-1,2-diamine as white crystals.

[0260]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.72 (2H, brs), 5.02 (1H, brs), 5.89 (2H, s), 6.20-6.24 (1H, m), 6.38-6.39 (1H, m)□6.67 (1H, d), 6.71-6.80 (2H, m), 6.94-7.05 (2H, m)

[0261]

(3) Production of ethyl 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

9.2 g (40 mmol) of N-(benzo[d][1,3]dioxol-5-yl)benzene-1,2-diamine was dissolved in toluene (200 mL), and 8.4 g (48 mmol) of diethyl ketomalonate was added thereto. The mixture was heated to reflux for 2 hours while removing water using a Dean-Stark apparatus. The reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. The residue thus obtained was solidified using ethanol to obtain 13.6 g (yield: 49%) of ethyl 1-(benzo)[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a yellow crystal.

[0262]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.44 (3H, t), 4.50 (2H, q), 6.09 (2H, d), 6.74-6.77 (2H, m), 6.83 (1H, d)□7.01 (1H, d)□7.36 (1H, t), 7.47 (1H, t)□7.97 (1H, d)

[0263]

(4) Production of 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-2-carboxylic acid

6.7 g (18 mmol) of ethyl 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate and 0.9 g (21 mmol) of lithium hydroxide monohydrate were dissolved in a solvent mixture of ethanol (60 mL) and water (60 mL), and the solution was stirred for 2 hours at room temperature. The reaction mixture was concentrated under reduced pressure and water was added to the residue thus obtained. The mixture was acidified using 10% hydrochloric acid. A solid precipitated therefrom was separated by filtration, washed with water, and then dried to obtain 5.0 g (yield: 93%) of 1-(benzo[d][1,3]dioxol-5-yl)-2-oxo-1,2-dihydroquinoxaline-2-carboxylic acid as yellow crystals.

[0264]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

6.15 (2H, s), 6.73 (1H, d), 6.88 (1H, d), 7.05 (1H, s), 7.13 (1H, d), 7.40 (1H, t), 7.52 (1H, t), 7.89 (1H, d)

[0265]

[Reference Example 10]

Production of

1-(2,3-dihydrobenzo[b][1.4]dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3- carboxylic acid (production intermediate of Compound No. V-158)

[0266]

(1) Production of N-(2-nitrophenyl)-2,3-dihydrobenzo[b][1,4]dioxin-6-amine

5.9 g (39 mmol) of 2,3-dihydrobenzo[b]1,4-dioxin-6-amine and 5.0 g (35 mmol) of 2-fluoronitrobenzene were dissolved in N-methylpyrrolidone (70 mL) and 20.8 g (150 mmol) of potassium carbonate was added thereto. The solvent was heated with stirring for 10 hours at 120°C. The reaction mixture was poured into water, and extracted with ethyl acetate. The extracted organic layer was washed with water, 10% hydrochloric acid, water, and a saturated aqueous solution of sodium chloride, in this order, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate:hexane = 1:4), to obtain 6.4 g (yield: 66%) of N-(2-nitrophenyl)-2,3-dihydrobenzo[b][1,4]dioxin-6-amine as a red liquid.

[0267]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

4.29 (4H, s), 6.70-6.76 (2H, m), 6.81 (1H, d), 6.90 (1H, d), 7.09 (1H, d), 7.33 (1H, t), 8.18 (1H, d), 9.36 (1H, s)

[0268]

(2) Production of N-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)benzene-1,2-diamine

A mixture of 6.3 g (23 mmol) of N-(2-nitrophenyl)-2,3-dihydrobenzo[b][1,4]dioxin-6-amine, 9.0 g (161 mmol) of iron powder, acetic acid (1 ml), water (35 ml) and toluene (70ml) were heated to reflux for 8 hours. The reaction mixture was filtered aid to separate the waste. The organic layer of the filtrate was batched off, washed with water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure to obtain 5.1 g (yield: 91%) of N-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)benzene-1,2-diamine) as a brown liquid.

[0269]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

3.71 (2H, br), 4.18-4.25 (4H, m), 4.97 (1H, br), 6.29-6.32 (2H, m), 6.70-6.79 (3H, m), 6.95 (1H, t), 7.06 (1H, d)

[0270]

(3) Production of ethyl 1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

5.0 g (21 mmol) of N-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)benzene-1,2-diamine and 3.9 g (22 mmol) of diethyl ketomalonate were dissolved in toluene (60 ml), and the solution was heated to reflux for 12 hours while removing water using a Dean-Stark apparatus. The reaction solution was washed with water, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue thus obtained was purified by silica gel chromatography (developing solvent: ethyl acetate:hexane = 2:3) to obtain 4.6 g (yield: 63%) of ethyl

1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate as a milky yellow powder.

[0271]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.43 (3H, t), 4.30-4.36 (4H, m), 4.50 (2H, q), 6.74-6.85 (3H, m), 7.06 (1H, d), 7.32-7.49 (2H, m), 7.97 (1H, d)

[0272]

(4) Production of 1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid

4.5 g (13 mmol) of ethyl 1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in ethanol (100 ml), and 4.1 g (26 mmol) of a 25% aqueous solution of sodium hydroxide was added thereto. The solution was stirred for 2 hours at room temperature. The reaction mixture was concentrated under reduced pressure and water was added to the residue thus obtained. The mixture was acidified using 10% hydrochloric acid. A solid precipitated therefrom was separated by filtration, washed with water, and then dried to obtain 3.9 g (yield: 94%) of 1-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid as a pale yellow powder.

[0273]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

4.35-4.39 (4H, m), 6.77 (1H, d), 6.85 (1H, s), 6.99 (1H, d), 7.14 (1H, d), 7.53-7.67 (2H, m), 8.28 (1H, d)

[0274]

[Reference Example 11]

Production of 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylic acid (Compound No. of Production Intermediate: VIII-164)

[0275]

(1) Production of N-(3-fluoro-4-methylphenyl)-3-nitro-2-pyridylamine

45 g (0.28 mmol) of 2-chloro-3- nitropyridine was dissolved in 2-ethoxyethanol (300 ml), and 36 g (0.28 mmol) of 3-fluoro-4-methylaniline, 12N-hydrochloric acid and water (300ml) were added thereto. Mixed solution was heated to reflux for 24 hours while stirring. The reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. The solution was extracted with ethyl acetate, and washed with saturated brine, and then the obtained organic layer was dried over anhydrous magnesium sulfate. The mixture was concentrated under reduced pressure, and the residue thus obtained was washed with diisopropyl ether to obtain 57 g (yield: 82%) of N-(3-fluoro-4-methylphenyl)-3-nitro-2-pyridylamine.

[0276]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.27 (3H, d), 6.85 (1H, q), 7.16 (2H, d), 7.60 (1H, d), 8.50 (1H, m), 8.54 (1H, d), 10.10 (1H, brs)

[0277]

(2) Production of N^2-(3-fluoro-4-methylphenyl)-2,3-pyridinediamine

57 g (0.23 mol) of

N-(3-fluoro-4-methylphenyl)-3-nitro-2-pyridylamine was dissolved in ethyl acetate (250 ml), and water (125 ml) and acetic acid (80 ml) were added thereto, and the solution was heated to 50 °C. 77 g (1.40 mol) of iron powder was added to the reaction solution so that the temperature thereof would not exceed 60 °C, and then stirred for 1 hour at 60 °C. The reaction solution was cooled to room temperature, and the inorganic matter was separated by filtration. The solution was extracted with ethyl acetate, and washed with saturated brine, and then the obtained organic layer was dried over anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure, and the residue thus obtained was washed with hexane to obtain 45g (yield: 90%) of N^2-(3-fluoro-4-methylphenyl)-2,3-pyridinediamine.

[0278]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.20 (3H, d), 3.27 (2H, s), 6.26 (1H, brs), 6.74-6.86 (2H, m), 6.98-7.14 (3H, m), 7.83 (1H, dd)

[0279]

(3) Production of ethyl 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate

46 g (0.21 mol) of N^2-(3-fluoro-4-methylphenyl)-2,3-pyridinediamine was dissolved in toluene (400 ml), and 40 g (0.23 mol) of diethyl ketomalonate and 92 g of 4A molecular sieve were added thereto. The solution was heated to reflux for 12 hours while removing water using a Dean-Stark apparatus. The reaction solution was cooled to room

temperature, inorganic material was filtered off and the solvent was distilled off under reduced pressure. The residue thus obtained was washed with diisopropyl ether to obtain 50 g (yield: 73%) of 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate.

[0280]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.44 (3H, t), 2.37 (3H, d), 4.52 (2H, q), 7.01 (2H, d), 7.35-7.42 (2H, m), 8.30 (1H, dd), 8.54 (1H, d)

[0281]

(4) Production of 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxlic acid

45 g (0.14 mol) of ethyl 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyrazine-2-carboxylate was dissolved in 1,4-dioxan (300 ml), and a solution of 39 g (0.28 mol) of potassium carbonate and water (300 ml) was added thereto. The solution was stirred for 1 hour at 60 °C. The reaction solution was cooled to room temperature, and the solvent was distilled off under reduced pressure. Water was added to the residue thus obtained and was washed with chloroform. Then, the aqueous layer was adjusted to pH 1 by adding 6 N hydrochloric acid, and the aqueous layer was extracted again with chloroform. Anhydrous magnesium sulfate was added to the organic layer to dry the layer, and the solvent was distilled off under reduced pressure to obtain 32 g (yield: 76%) of 4-(3-fluoro-4-methylphenyl)-3-oxo-3,4-dihydropyrido[2,3-b]pyr

azine-2-carboxlic acid.

[0282]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.41 (3H, d), 7.04 (2H, d), 7.48 (1H, t), 7.55-7.60 (1H, m), 8.63 (1H, dd), 8.70-8.72 (1H, m)

[0283]

[Reference Example 12]

Production of 1-(6-methylpyridine-2-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid (production intermediate of Compound No. V-127)

[0284]

(1) Production of N^1-(diphenylmethylene)-N^2-(6-methylpyridine-2-yl)benzene-1,2-diamine

37.8 g (0.11 mol) of 2-bromo-N-(diphenylmethylene)aniline was dissolved in toluene (100 ml), and 14.6 g (0.13 mol) of 2-amino-6-picoline, 5.2 g (5.5 mmol) of tris(dibenzylideneacetone)dipalladium(0), 11.2 g (17.6 mmol) of 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl, and 15.2 g (0.15 mol) of sodium-t-butoxide were added thereto. The mixture was stirred for 2 hours at 100 °C. The reaction mixture was poured into water, and extracted with ethyl acetate. The organic layer was washed with saturated brine and dried over anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure, and the residue was washed with methanol to obtain 42.1 g (yield:>99%) of N^1-(diphenylmethylene)-N^2-(6-methylpyridine-2-yl)benzene-1,2-diamine.

[0285]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.44 (3H, s), 6.32 (1H, d), 6.64 (3H, m), 6.95 (2H, m), 7.14 (2H. d), 7.25-7.51 (7H, m), 7.75 (2H, d), 7.96 (1H, m)

[0286]

(2) Production of N-(6-methylpyridine-2-yl)benzene-1,2-diamine

42.1 g (0.11 mol) of N^1-(diphenylmethylene)-N^2-(6-methylpyridine-2-yl)benzene-1,2-diaminewasdissolvedintetrahydrofuran(100ml),and10%hydrochloric acid (45 ml) was added thereto, and was stirred for 2 hours at roomtemperature.Thesolventwasdistilledoffunderreducedpressure, and water was added to the residue thus obtained and washed with ethyl acetate. Then, the aqueous layer was adjusted to pH >11, and the aqueous layer was extracted with methylene chloride. The organiclayerwaswashedwithsaturatedbrine,anddriedoveranhydrous magnesium sulfate, and then the solvent was distilled off under reduced pressure to obtain 19.8 g (yield: 83%) of N-(6-methylpyridine-2-yl)benzene-1,2-diamine.

[0287]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.43 (3H, s), 3.86 (2H, s), 5.99 (1H, s), 6.21 (1H, d), 6.57 (1H, d), 6.80 (2H, m), 7.05 (1H, t), 7.16 (1H, d), 7.33 (1H, t)

[0288]

(3) Production of ethyl 1-(6-methylpyridine-2-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate

19.8 g (0.1 mol) of N-(6-methylpyridine-2-yl)benzene-1,2-diamine was disolved in toluene (100 ml), and 19.0 g (0.11 mol) of diethyl ketomalonate and 57.1 g of 4A molecular sieve were added thereto. The solution was heated to reflux for 3 hours while removing water using a Dean-Stark apparatus. Reaction mixture was filtered, and the filtrate was concentrated under reduced pressure to obtain 27.2 g (yield: 89%) of ethyl 1-(6-methylpyridine-2-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate.

[0289]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

1.42 (3H, t), 2.64 (3H, s), 4.51 (2H, q), 6.65 (1H, d), 7.24 (1H, d), 7.43 (3H, m), 7.90 (1H, t), 8.00 (1H, d)

[0290]

(4) Production of 1-(6-methylpyridine-2-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid

27.2 g (88 mmol) of ethyl 1-(6-methylpyridine-2-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylate was dissolved in 1,4-dioxane (50 ml) and water (50 ml), and 18.3 g (0.13 mol) of potassium carbonate was added thereto, and the solution was stirred for 1 hour at 60 °C. The solvent was distilled off under reduced pressure and the aqueous layer was adjusted to pH <4. The precipitated crystals were filtered to obtain 23.3 g (yield: 94%) of 1-(6-methylpyridine-2-yl)-2-oxo-1,2-dihydroquinoxaline-3-carboxylic acid.

[0291]

^{1}H-NMR ($CDCl_3$/TMS δ(ppm)):

2.55 (3H, s), 6.57 (1H, d), 7.47 (4H, m), 7.94 (1H, d), 8.07 (1H, t)

[0292]

The property values of the production intermediates obtained in the above Reference Examples 1 to 12, and the production intermediates produced in the same manner as in these Reference Examples are presented in Table 135 to Table 137.

[Table 135]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
V-2	Melting Point	175-176
V-3	Melting Point	173-175
V-4	Melting Point	165-167
V-5	Melting Point	189-190
V-6	Melting Point	204-207
V-10	Melting Point	253-256
V-37	Melting Point	125-127
V-42	Melting Point	177-179
V-52	Melting Point	179-181
V-97	Melting Point	171-173
V-102	Melting Point	165-167
V-103	Melting Point	152-154
V-120	Melting Point	191-193
V-121	Melting Point	291-293
V-125	Melting Point	176-178
V-127	Melting Point	185-186
V-130	Melting Point	164-166
V-131	Melting Point	159-161
V-132	Melting Point	156-159
V-133	Melting Point	167-169
V-134	Melting Point	185-188
V-136	Melting Point	173-176
V-139	Melting Point	161-163
V-155	Melting Point	183-185
V-158	Melting Point	197-198
V-164	Melting Point	158-160
V-169	Melting Point	190-192
V-170	Melting Point	175-177
V-172	Melting Point	185-186
V-174	Melting Point	190-192
V-176	Melting Point	204-205
V-178	Melting Point	164-166
V-189	Melting Point	207-208
V-191	Melting Point	209-210
V-193	Melting Point	207-209
V-195	Melting Point	214-216
V-198	Melting Point	181-183

[Table 136]

Compound No.	Melting Point (°C) or Refractive Rate (n_D^{20})	
V-207	Melting Point	145-147
V-212	Melting Point	187-189
V-213	Melting Point	170-172
V-214	Melting Point	172-173
V-217	Melting Point	210-212
V-221	Melting Point	187-188
V-222	Melting Point	173-175
V-223	Melting Point	167-169
V-224	Melting Point	197-199
V-225	Melting Point	179-180
V-305	Melting Point	170-173
V-306	Melting Point	138-140
V-307	Melting Point	181-183
V-308	Melting Point	202-204
V-311	Melting Point	187-188
V-313	Melting Point	163-165
V-314	Melting Point	140-143
V-315	Melting Point	167-169
V-316	Melting Point	197-199
V-317	Melting Point	180-182
V-318	Melting Point	201-203
VI-34	Melting Point	173-175
VI-54	Melting Point	162-163
VI-77	Melting Point	183-185
VI-122	Melting Point	176-178
VI-135	Melting Point	195-197
VI-136	Melting Point	191-192
VI-137	Melting Point	165-166
VI-138	Melting Point	182-184
VI-141	Melting Point	159-161
VI-142	Melting Point	198-200
VI-154	Melting Point	163-165
VI-156	Melting Point	179-180
VI-161	Melting Point	182-183
VI-163	Melting Point	196-198
VI-167	Melting Point	154-156
VI-170	Melting Point	185-187
VI-171	Melting Point	208-209
VI-174	Melting Point	220-222
VI-175	Melting Point	156-159
VI-177	Melting Point	200-201
VI-179	Melting Point	175-176
VI-180	Melting Point	199-200
VI-181	Melting Point	174-176
VI-182	Melting Point	186-189
VI-184	Melting Point	182-184

[Table 137]

Compound No.	Melting Point(°C) or Refractive Rate(n_D^{20})	
VI-187	Melting Point	192-193
VI-188	Melting Point	186-187
VI-190	Melting Point	193-194
VI-191	Melting Point	>300
VI-192	Melting Point	99-101
VI-193	Melting Point	177-180
VI-194	Melting Point	177-178
VI-196	Melting Point	166-168
VI-197	Melting Point	184-186
VI-199	Melting Point	164-165
VI-200	Melting Point	200-201
VI-201	Melting Point	192-195
VI-239	Melting Point	195-196
VI-240	Melting Point	155-157
VI-241	Melting Point	141-143
VI-244	Melting Point	215-217
VI-245	Melting Point	183-184
VI-246	Melting Point	197-198
VI-247	Melting Point	198-200
VII-3	Melting Point	143-144
VII-4	Melting Point	144-147
VII-5	Melting Point	157-158
VII-7	Melting Point	119-120
VII-8	Melting Point	140-141
VII-61	Melting Point	127-129
VII-78	Melting Point	131-132
VII-79	Melting Point	159-160
VII-82	Melting Point	162-165
VII-88	Melting Point	182-184
VII-89	Melting Point	176-178
VII-126	Melting Point	232-235
VII-128	Melting Point	161-163
VII-175	Melting Point	160-162
VIII-1	Melting Point	178-179
VIII-7	Melting Point	159-161
VIII-13	Melting Point	182-183
VIII-27	Melting Point	104-107
VIII-125	Melting Point	123-126

[0293]

[NMR data]

For Compound Nos. V-7, V-14, V-29, V-35, V-40, V-51, V-58, V-60, V-61, V-62, V-64, V-71, V-72, V-73, V-101, V-113, V-117, V-119, V-152, V-154, V-156, V-158, V-160, V-162, V-179, V-186, V-197, V-205, V-211, V-216, V-217, V-218, V-226, V-310, V-319, VI-1, VI-6, VI-7, VI-13, VI-21, VI-27, VI-31, VI-33, VI-36, VI-37,

VI-49, VI-54, VI-55, VI-57, VI-88, VI-94, VI-115, VI-116, VI-117, VI-121, VI-122, VI-123, VI-125, VI-133, VI-134, VI-144, VI-150, VI-152, VI-153, VI-156, VI-157, VI-159, VI-160, VI-161, VI-162, VI-165, VI-170, VI-173, VI-185, VI-189, VI-195, VI-198, VI-242, VI-243, VI-248, VII-59, VII-67, VII-91, VII-97, VII-102, VII-153, VII-154, VII-156, VII-171, VII-173, VII-176, VII-177, VII-178, VII-180, VII-181, VII-185, VII-186, VII-187, VII-188, VIII-2, VIII-3, VIII-4, VIII-5, VIII-6, VIII-11, VIII-12, VIII-15, VIII-16, VIII-22, VIII-28, VIII-53, VIII-54, VIII-55, VIII-56, VIII-57, VIII-58, VIII-76, VIII-84, VIII-98, VIII-99, VIII-100, VIII-101, VIII-102, VIII-103, VIII-104, VIII-106, VIII-107, VIII-108, VIII-109, VIII-113, VIII-114, VIII-116, VIII-117, VIII-118, VIII-121, VIII-126, VIII-127, VIII-128, VIII-132, VIII-133, VIII-134, VIII-135, VIII-136, VIII-137, VIII-139, VIII-142, VIII-143, VIII-144, VIII-145, VIII-150, VIII-152, VIII-153, VIII-154, VIII-155, VIII-156, VIII-157, VIII-158, VIII-159, VIII-160, VIII-161, VIII-162, VIII-163, VIII-164, VIII-165, VIII-166, VIII-167, VIII-168, VIII-169, VIII-170, VIII-171, VIII-172, VIII-173, VIII-174, VIII-175, VIII-176, VIII-177, VIII-178, VIII-179, VIII-180, VIII-181, VIII-182, VIII-183, VIII-184, VIII-185, VIII-187, VIII-190, VIII-192, VIII-193, VIII-194, VIII-195, VIII-196, VIII-197, VIII-198, VIII-199, VIII-200, VIII-201, VIII-202, VIII-203, VIII-204, VIII-208, VIII-209, VIII-210, VIII-211, VIII-212, VIII-213, VIII-214, VIII-215, VIII-216 and VIII-218, the ^{1}H-NMR data ($CDCl_3$/TMS δ (ppm) value) are presented in the following.

[0294]

Compound No. V-7□

1.04 (3H, t), 1.43-1.56 (2H, m), 1.78-1.86 (2H, m), 4.41 (2H, t), 7.51 (1H, d), 7.58 (1H, dd), 7.84 (1H, m), 8.28 (1H, dd), 14.33 (1H, brs)

Compound No. V-14□

0.92 (3H, t), 1.35-1.38 (4H, m), 1.49 (2H, m), 1.83 (2H, m), 4.39 (2H, t), 7.51 (1H, d), 7.58 (1H, m), 7.84 (1H, m), 8.28 (1H, d), 14.33 (1H, brs)

Compound No. V-29□

3.49 (3H, s), 5.86 (2H, s), 7.59 (1H, t), 7.70 (1H, d), 7.83 (1H, t), 8.25 (1H, dd)

Compound No. V-35□

4.14 (2H, q), 5.98 (2H, s), 7.60 - 7.68 (2H, m), 7.86 (1H, t), 8.26 (1H, d)

Compound No. V-40□

2.65 (2H, t), 3.93 (2H, t), 5.95 (2H, s), 7.62 (1H, t), 7.71 (1H, d), 7.86 (1H, t), 8.26 (1H, dd), 13.66 (1H, bs)

Compound No. V-51□

3.18 (3H, s), 5.86 (2H, s), 7.50 (1H, m), 7.72-7.80 (2H, m), 7.91 (1H, d)

Compound No. V-58□

3.33 (3H, s), 3.84 (2H, t), 4.62 (2H, t), 7.59 (1H, t), 7.71 (1H, d), 7.82 (1H, t), 8.25 (1H, dd), 14.20 (1H, bs)

Compound No. V-60□

1.68 (3H, d), 3.31 (3H, s), 3.79-3.84 (1H, m), 4.21-4.28 (1H, m), 4.87-5.28 (1H, m), 7.55 (1H, t), 7.72 (1H, d), 7.79 (1H, t), 8.26 (1H, dd), 14.28 (1H, bs)

Compound No. V-61□

2.28 (3H, s), 2.92 (2H, m), 4.63 (2H, m), 7.51-7.62 (2H, m), 7.86 (1H, m), 8.28 (1H, dd)

Compound No. V-62□

3.11 (3H, s), 3.54 (2H, t), 4.89 (2H, t), 7.61-7.69 (2H, m), 7.91 (1H, dd), 8.30 (1H, d)

Compound No. V-64□

2.44 (3H, s), 5.26 (2H, t), 7.15 (1H, d), 7.58 (1H, t), 7.78 (1H, t), 8.27 (1H, dd), 13.70 (1H, bs)

Compound No. V-71□

3.66 - 3.78 (6H, m), 3.84 - 3.87 (3H, m), 7.31 (1H, d), 7.53 (1H, t), 7.77 (1H, t), 8.15 (1H, dd)

Compound No. V-72□
5.38 (2H, t), 7.50 - 7.57 (2H, m), 7.80 (1H, t), 8.04 (1H, dd)

Compound No. V-73□
2.99 (2H, t), 4.55 (2H, t), 7.46 (1H, t), 7.43 (1H, t), 7.82 (1H, d), 7.89 (1H, d), 13.98 (1H, bs)

Compound No. V-101□
7.04 (1H, d), 7.11 (1H, d), 7.52-7.54 (2H, m), 7.57-7.71 (2H, m), 8.29 (1H, d)

Compound No. V-113□
7.08 (1H, d), 7.61 (1H, t), 7.71 (1H, t), 7.77 (1H, d), 8.04 (1H, d), 8.30 (1H, d)

Compound No. V-117□
2.84 (3H, s), 6.98 (1H, d), 7.62 (1H, t), 7.74 (1H, t), 8.30 (1H, d)

Compound No. V-119□
3.91 (3H, s), 6.90 (1H, d), 7.67 (1H, t), 7.79 (1H, t), 8.31 (1H, d)

Compound No. V-152□
6.74 (1H, d), 7.64 (2H, m), 8.33 (1H, d), 8.85 (2H, s), 8.93 (1H, s), 13.32 (1H, bs)

Compound No. V-154□
3.33-3.38 (2H, m), 4.74(2H, t), 2.37-2.44 (1H, m), 2.90-2.92 (2H, m), 6.98 (1H, d), 7.03 (2H, s), 7.12 (1H, s), 7.55 (1H, t), 7.65 (1H, t), 8.29 (1H, d)

Compound No. V-156□
6.89(1H, d), 7.09(2H, m), 7.37(1H, d), 7.59(1H, t), 7.68(1H, t), 8.32(1H, d)

Compound No. V-158□
4.35-4.39 (4H, m), 6.78 (1H, dd), 6.85 (1H, d), 6.99 (1H, dd), 7.14 (1H, d), 7.55 (1H, m), 7.66 (1H, d), 8.29 (1H, dd), 13.97 (1H, brs)

Compound No. V-160□

1.78-1.82 (1H, m), 1.96-2.03 (2H, m), 1.29-2.22 (1H, m), 3.76 (1H, q), 3.90 (1H, q), 4.37-4.48 (2H, m), 4.59 (1H, dd), 4.56 (1H, t), 7.72 (1H, d), 7.81 (1H, t), 8.24 (1H, d), 14.05 (1H, bs)

Compound No. V-162□

2.28 (3H, s), 5.66 (2H, s), 7.62 (1H, t), 7.71 (1H, d), 7.87 (1H, t), 8.28 (1H, d)

Compound No. V-179□

3.34 (3H, s), 3.81 (2H, t), 5.20 (2H, t), 7.49 (1H, t), 7.84 (1H, dd), 8.20 (1H, t), 13.96 (1H, bs)

Compound No. V-186□

3.67 (3H, s), 3.96 (3H, s), 7.05-7.11 (2H, m), 7.82 (1H, d), 13.88 (1H, br)

Compound No. V-197□

3.82 (3H, m), 7.58 (1H, d), 8.53 (1H, d), 8.88 (1H, s)

Compound No. V-205□

6.68 (1H, d), 7.20 (1H, d), 7.48-7.64 (5H, m), 7.74 (1H, t), 8.06 (1H, d), 8.17 (1H, d), 8.37 (1H, d)

Compound No. V-211□

6.98 (1H, s), 7.51 (1H, t), 7.64 (1H, t), 7.95 (1H, s), 9.32 (1H, s)

Compound No. V-216□

1.20-1.31 (9H, m), 3.44 (1H, m), 3.55 (1H, m), 4.12 (1H, m), 5.31 (2H, br), 7.13 (1H, br), 7.56 (1H, br), 7.71 (1H, br), 8.25 (1H, br)

Compound No. V-217□

3.36(3H, s), 4.77(2H, s), 6.95(3H, m), 7.27(1H, d), 7.58(1H, t), 7.67(1H, t), 8.31(1H, d)

Compound No. V-218□

3.70 (3H, s), 3.91(3H, s), 6.61 (1H, d), 6.92 (1H, d), 7.08 (1H, d), 7.25 (2H, s), 7.51-7.61 (4H, m), 8.30 (1H, d)

Compound No. V-226□

2.55 (3H, s), 6.77 (1H, d), 7.37 (1H, d), 7.50 (1H, d), 7.93 (1H, d), 8.22

(1H, d), 8.65 (1H, s)

Compound No. V-310□
4.06 (3H, s), 6.84 (1H, d), 7.05 (1H, d), 7.52-7.66 (m, 3H), 8.15 (1H, S)

Compound No. V-319□
3.75 (3H, s), 6.97 (2H, t), 7.58 (1H, t), 7.66-7.72 (2H, m), 8.30 (1H, d)

[0295]
Compound No. VI-1□
6.87 (1H, d), 7.34 (2H, d), 7.54-7.74 (5H, m), 8.31 (1H, d)

Compound No. VI-6□
6.87 (1H, d), 7.27 (1H, m), 7.38 (1H, s), 7.56-7.70 (4H, m), 8.31 (1H, d), 13.33 (1H, brs)

Compound No. VI-7□
6.88 (1H, dd), 7.30-7.33 (2H. m), 7.57 (1H, m), 7.58-7.70 (3H, m), 8.30 (1H, dd), 13.71 (1H, brs)

Compound No. VI-13□
2.52 (3H, s), 6.92 (1H, dd), 7.21-7.24 (2H, m), 7.47-7.67 (4H, m), 8.29 (1H, dd), 13.91 (1H, brs)

Compound No. VI-21□
1.32 (6H, d), 3.05 (1H, m), 6.87 (1H, dd), 7.13-7.18 (2H, m), 7.52-7.66 (4H, m), 8.31 (1H, dd), 13.99 (1H, brs)

Compound No. VI-27□
6.81 (1H, d), 7.58-7.62 (2H, m), 7.66-7.71 (2H, m), 7.88 (1H, dd), 7.95 (1H, d), 8.32 (1H, d), 13.58 (1H, brs)

Compound No. VI-31□
6.89 (1H, d), 7.05-7.13 (4H, m), 7.47 (1H, t), 7.58 (1H, t), 8.09 (1H, d)

Compound No. VI-33□
3.88 (3H, s), 6.85 (1H, dd), 6.89-6.94 (2H, m), 7.19 (1H, dd), 7.54-7.68 (3H, m), 8.31 (1H, dd), 13.76 (1H, brs)

Compound No. VI-36□
1.45 (3H, t), 4.09 (2H, m), 6.83-6.94 (3H, m), 7.17 (1H, dd), 7.53-7.67

(3H, m), 8.30 (1H, dd)

Compound No. VI-37□

1.50 (3H, t), 4.15 (2H, q), 6.94 (1H, d), 7.14-7.28 (4H, m), 7.53-7.66 (2H, m), 8.30 (1H, dd), 13.98 (1H, brs)

Compound No. VI-49□

4.65 (2H, d), 5.37 (1H, d), 5.48 (1H, d), 6.06-6.15 (1H, m), 6.93 (1H, d), 7.17-7.26 (4H, m), 7.56 (1H, t), 7.64 (1H, t), 8.30 (1H, d).

Compound No. VI-54□

6.62(1H, t), 6.86(1H, m), 7.15(1H, m), 7.21(1H, d), 7.44(1H, d), 7.67(3H, m), 8.32(1H, d)

Compound No. VI-55□

6.82 (1H, t), 6.84 (1H, d), 7.30-7.44 (4H, m), 7.59 (1H, t), 7.61 (1H, t), 8.17 (1H, d)

Compound No. VI-57□

6.85 (1H, dd), 7.32 (1H, d), 7.53-7.62 (2H, m), 7.68 (1H, m), 7.77 (1H, dd), 8.33 (1H, dd)

Compound No. VI-88□

2.73 (3H, s), 6.83 (1H, d), 7.48 (1H, d), 7.59 (1H, t), 7.64 (1H, t), 8.27-8.34 (3H, m)

Compound No. VI-94□

4.01 (3H, s), 6.81 (1H, d), 7.43-7.65 (4H, m), 8.31-8.43 (3H, m)

Compound No. VI-115□

3.94 (3H, s), 6.87-6.93 (3H, m), 7.58 (1H, dd), 7.65-7.70 (2H, m), 8.31 (1H, m)

Compound No. VI-116□

2.35 (3H, s), 3.85 (3H, s), 6.72 (1H, d), 6.82 (1H, dd), 6.95 (1H, dd), 7.42 (1H, d), 7.56 (1H, m), 7.65 (1H, m), 8.30 (1H, dd)

Compound No. VI-117□

3.90 (3H, s), 4.00 (3H, s), 6.79 (1H, d), 6.89-6.97 (2H, m), 7.13 (1H, d), 7.57 (1H, m), 7.66 (1H, m), 8.30 (1H, d), 13.76 (1H, brs)

Compound No. VI-121□

3.84 (6H, s), 6.43-6.45 (2H, m), 6.70 (1H, dd), 6.98 (1H, d), 7.56 (1H, m), 7.66 (1H, m), 8.30 (1H, m)

Compound No. VI-122□

3.90 (3H, s), 6.92-6.99 (2H, m), 7.08 (1H, d), 7.25 (1H, d), 7.57 (1H, t), 7.68 (1H, t), 8.30 (1H, d)

Compound No. VI-123□

3.93 (3H, s), 6.81 (1H, d), 7.08 (1H, d), 7.23-7.30 (2H, m), 7.57 (1H, t), 7.66 (1H, t), 8.32 (1H, d)

Compound No. VI-125□

3.74(1H, s), 3.92(1H, s), 6.72(2H, m), 6.91(1H, d), 7.16(1H, m), 7.53(1H, t), 7.63(1H, t), 8.29(1H, d)

Compound No. VI-133□

3.88 (6H, s), 3.97 (3H, s), 6.54 (2H, s), 6.97 (1H, d), 7.58 (1H, m), 7.69 (1H, m), 8.30 (1H, d), 13.60 (1H, brs)

Compound No. VI-134□

3.93 (s, 3H), 6.71 (d, 1H), 7.16-7.29 (m, 5H), 7.58 (m, 1H)

Compound No. VI-144□

3.94 (3H, s), 6.89 (1H, s), 7.18-7.24 (4H, m), 7.52 (1H, d), 8.22 (1H, d)

Compound No. VI-150□

0.39-0.43 (2H, m), 0.69-0.74 (2H, m), 1.31-1.37 (1H, m), 3.91 (2H, d), 6.93 (1H, d), 7.16-7.24 (2H, m), 7.57 (1H, t), 7.65 (1H, t), 8.29 (1H, d)

Compound No. VI-152□

3.08 (6H, s), 6.88 (2H, d), 6.90 (1H, d), 7.13 (2H, d), 7.53(1H, t), 7.61 (1H, t), 8.28 (1H, d)

Compound No. VI-153□

2.38 (3H, s), 2.41 (3H, s), 6.91 (1H, d), 7.01-7.08 (2H, m), 7.44 (1H, d), 7.55 (1H, t), 7.61 (1H, t), 8.29 (1H, d)

Compound No. VI-156□

2.40(3H, d), 6.88(1H, d), 7.15(2H, m), 7.33(1H, t), 7.57(1H, t), 7.66(1H, t), 8.30(1H ,d)

Compound No. VI-157□
2.51 (3H, s), 6.78 (1H, d), 7.25 (1H, d), 7.39 (1H, d), 7.55-7.68 (3H, m), 8.32 (1H, d)

Compound No. VI-159□
1.49(3H, t), 3.99(3H, s), 4.12(2H, m), 6.77(1H, d), 6.88(1H, m), 6.95(1H, d), 7.11(1H, d), 7.56(1H, t), 7.65(1H, t), 8.30(1H, d)

Compound No. VI-160□
3.81 (3H, s), 3.97 (6H, d), 6.88-6.96 (3H, m), 7.57 (1H, t), 7.65 (1H, t), 8.29 (1H, d)

Compound No. VI-161□
4.01(3H, s), 6.95(1H, d), 7.05(1H, m), 7.12(1H, m), 7.58(1H, t), 7.70(1H, m), 8.32(1H, m)

Compound No. VI-162□
4.16(3H, s), 6.97(3H, m), 7.60(1H, t), 7.70(1H, t), 8.31(1H, d)

Compound No. VI-165□
1.68-1.81 (4H, m), 2.10-2.16 (1H, m), 2.37-2.44 (1H, m), 2.90-2.92 (2H, m), 6.99-7.02 (1H, m), 7.37 (2H, t), 7.56-7.68 (2H, m), 8.31 (1H, d)

Compound No. VI-170□
2.30(2H, m), 4.30(2H, m), 4.43(2H, m), 6.86(1H, m), 6.93(2H, m), 7.22(2H, d), 7.55(1H, t), 7.65(1H, t), 8.29(1H, d)

Compound No. VI-173□
4.16(3H, s), 6.70(1H, d), 6.95(2H, m), 7.25(1H, t), 7.65(1H, m))

Compound No. VI-185□
2.43 (3H, s), 3.94 (3H, s), 6.67 (1H, s), 7.22 (4H, m), 7.35 (1H, s), 8.16 (1H, s), 13.99 (1H, s)

Compound No. VI-189□
3.91 (3H, s), 3.92 (3H, s), 6.86 (1H, d), 7.20 (5H, m), 7.71 (1H, s)

Compound No. VI-195□
3.45 (3H, s), 3.90 (3H, s), 7.05 (2H, d), 7.19 (3H, d), 7.48 (1H, t), 7.92 (1H, d)

Compound No. VI-198□
6.67 (1H, dd), 7.32 (2H, d), 7.68-7.76 (3H, m), 8.12 (1H, dd)

Compound No. VI-242□
3.80 (3H, s), 6.17(1H, d), 7.13(1H, m), 7.35(4H, m), 8.20(1H, d)

Compound No. VI-243□
3.81 (3H, s), 6.18(1H, d), 6.66(1H, t), 7.14(1H, m), 7.35(2H, d), 7.44(2H, d), 8.22(1H, d)

Compound No. VI-248□
6.64 (1H, d), 7.28-7.43 (5H, m), 7.62 (1H, m)

[0296]
Compound No. VII-59□
3.56 (3H, s), 3.86 (2H, t), 4.91 (2H, t), 7.55 - 7.59 (1H, m), 8.58 (1H, dd), 8.84 (1H, dd), 14.01 (1H, bs)

Compound No. VII-67□
1.32 (3H, t), 2.28 (2H, q), 5.38 (2H, s), 7.56-7.61 (1H, m), 8.60 (1H, d), 8.79 (1H, d)

Compound No. VII-91□
3.86 (3H, s), 5.87 (2H, s), 6.78 (2H, q), 6.92 (1H, d), 7.29 (1H, s), 7.55 (1H, q), 8.60 (1H, d), 8.80 (1H, d)

Compound No. VII-97□
2.08(3H, d), 7.32(4H, m), 7.44(2H, m), 7.57(1H, m), 8.57(1H, m), 8.82(1H, d)

Compound No. VII-102□
7.12 (1H, d), 7.43-7.47 (1H, m), 7.55-7.58 (2H, m), 8.31 (H, d), 8.56 (1H, d)

Compound No. VII-153□
6.13(1H, s), 6.77(2H, m), 7.04(1H, m), 7.57(1H. m), 8.62(1H, m), 8.73(1H, d)

Compound No. VII-154□
7.05(2H, m), 7.32(1H, d), 7.60(1H, q), 8.68(2H, m)

Compound No. VII-156□

4.35(4H, m), 6.77(1H, q), 6.85(1H, d), 7.11(1H, d), 7.56(1H, q), 8.62(1H, q), 8.74(1H, q)

Compound No. VII-171□

1.57 (3H, s), 1.67 (3H, s), 2.51 (2H, q), 4.63 (2H, t), 5.22 (1H, t), 7.54 - 7.58 (1H, m), 8.56 (1H, d), 8.85 (1H, d),14.12 (1H, bs)

Compound No. VII-173□

1.74 (3H, t), 2.52 (2H, t), 4.75 (2H, t), 7.56 - 7.67 (1H, m), 8.58 (1H, d), 8.84 (1H, d), 14.00 (1H, bs)

Compound No. VII-176□

3.10 (2H, t), 4.86 (2H, t), 7.24-7.31 (5H, m), 7.56 (1H, q), 8.57 (1H, d), 8.84 (1H, d)

Compound No. VII-177□

5.99 (2H, s), 6.95 (1H, q), 7.25 (1H, q), 7.36 (1H, d), 7.60 (1H, q), 8.57 (1H, dd), 8.93 (1H, dd)

Compound No. VII-178□

6.54 (1H, d), 6.98 (2H, s), 7.64 (1H, q), 8.05 (1H, d), 8.58 (1H, dd), 8.92 (1H, dd)

Compound No. VII-180□

2.37 (3H, s), 5.75 (2H, s), 6.07 (1H, s), 7.47 (1H, q), 8.34 (1H, dd), 8.71 (1H, dd)

Compound No. VII-181□

5.81 (2H, s), 7.30 (1H, d), 7.62 (1H, q), 7.95 (1H, dd), 8.59 (1H, dd), 8.72 (1H, d), 8.89 (1H, dd)

Compound No. VII-185□

2.86 (3H, s), 5.82 (2H, s), 7.28-7.33 (3H, m), 7.39 (1H, d), 7.54 (2H, t), 8.69 (1H, d)

Compound No. VII-186□

2.54 (3H, s), 5.82 (2H, s), 7.28-7.33 (3H, m), 7.55 (2H, t), 8.34 (1H, d), 8.72 (1H, d)

Compound No. VII-187□

2.81 (3H, s), 5.83 (2H, s), 7.29-7.36 (3H, m), 7.41 (1H, d), 7.58 (2H, t), 8.42 (1H, d)

Compound No. VII-188□

4.14 (3H, s), 5.76 (2H, s), 6.96 (1H, d), 7.32-7.37 (3H, m), 7.49 (2H, dd), 8.36 (1H, d)

[0297]

Compound No. VIII-2□

7.36-7.46 (3H, m), 7.56-7.67 (2H, m), 8.63-8.70 (2H, m), 13.36 (1H, br)

Compound No. VIII-3□

7.08-7.15 (2H, m), 7.31-7.38 (1H, m), 7.57-7.68 (2H, m), 8.63-8.71 (2H, m), 13.32 (1H, br)

Compound No. VIII-4□

7.27-7.38 (4H, m), 7.58 (1H, dd), 8.63-8.71 (2H, m), 13.57 (1H, br)

Compound No. VIII-5□

7.36-7.40 (1H, m), 7.55-7.72 (4H, m), 8.64-8.69 (2H, m), 13.08 (1H, br)

Compound No. VIII-6□

7.22-7.26 (1H, m), 7.36 (1H, s), 7.57-7.61 (3H, m), 8.64 (1H, dd), 8.70 (1H, dd), 13.29 (1H, br)

Compound No. VIII-11□

2.07 (3H, s), 7.18 (1H, d), 7.44-7.59 (4H, m), 8.62 (1H, d), 8.70-8.72 (1H, m), 13.40 (1H, br)

Compound No. VIII-12□

2.48 (3H, s), 7.10-7.13 (2H, m), 7.44 (1H, d), 7.53-7.58 (2H, m), 8.64 (1H, dd), 8.71 (1H, dd), 13.71 (1H, br)

Compound No. VIII-15□

1.31(3H, t), 2.79(2H, q), 7.13(2H, m), 7.46(1H, d), 7.55(2H, m), 8.63(1H, m), 8.71(1H, m)

Compound No. VIII-16□

1.39(3H, t), 2.80(2H, q), 7.24(2H, d), 7.48(2H, d), 7.55(1H, q), 8.63(1H, m), 8.72(1H, m)

Compound No. VIII-22□

1.35(6H, d), 3.06(1H, m), 7.25(2H, d), 7.54(3H, m), 8.63(1H, m), 8.71(1H, m)

Compound No. VIII-28□

7.49 (2H, d), 7.60 (1H, q), 7.93 (2H, d), 8.63-8.69 (2H, m), 13.25 (1H, br)

Compound No. VIII-53□

6.40(1H, t), 7.55(5H, m), 8.66(2H, m)

Compound No. VIII-54□

6.60(1H, t), 7.13(1H, s), 7.20(1H, d), 7.39(1H, d), 7.58(1H, q), 7.67(1H, t), 8.69 (2H, m)

Compound No. VIII-55□

6.65(1H, t), 7.36(4H, m), 7.58(1H, m), 8.67(2H, m)

Compound No. VIII-56□

7.43 (1H, d), 7.53-7.64 (3H, m), 7.68 (1H, d), 8.63-8.68 (2H, m)

Compound No. VIII-57□

7.24-7.32 (2H, m), 7.49 (2H, d), 7.59 (1H, q), 7.70 (1H, t), 8.63-8.70 (2H, m), 13.12 (1H, br)

Compound No. VIII-58□

7.37-7.41 (2H, m), 7.49 (2H, d), 7.58-7.61 (1H, m), 8.64-8.70 (2H, m), 13.48 (1H, br)

Compound No. VIII-76□

7.40 (2H, d), 7.59 (1H, q), 7.93 (2H, d), 8.65 (2H, m)

Compound No. VIII-84□

7.61 (2H, q), 7.67 (1H, s), 7.79 (1H, t), 7.91 (1H, d), 8.66 (2H, q)

Compound No. VIII-98□

7.15-7.18 (1H, m), 7.37-7.41 (1H, m), 7.43-7.50 (1H, m), 7.59-7.62 (1H, m), 8.64-8.70 (2H, m), 13.20 (1H, br)

Compound No. VIII-99□

7.13-7.18 (1H, m), 7.36-7.41 (1H, m), 7.58-7.61 (1H, m), 8.59-8.70 (2H, m), 13.27 (1H, br)

Compound No. VIII-100□
7.13-7.18 (1H, m), 7.30-7.39 (2H, m), 7.59-7.62 (1H, m), 8.63-8.70 (2H, m), 10.81 (1H, br)

Compound No. VIII-101□
7.18-7.27 (2H, m), 7.57-7.63 (2H, m), 8.63-8.70 (1H, m), 12.55 (1H, br)

Compound No. VIII-102□
7.10-7.15 (1H, m), 7.20-7.27 (1H, m), 7.41-7.50 (1H, m), 7.58-7.62 (1H, m), 8.63-8.71 (2H, m), 12.80 (1H, br)

Compound No. VIII-103□
6.91-6.95 (2H, m), 7.06-7.13 (1H, m), 7.58-7.62 (1H, m), 8.63-8.71 (2H, m), 13.20 (1H, br)

Compound No. VIII-104□
7.32 (1H, dd), 7.43-7.49 (2H, m), 7.69 (1H, dd), 8.53 (1H, dd)

Compound No. VIII-106□
7.42-7.52 (3H, m), 7.59 (1H, d), 8.39 (1H, dd), 8.54 (1H, dd)

Compound No. VIII-107□
7.52-7.62 (4H, m), 8.65-8.68 (2H, m)

Compound No. VIII-108□
7.20 (1H, dd), 7.47 (1H, d), 7.60 (1H, q), 7.73 (1H, d), 8.64 (1H, dd), 8.70 (1H, dd)

Compound No. VIII-109□
7.28 (1H, s), 7.40 (1H, s), 7.46 (1H, q), 7.54 (1H, t), 8.38 (1H, dd), 8.60 (1H, dd)

Compound No. VIII-113□
3.69 (3H, s), 3.95 (3H, s), 6.84 (1H, dd), 7.15 (1H, dd), 7.25 (1H, t), 7.44 (1H, q), 8.39 (1H, dd), 8.56 (1H, dd)

Compound No. VIII-114□
3.91 (1H, s), 6.84-6.92 (2H, m), 7.34 (1H, dd), 7.58 (1H, dd), 8.64 (1H,

dd), 8.72 (1H, dd), 13.55 (1H, br)

Compound No. VIII-116□

2.29 (3H, s), 3.81 (3H, s), 6.78 (1H, s), 6.80 (1H, dd), 7.33 (1H, d), 7.44 (1H, q), 8.37 (1H, dd), 8.58 (1H, dd)

Compound No. VIII-117□

3.88 (3H, s), 3.97 (3H, s), 6.80 (1H, d), 6.89 (1H, dd), 7.09 (1H, d), 7.51 (1H, q), 8.53 (1H, dd), 8.68 (1H, dd)

Compound No. VIII-118□

3.86 (3H, s), 6.64-6.68 (2H, m), 6.87 (1H, dt), 7.59 (1H, q), 8.64 (1H, dd), 8.72 (1H, dd)

Compound No. VIII-121□

3.82 (6H, s), 6.44 (1H, d), 6.65 (1H, d), 7.50 (1H, q), 8.51 (1H, dd), 8.67 (1H, dd)

Compound No. VIII-126□

7.09(2H, m), 7.21(1H, t), 7.58(1H, q), 8.63(1H, m), 8.72(1H, m)

Compound No. VIII-127□

4.01(3H, s), 7.21(2H, m), 7.38(1H, d), 7.58(1H, q), 8.62(1H, m), 8.71(1H, m)

Compound No. VIII-128□

2.30 (3H, s), 3.93 (3H, s), 7.04-7.15 (3H, m), 7.56 (1H, q), 8.63 (1H, dd), 8.74 (1H, dd)

Compound No. VIII-132□

3.70 (3H, s), 3.82 (3H, s), 6.83 (1H, s), 7.11 (2H, s), 7.54 (1H, q), 8.62 (1H, d), 8.70 (1H, dd)

Compound No. VIII-133□

3.87(6H, s), 3.97(3H, s), 6.51(2H, s), 7.56(1H, q), 8.63(1H, m), 8.76(1H, m)

Compound No. VIII-134□

7.16 (1H, dd), 7.30 (2H, m), 7.61-7.69 (3H, m), 8.71 (1H, q)

Compound No. VIII-135□

3.91 (3H, s), 7.12-7.24 (5H, m), 8.70 (1H, q)

Compound No. VIII-136□

7.29-7.32 (2H, m), 7.53 (1H, d), 7.59-7.69 (3H, m), 8.54 (1H, d)

Compound No. VIII-137□

3.92 (3H, s), 7.13 (2H, d), 7.21 (2H, d), 7.52 (1H, d), 8.53 (1H, d)

Compound No. VIII-139□

3.73 (3H, s), 3.91 (3H, s), 6.93 (1H, d), 7.10 (2H, d), 7.23 (2H, d), 8.39 (1H, d)

Compound No. VIII-142□

7.52 (1H, t), 7.61 (1H, m), 7.70 (1H, d), 7.91 (1H, m), 8.67 (2H, m)

Compound No. VIII-143□

7.56 (4H, m), 8.67 (2H, m)

Compound No. VIII-144□

7.59 (3H, m), 7.90 (1H, t), 8.67 (2H, m)

Compound No. VIII-145□

7.31 (1H, d), 7.44 (1H, s), 7.61 (2H, m), 8.65 (2H, m)

Compound No. VIII-150□

2.37 (3H, s), 2.39 (3H, s), 7.07 (2H, m), 7.42 (1H, d), 7.55 (1H, q), 8.63 (1H, m), 8.72 (1H, m)

Compound No. VIII-152□

7.62 (1H, q), 7.85 (2H, s), 8.12 (1H, s), 8.65-8.68 (2H, m)

Compound No. VIII-153□

3.73 (6H, s), 6.80 (2H, d), 7.47-7.53 (2H, m), 8.54 (1H, dd), 8.64 (1H, dd)

Compound No. VIII-154□

7.29-7.45 (2H, m), 7.54-7.69 (2H, m), 8.60-8.68 (2H, m)

Compound No. VIII-155□

7.30 (1H, t), 7.42 (2H, d), 7.59 (1H, q), 8.64 (1H, d), 8.68 (1H, d)

Compound No. VIII-156□
7.30-7.46 (2H, m), 7.56-7.66 (2H, m), 8.64 (1H, dd), 8.69 (1H, d)

Compound No. VIII-157□
7.11 (1H, d), 7.19 (1H, dd), 7.60 (1H, q), 7.69 (1H, t), 8.65 (1H, d), 8.69 (1H, d)

Compound No. VIII-158□
7.28-7.37 (1H, m), 7.38-7.45 (2H, m), 7.59 (1H, m), 8.62-8.68 (2H, m)

Compound No. VIII-159□
7.22-7.26 (1H, m), 7.40-7.45 (2H, m), 7.59 (1H, dd), 8.62-8.71 (1H, m)

Compound No. VIII-160□
2.41 (3H, d), 7.18 (1H, t), 7.32 (1H, t), 7.47 (1H, t), 7.58 (1H, q), 8.64 (1H, dd), 8.70 (1H, dd)

Compound No. VIII-161□
2.51 (3H, s), 7.18-7.29 (3H, m), 7.55-7.60 (1H, m), 8.63 (1H, d), 8.71 (1H, d), 13.35 (1H, br)

Compound No. VIII-162□
2.45 (3H, s), 7.16 (1H, dd), 7.27 (1H, t), 7.38-7.43 (1H, m), 7.58 (1H, q), 8.64 (1H, dd), 8.71 (1H, dd)

Compound No. VIII-163□
1.94 (3H, d), 7.01 (1H, d), 7.31 (1H, t), 7.43 (1H, q), 7.59 (1H, q), 8.67 (1H, dd), 8.70 (1H, dd)

Compound No. VIII-164□
2.41(3H, d), 7.02(2H, d), 7.48(1H, t), 7.57(1H, q), 8.63(1H,m), 8.70(1H,m)

Compound No. VIII-165□
2.48 (3H, s), 6.88 (1H, d), 6.94 (1H, s), 7.15 (1H, d), 7.58 (1H, q), 8.64 (1H, dd), 8.72 (1H, dd)

Compound No. VIII-166□
7.12-7.18 (3H, m), 7.59 (1H, q), 8.64-8.72 (2H, m), 11.85 (1H, br)

Compound No. VIII-167□
7.11-7.19 (2H, m), 7.26-7.31 (1H, m), 7.58 (1H, dd), 8.64 (1H, dd), 8.72

(1H, dd), 13.22 (1H, br)

Compound No. VIII-168□

1.99 (3H, s), 6.95 (1H, dd), 7.22-7.28 (1H, m), 7.46 (1H, q), 7.59 (1H, q), 8.66 (1H, dd), 8.71 (1H, dd)

Compound No. VIII-169□

7.59-7.72 (4H, m), 8.62-8.68 (2H, m), 9.57 (1H, br)

Compound No. VIII-170□

7.26-7.30 (2H, d), 7.62 (1H, dd), 7.91 (1H, t), 8.64-8.70 (2H, m), 12.16 (1H, br)

Compound No. VIII-171□

7.37-7.41 (1H, m), 7.52-7.60 (2H, m), 7.65-7.69 (1H, m), 8.62-8.65 (2H, m), 13.20 (1H, br)

Compound No. VIII-172□

3.87 (3H, d), 7.05 (1H, d), 7.22-7.28 (1H, m), 7.35-7.40 (1H, m), 7.57 (1H, q), 8.64 (1H, dd), 8.69 (1H, dd)

Compound No. VIII-173□

3.75 (1H, s), 6.88-6.95 (2H, m), 7.21-7.27 (1H, m), 7.56 (1H, dd), 8.63 (1H, dd), 8.69 (1H, dd), 13.67 (1H, br)

Compound No. VIII-174□

3.73 (3H, s), 7.05 (1H, dd), 7.12 (1H, dd), 7.28-7.34 (1H, m), 7.56 (1H, q), 8.62 (1H, dd), 8.68 (1H, dd)

Compound No. VIII-175□

6.65 (1H, t), 7.20 (2H, m), 7.39 (1H, t), 7.59 (1H, q), 8.68 (2H, m)

Compound No. VIII-176□

6.69 (1H, t), 7.14 (1H, m), 7.22 (1H, m), 7.52 (1H, t), 7.59 (1H, q), 8.63 (1H, m), 8.69 (1H, m)

Compound No. VIII-177□

6.42 (1H, t), 7.18-7.25 (2H, m), 7.37-7.42 (1H, m), 7.59 (1H, m), 8.62-8.68 (2H, m), 12.34 (1H, br)

Compound No. VIII-178□

7.51 (1H, t), 7.60-7.67 (3H, m), 8.65 (2H, d)

Compound No. VIII-179□

2.49 (3H, s), 7.23 (1H, d), 7.36 (1H, m), 7.52 (1H, s), 7.57 (1H, q), 8.66 (2H, m)

Compound No. VIII-180□

2.51 (3H, s), 7.14 (1H, d), 7.34 (1H, s), 7.56 (2H, m), 8.63 (1H, d), 8.70 (1H, m)

Compound No. VIII-181□

6.67 (1H, t), 7.25 (2H, m), 7.50 (2H, m), 7.59 (1H, q), 8.68 (2H, m)

Compound No. VIII-182□

2.64 (3H, s), 7.42 (1H, d), 7.60 (3H, t), 865 (1H, d), 8.70 (1H, t)

Compound No. VIII-183□

2.49 (3H, s), 3.73(3H, s), 6.98 (1H, s), 7.02 (1H, d), 7.13 (1H, d), 7.53 (1H, q), 8.62 (1H, dd), 8.70 (1H, dd)

Compound No. VIII-184□

2.68 (3H, s), 7.29 (1H, dd), 7.33 (1H, s), 7.60 (1H, q), 7.89 (1H, d), 8.65 (1H, dd), 8.68 (1H, dd)

Compound No. VIII-185□

2.70 (3H, s), 7.47 (1H, dd), 7.58-7.63 (3H, m), 8.65 (1H, dd), 8.68 (1H, dd)

Compound No. VIII-187□

6.96(6H, m), 7.24(1H, m), 7.62(1H, q), 8.66(2H, m)

Compound No. VIII-190□

2.45(3H, s), 7.04(1H, t), 7.22(1H, t), 7.59(1H, q), 8.63(1H, m), 8.69(1H, m)

Compound No. VIII-192□

4.14 (3H, s), 6.93 (2H, m), 7.59 (1H, q), 8.63 (1H, m), 8.70 (1H, m)

Compound No. VIII-193□

2.36 (3H, s), 7.20 (1H, d), 7.36 (1H, d), 7.59 (1H, q), 8.63 (1H, m), 8.69 (1H, m)

Compound No. VIII-194□

7.30 (2H, dd), 7.60-7.71 (3H, m), 8.61 (2H, q)

Compound No. VIII-195□

2.56 (3H, s), 7.30 (2H, d), 7.40 (1H, d), 7.58-7.66 (3H, m), 8.47 (1H, d)

Compound No. VIII-196□

2.53 (3H, s), 7.31 (2H, m), 7.65 (3H, m), 8.40 (1H, s), 8.52 (1H, s)

Compound No. VIII-197□

2.92 (3H, s), 7.30 (2H, d), 7.38 (1H, d), 7.59-7.68 (3H, m), 8.51 (1H, d)

Compound No. VIII-198□

2.48 (3H, s), 2.92 (3H, s), 7.10 (2H, d), 7.40 (2H, q), 7.54 (1H, t), 8.53 (1H, d)

Compound No. VIII-199□

2.91 (3H, s), 7.40 (1H, d), 7.52 (1H, d), 7.60 (1H, s), 7.79 (1H, t), 7.87 (1H, d), 8.49 (1H, d)

Compound No. VIII-200□

2.91 (3H, s), 3.90 (3H, s), 7.14 (2H, d), 7.22 (2H, d), 7.37 (1H, d), 8.54 (1H, d)

Compound No. VIII-201□

2.40 (3H, d), 2.91 (3H, s), 7.00 (2H, d), 7.39 (1H, d), 7.46 (1H, d), 8.52 (1H, d)

Compound No. VIII-202□

2.91 (3H, s), 3.99 (3H, s), 7.04-7.09 (2H, m), 7.17 (1H, t), 7.39 (1H, d), 8.53 (1H, d)

Compound No. VIII-203□

4.17 (3H, s), 6.95 (1H, d), 7.28-7.32 (2H, m), 7.58-7.69 (3H, m), 8.51 (1H, d)

Compound No. VIII-204□

4.18 (3H, s), 6.97 (1H, d), 7.52 (1H, d), 7.60 (1H, s), 7.81 (1H, t), 7.87 (1H, d), 8.48 (1H, d)

Compound No. VIII-208□
2.41 (3H, d), 2.53 (3H, s), 7.02 (2H, d), 7.47 (1H, t), 8.40 (1H, q), 8.53 1H, d)

Compound No. VIII-209□
2.42 (3H, d), 2.59 (3H, s), 7.00 (2H, d), 7.43 (2H, q), 8.46 (1H, d)

Compound No. VIII-210□
7.30 (2H, d), 7.61-7.70 (4H, m), 8.53 (1H, d)

Compound No. VIII-211□
2.41 (3H, s), 3.74 (3H, s), 6.94 (1H, d), 7.02 (2H, m), 7.43 (1H, t), 8.40 (1H, d)

Compound No. VIII-212□
1.44 (3H, t), 4.08 (2H, q), 6.82 (1H, s), 6.87 (1H, d), 7.13 (1H, d), 7.53-7.58 (2H, m), 8.63 (1H, d), 8.72 (1H, d)

Compound No. VIII-213□
1.48 (3H, t), 4.13 (2H, q), 7.15 (2H, d), 7.23 (2H, d), 7.56 (1H, m), 8.63 (1H, d), 8.72 (1H, d)

Compound No. VIII-214□
3.91 (3H, s), 7.12 (2H, d), 7.21 (2H, d), 7.59 (1H, d), 8.55 (1H, d)

Compound No. VIII-215□
2.15 (3H, s), 7.31-7.36 (3H, m), 7.59-7.66 (3H, m), 8.27 (1H, d)

Compound No. VIII-216□
3.77 (3H, s), 4.35 (4H, s), 6.77 (1H, dd), 6.85 (1H, d), 6.93 (1H, d), 7.05 (1H, d), 8.39 (1H, d)

Compound No. VIII-218□
6.13 (2H, s), 6.72-6.77 (2H, m), 7.03 (1H, d), 7.61 (1H, d), 8.57 (1H, d)

[0298]

For production intermediates obtained in Example 7 and Example 8 as well as a intermediate produced in the same manner, the ^{1}H-NMR data ($CDCl_3$/TMS δ (ppm) value) are presented in the following.

Compound No. X-1□
6.77 (1H, d), 7.29-7.67 (7H, m), 8.06 (d, 1H)

Compound No. X-6□
6.78 (1H, d), 7.21-7.62 (6H, m), 8.06 (1H, d)

Compound No. X-7□
6.77 (1H, d), 7.26 (2H, d), 7.45 (1H, t), 7.55-7.63 (3H, m), 8.09 (1H, d)

Compound No. X-9□
2.48 (1H, s), 6.80 (1H, d), 7.17 (2H, d), 7.39-7.45 (3H, m), 7.54 (1H, t), 8.04 (1H, d)

Compound No. X-12□
1.29 (d, 6H), 3.00 (1H, m), 6.77 (1H, d), 7.09-7.14 (2H, m), 7.40-7.46 (2H, m), 7.52-7.57 (2H, m), 8.05 (1H, d)

Compound No. X-14□
6.71 (1H, d), 7.45-7.62 (4H, m), 7.78-7.89 (2H, m), 8.09 (1H, d)

Compound No. X-17□
3.74 (3H, s), 6.74 (1H, d), 7.14-7.24 (3H, m), 7.41 (1H, t), 7.51-7.58 (2H, m), 8.05 (1H, d)

Compound No. X-18□
3.84 (3H, s), 6.80-6.89 (3H, m), 7.12 (1H, d), 7.43 (1H, t), 7.49-7.61 (2H, m), 8.05 (1H, d)

Compound No. X-20□
1.43 (3H, t), 4.06 (2H, m), 6.79 (3H, m), 7.11 (1H, d), 7.40-7.58 (3H, m), 8.04 (1H, d)

Compound No. X-21□
1.48 (3H, t), 4.12 (2H, q), 6.83 (1H, d), 7.10 (2H, d), 7.19 (2H, d), 7.44 (1H, t), 7.54 (1H, t), 8.04 (1H, d)

Compound No. X-29□
6.75 (1H, d), 7.22-7.29 (2H, m), 7.56-7.72 (4H, m), 8.08 (1H, d)

Compound No. X-43□
3.91 (3H, s), 6.80-6.88 (3H, m), 7.46 (1H, t), 7.55-7.64 (2H, m), 8.07 (1H,

d)

Compound No. X-44□
2.31 (3H, s), 3.82 (3H, s), 6.70 (3H, s), 6.76-6.85 (2H, m), 7.35-7.57 (3H, m), 8.04 (1H, d)

Compound No. X-45□
3.87 (3H, s), 3.98 (3H, s), 6.76 (1H, d), 6.85 (1H, dd), 7.07 (1H, d), 7.43 (1H, t), 7.56 (1H, t), 8.05 (1H, d)

Compound No. X-46□
3.82 (6H, s), 6.42 (2H, s), 6.64 (1H, s), 6.87 (1H, d), 7.43 (1H, t), 7.57 (1H, t), 8.04 (1H, d)

Compound No. X-53□
3.85 (6H, s), 3.94 (3H, s), 6.50 (2H, s), 6.86 (1H, d), 7.45 (1H, t), 7.59 (1H, t), 8.05 (1H, d)

[0299]
Compound No. IX-6□
1.01 (3H, t), 1.47 (2H, m), 1.78 (2H, m), 4.32 (2H, m), 7.39-7.53 (2H, m), 7.76 (1H, t), 8.03 (1H, d)

Compound No. IX-9□
0.90 (3H, t), 1.30-1.51 (6H, m), 1.78 (2H, m), 4.29 (2H, t), 7.40-7.47 (2H, m), 7.75 (1H, t), 8.04 (1H, d)

Compound No. IX-28□
2.28 (3H, s), 2.85 (2H, t), 4.51 (2H, t), 7.41-7.70 (2H, m), 7.79 (1H, t), 8.12 (1H, d)

Compound No. IX-29□
3.09 (3H, s), 3.49 (2H, t), 4.77 (2H, t), 7.50-7.58 (2H, m), 7.84 (1H, t), 8.08 (1H, d)

Compound No. IX-73□
6.12 (2H, d), 6.74-6.76 (2H, m), 6.88 (1H, d), 7.01 (1H, d), 7.43 (1H, t), 7.57 (1H, t), 8.04 (1H, d)

Compound No. IX-75□
4.33 (4H, s), 6.74-6.89 (3H, m), 7.08 (1H, d), 7.44 (1H, t), 7.55 (1H, t),

8.02 (1H, d)

[0300]

Hereinafter, preparation methods will be described in detail with reference to representative Preparation Examples. The type of the compounds and additives, and the incorporation ratios can be altered in a wide range, without being limited to those given below. In the following description, the "part" means parts by weight.

[0301]

<Preparation Example 1> Wettable powder formulation

Compound of Compound No. I-12	10 parts
Polyoxyethylene octyl phenyl ether	0.5 parts
Sodium salt of β-naphthalenesulfonic acid-formalin condensate	0.5 parts
Diatomaceous earth	20 parts
Clay	69 parts

The above-mentioned components were uniformly mixed and pulverized to obtain a wettable powder formulation. Furthermore, wettable powder formulations could be obtained in the same manner, using the respective compounds described in Table 1 to Table 45 instead of the compound of Compound No. I-12.

[0302]

<Preparation Example 2> Flowable formulation

Compound of Compound No. I-13	20 parts
Water	69 parts
Polyoxyethylene styrenated phenyl ether sulfate	4 parts
Ethylene glycol	7 parts

To the above-mentioned components, silicone AF-118N (manufactured by Asahi Kasei Corp.) was added in an amount of 200

ppm based on the total amount, and the mixture was mixed for 30 minutes in a high speed stirrer, and pulverized in a wet type pulverizer, to obtain a flowable formulation. Furthermore, flowable formulations can be obtained in the same manner, using the respective compounds described in Table 1 to Table 45 instead of the compound of Compound No. I-13.

[0303]

<Preparation Example 3> Emulsifiable concentrate

Compound of Compound No. I-59	30 parts
Mixture of equal amounts of xylene and isophoron	60 parts
Polyoxyethylene sorbitan alkylate	4 parts
Polyoxyethylene polyalkylaryl ether	4 parts
Alkylaryl sulfonate	2 parts

The above-mentioned components were dissolved uniformly to obtain an emulsifiable concentrate. Furthermore, emulsions can be obtained in the same manner, using the respective compounds described in Table 1 to Table 45 instead of the compound of Compound No. I-59.

[0304]

<Preparation Example 4> Granule formulation

Compound of Compound No. I-58	10 parts
Mixture of talc and bentonite (1:3)	80 parts
White carbon	5 parts
Polyoxyethylene sorbitan alkylate	2 parts
Polyoxyethylene polyalkylaryl ether	2 parts
Alkylaryl sulfonate	1 part

The above-mentioned components were uniformly mixed and pulverized. To this mixture, water was added in an amount equivalent to 10 parts, and the resulting mixture was kneaded. The kneaded mixture was extruded through an orifice having a

diameter of 0.7 mm using an extrusion type granulator, dried, and then cut to a length of 0.5 to 1 mm, to obtain a granular formulation. Furthermore, granule formulations can be obtained in the same manner, using the respective compounds described in Table 1 to Table 45 instead of the compound of Compound No. I-58.

[0305]

The compounds described in Tables 1 to 45 can be formulated into various formulations in the same manner according to the methods described in Preparation Examples 1 to 4.

[0306]

Hereinafter, the herbicidal activity of the compound of the present invention will be described with reference to Test Examples.

<Test Example 1> Test for herbicidal effects in paddy field soil treatment

A 100-cm^2 plastic pot was filled with paddy field soil. Afterpuddlingandleveling, seeds of Echinochloa oryzicola Vasing, Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub., and Scirpus juncoides Roxb. var. ohwianus. T. Koyama were sowed, and the soil was waterlogged to a water depth of 3 cm. On the next day, a wettable powder formulation prepared according to Preparation Example 1 was diluted with water, and was added dropwise on the water surface. The amount of application was an amount equivalent to 1000 g of the active ingredient per hectare. Thereafter, the plants were grown in a greenhouse, and on the 21st day after the treatment, the herbicidal effects were investigated according to the criteria described in Table 138.

[0307]

[Table 138]

Index No.	Herbicidal effects (of the degree of suppressing the growth) and phytotoxicity
5	90% or more suppressing herbicidal effects, phytotoxicity
4	70% or more and less than 90% of herbicidal effects, phytotoxicity
3	50% or more and less than 70% of herbicidal effects, phytotoxicity
2	30% or more and less than 50% of herbicidal effects, phytotoxicity
1	10% or more and less than 30% of herbicidal effects, phytotoxicity
0	0% or more and less than 10% of herbicidal effects, phytotoxicity

[0308]

The results are presented in the following Table 139 to Table 146.

[Table 139]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
I-2	4	5	5
I-7	5	5	5
I-12	5	5	5
I-13	5	5	5
I-14	4	5	5
I-15	5	5	5
I-22	5	5	5
I-30	5	5	5
I-35	5	5	5
I-40	5	5	5
I-49	5	5	5
I-50	5	5	5
I-57	4	5	5
I-58	5	5	5
I-59	5	5	5
I-62	5	5	5
I-65	4	5	5
I-67	4	5	5
I-68	4	5	5
I-70	5	5	5
I-72	5	5	5
I-82	5	5	5
I-88	5	5	5
I-90	5	5	5
I-91	5	5	5
I-92	4	5	5
I-93	5	5	5
I-94	5	5	5
I-99	5	5	5
I-102	5	4	5
I-103	5	5	5
I-107	4	5	5
I-111	5	5	5
I-112	5	5	5
I-113	5	5	5
I-120	4	5	5
I-125	4	5	5
I-126	4	5	5
I-131	5	5	5
I-137	5	5	5
I-139	5	5	5
I-144	5	5	5
I-145	5	5	5
I-148	5	5	5
I-149	5	5	4
I-156	5	5	5
I-158	5	5	5
I-159	5	5	5
I-160	5	5	5
I-163	4	5	5

[Table 140]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
I-165	5	5	5
I-166	4	5	5
I-171	5	5	5
I-173	5	5	5
I-176	5	5	5
I-177	5	5	5
I-178	4	5	5
I-179	5	5	5
I-180	4	5	5
I-182	5	5	5
I-185	5	5	5
I-189	5	5	5
I-197	5	5	5
I-199	5	5	5
I-201	5	5	5
I-202	5	5	5
I-207	4	5	5
I-209	4	5	5
I-211	4	5	5
I-212	5	5	5
I-213	4	5	5
I-220	5	5	5
I-221	5	5	5
I-223	4	5	5
I-225	5	5	4
I-227	5	5	5
I-229	4	5	5
I-230	5	5	5
I-238	5	4	5
I-263	5	5	5
I-264	4	5	5
I-265	4	5	5
I-266	5	5	5
I-267	5	5	5
I-268	5	5	5
I-269	5	5	5
I-270	5	5	5
I-271	5	5	5
I-272	5	5	5
I-273	5	5	5
I-274	5	5	4
I-275	4	5	5
I-276	5	5	5
I-277	5	5	5
I-278	4	5	5
I-279	4	5	5
I-280	5	5	5
I-281	5	5	5
I-283	4	5	5
I-363	5	5	5
I-364	4	5	5
I-368	4	5	5
I-371	5	5	5
I-372	5	5	5
I-373	5	5	5
I-379	5	5	5
I-380	4	5	5

[Table 141]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
II-1	5	5	5
II-4	5	5	5
II-5	5	5	5
II-6	5	5	5
II-7	5	5	5
II-8	5	5	5
II-9	5	5	5
II-11	5	5	5
II-13	5	5	5
II-14	5	5	5
II-15	5	5	5
II-20	5	5	5
II-21	5	5	5
II-23	5	5	5
II-24	5	5	5
II-29	5	5	5
II-33	5	5	5
II-39	5	5	5
II-44	5	5	5
II-51	5	5	5
II-52	5	5	5
II-57	5	5	5
II-62	5	5	5
II-63	5	5	5
II-64	5	5	4
II-68	5	5	5
II-69	5	5	5
II-71	4	5	5
II-74	5	5	5
II-75	5	5	5
II-81	5	5	5
II-84	5	5	5
II-90	5	5	5
II-95	5	5	5
II-101	5	5	5
II-116	5	5	5
II-121	4	5	5
II-122	5	5	5
II-124	4	5	5
II-125	5	5	5
II-129	5	5	5
II-130	5	5	5
II-131	5	5	5
II-136	5	5	5
II-137	5	5	5
II-140	5	5	4
II-149	5	5	5
II-167	5	5	5
II-168	5	5	5
II-169	5	5	5

[Table 142]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
II-173	5	5	5
II-174	5	5	5
II-175	4	5	5
II-177	4	5	5
II-178	4	5	5
II-179	4	5	5
II-180	5	5	5
II-185	4	5	5
II-186	4	5	5
II-187	5	5	5
II-188	5	5	5
II-189	5	5	5
II-190	5	5	5
II-193	5	5	5
II-194	4	5	5
II-195	5	5	5
II-196	4	5	5
II-197	5	5	5
II-208	5	5	5
II-209	5	5	5
II-210	5	5	5
II-211	5	5	5
II-212	5	5	5
II-213	5	5	5
II-214	5	5	5
II-215	5	5	5
II-216	5	5	5
II-217	4	5	5
II-218	4	5	5
II-219	5	5	5
II-220	5	5	5
II-221	4	5	5
II-222	5	5	5
II-223	5	5	5
II-224	4	5	5
II-225	5	5	5
II-226	5	5	5
II-227	5	5	5
II-228	5	5	5
II-229	5	5	5
II-230	4	5	5
II-231	5	5	5
II-232	4	5	5
II-233	5	5	5
II-235	4	5	5
II-236	4	5	5
II-237	5	5	5
II-238	5	5	5
II-239	5	5	5

[Table 143]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
II-240	4	5	5
II-241	5	5	5
II-242	5	5	5
II-243	5	5	5
II-244	5	5	5
II-245	5	5	5
II-246	4	5	5
II-247	4	5	5
II-248	5	5	5
II-249	5	5	5
II-252	5	5	5
II-253	5	5	5
II-254	5	5	5
II-255	5	5	5
II-256	5	5	5
II-257	5	5	5
II-258	4	5	5
II-259	4	5	5
II-260	5	5	5
II-261	5	5	5
II-263	5	5	5
II-301	5	5	5
II-303	5	5	5
II-304	5	5	5
II-305	5	5	5
II-306	5	5	5
III-2	5	5	5
III-5	5	5	5
III-7	5	5	5
III-12	5	5	5
III-13	5	5	5
III-15	5	5	5
III-30	4	5	5
III-35	4	5	5
III-40	5	5	5
III-45	4	5	5
III-59	5	5	5
III-70	4	5	5
III-88	5	5	4
III-90	5	5	5
III-96	5	5	5
III-99	5	5	5
III-107	5	5	5
III-108	5	5	5
III-111	5	5	5
III-117	5	5	5
III-118	5	5	5
III-120	5	5	5
III-130	5	5	5
III-139	5	5	5
III-158	5	5	5
III-173	5	5	5
III-189	5	5	5
III-201	5	5	5

[Table 144]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
III-202	5	5	5
III-207	5	5	5
III-209	5	5	5
III-212	4	5	5
III-213	4	5	5
III-220	5	5	5
III-221	4	5	5
III-229	5	5	5
III-230	5	5	5
III-231	5	5	5
III-232	5	5	5
III-234	5	5	5
III-235	5	5	5
III-236	5	5	5
III-237	5	5	5
III-238	4	5	5
III-239	4	5	5
III-240	4	5	5
III-241	5	5	5
III-242	5	5	5
III-243	5	5	5
III-244	4	5	5
III-245	4	5	5
III-246	5	5	5
III-247	5	5	5
IV-1	5	5	5
IV-2	5	5	5
IV-3	5	5	5
IV-4	5	5	5
IV-6	4	5	5
IV-7	5	5	5
IV-8	5	5	5
IV-9	5	5	5
IV-11	5	5	5
IV-13	5	5	5
IV-17	5	5	5
IV-18	5	5	5
IV-19	5	5	5
IV-20	5	5	5
IV-21	5	5	5
IV-23	5	5	5
IV-24	5	5	5
IV-30	5	5	5
IV-33	5	5	5
IV-34	5	5	5
IV-39	5	5	5
IV-40	5	5	5
IV-41	5	5	5
IV-44	5	5	5

[Table 145]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
IV-52	5	5	5
IV-57	4	5	5
IV-62	5	5	5
IV-85	5	5	5
IV-90	5	5	5
IV-95	5	5	5
IV-100	5	5	5
IV-101	5	5	5
IV-106	5	5	5
IV-128	5	5	5
IV-136	5	5	5
IV-150	5	5	5
IV-151	5	5	5
IV-152	5	5	5
IV-153	5	5	5
IV-154	5	5	5
IV-155	5	5	5
IV-156	5	5	5
IV-157	5	5	5
IV-158	5	5	5
IV-159	5	5	5
IV-160	5	5	5
IV-161	5	5	5
IV-165	4	5	5
IV-166	5	5	5
IV-168	5	5	5
IV-169	4	5	5
IV-170	5	5	5
IV-173	4	5	5
IV-174	5	5	5
IV-177	5	5	5
IV-178	5	5	5
IV-179	5	5	5
IV-180	5	5	5
IV-184	5	5	5
IV-185	5	5	5
IV-186	5	5	5
IV-187	5	5	5
IV-188	5	5	5
IV-189	5	5	5
IV-200	5	5	5
IV-201	5	5	5
IV-202	5	5	5
IV-203	5	5	5
IV-206	5	5	5
IV-208	5	5	5
IV-209	5	5	5
IV-210	5	5	5
IV-212	5	5	5
IV-213	5	5	5
IV-214	5	5	5

[Table 146]

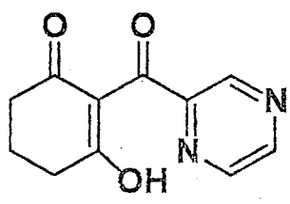
Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
IV-215	5	5	5
IV-216	5	5	5
IV-217	5	5	5
IV-218	5	5	5
IV-219	5	5	5
IV-220	5	5	5
IV-221	5	5	5
IV-222	5	5	5
IV-223	5	5	5
IV-224	5	5	5
IV-225	5	5	5
IV-226	5	5	5
IV-227	5	5	5
IV-228	5	5	5
IV-229	5	5	5
IV-230	5	5	5
IV-231	5	5	5
IV-232	5	5	5
IV-233	5	5	5
IV-234	5	5	5
IV-235	5	5	5
IV-236	5	5	5
IV-237	5	5	5
IV-238	5	5	5
IV-239	5	5	5
IV-240	5	5	5
IV-241	5	5	5
IV-242	4	5	5
IV-243	5	5	5
IV-244	5	5	5
IV-245	5	5	5
IV-247	5	5	5
IV-248	5	5	5
IV-249	5	5	5
IV-250	3	5	5
IV-251	5	5	5
IV-252	5	5	5
IV-253	5	5	5
IV-254	5	5	5
IV-255	5	5	5
IV-256	5	5	5
IV-257	5	5	5
IV-258	5	5	5
IV-259	5	5	5
IV-260	5	5	5
IV-262	4	5	5
IV-265	5	5	5
IV-266	5	5	5
IV-267	5	5	5
IV-268	5	5	5
IV-269	5	5	5
IV-270	5	5	5
IV-271	5	5	5
Comparative Compound A	2	0	0
Comparative Compound B	0	0	0
Comparative Compound C	1	2	1
Comparative Compound D	1	4	2

[0309]

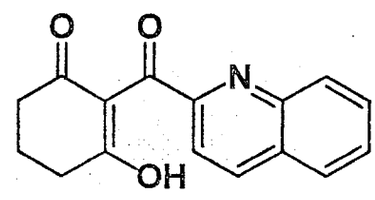
Additionally, comparative compound A, comparative compound B, comparative compound C and comparative compound D in the tables are Compound No. 70, Compound No. 34, Compound No. 32 and Compound No. 31 described in EP 283261, respectively. The structural

formulas of these compounds are shown below.

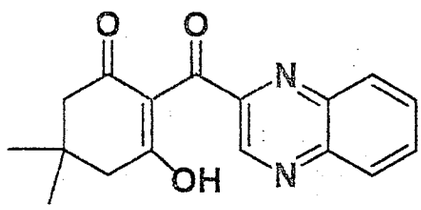
[Chemical Formula 35]



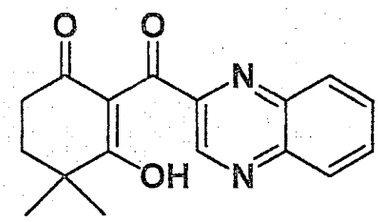
Comparative Compound A



Comparative Compound B



Comparative Compound C



Comparative Compound D

[0310]

<Test Example 2> Test on herbicidal effects in upland field soil treatment

A 80-cm^2 plastic pot was filled with upland field soil. Seeds of Abutilon theophrasti medicus and Amaranthus viridis L. were sowed, and soil was covered. A wettable powder formulation prepared according to Preparation Example 1 was diluted with water, and was uniformly sprayed on the soil surface with a small sprayer, in an amount equivalent to 1000 liters per hectare, such that 1000 g of the active ingredient per hectare was applied. Thereafter, the plants were grown in a greenhouse, and on the 21st day after the treatment, the herbicidal effects were investigated according to the criteria described in the Table 138 shown above. The results are presented in the following Table 147 to Table 154.

[Table 147]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
I-2	5	5
I-5	5	5
I-7	5	5
I-12	5	5
I-13	5	5
I-14	5	5
I-15	5	5
I-18	5	5
I-21	5	5
I-30	5	5
I-40	5	5
I-49	5	5
I-50	5	5
I-57	4	5
I-58	5	5
I-59	5	5
I-62	5	5
I-65	5	5
I-68	5	5
I-70	5	5
I-71	5	5
I-72	5	5
I-80	5	5
I-82	5	5
I-88	5	5
I-90	5	5
I-93	4	5
I-94	5	4
I-99	5	5
I-102	5	5
I-103	5	5
I-107	5	5
I-131	5	5
I-139	5	5
I-144	5	5
I-145	5	5
I-148	5	5
I-149	5	5
I-156	5	5
I-158	5	5
I-159	5	5
I-160	5	5
I-163	5	5
I-165	5	5
I-171	5	5
I-173	5	5
I-176	5	5
I-177	5	5
I-178	5	5
I-179	5	5

[Table 148]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
I-180	5	5
I-182	5	5
I-185	5	5
I-189	5	5
I-195	5	5
I-197	5	5
I-199	5	5
I-201	4	5
I-202	4	5
I-207	5	5
I-209	4	5
I-211	5	5
I-212	5	5
I-213	5	5
I-220	5	5
I-221	5	5
I-223	5	5
I-225	5	5
I-227	5	5
I-229	5	5
I-230	4	5
I-238	5	4
I-256	5	5
I-263	5	5
I-264	4	5
I-265	4	5
I-266	5	5
I-267	5	5
I-268	5	5
I-269	5	5
I-270	5	5
I-271	5	5
I-272	5	5
I-273	5	5
I-275	4	5
I-277	4	5
I-278	5	5
I-279	5	5
I-281	5	5
I-283	5	5
I-363	5	5
I-364	5	5
I-368	5	5
I-371	5	5
I-372	5	5
I-373	5	5
I-379	5	5
I-380	5	5
II-1	5	5
II-4	4	5
II-5	5	5
II-6	5	5
II-7	5	5
II-8	5	5
II-9	5	4
II-11	5	5

[Table 149]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
II-13	5	5
II-14	5	5
II-15	5	5
II-20	5	5
II-21	5	5
II-23	5	5
II-24	5	5
II-29	4	5
II-33	4	5
II-39	5	5
II-44	5	5
II-52	5	5
II-57	5	5
II-62	5	5
II-63	5	5
II-64	4	5
II-68	5	5
II-69	4	5
II-75	4	5
II-81	5	5
II-90	5	5
II-95	5	5
II-101	5	5
II-122	5	5
II-125	5	5
II-129	5	5
II-130	5	5
II-131	5	5
II-136	5	5
II-137	5	5
II-146	4	5
II-149	4	5
II-167	5	5
II-168	5	5
II-173	4	5
II-174	4	5
II-180	4	5
II-185	5	5
II-186	5	5
II-188	5	5
II-189	5	5
II-190	5	4
II-193	5	5
II-194	4	5
II-196	4	5
II-208	5	5
II-211	5	5
II-212	5	5
II-213	5	5

[Table 150]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
II-215	5	5
II-216	4	5
II-217	5	5
II-218	5	5
II-219	5	5
II-220	5	5
II-221	4	5
II-222	5	5
II-223	4	5
II-224	5	5
II-225	5	5
II-226	5	5
II-227	5	5
II-228	5	5
II-229	4	5
II-230	4	5
II-231	4	5
II-232	4	5
II-233	5	5
II-234	5	5
II-235	4	5
II-236	4	5
II-237	5	5
II-238	5	5
II-239	5	5
II-240	5	5
II-241	5	5
II-242	4	5
II-243	5	5
II-244	5	5
II-245	5	5
II-247	5	5
II-249	5	5
II-250	5	5
II-252	5	5
II-254	4	5
II-259	5	5
II-260	5	5
II-261	4	5
II-262	4	5
II-263	4	5
II-301	5	5
II-302	4	5
II-303	5	5
II-304	5	5
II-305	5	5
II-306	5	5
III-2	5	5
III-5	5	4
III-7	5	5
III-12	4	5
III-13	5	5
III-15	5	5
III-30	5	5

[Table 151]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
III-35	5	5
III-40	5	5
III-45	4	5
III-59	5	5
III-70	5	5
III-88	5	5
III-90	5	5
III-96	5	5
III-99	5	5
III-107	4	5
III-108	5	5
III-111	5	5
III-117	5	5
III-118	5	5
III-120	4	5
III-130	5	5
III-139	5	5
III-158	5	5
III-173	5	5
III-189	5	5
III-201	5	5
III-202	5	5
III-207	5	5
III-209	5	5
III-212	4	5
III-213	5	5
III-220	5	4
III-221	4	5
III-229	5	5
III-231	5	5
III-232	5	5
III-233	5	5
III-234	5	5
III-236	5	5
III-237	5	5
III-238	5	5
III-239	5	5
III-240	5	5
III-242	4	5
III-243	5	5
III-244	5	5
III-245	5	5
III-246	4	5
III-247	4	5
IV-1	5	5
IV-2	5	5
IV-3	5	5
IV-4	5	5

[Table 152]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-6	5	5
IV-7	5	5
IV-8	5	5
IV-9	5	5
IV-11	5	5
IV-13	5	5
IV-17	5	5
IV-18	5	5
IV-19	5	5
IV-20	5	5
IV-21	5	5
IV-23	5	5
IV-24	5	5
IV-30	4	5
IV-33	5	5
IV-34	5	5
IV-39	5	5
IV-40	5	5
IV-41	5	5
IV-44	5	5
IV-52	5	4
IV-57	5	5
IV-62	5	5
IV-85	5	5
IV-90	5	5
IV-95	5	5
IV-100	5	5
IV-101	5	5
IV-106	5	5
IV-128	5	5
IV-136	5	5
IV-150	5	5
IV-151	5	5
IV-152	5	5
IV-153	5	5
IV-154	5	5
IV-155	5	5
IV-156	5	5
IV-157	5	5
IV-158	5	5
IV-159	5	5
IV-160	5	5
IV-161	5	5
IV-165	5	5
IV-166	5	5
IV-168	5	5
IV-169	5	5
IV-170	5	5

[Table 153]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-173	5	5
IV-177	5	5
IV-178	5	5
IV-179	5	5
IV-180	4	5
IV-184	5	5
IV-186	5	5
IV-187	5	5
IV-188	5	5
IV-189	5	4
IV-200	5	5
IV-201	5	5
IV-202	5	5
IV-203	5	5
IV-206	5	5
IV-208	5	5
IV-209	5	5
IV-210	5	5
IV-212	5	5
IV-213	5	5
IV-214	5	5
IV-215	5	5
IV-216	5	5
IV-217	5	5
IV-218	5	5
IV-219	5	5
IV-220	5	5
IV-221	5	5
IV-222	5	5
IV-223	5	5
IV-224	5	5
IV-225	5	5
IV-226	5	5
IV-227	5	5
IV-228	5	5
IV-229	5	5
IV-230	5	5
IV-231	5	5
IV-232	5	5
IV-233	5	5
IV-234	5	5
IV-235	5	5
IV-236	5	5
IV-237	5	5
IV-238	5	5
IV-239	4	5
IV-240	5	5
IV-241	4	5
IV-242	5	5
IV-243	5	5

[Table 154]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-244	5	5
IV-245	5	5
IV-247	5	5
IV-248	5	5
IV-249	4	5
IV-250	4	5
IV-251	4	5
IV-252	5	5
IV-253	5	5
IV-254	5	5
IV-255	5	5
IV-256	5	5
IV-257	5	5
IV-258	5	5
IV-259	5	5
IV-260	5	5
IV-262	5	5
IV-266	5	5
IV-267	5	5
IV-268	5	5
IV-269	5	5
IV-271	4	5
Comparative Compound A	1	0
Comparative Compound B	2	2
Comparative Compound C	0	3
Comparative Compound D	0	3

[0312]

Additionally, comparative compound A, comparative compound B, comparative compound C and comparative compound D in the tables are Compound Nos. 70, 34, 32 and 31 described in EP-283261, respectively. Additionally, comparative compound A and comparative compound B in the tables are Compound No. 70 and Compound No. 34 described in EP-283261, respectively.

[0313]

<Test Example 3> Test on herbicidal effects in upland field foliar treatment

A 80-cm^2 plastic pot was filled with upland field soil. Seeds of Echinochloa crus-galli (L.) P. Beauv. var. crus-galli, Abutilon theophrasti medicus and Amaranthus viridis L. were sowed,

and the plants were grown for two weeks in a greenhouse. A wettable powder formulation prepared according to Preparation Example 1 was diluted with water, and was sprayed with a small sprayer to perform foliar application over the entirety of plants from the upper side, in an amount equivalent to 1000 liters per hectare, such that 1000 g of the active ingredient per hectare was applied. Thereafter, the plants were grown in a greenhouse, and on the 14th day after the treatment, the herbicidal effects were investigated according to the criteria described in the Table 138 shown above. The results are presented in the following Table 155 to Table 162.

[0314]

[Table 155]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
I-2	4	5	5
I-5	4	5	5
I-7	4	5	5
I-12	4	5	5
I-13	5	5	5
I-14	4	5	5
I-15	5	5	5
I-21	5	5	5
I-22	4	5	5
I-30	4	5	5
I-35	4	5	5
I-40	5	5	5
I-49	4	5	5
I-50	4	5	5
I-58	5	5	5
I-59	5	5	5
I-62	5	5	5
I-65	4	5	5
I-67	4	5	5
I-68	4	5	5
I-70	5	5	5
I-71	5	5	5
I-72	5	5	5
I-82	4	5	5
I-88	5	5	5
I-90	5	5	5
I-91	5	5	5
I-92	5	5	5
I-93	5	5	5
I-94	5	5	5
I-99	5	5	5
I-100	5	4	5
I-102	5	5	5
I-103	5	5	5
I-107	4	5	5
I-111	5	5	5
I-112	4	5	5
I-131	5	5	5
I-136	4	5	5
I-137	4	5	5
I-139	4	5	5
I-144	5	5	5
I-145	5	5	5
I-148	5	5	5
I-149	5	5	5
I-156	5	5	5
I-158	5	5	5
I-159	4	5	5
I-160	5	5	5
I-163	4	5	5

[Table 156]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
I-171	5	5	5
I-173	5	5	5
I-176	5	5	5
I-177	5	5	5
I-179	5	5	5
I-180	4	5	5
I-182	5	5	5
I-185	5	5	5
I-189	4	5	5
I-195	5	5	5
I-197	5	5	5
I-199	5	5	5
I-201	5	4	5
I-202	4	5	5
I-207	5	5	5
I-211	5	5	5
I-212	5	4	5
I-213	5	5	5
I-220	5	5	5
I-221	4	5	5
I-225	4	5	5
I-227	4	5	5
I-229	4	5	5
I-230	5	5	5
I-243	5	5	5
I-247	4	5	5
I-263	5	5	5
I-266	5	5	5
I-267	5	5	5
I-268	5	5	5
I-269	5	5	5
I-270	5	5	5
I-271	5	5	5
I-272	5	5	5
I-275	5	4	5
I-277	5	5	5
I-281	5	5	5
I-283	4	5	5
I-364	5	5	5
I-371	5	5	5
I-372	4	5	5
I-373	5	5	5
I-380	4	5	5
II-1	5	5	5
II-4	4	5	5
II-5	5	5	5
II-6	5	5	5
II-7	5	5	5
II-8	5	5	5
II-9	5	5	5
II-11	5	5	5
II-13	5	5	5

[Table 157]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
II-14	5	4	5
II-15	5	5	5
II-20	5	5	5
II-21	5	5	5
II-23	5	5	5
II-24	5	4	5
II-33	5	5	5
II-39	5	5	5
II-44	5	5	5
II-51	4	5	5
II-52	5	5	5
II-57	5	5	5
II-62	4	5	5
II-63	5	4	5
II-64	5	5	5
II-68	5	5	5
II-69	5	5	5
II-71	4	5	5
II-74	3	4	4
II-75	5	4	5
II-84	4	4	5
II-90	5	5	5
II-95	5	5	5
II-101	5	5	5
II-116	4	4	5
II-121	4	4	4
II-122	5	4	5
II-124	5	4	5
II-125	5	5	5
II-129	5	5	5
II-130	5	5	5
II-131	5	5	5
II-136	5	5	5
II-137	5	5	5
II-149	5	5	5
II-167	5	5	5
II-168	4	5	5
II-173	4	5	5
II-178	5	5	5
II-185	4	5	5
II-188	4	5	5
II-189	5	5	5
II-190	4	5	5
II-196	5	5	5
II-197	5	4	5
II-208	4	5	5
II-210	4	5	5
II-211	5	5	5

[Table 158]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
II-212	5	5	5
II-213	4	5	5
II-214	5	5	5
II-215	5	5	5
II-217	4	5	5
II-218	4	5	5
II-219	5	5	5
II-220	5	5	5
II-221	5	5	5
II-222	5	5	5
II-223	5	5	5
II-224	5	5	5
II-225	5	5	5
II-226	5	5	5
II-227	5	4	5
II-228	5	5	5
II-231	5	5	5
II-233	5	5	5
II-234	4	5	5
II-237	5	5	5
II-238	5	5	5
II-239	4	5	5
II-241	5	5	5
II-242	5	4	5
II-243	5	5	5
II-244	5	5	5
II-249	5	5	5
II-252	5	5	5
II-254	5	4	5
II-255	5	4	5
II-256	5	4	5
II-257	5	4	5
II-260	5	5	5
II-261	5	5	5
II-263	5	4	5
II-303	5	5	5
II-304	4	5	5
II-305	5	5	5
III-2	5	5	5
III-5	5	5	5
III-7	4	5	5
III-12	4	5	5
III-13	4	5	5
III-15	5	5	5
III-30	4	5	5
III-35	4	5	5
III-40	4	5	5
III-45	5	5	5
III-59	5	5	5
III-88	5	5	5

[Table 159]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
III-90	5	5	5
III-96	5	5	5
III-99	4	5	5
III-107	4	5	5
III-108	5	5	5
III-111	5	5	5
III-117	5	5	5
III-118	5	5	5
III-120	5	5	5
III-130	5	5	5
III-139	4	5	5
III-158	5	5	5
III-178	5	5	5
III-189	5	5	5
III-201	5	5	5
III-202	5	5	5
III-207	5	5	5
III-209	5	5	5
III-212	5	5	5
III-215	4	5	5
III-221	4	5	5
III-229	5	5	5
III-230	5	5	5
III-231	5	5	5
III-232	5	5	5
III-234	5	5	5
III-235	5	5	5
III-236	4	5	5
III-237	5	5	5
III-238	5	5	5
III-239	4	5	5
III-240	4	5	5
III-241	4	5	5
III-242	5	5	5
III-243	5	5	5
III-246	5	5	5
III-247	5	5	5
IV-1	5	5	5
IV-2	5	5	5
IV-3	5	5	5
IV-4	5	5	5
IV-6	4	5	5
IV-7	5	5	5
IV-8	5	5	5
IV-9	5	5	5
IV-11	5	5	5
IV-13	5	5	5

[Table 160]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-17	5	5	5
IV-18	5	5	5
IV-19	5	5	5
IV-20	5	5	5
IV-21	5	5	5
IV-23	5	4	5
IV-24	5	4	5
IV-30	5	4	5
IV-33	5	5	5
IV-34	5	5	5
IV-39	5	5	5
IV-40	5	5	5
IV-41	5	5	5
IV-44	5	5	5
IV-52	5	5	5
IV-57	4	5	5
IV-62	5	5	5
IV-85	5	5	5
IV-90	5	5	5
IV-95	5	5	5
IV-100	5	5	5
IV-101	5	5	5
IV-106	5	5	5
IV-128	5	5	5
IV-136	5	5	5
IV-150	5	5	5
IV-151	5	5	5
IV-152	5	5	5
IV-153	4	5	5
IV-154	5	5	5
IV-155	5	5	5
IV-156	5	5	5
IV-157	4	5	5
IV-158	5	5	5
IV-160	5	5	5
IV-161	5	5	5
IV-165	5	5	5
IV-166	5	5	5
IV-168	5	5	5
IV-169	5	5	5
IV-173	5	5	5
IV-174	4	5	5
IV-177	4	5	5
IV-178	5	5	5
IV-179	5	4	5
IV-180	5	5	5
IV-184	5	5	5

[Table 161]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-185	5	4	5
IV-186	5	5	5
IV-187	5	5	5
IV-188	5	5	5
IV-189	5	5	5
IV-200	5	5	5
IV-201	5	5	5
IV-202	5	5	5
IV-203	5	5	5
IV-206	5	5	5
IV-208	5	4	5
IV-209	5	5	5
IV-210	5	5	5
IV-212	5	5	5
IV-213	5	5	5
IV-214	5	5	5
IV-215	5	5	5
IV-216	5	5	5
IV-217	5	5	5
IV-218	5	5	5
IV-219	5	5	5
IV-220	5	5	5
IV-221	5	5	5
IV-222	5	5	5
IV-223	5	5	5
IV-224	5	5	5
IV-225	5	5	5
IV-226	5	5	5
IV-227	5	5	5
IV-228	5	5	5
IV-229	5	5	5
IV-230	5	5	5
IV-231	5	5	5
IV-232	5	5	5
IV-233	5	5	5
IV-234	5	5	5
IV-235	5	5	5
IV-236	5	5	5
IV-237	5	5	5
IV-238	5	5	5
IV-239	5	5	5
IV-240	5	5	5
IV-241	5	4	5
IV-242	5	5	5
IV-243	5	5	5
IV-244	5	5	5
IV-245	5	5	5
IV-247	5	5	5
IV-248	5	5	5

[Table 162]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-251	5	4	5
IV-252	5	5	5
IV-253	5	5	5
IV-254	5	5	5
IV-255	5	5	5
IV-256	5	5	5
IV-257	4	5	5
IV-258	5	5	5
IV-259	5	5	5
IV-260	4	5	5
IV-262	4	5	5
IV-266	5	5	5
IV-267	4	5	5
IV-268	5	5	5
IV-269	5	5	5
IV-271	5	5	5
Comparative Compound A	0	2	1
Comparative Compound B	0	5	1
Comparative Compound C	0	4	0
Comparative Compound D	0	4	1

[0315]

Additionally, comparative compound A, comparative compound B, comparative compound C and comparative compound D in the tables are Compound Nos. 70, 34, 32 and 31 described in EP-283261, respectively.

[0316]

From the above results, it has been demonstrated that the compound of the present invention has an excellent herbicidal activity.

Industrial Applicability

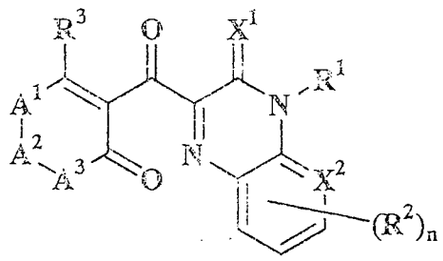
[0317]

The present invention is to provide a novel compound having an excellent herbicidal activity, and thus the invention is useful in the fields of agrochemical and agriculture, and has industrial applicability.

CLAIMS

1. An oxopyrazine derivative represented by formula [I], or an agrochemically acceptable salt thereof:

[Chemical Formula 36]



[I]

wherein X^1 represents an oxygen atom or a sulfur atom;

X^2 represents CH (the carbon atom may be substituted with R^2), or $N(O)_m$;

m represents an integer of 0 or 1;

R^1 represents a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_2-C_6 haloalkynyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; an amino-C_1-C_6 alkyl group; a nitro-C_1-C_6 alkyl group; a mono(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group; a di(C_1-C_6 alkyl)amino-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a hydroxy-C_1-C_6 alkyl group; a phenyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group (the phenyl moiety of the group may be

substituted with one or two or more identical or different R^4s); a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyloxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s); a heterocyclic-oxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and having 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^5s); a phenylthio-C_1-C_6 alkyl group (the phenyl moiety of the group may be substituted with one or two or more identical or different R^4s); a phenylsulfinyl-C_1-C_6 alkyl group (a phenyl of the group may be substituted with one or two or more identical or different R^4s), a phenylsulfonyl- C_1-C_6 alkyl group (a phenyl of the group may be substituted with one or two or more identical or different R^4s); a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a heterocyclic-C_1-C_6 alkoxy-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and having 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^5s); a C_1-C_6 alkylthio-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6

alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a di(C_1-C_6 alkoxy)-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a C_1-C_6 alkylideneaminooxy-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s); a heterocyclic-C_1-C_6 alkyl group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^9s); an $NR^{10}R^{11}$ group; a C_1-C_6 alkoxy group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s); or a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the group may be substituted with one or two or more identical or different R^{13}s);

R^2 represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 haloalkenyl group; a C_1-C_6 haloalkynyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy group; a C_3-C_3 cycloalkyloxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_1-C_6 alkylcarbonyloxy group;

a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 acylamino group; a hydroxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6alkylgroup;aC_1-C_6haloalkylsulfonyl-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; or a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^{14}s); and furthermore, two adjacent R^2s may be joined with the respective carbon atoms to which R^2s are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, and the ring thus formed may be substituted with a halogen atom, a cyano group, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group or an oxo group;

n represents an integer from 0 to 4 when X^2 is CH (the group

may be substituted with R^2), and n represents an integer from 0 to 3 when X^2 is $N(O)_m$;

R^3 represents a hydroxyl group; O^-M^+ (wherein M^+ is an alkali metal cation or an ammonium cation); an amino group; a halogen atom; a C_1-C_6 alkylsulfonyloxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_2-C_6 alkenylthio group; a C_2-C_6 alkenylsulfinyl group; a C_2-C_6 alkenylsulfonyl group; a C_2-C_6 alkynylthio group; a C_2-C_6 alkynylsulfinyl group; a C_2-C_6 alkynylsulfonyl group; a C_1-C_6 alkylcarbonyloxy group; a C_2-C_6 alkenylcarbonyloxygroup; a C_2-C_6 alkynylcarbonyloxygroup; a phenoxy group (the group may be substituted with one or two or more identical or different R^{14}s); a phenylthio group (the group may be substituted with one or two or more identical or different R^{14}s); a phenylsulfinyl group (the group may be substituted with one or two or more identical or different R^{14}s); a phenylsulfonylgroup (the group may be substituted with one or two or more identical or different R^{14}s); a phenylsulfonyloxy group (the group may be substituted with one or two or more identical or different R^{14}s); a phenylcarbonyloxy group (the group may be substituted with one or two or more identical or different R^{14}s); a 1,2,4-triazol-1-yl group; a 1,2,3-triazol-1-yl group; a 1,2,3-triazol-2-yl group; an imidazol-1-yl group; a pyrazol-1-yl group; a tetrazol-1-yl group; or a tetrazol-2-yl group;

R^4 represents a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6

haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^5 represents an oxo group; a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group,

or R^6 and R^7 may be joined with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 represents a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^9 represents an oxo group; a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; a C_1-C_6 alkoxycarbonyl group; a C_1-C_6 acyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^{10} and R^{11} each independently represent a hydrogen atom; a C_1-C_6 alkyl group; or a C_1-C_6 alkoxycarbonyl group, and furthermore, R^{10} and R^{11} may be joined together with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have a sulfur atom and/or an oxygen atom interposed, in addition to the nitrogen atom to which R^{10} and R^{11} are bound;

R^{12} represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyloxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 alkylcarbonyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a C_1-C_6 acylamino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a hydroxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group;

a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; a heterocyclic group having 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety of the group may be substituted with one or two or more identical or different R^{14}s); or a heterocyclic-C_1-C_6 alkoxy group in which the heterocyclic moiety has 2 to 10 carbon atoms and 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom (the heterocyclic moiety having 2 to 10 carbon atoms and having 1 to 5 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom in the group, may be substituted with one or two or more identical or different R^{14}s), or two adjacent R^{12}s may be joined with the respective carbon atoms to which R^{12}s are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a cyano group, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group or an oxo group;

R^{13} represents an oxo group; a thioxo group; a hydroxyl group;

a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_3-C_8 halocycloalkyl group; a C_3-C_8 halocycloalkyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_3-C_8 cycloalkyloxy group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy group; a C_1-C_6 haloalkoxy- C_1-C_6 alkoxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 alkylcarbonyloxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfinyl group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 haloalkylsulfinyl group; a C_1-C_6 haloalkylsulfonyl group; an amino group; a mono(C_1-C_6 alkyl)amino group; a di(C_1-C_6 alkyl)amino group; a C_1-C_6 acylamino group; a carboxyl group; a C_1-C_6 alkoxycarbonyl group; a carbamoyl group; a mono(C_1-C_6 alkyl)aminocarbonyl group; a di(C_1-C_6 alkyl)aminocarbonyl group; a C_1-C_6 acyl group; a C_1-C_6 alkoxyimino-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylthio-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfinyl-C_1-C_6 alkyl group; a C_1-C_6 haloalkylsulfonyl-C_1-C_6 alkyl group; or a cyano-C_1-C_6 alkyl group; and further, two adjacent R^{13}s may be joined with the respective carbon atoms to which R^{13}s are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a cyano group, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy

group, a C_1-C_6 haloalkoxy group or an oxo group;

R^{14} represents a halogen atom; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; or a C_1-C_6 haloalkoxy group;

A^1 represents $C(R^{15}R^{16})$;

A^2 represents $C(R^{17}R^{18})$, or C=O;

A^3 represents $C(R^{19}R^{20})$; and

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} and R^{20} each independently represent a hydrogen atom or a C_1-C_6 alkyl group, and R^{15} and R^{20} may be joined together to form a C_2-C_5 alkylene chain, and may constitute a ring together with adjacent carbon atoms.

2. The oxopyrazine derivative or the agrochemically acceptable salt thereof according to claim 1, wherein in the formula [I], X^2 is CH (the carbon atom may be substituted with R^2).

3. The oxopyrazine derivative or the agrochemically acceptable salt thereof according to claim 1, wherein in the formula [I], X^2 is $N(O)_m$.

4. The oxopyrazine derivative or the agrochemically acceptable salt thereof according to claim 1, wherein in the formula [I], R^3 is hydroxyl group; or O^-M^+ (wherein M^+ is an alkali metal cation or an ammonium cation).

5. The oxopyrazine derivative or the agrochemically acceptable salt thereof according to claim 1, wherein in the formula [I],

X^2 is CH (the carbon atom may be substituted with R^2), or a nitrogen atom;

R^1 represents a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6alkyl group; a C_1-C_6alkylsulfonyl-C_1-C_6alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenoxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s); a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s); a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s); or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s);

Het^1 is tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole;

R^2 is a halogen atom, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^3 is a hydroxyl group;

R^8 is a halogen atom, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a C_1-C_6 haloalkoxy group;

R^9 is a C_1-C_6 alkyl group, a halogen atom, or a C_1-C_6 haloalkyl group;

R^{10} and R^{11} are each independently a C_1-C_6 alkyl group, or a C_1-C_6 alkoxycarbonyl group;

R^{12} is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 haloalkylthio group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group, a cyano-C_1-C_6 alkoxy group, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, a di(C_1-C_6 alkyl)amino group, or a Het^1-C_1-C_6 alkoxy group,

or two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a C_1-C_6 alkyl group or an oxo group; and

R^{13} is an oxo group, a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a mono(C_1-C_6 alkyl)amino

group.

6. The oxopyrazine derivative or the agrochemically acceptable salt thereof according to any one of claims 1, 2, 4, and 5 wherein in the formula [I],

X^2 is CH (the group may be substituted with R^2);

R^1 is a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s); a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s); a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s); or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s);

Het^1 is tetrahydrofuran, tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole,

1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole;

R^2 is a halogen atom, a nitro group, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

R^3 is a hydroxyl group;

R^8 is a halogen atom or a C_1-C_6 alkoxy group;

R^9 is a C_1-C_6 alkyl group;

R^{10} and R^{11} are each independently a C_1-C_6 alkyl group, or a C_1-C_6 alkoxycarbonyl group;

R^{12} is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_1-C_6 haloalkoxy group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 alkoxy-C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group, a C_1-C_6 haloalkoxy-C_1-C_6 alkoxy group, a cyano-C_1-C_6 alkoxy group, a C_1-C_6 acyl group, a C_1-C_6 alkoxycarbonyl group, a di(C_1-C_6 alkyl)amino group, or a Het^1-C_1-C_6 alkoxy group,

or two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom, a C_1-C_6 alkyl group or an oxo group; and

R^{13} is a an oxo group, halogen atom, a C_1-C_6 alkyl group,

a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a mono(C_1-C_6 alkyl)amino group.

7. The oxopyrazine derivative or the agrochemically acceptable salt thereof according to any one of claims 1, 3, 4, and 5 wherein in the formula [I],

X^1 is an oxygen atom;

X^2 is a nitrogen atom;

R^1 is a hydrogen atom; a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s); a Het^2-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s); a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s); or a Het^2 group (the group may be substituted with one or two or more identical or different R^{13}s);

Het^2 is 4,5-dihydroisoxazole, thiophene, pyrazole, isoxazole, pyridine, 2,3-dihydrobenzofuran, 1,3-benzodioxole or benzo-1,4-dioxane;

R^2 is a halogen atom, a C_1-C_6 alkyl group; C_1-C_6 alkylthio group; or a C_1-C_6 alkoxy group;

R^3 is a hydroxyl group;

R^8 is a halogen atom, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, or a C_1-C_6 haloalkoxy group;

R^9 is a C_1-C_6 alkyl group, a halogen atom or a C_1-C_6 haloalkyl group;

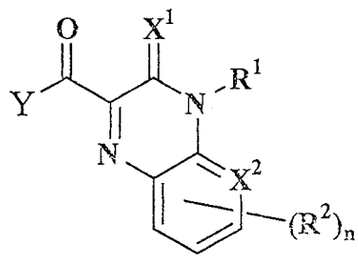
R^{12} is a halogen atom, a cyano group, a C_1-C_6 alkyl group, a C_3-C_8 cycloalkyl group, a C_1-C_6 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 haloalkoxy group or a C_1-C_6 haloalkylthio group,

or two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom; and

R^{13} is a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, or a C_1-C_6 alkoxy group.

8. A compound represented by formula [J1] :

[Chemical Formula 37]



[J1]

wherein X^1 represents an oxygen atom or a sulfur atom;

X^2 represents CH (the carbon atom may be substituted with R^2) or a nitrogen atom;

R^1 represents a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6

alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s); a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s); a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s); or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s);

R^2 represents a halogen atom; a nitro group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

n represents an integer from 0 to 4 when X^2 is CH (the group may be substituted with R^2), and n represents an integer from 0 to 3 when X^2 is nitrogen atom;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group, and furthermore, R^6 and R^7 may be joined with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 represents a halogen atom; a C_1-C_6 haloalkyl group; a C_1-C_6

alkoxy group; or a C_1-C_6 haloalkoxy group;

R^9 represents a C_1-C_6 alkyl group; a halogen atom; or a C_1-C_6 haloalkyl group;

R^{10} and R^{11} each independently represent a C_1-C_6 alkyl group; or a C_1-C_6 alkoxycarbonyl group;

R^{12} represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxycarbonyl group; a di(C_1-C_6 alkyl)amino group; or a a Het^1-C_1-C_6 alkoxy group, and furthermore, two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom; a C_1-C_6 alkyl group; or an oxo group;

R^{13} represents an oxo group; a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; oramono(C_1-C_6 alkyl)amino group;

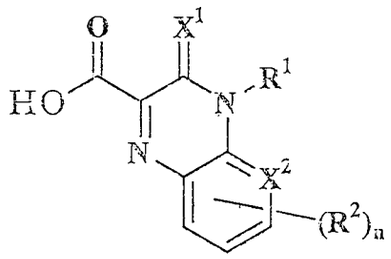
Y represents a halogen atom or a cyano group; and

Het^1 represents tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole,

1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole.

9. A compound represented by formula [J2] :

[Chemical Formula 38]



[J2]

wherein X^1 represents an oxygen atom or a sulfur atom;

X^2 represents CH (the carbon atom may be substituted with R^2) or a nitrogen atom;

R^1 represents a C_1-C_{12} alkyl group; a C_2-C_6 alkenyl group; a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkenyl group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group

may be substituted with one or two or more identical or different R^8s); a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s); a $NR^{10}R^{11}$ group; a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s); or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s);

R^2 represents a halogen atom; a nitro group; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; or a C_1-C_6 alkoxy-C_1-C_6 alkyl group;

n represents an integer from 0 to 4 when X^2 is CH (the group may be substituted with R^2), and n represents an integer from 0 to 3 when X^2 is nitrogen atom;

R^6 and R^7 each independently represent a C_1-C_6 alkyl group, and furthermore, R^6 and R^7 may be joined with the nitrogen atom to which these are bound, to form a 5- to 6-membered ring, while the ring thus formed may have an oxygen atom interposed, in addition to the nitrogen atom to which R^6 and R^7 are bound;

R^8 represents a halogen atom; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; or a C_1-C_6 haloalkoxy group;

R^9 represents a C_1-C_6 alkyl group; a halogen atom; or a C_1-C_6 haloalkyl group;

R^{10} and R^{11} each independently represent a C_1-C_6 alkyl group; or a C_1-C_6 alkoxycarbonyl group;

R^{12} represents a halogen atom; a hydroxyl group; a nitro group; a cyano group; a C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; a C_2-C_6 alkenyloxy

group; a C_2-C_6 alkynyloxy group; a C_1-C_6 haloalkoxy group; a C_1-C_6 alkylthio group; a C_1-C_6 alkylsulfonyl group; a C_1-C_6 haloalkylthio group; a C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_3-C_8 cycloalkyl-C_1-C_6 alkyloxy group; a cyano-C_1-C_6 alkoxy group; a C_1-C_6 acyl group; a C_1-C_6 alkoxycarbonyl group; a di(C_1-C_6 alkyl)amino group; or a a Het^1-C_1-C_6 alkoxy group, and furthermore, two adjacent R^{12}s may be joined with the respective carbon atoms to which they are directly bound, to form a 4- to 8-membered carbocyclic ring or a 4- to 8-membered heterocyclic ring having 1 to 4 heteroatoms selected from an oxygen atom, a sulfur atom and a nitrogen atom, while the ring thus formed may be substituted with a halogen atom; a C_1-C_6 alkyl group; or an oxo group;

R^{13} represents an oxo group; a halogen atom; a C_1-C_6 alkyl group; a C_1-C_6 haloalkyl group; a C_1-C_6 alkoxy group; oramono(C_1-C_6 alkyl)amino group;

Het^1 represents tetrahydrothiophene, tetrahydrothiophene dioxide, tetrahydrothiopyrane, tetrahydrothiopyrane dioxide, 4,5-dihydroisoxazole, thiophene, pyrazole, oxazole, isoxazole, thiazole, isothiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 2,3-dihydrobenzofuran, 1,3-benzodioxole, benzo-1,4-dioxane, benzofuran or indole.

10. The compoundaccording toclaim9, wherein in the formula [J2],

R^1 is a C_2-C_6 alkynyl group; a C_3-C_8 cycloalkyl group; a C_1-C_6 haloalkyl group; a C_2-C_6 haloalkeny group; a C_1-C_6 alkylthio-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkyl group; a C_1-C_6

alkoxy-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a phenyloxy-C_1-C_6 alkyl group; a C_1-C_6 haloalkoxy-C_1-C_6 alkyl group; a tetrahydrofuran-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a C_1-C_6 alkylsulfonyl-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkoxy-C_1-C_6 alkyl group; a cyano-C_1-C_6 alkyl group; a C_1-C_6 alkylcarbonyloxy-C_1-C_6 alkyl group; a C_1-C_6 acyl-C_1-C_6 alkyl group; a C_1-C_6 alkoxycarbonyl-C_1-C_6 alkyl group; a (R^6R^7N-C=O)-C_1-C_6 alkyl group; a C_6-C_{10} aryl-C_1-C_6 alkyl group (the aryl moiety of the group may be substituted with one or two or more identical or different R^8s), a Het^1-C_1-C_6 alkyl group (the group may be substituted with one or two or more identical or different R^9s); a $NR^{10}R^{11}$ group; or a Het^1 group (the group may be substituted with one or two or more identical or different R^{13}s).

11. The compound according to claim 9, wherein in the formula [J2],

X^2 represents a nitrogen atom; and

R^1 is a C_6-C_{10} aryl group (the group may be substituted with one or two or more identical or different R^{12}s).

12. A herbicide comprising the oxopyrazine derivative according to any one of claims 1 to 7 or a salt thereof, as an active ingredient.

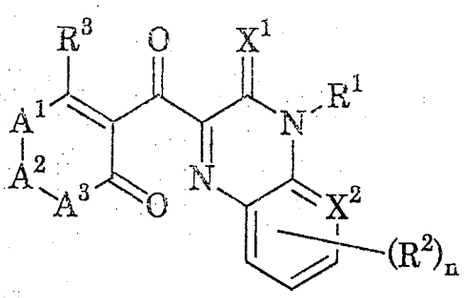
13. A method of using a herbicide, the method comprising treating soil and/or plants with an effective amount of the herbicide according to claim 12.

ABSTRACT

The present invention is to provide an oxopyrazine derivative having an excellent herbicidal activity and besides exhibiting high safety for useful crops and the like , or a salt thereof, and a herbicide containing the same.

The present invention relates to an oxopyrazine derivative represented by formula [I]:

[Chemical Formula 39]



[I]

wherein X^1 represents an oxygen atom or a sulfur atom; X^2 represents CH or $N(O)_m$; m represents an integer of 0 or 1; R^1 represents a hydrogen atom, a C_1-C_{12} alkyl group and the like; R^2 represents a halogen atom, a cyano group and the like; R^3 is a hydroxyl group, a halogen atom and the like; A^1 represents $C(R^4R^5)$; A^2 represents $C(R^6R^7)$ or C=O; A^3 represents $C(R^8R^9)$; R^4 to R^9 represent a hydrogen atom or an alkyl group,

or a salt thereof, and a herbicide containing these compounds.

DERIVADO DE OXOPIRAZINA Y HERBICIDA

Campo de la Invención

La presente invención se refiere a un derivado de oxopirazina novedoso o una sal del mismo, a un herbicida que contiene el mismo como un ingrediente activo, y a un método para utilizar el mismo.

Antecedentes de la Invención

Diversos de los compuestos entre los derivados de 1,3-ciclohexanodiona que ya han sido acilados en la posición-2 con un grupo arilcarbonilo, ya han estado comercialmente disponibles como agroquímicos. Por ejemplo, mesotriona atrae la atención pública como un herbicida aplicado al follaje del maíz, que tiene un mecanismo de acción nuevo. Una 1,3-ciclohexanodiona es un tautómero, que existe también como 1-hidroxiciclohexeno-3-ona el cual es una forma de enol el mismo, y este derivado ha sido desarrollado como un compuesto para varios usos agroquímicos.

Por ejemplo, se han derivado un reportado un derivado que tiene un grupo arilo del grupo arilcarbonilo substituyente en la posición-2, cambió a diversos grupos heteroarilo o cicloalquilo tales como pirazina (ver Documento de Patente 1), un derivado que tiene el anillo 1,3-ciclohexanodiona fusionado en las posiciones 4 y 5 con un anillo de ciclopropano (ver Documento de Patente 2), un derivado que tiene grupo arilcarbonilo en la

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posición-2 cambió a un derivado del grupo pirimidin-5-ilcarbonilo (ver Documento de Patente 3), un derivado que tiene el grupo arilcarbonilo en la posición-2 cambió a un derivado del grupo pirazin-2-ilcarbonilo (ver Documento de Patente 4), derivados que tienen un grupo arilcarbonilo en la posición-2 cambiaron a un derivado del grupo 1,2,3-tiadiazol-5-ilcarbonilo (ver, Documento de Patente 5), derivados que tienen el grupo arilcarbonilo en la posición-2 cambiaron a un derivado del grupo piridinocarbonilo (ver Documentos de Patentes 2, 6, 7, 8, 9, y 10), derivados que tienen grupos arilcarbonilo en la posición-2 cambió a un grupo quinolinocarbonilo (ver, Documentos de Patente 11 y 12), un derivado que tiene el grupo arilcarbonilo en la posición-2 cambió a un derivado del grupo heteroarilcarbonilo formado de un benzazole (ver, Documento de Patente 13), un derivado que tiene un grupo arilcarbonilo en la posición-2 cambió a un derivado del grupo azolecarbonilo formado de un 1,2-azole (ver, Documento de Patente 14).

Además, también se han reportado (ver documentos de Patente 8, 11, 12, 13, 14, 15 y 16) derivados en los cuales la posición-4 y la posición-6 del anillo de 1,3-ciclohexanodiona son reticulados por un grupo alquileno tal como el grupo etileno. Además, también se ha reportado que tiene un anillo de 3,5-ciclohexadiona-1-tiona en donde la posición-5 del anillo de 1,3-ciclohexanodiona ha sido cambiada a un grupo oxo, y la posición-1 ha sido cambiada a un grupo tiocarbonilo (ver

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Documento de Patente 17).

Por lo tanto, se han reportado gran números de compuestos de ciclohexadiona que tiene una actividad herbicida, pero no existe un compuesto de ciclohexadiona conocido que tenga un anillo de dihidropirazina substituido con el grupo oxo o un grupo tioxo (en la presente especificación, estos pueden ser llamados genéricamente (tio)oxo), tal como el compuesto de la presente invención representado por la siguiente fórmula [I]-

Documento de Patente 1: EP-283261

Documento de Patente 2: WO 91/00260

Documento de Patente 3: US 4708732

Documento de Patente 4: DE-3902818

Documento de Patente 5: EP-338525

Documento de Patente 6: JP-A No. 2-78662

Documento de Patente 7: JP-A No. 3-52862

Documento de Patente 8: JP-A No. 4-29973

Documento de Patente 9: WO 96/14285

Documento de Patente 10: WO 2000/39094

Documento de Patente 11: JP-A No. 2000-16982

Documento de Patente 12: WO 2000/14069

Documento de Patente 13: WO 2000/68210

Documento de Patente 14: JP-A No. 2005-200401

Documento de Patente 15: WO 2005/058831

Documento de Patente 16: WO 2006/066871

Documento de Patente 17: DE 10256354

Breve Descripción de la Invención

Problemas que serán resueltos a través de la presente invención

Tal como se describió anteriormente, los compuestos de 1,3-ciclohexanodiona substituidos con un grupo de heteroarilcarbonilo específico con son conocidos por tener actividad herbicida, pero ya que estos compuestos necesitan ser aplicados en dosis altas, no son herbicidas satisfactorios. Por lo tanto, ha habido una gran demanda para el desarrollo de un herbicida que muestra propiedades excelentes en dosis menores.

La presente invención ha sido lograda bajo dichas circunstancias, y un objeto de la presente invención es proporcionar un compuesto que tenga actividad herbicida la cual no tenga daños inducidos por el fármaco contra plantes útiles y cosechas útiles, que pueda controlar diversas malezas que crecen en campos de tierras montañosas, huertos, campos de arroz y en tierras no agrícolas en dosis bajas, y un herbicida que contenga el compuesto.

Medios para resolver los problemas

Con el objeto de lograr el propósito antes descrito, los inventores de la presente invención han llevado a cabo en forma devota una investigación en cuanto a la estructura química y actividad herbicida de los compuestos de ciclohexadiona. Como resultado, descubrieron que un compuesto de ciclohexadiona que tiene un anillo de pirazina substituido con un grupo oxo o un grupo tioxo, puede controlarse de estas malezas que crecen en campos

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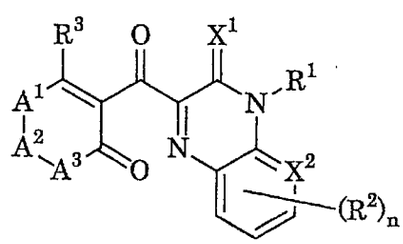
montañosos, huertos, campos de arroz y tierras no agrícolas durante un largo tiempo, y exhiben alta seguridad contra plantas útiles, cosechas útiles y similares, completando de esta forma la presente invención.

Por lo tanto, la presente invención está caracterizada porque se utiliza como un grupo heteroarilo, un compuesto de 2-heteroarilcarbonil-1,3-ciclohexadiona que tiene actividad herbicida, un grupo 2-(tio)oxopirazin-3-il el cual puede ser substituido, y preferentemente un grupo 2-(tio)oxobenzopirazin-3-ilo el cual puede ser substituido o un grupo 2-(tio)oxopiridopirazina-3-ilo el cual puede ser substituido.

Más específicamente, la presente invención se refiere a los siguientes incisos del (1) al (7).

(1) Un derivado de oxopirazina representado por la fórmula [I], o una sal agroquímicamente aceptable del mismo:

[Fórmula Química 1]



[I]

en donde X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 representa CH (el átomo de carbono puede ser substituido con R^2), esto es, CH el cual puede ser substituido con

un substituyente R^2, o $N(O)_m$;

m representa un entero de 0 ó 1;

R^1 representa un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_3-C_6 haloalquenilo; un grupo C_2-C_6 haloalquinilo; un grupo C_3-C_8 halocicloalquilo; un grupo C_3-C_8 halocicloalquil-C_1-C_6 alquilo; un grupo amino-C_1-C_6 alquilo; un grupo nitro-C_1-C_6 alquilo; un grupo mono(C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo di (C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo hidroxi-C_1-C_6 alquilo; un grupo fenil-C_1-C_6 alcoxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes), esto es, un grupo fenil-C_1-C_8 alcoxi-C_1-C_6 alquilo en el cual el grupo fenilo puede ser substituido con uno o más R^4s idénticos o diferentes; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquiloxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes), esto es, un grupo

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feniloxi-C_1-C_6 alquilo en el cual el grupo fenilo puede ser substituido con uno o más idénticos o diferentes R^4s; un grupo heterocíclico-oxi-C_1-C_5 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica teniendo 2 a 10 átomos de carbono y teniendo 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede ser substituido con uno o más R^5s idénticos o diferentes), esto es, un grupo heterocíclico-oxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^5s idénticos o diferentes; un grupo feniltio-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes), esto es, un grupo feniltio-C_1-C_6 alquilo en el cual el grupo fenilo puede ser substituido con uno o más R^4s idénticos o diferentes; un grupo fenilsulfinil-C_1-C_6 alquilo (un fenilo del grupo puede ser substituido con uno o más R^4s idénticos o diferentes), esto es, un grupo fenilo puede ser substituido con uno o más idénticos o diferentes R^4s; un grupo fenilsulfonil-C_1-C_6 alquilo (un grupo fenilo puede ser substituida con uno o más R^4s idénticos o diferentes), esto es, un grupo fenilo puede ser substituido con uno o más R^4s idénticos o diferentes; un grupo C_1-C_6 haloalcoxi-C_1-C_6

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alquilo; un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica que tiene 2 a 10 átomos de carbono y que tiene 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno en el grupo, puede sustituirse con uno o más R^5s idénticos o diferentes), esto es, un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituida con uno o R^5s más idénticos o diferentes; un grupo C_1-C_6 alquiltio-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 acilamino; un grupo di(C_1-C_6 alcoxi)-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo C_1-C_6 alquilidenoaminooxi-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C alquilo en el cual el grupo arilo puede ser substituido con uno o más R^8s idénticos o

diferentes; un grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno en el grupo, puede ser substituido con uno o dos o más R^9s), esto es, un grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_1-C_6 alcoxi; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más idénticos o diferentes R^{12}s; o un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo heterocíclico el cual tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^{13}s idénticos o diferentes;

R^2 representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo

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C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenil; un grupo C_2-C_6 haloalquinilo; un grupo C_3-C_8 halocicloalquilo; un grupo C_3-C_8 halocicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilocxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo C_1-C_6 acilamino; un grupo hidroxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C6 alquilsulfonil-C_1-C6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo acarbamoilo; un grupo mono (C_1-C_6 alquil)aminocarbonilo; un grupo di (C_1-C_6 alquil)aminocarbonilo; o un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un

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átomo de nitrógeno (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede substituirse con uno o más R^{14}s idénticos o diferentes), esto es, un grupo heterocíclico en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^{14}s idénticos o diferentes; y además, dos R^2s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales se unen directamente los R^2s, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo ciano, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo oxo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), esto es, cuando X^2 es CH el cual puede ser substituido con un substituyente R^2, y n representa un entero de 0 a 3 cuando X^2 es $N(O)_m$;

R^3 representa un grupo hidroxilo; O^-M^+ (en donde M^+ es un catión de metal álcali o un catión de amonio); un grupo amino; un átomo de halógeno; un grupo C_1-C_6 alquilsulfoniloxi; un grupo

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C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_2-C_6 alqueniltio; un grupo C_2-C_6 alquenilsulfiniio; un grupo C_2-C_6 alquenilsulfonilo; un grupo C_2-C_6 alquiniltio; un grupo C_2-C_6 alquinilsulfinilo; un grupo C_2-C_6 alquinilsulfonilo; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_2-C_6 alquenilcarboniloxi; un grupo C_2-C_6 alquinilocarboniloxi; un grupo fenoxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenoxi el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo feniltio (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo feniltio el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilsulfinilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilsulfinilo el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilsulfonilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilsulfonilo el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilsulfoniloxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilsulfoniloxi el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilcarboniloxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilcarboniloxi el

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cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo 1,2,4-triazol-1-ilo; un grupo 1,2,3-triazol-1-ilo; un grupo 1,2,3-triazol-2-ilo; un grupo imidazol-1-ilo; un grupo pirazol-1-ilo; un grupo tetrazol-1-ilo; o un grupo tetrazol-2-ilo;

R^4 representa un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^5 representa un grupo oxo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_5 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

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R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo, y además, R^6 y R^7 se pueden unir con el átomo de nitrógeno al cual se enlazan, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 representa un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^9 representa un grupo oxo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo

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C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^{10} y R^{11} cada uno representan independientemente un átomo de hidrógeno; un grupo C_1-C_6 alquilo; o un grupo C_1-C_6 alcoxicarbonilo, y además, R^{10} y R^{11} se pueden unir juntos con el átomo de nitrógeno a los cuales se enlazan, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de azufre y/o un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^{10} y R^{11};

R^{12} representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_3-C_8 halocicloalquilo; un grupo C_2-C_6 halocicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo C_1-C_6 acilamino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo hidroxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6

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alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo ciano-C_1-C_6alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo carboxiio; un grupo C_1-C_6 alcoxicarbonilo; un grupo carbamoilo; un grupo mono(C_1-C_6 alquil)aminocarbonilo; un grupo di (C_1-C_6 alquil)aminocarbonilo; un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica del grupo puede ser substituida con uno o más R^{14}s idénticos o diferentes), esto es, un grupo heterocíclico el cual tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y pueden ser substituidos con uno o más R^{14}s idénticos o diferentes; o un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica tiene 2 a 10 átomos de carbono y tiene 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede substituirse con uno o más R^{14}s idénticos o diferentes),

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esto es, un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede substituirse con uno o más R^{14}s idénticos o diferentes, o dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales R^{12}s se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo ciano, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo oxo;

R^{13} representa un grupo oxo; un grupo tioxi; un grupo hidroxilo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 cicloalquil-C_1-C_6alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_3-C_8 halocicloalquilo; un grupo halocicloalquil-C_1-C_6alquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; aciano-C_1-C_6 alcoxi; un grupo

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C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo C_1-C_6 acilamino; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo carbamoilo; un grupo mono(C_1-C_6 alquil)aminocarbonilo; un grupo di (C_1-C_6 alquil)aminocarbonilo; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; o un grupo ciano-C_1-C_6 alquilo; y además, dos R^{13}s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales R^{13}s se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede tener puede ser substituido con un átomo de halógeno, un grupo ciano, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo oxo;

R^{14} representa un átomo de halógeno; un grupo nitro; un

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grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi;

A^1 representa $C(R^{15}R^{16})$;

A^2 representa $C(R^{17}R^{18})$, o C=O;

A^3 representa $C(R^{19}R^{20})$; y

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} y R^{20} cada uno representan independientemente un átomo de hidrógeno o un grupo C_1-C_6 alquilo, y R^{15} y R^{20} pueden unirse juntos para formar una cadena de C_2-C_5 alquileno, y pueden constituir un anillo junto con átomos de carbono adyacentes.

(2) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en el (1) anterior, en donde en la fórmula [I], X^2 es CH (el grupo puede ser substituido con R^2), esto es, CH el cual puede ser substituido con un substituyente R^2.

(3) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en el (1) anterior, en donde en la fórmula [I], X^2 es $N(O)_m$.

(4) El derivado de oxopirazina o sal agroquímicamente aceptable del mismo tal como se describe en el (1), caracterizado porque en la fórmula [I], R^3 es un grupo hidroxilo; o O^-M^+ (en donde M^+ es un catión de metal álcali o un catión amonio).

(5) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en el (1) anterior, caracterizado porque en la fórmula [I],

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X^1 es un átomo de oxígeno o un átomo de azufre;

X^2 es CH (el átomo de carbono puede ser substituido con R^2), esto es, CH puede ser substituido con un substituyente R^2, o un átomo de nitrógeno;

R^1 representa un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo fenoxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C_6 alquilo en el cual el grupo arilo puede ser substituido con uno o más R^8s idénticos o diferentes; a Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes), esto es, un grupo Het^1-C_1-C_6 alquilo el cual puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el

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grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo arilo el cual puede ser substituido con uno o dos o más R^{12}s idénticos o diferentes; o un grupo Het^1 (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo Het^1 el cual puede ser substituido con uno o más R^{13}s idénticos o diferentes, en donde Het^1 es tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofurano o indole;

R^2 es un átomo de halógeno, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), esto es, CH el cual puede ser substituido con R^2, y cuando X^2 es $N(O)_m$, n representa un entero de 0 a 3;

R^3 es un grupo hidroxilo;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo;

o R^6 y R^7 también pueden ser unidos con el átomo de

nitrógeno al cual se unen, para formar un anillo de 5 a 6 miembros, en tanto que este anillo puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 es un átomo de halógeno, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo C_1-C_6 haloalcoxi;

R^9 es un grupo C_1-C_6 alquilo, un átomo de halógeno, o un grupo C_1-C_6 haloalquilo;

R^{10} y R^{11} son cada uno independientemente un grupo C_1-C_6 alquilo, o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} es un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_2-C_6 alqueniloxi, un grupo C_2-C_6 alquiniloxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 haloalquiltl-, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi, un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi, un grupo ciano C_1-C_6 alcoxi, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo di (C_1-C_6 alquil) amino, o un grupo Het^1 C_1-C_6 alcoxi (Het^1 tiene el mismo significado la definido anteriormente), o dos R^{12}s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un

átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, mientras que el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo C_1-C_6 alquilo o un grupo oxo; y

R^{13} es un grupo oxo, un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo mono (C_1-C_6 alquil)amino.

(6) El derivado de oxopirazina o sal agroquímicamente aceptable del mismo tal como se describe en cualesquiera de los (1), (2), (4) y (5), caracterizado porque la fórmula [I],

X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 es CH (el grupo puede ser substituido con R^2), esto es, CH el cual puede ser substituido con un substituyente R^2;

R^1 es un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo fenoxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6

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alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C_6 alquilo en el cual el grupo arilo puede ser substituido con uno o más R^8s idénticos o diferentes; un grupo Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes), esto es, un grupo Het^1-C_1-C_6 alquilo el cual puede ser substituido con uno o R^9s más idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} rilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más R^{12}s idénticos o diferentes; o un grupo Het^1 (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo Het^1 el cual puede ser substituido con uno o más R^{13}s idénticos o diferentes, en donde Het^1 es tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofurano o indole;

R^2 es un átomo de halógeno, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

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n es un entero de 0 a 4;

R^3 es un grupo hidroxilo;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo, o R^6 y R^7 pueden unirse con el átomo de nitrógeno al cual se unen, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual R^6 y R^7 se unen;

R^8 es un átomo de halógeno o un grupo C_1-C_6 alcoxi;

R^9 es un grupo C_1-C_6 alquilo;

R^{10} y R^{11} son cada uno independientemente un grupo C_1-C_6 alquilo, o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} es un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_2-C_6 alqueniloxi, un grupo C_2-C_6 alquinilooxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi, un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi, un grupo ciano-C_1-C_6 alcoxi, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo di (C_1-C_6 alquil)amino, o un grupo Het^1-C_1-C_6 alcoxi (Het^1 tiene el mismo significado al definido anteriormente), o dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo

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heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo C_1-C_6 alquilo o un grupo oxo; y

R^{13} es un grupo oxo, un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo mono (C_1-C_6 alquil)amino.

(7) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en cualesquiera de los (1), (3), (4) y (5) anteriores, caracterizado porque en la fórmula [I],

X^1 es un átomo de oxígeno;

X^2 es un átomo de nitrógeno;

R^1 es un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C_6 alquilo en donde el grupo arilo puede ser substituido con uno o más idénticos o diferentes R^8s; un grupo Het^2-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes),

esto es, un grupo Het^2-C_1-C_6 alquilo el cual puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más R^{12}s idénticos o diferentes; o un grupo Het^2 (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo Het^2 el cual puede ser substituido con uno o más R^{13}s idénticos o diferentes; en donde Het^2 es 4,5-dihidroisoxazole, tiofeno, pirazole, isoxazole, piridina, 2,3-dihidrobenzofurano, 1,3-benzodioxole o benzo-1,4-dioxano;

R^2 es un átomo de halógeno, un grupo C_1-C_6 alquilo; un grupo C_1-C_6alquiltio o un grupo C_1-C_6 alcoxi;

n representa un entero de 0 a 4 en donde X^2 es CH (el grupo puede ser substituido con R^2), esto es, CH que puede ser substituido con R^2, o representa un entero de 0 a 3 cuando X^2 es $N(O)_m$;

R^3 es un grupo hidroxilo;

R^8 es un átomo de halógeno, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo C_1-C_6 haloalcoxi;

R^9 es un grupo C_1-C_6 alquilo, un átomo de halógeno o un grupo C_1-C_6 haloalquilo;

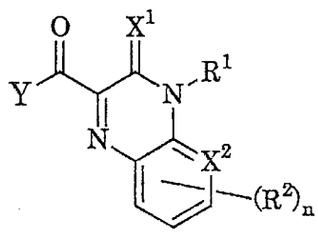
R^{12} es un átomo de halógeno, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo C_1-C_6 haloalquiltio, dos R^{12}s adyacentes se pueden unir con

los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tienen 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno; y

R^{13} es un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, o un grupo C_1-C_6 alcoxi.

(8) Un compuesto representado por la fórmula [J1]:

[Fórmula Química 2]



[J1]

en donde X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 representa CH (el átomo de carbono puede ser substituido con R^2) o un átomo de nitrógeno;

R^1 representa un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6

alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C_6 alquilo en el cual el grupo arilo puede ser substituido con uno o más idénticos o diferentes R^8s; un grupo Het^1-C_1-C_6 alquilo (en el cual el grupo puede ser substituido con uno o dos o más R^9s idénticos o diferentes), esto es, un grupo Het^1-C_1-C_6 alquilo el cual puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más idénticos o diferentes R^{12}s; o un grupo Het^1 (el grupo puede ser substituido con uno o más idénticos o diferentes R^{13}s), esto es, un grupo Het^1 el cual puede ser substituido con uno o más R^{13}s idénticos o diferentes;

R^2 representa un átomo de halógeno; un grupo nitro; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6

alcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), y n representa un entero de 0 a 3 cuando X^2 es átomo de nitrógeno;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo, y además, R^6 y R^7 se pueden unir con el átomo de nitrógeno al cual se enlazan, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 represente un átomo de halógeno; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo C_1-C_6 haloalcoxi;

R^9 representa un grupo C_1-C_6 alquilo; un átomo de halógeno; o un grupo C_1-C_6 haloalquilo;

R^{10} y R^{11} cada uno representan independientemente un grupo C_1-C_6 alquilo; o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6

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alcoxicarbonilo; un grupo di (C_1-C_6 alquil) amino; o un grupo Het^1-C_1-C_6 alcoxi, y además, dos R^{12}s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno; un grupo C_1-C_6 alquilo; o un grupo oxo;

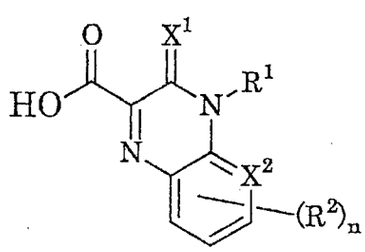
R^{13} representa un grupo oxo; un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_s haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo mono (C_1-C_6 alquil)amino;

Y representa un átomo de halógeno o un grupo ciano; y

Het^1 representa tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrothlopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofurano o indole.

(9) Un compuesto representado por la fórmula [J2]:

[Fórmula Química 3]



[J2]

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en donde X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 representa CH (el átomo de carbono puede ser substituido con R^2) o un átomo de nitrógeno;

R^1 representa un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C_6 alquilo, en el cual el grupo arilo puede substituirse con uno o más R^8s idénticos o diferentes; un grupo Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o dos o R^9s más idénticos o

diferentes), esto es, un grupo Het^1-C_1-C_6 alquilo el cual puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más R^{12}s idénticos o diferentes; o un grupo Het^1 (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo Het^1 cual puede ser substituido con uno o más R^{13}s idénticos o diferentes; R^2 representa un átomo de halógeno; un grupo nitro; un grupo C_1-C_6haloalquil; un grupo C_1-C_6alcoxi; un grupo C_1-C_6 alquilsulfonilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), y n representa un entero de 0 a 3 cuando X^2 es átomo de nitrógeno;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo, y además, R^6 y R^7 pueden unirse con el átomo de nitrógeno se unen, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 representa un átomo de halógeno; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo C_1-C_6 haloalcoxi; R^9 representa un grupo C_1-C_6 alquilo; un átomo de halógeno; o un grupo C_1-C_6 haloalquilo;

R^{10} y R^{11} cada uno representan independientemente un

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grupo C_1-C_6 alquilo; o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_8-C_8 cicloalquil-C_1-C_6 alquiloxi; a ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo di (C_1-C_6 alquil)amino; o un grupo Het^1-C_1-C_6 alcoxi, y además, dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno; un grupo C_1-C_6 alquilo; o un grupo oxo;

R^{13} representa un grupo oxo; un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo mono (C_1-C_6 alquil)amino;

Het^1 representa tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole,

1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofuran o Índole.

(10) El compuesto tal como se describe en el (9) anterior, caracterizado porque en la fórmula [J2],

R^1 es un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), un grupo Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes), esto es, un grupo Het^1-C_1-C_6 alquilo el cual puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo Het^1 (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo Het^1 el cual puede ser substituido con uno o más R^{13}s idénticos o diferentes.

(11) El compuesto tal como se describe en el (9) anterior, caracterizado porque en la fórmula [J2],

X^2 representa un átomo de nitrógeno; y

R^1 es un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más R^{12}s idénticos o diferentes.

Un herbicida caracterizado porque comprende el derivado de oxopirazina tal como se describe en cualesquiera de (1) a (7) o una sal del mismo en la forma de un ingrediente activo.

(13) Un método para utilizar un herbicida, caracterizado por el tratamiento de tierra y/o plantas con una cantidad efectiva del herbicida tal como se describe en el (12).

(14) Una composición agroquímica para uso herbicida, caracterizado porque comprende el derivado de oxopirazina de acuerdo con cualesqioera de los (1) a (7) anteriores o una sal del mismo, y un transportador agroquímicamente aceptable.

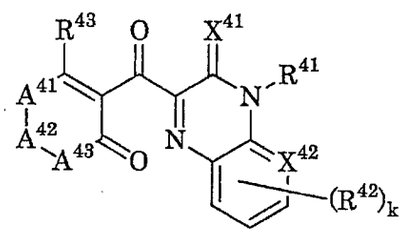
(15) Un método para suprimir el crecimiento de malezas, en donde el método incluye dispersar una composición agroquímica que tiene que contiene una cantidad efectiva del derivado de oxopirazina tal como se describe en cualesquiera de los (1) a (7) anteriores o una sal del mismo, en un lugar en donde las malezas que serán eliminadas están creciendo.

La presente invención también se refiere a los siguientes incisos del (16) a (25).

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(16) Un derivado de oxopirazina representado por la fórmula [X], o una sal agroquímicamente aceptable del mismo:

[Fórmula Química 4]



[X]

en donde X^{41} representa un átomo de oxígeno o un átomo de azufre;

X^{42} representa CH (el átomo de carbono puede ser substituido con R^{42}) o $N(O)_m$;

m representa un entero de 0 ó 1;

R^{41} representa un átomo de hidrógeno; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di (C_1-C_6 alquil)amino; un grupo C_1-C_6 alcoxi; un grupo C_1-C_{12} alquilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente α tal como se muestra más adelante; un grupo C_1-C_6 cicloalquilo; un grupo C_2-C_6 alquenilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente α tal como se describe más adelante; un grupo C_2-C_6 alquinilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente α tal como se describe más adelante; un grupo fenilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente β

tal como se muestra más adelante; un grupo fenil-C_1-C_3 alquilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante; un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (el grupo puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se describe más adelante); o un grupo heterocíclico-C_1-C_3 alquilo que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (el grupo puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se describe más adelante);

R^{42} representa un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_6 cicloalquilo, un grupo C_3-C_6 cicloalquil-C_1-C_3 alquilo, un grupo C_2-C_6 alquenilo, ung grupo C_2-C_6 alquinilo, un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_6 cicloalcoxi; un grupo C_2-C_6 alqueniloxi, un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfinilo, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 haloalquiltio, un grupo C_1-C_6 haloalquilsulfinilo, un grupo C_1-C_6 haloalquilsulfonilo, un grupo amino, un grupo mono (C_1-C_6 alquil)amino, un grupo di(C_1-C_6 alquil)amino, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_1-C_6

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acilo, un grupo carboxilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo mono (C_1-C_6 alquil)aminocarbonilo, o un grupo di (C_1-C_6 alquil)aminocarbonilo;

n representa un entero de 0 a 4 cuando X^{42} es CH (el grupo puede ser substituido con R^{42}), o n representa un entero de 0 a 3 cuando X^{42} es $N(O)_m$;

R^{43} representa un grupo hidroxilo, O^-M^+ (cuando M^+ representa un catión de metal álcali o un catión de amonio), un grupo amino, un átomo de halógeno, un grupo C_1-C_6 alquilsulfoniloxi, un grupo C_1-C=6 alquiltio, un grupo C_1-C_6 alquilsulfinilo, un grupo C_1-C_6 alquisulfonilo, un grupo C_1-C_6 haloalquiltio, un grupo C_1-C_6 haloalquilsulfinilo, un grupo C_1-C_6 haloalquilsulfonilo, un grupo C_2-C_6 alqueniltio, un grupo C_2-C_6 alquenilsulfinilo, un grupo C_2-C_6 alquenilsulfonilo, un grupo C_2-C_6 alquiniltio, un grupo C_2-C_6 alquinilsulfinilo, un grupo C_2-C_6 alquinilsulfonilo, un grupo C_1-C_6 alquilcarboniloxi, un grupo C_2-C_6 alquenilcarboniloxi, un grupo C_2-C_6 alquinilocarboniloxi, un grupo fenoxi el cual puede ser substituido con un grupo seleccionado del grupo substituyente γ, tal como se muestra más adelante, un grupo feniltio el cual puede ser substituido con un grupo seleccionado del grupo substituyente γ, tal como se muestra más adelante, un grupo fenilsulfinilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente γ, tal como se muestra más adelante, un grupo fenilsulfonilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente γ

tal como se muestra más adelante, un grupo fenilsulfoniloxi el cual puede ser substituido con un grupo seleccionado del grupo substituyente γ, tal como se muestra más adelante, un grupo fenilcarboniloxi el cual puede ser substituido con un grupo seleccionado del grupo substituyente y tal como se muestra más adelante, un grupo 1,2,4-triazol-1-ilo, un grupo 1,2,3-triazol-1-ilo, un grupo 1,2,3-triazol-2-ilo, un grupo imidazol-1-ilo, un grupo pirazol-1-ilo, un grupo tetrazol-1-ilo, o un grupo tetrazol-2-ilo;

A^{41} representa $C(R^{44}R^{45})$;

A^{42} representa $C(R^{46}R^{47})$ o C=O;

A^{43} representa $C(R^{48}R^{49})$; y

R^{44}, R^{45}, R^{46}, R^{47}, R^{48} y R^{49} cada uno representan independientemente un átomo de hidrógeno o un grupo C_1-C_6 alquilo, o R^{44} y R^{49} se pueden unir a través de una cadena de C_2-C_5 alquileno para constituir un anillo.

[Grupo substituyente α]

Un grupo que comprende un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_3-C_5 cicloalquiloxi, un grupo C_1-C_6 haloalcoxi, un grupo fenoxi, un grupo C_1-C_6 alquilcarboniloxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfinilo, un grupo C_1-C_6 alquilsulfonilo, un grupo amino, un grupo mono(C_1-C_6 alquil)amino, un grupo di (C_1-C_6 alquil)amino, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi, un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi, un

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grupo ciano-C_1-C_6 alcoxi, un grupo heterocíclico-C_1-C_6 alcoxi que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados arbitrariamente de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, y un grupo $R^{50}R^{51}N$-C=O (R^{50} y R^{51} cada uno representan independientemente un átomo de hidrógeno o un grupo C_1-C_6 alquilo, o R^{50} y R^{51} se pueden unir con el átomo de nitrógeno al cual se unen, para formar un anillo de 5 a 6 miembros, en tanto que este anillo puede tener uno o más átomos seleccionados en forma arbitraria del grupo de un átomo de oxígeno, un átomo de carbono y un átomo de azufre, interpuestos en forma intermedia, además del átomo de nitrógeno al cual se unen R^{50} y R^{51}.

[Grupo substituyente β]

Un grupo que comprende un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_6 cicloalquilo, un grupo C_3-C_6 cicloalquil-C_1-C_3 alquilo, un grupo C_2-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_3-C_6 cicloalquiloxi, un grupo C_2-C_6 alqueniloxi, un grupo C_1-C_6 alquinilooxi, un grupo C_1-C_6 alquilcarboniloxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfínilo, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 haloalquiltio, un grupo C_1-C_6 haloalquilsulfinilo, un grupo C_1-C_6 haloalquilsulfonilo, un grupo amino, un grupo mono(C_1-C_6

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alquil)amino, un grupo di(C_1-C_6 alquil)amino, un grupo C_1-C_3 alcoxi-C_1-C_3 alquilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi, un grupo C_1-C_6 cicloalquil-C_1-C_6 alquilooxi, un grupo ciano-C_1-C_6 alcoxi, un grupo C_1-C_6 acilo, un grupo carboxilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo carbamoilo, un grupo mono (C_1-C_{10} alquil)aminocarbonilo, un grupo di (C_1-C_{10} alquil)aminocarbonilo, y un grupo heterocíclico-C_1-C_6 alcoxi que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados arbitriamente de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno;

[Grupo substituyente y]

Un grupo que comprende un átomo de halógeno, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, y un grupo C_1-C_6 haloalcoxi.

(17) El derivado de oxopirazina o una sal agroquímicamente aceptable del mismo tal como se describe en el (11) anterior, en donde en la fórmula [X],

X^{42} es CH (el átomo de carbono puede ser substituido con R^{42}).

(18) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en el (11) anterior, caracterizado porque en la fórmula [X], X^{42} es $N(O)_m$.

(19) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en el (16) a (18) anterior, en donde en la fórmula [X],

X^{41} es un átomo de oxígeno o un átomo de azufre;

X^{42} es CH (el átomo de carbono puede ser substituido con R^{42}) o un átomo de nitrógeno;

R^{41} es un átomo de hidrógeno, un grupo C_1-C_{12} alquilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente tal como se describe más adelante, un grupo C_3-C_6 cicloalquilo, un grupo C_2-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo fenilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante, un grupo fenil-C_1-C_3 alquilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante, un grupo heterocíclico seleccionado del grupo de anillo heterocíclico β tal como se muestra más adelante (el grupo puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante), o un grupo heterocíclico-C_1-C_3 alquilo en el cual la porción heterocíclica es seleccionada del grupo el anillo heterocíclico β tal como se muestra más adelante (el grupo puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante);

R^{42} es un átomo de halógeno, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alquiltio, o un grupo C_1-C_6 alquilsulfonilo;

n es un entero de 0 a 4 cuando X^{42} es CH (el átomo de carbono puede ser substituido con R^{42}), o n es un entero de 0 a 3 cuando X^{42} es un átomo de nitrógeno; y R^{43} es un grupo hidroxilo.

[Grupo substituyente α]

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Un grupo que comprende un átomo de halógeno, un grupo ciano, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi, un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi, un grupo ciano-C_1-C_6 alcoxi, un grupo fenoxi, un grupo C_1-C_6 alquilcarboniloxi, un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica se selecciona del grupo de anillo heterocíclico Z tal como se muestra más adelante, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, y un grupo $R^{50}R^{51}N$-C=O (R^{50} y R^{51} cada uno representan independientemente un grupo C_1-C_6 alquilo, o R^{50} y R^{51} pueden unirse con el átomo de nitrógeno al cual se unen, para formar un anillo de 5 a 6 miembros, en tanto que este anillo puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^{50} y R^{51}) .

[Grupo substituyente β]

Un grupo que comprende un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi, un grupo C_2-C_6 alqueniloxi, un grupo C_2-C_6 alquiniloxi, un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica es seleccionada del grupo del anillo heterocíclico Z tal como se muestra más adelante, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_3 alcoxi-C_1-C_3 alquilo, un grupo C_3-C_6 cicloalquil-C_1-C_3 alquiloxi, un grupo ciano-C_1-C_3 alcoxi, y un grupo C_1-C_6 acilo.

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[Grupo de anillo heterocíclico Z]

Un grupo que comprende tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, isoxazole, tiazole, isotiazole, 1,2,4-oxadiazole, piridina, pirimidina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, y benzo-1,4-dioxano.

(20) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en cualesquiera de los (16) a (19), en donde en la fórmula [X],

X^{41} representa un átomo de oxígeno o un átomo de azufre,

X^{42} es CH (el grupo puede ser substituido con R^{42}), R^{41} es un átomo de hidrógeno, un grupo C_1-C_{12} alquilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente α tal como se muestra más adelante, un grupo C_3-C_6 cicloalquilo, un grupo C_1-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo fenilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante, un grupo fenil-C_1-C_6 alquilo el cual puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante, un grupo heterocíclico seleccionado del grupo del anillo heterocíclico Z tal como se muestra más adelante (el grupo puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se muestra más adelante), o un grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica se

selecciona del siguiente grupo del anillo heterocíclico Z (el grupo puede ser substituido con un grupo seleccionado del grupo substituyente β tal como se demuestra más adelante);

R^{42} es un átomo de halógeno, un grupo C_1-C_6 alquiltio o un grupo C_1-C_6 alquilsulfonilo;

n es un entero de 0 a 4;

R^{43} es un grupo hidroxilo.

[Grupo substituyente α]

Un grupo que comprende un átomo de halógeno, un grupo ciano, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi, un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi, un grupo ciano-C_1-C_6 alcoxi, un grupo fenoxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquilcarboniloxi, un grupo heterocíclico-C_1-C_{10} alcoxi en el cual la porción heterocíclica se selecciona del siguiente grupo de anillo heterocíclico Z, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, y un grupo $R^{50}R^{51}N$-C=O (R^{50} y R^{51} cada uno representan independientemente un grupo C_1-C_6 alquilo, o R^{50} y R^{51} pueden unirse con el átomo de nitrógeno al cual se unen, para formar un anillo de 5 a 6 miembros, en tanto que este anillo puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^{50} y R^{51}).

[Grupo substituyente β]

Un grupo que comprende un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo,

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un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi, un grupo heterocíclico-C_1-C_{10} alcoxi en el cual la porción heterocíclica se selecciona del grupo de anillo heterocíclico Z tal como se muestra más adelante, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_1-C_6 cicloalquil-C_1-C_6 alquiloxi, un grupo ciano-C_1-C_6 alcoxi, y un grupo C_1-C_6 acilo.

[Grupo de anillo heterocíclico Z]

Un grupo que comprende tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, isoxazole, tiazole, isotiazole, 1,2,4-oxadiazole, piridina, pirimidina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, y benzo-1,4-dioxano.

(21) El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en cualesquiera de los (16) a (20) anteriores, en donde en la fórmula [X],

X^{41} es un átomo de oxígeno;

X^{42} es un átomo de nitrógeno;

R^{41} es un grupo C_1-C_{12} alquilo el cual puede ser substituido con un grupo seleccionado del siguiente grupo substituyente, un grupo C_2-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo fenilo el cual puede ser substituido con cualquier grupo seleccionado del siguiente grupo substituyente β, un grupo fenil-C_1-C_3 alquilo, un grupo heterocíclico seleccionado del siguiente grupo

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heterocíclico Z (el grupo puede ser substituido del siguiente grupo substituyente (3), o un grupo heterocíclico-C_1-C_6 alquilo seleccionado del siguiente grupo heterocíclico Z (el grupo puede ser substituido con cualquier grupo seleccionado del siguiente grupo substituyente β);

R^{42} es un átomo de halógeno o un grupo C_1-C_6 alcoxi;

n es un entero de 0 a 3;

R^{43} es un grupo hidroxilo;

A^{41} es CHR^{44};

A^{42} es CH_2;

A^{43} es CHR^{49};

R^{44} y R^{49} son átomos de hidrógeno, o R^{44} y R^{49} se pueden unir a través de una cadena de C_2-C_5 alquileno para constituir un anillo.

[Grupo substituyente α]

Un grupo que comprende un átomo de halógeno, un grupo C_1-C_6 alcoxi, y un grupo C_1-C_6 alcoxicarbonilo.

[Grupo substituyente β]

Un grupo que comprende un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, y un grupo C_1-C_6 alcoxi.

[Grupo de anillo heterocíclico Z]

Un grupo que comprende 4,5-dihidroisoxazole, tiofeno, isoxazole, piridina, 1,3-benzodioxole, y benzo-1,4-dioxano.

(22) Un herbicida caracterizado porque comprende el derivado de oxopirazina tal como se describe en cualesquiera de

los (16) a (21) o una sal del mismo, un ingrediente activo.

(23) Un método para utilizar un herbicida caracterizado por tratar tierra y/o plantas con una cantidad efectiva del herbicida tal como se describe en el (22) anterior.

(24) Una composición agroquímica para uso herbicida, caracterizado porque el derivado de oxopirazina tal como se describe en cualesquiera de los (16) a (21) o una sal del mismo, y un transportador agroquímicamente aceptable del mismo.

(25) Un método para suprimir el crecimiento de malezas, en donde el método incluye dispersar una composición agroquímica que contiene una cantidad efectiva del derivado de oxopirazina tal como se describe en cualesquiera de los (16) a (21) anteriores o una sal del mismo, en un lugar en donde las malezas que serán eliminadas están creciendo.

El derivado de oxopirazina representado por la fórmula [I] o una sal del mismo tal como se describe en la presente invención, ha sido rescrito sobre las bases de la descripción con respecto al derivado de oxopirazina representado por la fórmula [X] o una sal del mismo.

En la presente especificación, la totalidad del asunto o materia descrito en la especificación de la Solicitud de Patente Japonesa No. 2007-201387, sobre cuyas bases la presente solicitud de patente reclama prioridad, ha sido incorporada en la descripción de la presente especificación.

Efecto de la invención

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El derivado de oxopirazina representado por la fórmula [I] o una sal agroquímicamente aceptable del mismo tal como se describe en la presente invención, puede controlar diversas malezas que crecen en campos montañosos, huertos, campos de arroz y tierras no agrícolas, y tiene excelentes efectos de acción como un agroquímico, mostrando alta seguridad contra plantas útiles, cosechas útiles y similares.

Mejor Modo de llevar a Cabo la Invención

Los símbolos y términos descritos en la presente especificación se explicarán a continuación.

El átomo de halógeno es un átomo de fluoro, un átomo de cloro, un átomo de bromo o un átomo de yodo.

La anotación que muestra símbolos elementales y números en subíndice, tal como C_1-C_3, indica que el número de elementos del grupo descrito en forma subsecuente a la anotación está dentro del rango indicado por los números en subíndice. Por ejemplo, en este caso, se indica que el número de carbonos es de 1 a 3. La anotación de C_1-C_6, indica que el número de carbonos es de 1 a 6, en tanto que la anotación C_1-C_{12} indica que el número de carbonos es de 1 a 12.

El grupo C_1-C_6 alquilo representa, a menos que se limite de manera particular, un grupo alquilo de cadena recta o ramificada que tiene de 1 a 6 átomos de carbono, y se pueden incluir grupos tales como metilo, etilo, n-propilo, isopropilo, n-butilo, sec-butilo, isobutilo, ter-butilo, n-pentilo, 1-metilbutilo, 2-metilbutilo,

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3-metilbutilo, 1-etilpropilo, 1,1-dimetilpropilo, 1,2-dimetilpropilo, neopentilo, n-hexilo, 1-metilpentilo, 2-metilpentilo, 3-metilpentilo, 4-metilpentilo, 1-etilbutilo, 2-etilbutilo, 1,1-dimetilbutilo, 1,2-dimetilbutilo, 1,3-dimetilbutilo, 2,2-dimetilbutilo, 2,3-dimetilbutilo, 3,3-dimetilbutilo, 1,1,2-trimetilpropilo, 1,2,2-trimetilpropilo, 1-etil-1-metilpropilo y 1-etil-2-metilpropilo, por ejemplo. Un grupo alquilo preferido que tiene de 1 a 6 átomos de carbono se puede ejemplificar a través de un grupo alquilo de cadena recta o ramificada que tiene 1 a 4, o 1 a 3, átomos de carbono.

El grupo C_1-C_{12} alquilo representa, a menos que se limite de manera particular, un grupo alquilo de cadena recta o ramificada que tiene de 1 a 12 átomos de carbono, y además de los ejemplos del grupo C_1-C_6 alquilo, se pueden incluir, por ejemplo, grupos tales como grupos n-heptilo, 1-metilhexilo, 5-metilhexilo, 1,1-dimetilpentilo, 2,2-dimetilpentilo, 4,4-dimetilpentilo, 1-etilpentilo, 2-etilpentilo, 1,1,3-trimetilbutilo, 1,2,2-trimetilbutilo, 1,3,3-trimetilbutilo, 2,2,3-trimetilbutilo, 2,3,3-trimetilbutilo, 1-propilbutilo, 1,1,2,2-tetrametilpropilo, n-octilo, 1-metilheptilo, 3-metilheptilo, 6-metilheptilo, 2-etilhexilo, 5,5-dimetilhexilo, 2,4,4-trimetilpentilo, 1-etil-1-metilpentilo, nonilo, 1-metiloctilo, 2-metiloctilo, 3-metiloctilo, 7-metiloctilo, 1-etilheptilo, 1,1-dimetilheptilo, 6,6-dimetilheptilo, decilo, 1-metilnonilo, 2-metilnonilo, 6-metilnonilo, 1-etiloctilo, 1-propilheptilo, n-nonilo, n-decilo,

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n-undecilo y n-dodecilo. Un grupo alquilo preferido que tiene 1 a 12 átomos de carbono, se puede ejemplificar a través de un grupo alquilo de cadena recta o ramificada que tiene 1 a 8, 1 a 6, o 1 a 3, átomos de carbono.

El grupo C_3-C_8 cicloalquilo representa, a menos que se limite de manera particular, un grupo cicloalquilo que tiene de 3 a 8 átomos de carbono, y se pueden incluir, por ejemplo, grupos tales como ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo. Un grupo cicloalquilo preferido que tiene de 3 a 8 átomos de carbono puede ser ejemplificado a través de un grupo cicloalquilo que tiene 3 a 6, o 4 a 6, átomos de carbono.

El grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo representa, a menos que se limite de manera particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono, en el cual la parte cicloalquilo y la parte alquilo, respectivamente, tienen los mismos significados a los descritos anteriormente, y se pueden incluir grupos tales como ciclopropilmetilo, 1-ciclopropiletilo, 2-ciclopropiletilo, 1-ciclopropilpropilo, 2-ciclopropilpropilo, 3-ciclopropilpropilo, ciclobutilmetilo, ciclopentilmetilo y ciclohexilmetilo.

El grupo C_1-C_6 haloalquilo representa un grupo alquilo de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con uno o más, preferentemente 1 a 10, y más preferentemente 1 a 5 átomos de halógeno, y se pueden incluir

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grupos tales como fluorometilo, clorometilo, bromometilo, difluorometilo, diclorometilo, trifluorometilo, triclorometilo, clorodifluorometilo, bromodifluorometilo, 2-fluoroetilo, 1-cloroetilo, 2-cloroetilo, 1-bromoetilo, 2-bromoetilo, 2,2-difluoroetilo, 1,2-dicloroetilo, 2,2-dicloroetilo, 2,2,2-trifluoroetilo, 2,2,2-tricloroetilo, 1,1,2,2-tetrafluoroetilo, pentafluoroetilo, 2-bromo-2-cloroetilo, 2-cloro-1,1,2,2-tetrafluoroetilo, 1-cloro-1,2,2,2-tetrafluoroetilo, 1-cloropropilo, 2-cloropropilo, 3-cloropropilo, 2-bromopropilo, 3-bromopropilo, 2-bromo-1-metiletilo, 3-yodopropilo, 2,3-dicloropropilo, 2,3-dibromopropilo, 3,3,3-trifluoropropilo, 3,3,3-tricloropropilo, 3-bromo-3,3-difluoropropilo, 3,3-dicloro-3-fluoropropilo, 2,2,3,3-tetrafluoropropilo, l-bromo-3,3,3-trifluoropropilo, 2,2,3,3,3-pentafluoropropilo, 2,2,2-trifluoro-1-trifluorometiletilo, heptafluoropropilo, 1,2,2,2-tetrafluoro-1-trifluorometiletilo, 2,3-dicloro-1,1,2,3,3-pentafluoropropilo, 2-clorobutilo, 3-clorobutilo, 4-clorobutilo, 2-cloro-1,1-dimetiletilo, 4-bromobutilo, 3-bromo-2-metilpropilo, 2-bromo-1,1-dimetiletilo, 2,2-dicloro-1,1-dimetiletilo, 2-cloro-1-clorometil-2-metiletilo, 4,4,4-trifluorobutilo, 3,3,3-trifluoro-1-metilpropilo, 3,3,3-trifluoro-2-metilpropilo, 2,3,4-triclorobutilo, 2,2,2-tricloro-1,1-dimetiletilo, 4-cloro-4,4-difluorobutilo, 4,4-dicloro-4-fluorobutilo, 4-bromo-4,4-difluorobutilo, 2,4-dibromo-4,4-difluorobutilo, 3,4-dicloro-3,4,4-trifluorobutilo,

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3,3-dicloro-4,4,4-trifluorobutilo,
4-bromo-3,3,4,4-tetrafluorobutilo,
4-bromo-3-cloro-3,4,4-trifluorobutilo,
2,2,3,3,4,4-hexafluorobutilo, 2,2,3,4,4,4-hexafluprobutilo,
2,2,2-trifluoro-1-metil-1-trifluorometiletilo,
3,3,3-trifluoro-2-trifluorometilpropilo,
2,2,3,3,4,4,4-heptafluorobutilo,
2,3,3,3-tetrafluoro-2-trifluorometilpropilo,
1,1,2,2,3,3,4,4-octafluorobutilo, nonafluorobutilo,
4-cloro-1,1,2,2,3,3,4,4-octafluorobutilo, 5-fluoropentilo,
5-cloropentilo, 5,5-difluoropentilo, 5,5-dicloropentilo,
5,5,5-trifluoropentilo, 6,6,6-trifluorohexilo y
5,5,5,6,6,6-hexafluorohexilo.

El grupo C_2-C_6 alquenilo representa, a menos que se limite en forma particular, un grupo alquenilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono, y se pueden incluir, por ejemplo, grupos tales como vinilo, 1-propenilo, isopropenilo, 2-propenilo, 1-butenilo, 1-metil-1-propenilo, 2-butenilo, 1-metil-2-propenilo, 3-butenilo, 2-metil-1-propenilo, 2-metil-2-propenilo, 1,3-butadienilo, 1-pentenilo, 1-etil-2-propenilo, 2-pentenilo, 1-metil-1-butenilo, 3-pentenilo, 1-metil-2-butenilo, 4-pentenilo, 1-metil-3-butenilo, 3-metil-1-butenilo, 1,2-dimetil-2-propenilo, 1,1-dimetil-2-propenilo, 2-metil-2-butenilo, 3-metil-2-butenilo, 1,2-dimetil-1-propenilo, 2-metil-3-butenilo, 3-metil-3-butenilo,

1,3-pentadienilo, 1-vinil-2-propenilo, 1-hexenilo, 1-propil-2-propenilo, 2-hexenilo, 1-metil-1-pentenilo, 1-etil-2-butenilo, 3-hexenilo, 4-hexenilo, 5-hexenilo, 1-metil-4-pentenilo, 1-etil-3-butenilo, 1-(isobutil)vinilo, 1-etil-1-metil-2-propenilo, 1-etil-2-metil-2-propenilo, 1-(isopropil)-2-propenilo, 2-metil-2-pentenilo, 3-metil-3-pentenilo, 4-metil-3-pentenilo, 1,3-dimetil-2-butenilo, 1,1-dimetil-3-butenilo, 3-metil-4-pentenilo, 4-metil-4-pentenilo, 1,2-dimetil-3-butenilo, 1,3-dimetil-3-butenilo, 1,1,2-trimetil-2-propenilo, 1,5-hexadienilo, 1-vinil-3-butenilo y 2,4-hexadienilo. Un grupo alquenilo preferido que tiene 2 a 6 átomos de carbono puede ser ejemplificado a través de un grupo alquenilo de caden arecta y ramificada que tiene 2 a 4 átomos de carbono.

El grupo C_2-C_6 alquinilo representa, a menos que se limite en forma particular, un grupo alquinilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono, y se pueden incluir, por ejemplo, grupos tales como etinilo, 1-propinilo, 2-propinilo, 1-butinilo, 1-metil-2-propinilo, 2-butinilo, 3-butinilo, 1-pentinilo, 1-etil-2-propinilo, 2-pentinilo, 3-pentinilo, 1-metil-2-butinilo, 4-pentinilo, 1-metil-3-butinilo, 2-metil-3-butinilo, 1-hexinilo, 1-(n-propil)-2-propinilo, 2-hexinilo, 1-etil-2-butinilo, 3-hexinilo, 1-metil-2-pentinilo, 1-metil-3-pentinilo, 4-metil-1-pentinilo, 3-metil-1-pentinilo, 5-hexinilo, 1-etil-3-butinilo, 1-etil-1-metil-2-propinilo, 1-(isopropil)-2-propinilo,

1,1-dimetil-2-butinilo y 2,2-dimetil-3-butinilo. Un grupo alquinilo preferido que tiene 2 a 6 átomos de carbono, se puede ejemplificar a través de un grupo alquinilo de cadena recta o ramificada que tiene 2 a 4 átomos de carbono.

El grupo C_2-C_6 alcoxi representa, a menos que se limite en forma particular, un grupo alcoxi de cadena recta o ramificada que tiene 1 a 6 átomos de carbono, y se pueden incluir grupos tales como metoxi, etoxi, propoxi, isopropoxi, butoxi, pentiloxi y hexiloxi. Un grupo alcoxi preferido que tiene 1 a 6 átomos de carbono se puede ejemplificar a través de un grupo alcoxi de cadena recta o ramificada que 1 a 4, o 1 a 3, átomos de carbono.

El grupo C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene de 1 a 6 átomos de carbono substituidos con un grupo alcoxi que tiene 1 a 6 átomos de carbono, en el cual la porción alquilo y la porción alcoxi respectivamente tienen respectivamente los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo, grupos tales como metoximetilo, etoximetilo, isopropoximetilo, pentiloximetilo, metoxietilo y butoxietilo.

El grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituidos con un grupo alcoxi que tiene 1 a 6 átomos de carbono, en donde la porción alcoxi tiene el mismo significado descrito anteriormente, y se pueden incluir, por ejemplo grupos tales como metoximetoxi, etoximetoxi, 2-metoxietoxi y 2-etoxietoxi.

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El grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi representa un grupo (alquil)-O- que tiene 1 a 6 átomos de carbono substituidos con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono, en el cual la porción cicloalquilo y la porción alquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo, grupos tales como ciclopropilmetiloxi, ciclopropiletiloxi y ciclopentilmetilo. Un cicloalquilo preferido que tiene 3 a 8 átomos de carbono, se puede ejemplificar a través de un grupo cicloalquilo que tiene 3 a 6 átomos de carbono.

El grupo ciano-C_1-C_6 alcoxi representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituido con un grupo ciano, en donde la porción alcoxi tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo, grupos tales como 2-cianoetoxi y 3-cianopropoxi.

El grupo C_3-C_8 cicloalquiloxi representa, a menos que se limite en forma particular, un grupo (cicloalquil)-O- que tiene 3 a 8 átomos de carbono en donde la porción cicloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como ciclopropiloxi, ciclobutiloxi, ciclopentiloxi y ciclohexiloxi.

El grupo C_2-C_6 alqueniloxi representa, a menos que se limite en forma particular, un grupo (alquenil)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden mencionar grupos

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tales como 2-propeniloxi.

El grupo C_2-C_6 alquinilooxi representa, a menos que se limite en forma particular, un grupo (alquinilo)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como 2-propiniloxi.

El grupo C_1-C_6 alquiltio representa un grupo (alquil)-S- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como metiltio, etiltio, n-propiltio y isopropiltio.

El grupo C_1-C_6 alquilsulfinilo representa un grupo (alquil)-SO- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfinilo, etilsulfinilo, n-propilsulfinilo y isopropilsulfinilo.

El grupo C_1-C_6 alquilsulfonilo representa un grupo (alquil)-SO_2- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfonilo, etilsulfonilo, n-propilsulfonilo y isopropilsulfonilo.

El grupo C_1-C_6 alquilsulfoniloxi representa un grupo (alquil)-SO_2-O- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfoniloxi

y etilsulfoniloxi.

El grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituidos con un grupo alquilsulfonilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilsulfonilo y la porción alcoxi tienen respectivamente los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(metilsulfonil)etoxi y 2-(etilsulfonil)etoxi.

El grupo mono(C_1-C_6 alquil)amino representa un grupo (alquil)-NH- que tiene 1 a 6 átomos de carbono en el cual la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilamino y etilamino.

El grupo di (C_1-C_6 alquil)amino representa un grupo $(alquil)_2N$- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como dimetilamino, dietilamino, metiletilamino, dipropilamino y dibutilamino.

El grupo mono(C_1-C_6 alquil)aminocarbonilo representa un grupo (alquil)-NH-C (=O)- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilaminocarbonilo y etilaminocarbonilo.

El grupo di (C_1-C_6 alquil)aminocarbonilo representa un grupo $(alquil)_2N$-C(=O)- que tiene 1 a 6 átomos de carbono en

donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden mencionar por ejemplo grupos tales como dimetilaminocarbonilo, dietilaminocarbonilo, metiletilaminocarbonilo, dipropilaminocarbonilo y dibutilaminocarbonilo.

El grupo C_1-C_6 alcoxicarbonilo representa un grupo (alquil)-OC(=O)- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metoxicarbonilo, etoxicarbonilo, n-propoxicarbonilo y isopropoxicarbonilo.

El grupo C_1-C_6 acilo representa un grupo acilo derivado de un ácido carboxílico alifático de cadena recta o ramificada que tiene 1 a 6 átomos de carbono, y se pueden incluir grupos tales como formilo, acetilo, propionilo, isopropionilo, butirilo y pivaloilo.

El grupo C_1-C_6 alquilcarboniloxi representa un grupo (alquil)-C(=O)-O- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como acetoxi, propioniloxi, isopropioniloxi y pivaloiloxi.

El grupo C_2-C_6 alquenilcarboniloxi representa un grupo (alquenil)-C(=O)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como

1-propenilcarboniloxi, 2-propenilcarboniloxi, 1-butenilcarboniloxi y 1-metil-1-propenilcarboniloxi.

El grupo C_2-C_6 alquinilocarboniloxi representa un grupo (alquinilo)-C(=O)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 1-propinilcarboniloxi y 2-propinilcarboniloxi.

El grupo C_1-C_6 haloalquiltio representa un grupo (haloalquil)-S- que tiene 1 a 6 átomos de carbono en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometiltio y trifluorometiltio.

El grupo C_1-C_6 haloalquilsulfinilo representa un grupo (haloalquil)-SO- que tiene 1 a 6 átomos de carbono en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como clorometilsulfinilo, difluorometilsulfinilo y trifluorometilsulfinilo.

El grupo C_1-C_6 haloalquilsulfonilo representa un grupo (haloalquil)-SO_2- que tiene 1 a 6 átomos de carbono en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como clorometilsulfonilo, difluorometilsulfonilo y trifluorometilsulfonilo.

El grupo mono(C_1-C_6 alquil)aminocarbonilo representa un grupo (alquil)NH-C(=O)- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido

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anteriormente, y se pueden incluir por ejemplo grupos tales como metilaminocarbonilo y etilaminocarbonilo.

El grupo di (C_1-C_6 alquil)aminocarbonilo representa un grupo (alquil)$_2$N-C(=O)- en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como dimetilaminocarbonilo, dietilaminocarbonilo, metiletilaminocarbonilo, dipropilaminocarbonilo y dibutilaminocarbonilo.

El grupo C_2-C_6 alqueniltio representa un grupo (alquenil)-S- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como aliltio.

El grupo C_2-C_6 alquenilsulfinilo representa un grupo (alquenil)-SO- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como alilsulfinilo.

El grupo C_1-C_6 alquenilsulfonilo representa un grupo (alquenil)-SO_2- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como alilsulfonilo.

El grupo C_1-C_6 alquiniltio representa un grupo (alquinilo)-S- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden

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incluir por ejemplo grupos tales como 2-propiniltio.

El grupo C_2-C_6 alquinilsulfinilo representa un grupo (alquinilo)-SO- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-propinilsulfinilo.

El grupo C_2-C_6 alquinilsulfonilo representa un grupo (alquinilo)-SO_2- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-propinilsulfonilo.

El grupo fenil-C_1-C_6 alquilo representa un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo fenilo, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como bencilo, 1-feniletilo y 2-feniletilo.

El grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representaa, a menos que se limite en forma particular, grupo monovalente formado de un anillo heterocíclico de anillo monocíclico, policíclico o fusionado de 3 a 8 miembros, y preferentemente 5 a 7 miembros que tiene 1 a 5 y preferentemente 1 a 3, heteroátomos seleccionados del grupo que consiste en un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y se pueden incluir por

ejemplo grupos tales como oxirano, tetrahidrofurano, pirrolidina, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, piperidina, piperazina, morfolina, furano, tiofeno, pirrole, pirazole, imidazole, oxazole, isoxazole, tiazole, isotiazole, 1,3,4-oxadiazole, 1,3,4-tiadiazole, 1,3,4-triazole, 1,2,4-oxadiazole, 1,2,4-tiadiazole, 1,2,4-triazole, 1,2,3-oxadiazole, 1,2,3-tiadiazole, 1,2,3-triazole, tetrazole, piridina, pirimidina, pirazina, piridazina, 1,3,5-triazina, 1,2,4-triazina, benzotiofeno, benzofurano, indole, benzoxazole, benzotiazole, bencimidazole, benzisoxazole, benzisotiazole, indazole, 1,3-benzodioxole, benzo-1,4-dioxano y 2,3-dihidrobenzofurano.

El grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo heterocíclico en el cual la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como (tetrahidrofuran-2-il)metilo, (4,5-dihidroisoxazol-5-il)metilo, (isoxazol-5-il)metilo y (tiofen-2-il)metilo.

El grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos

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seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituidos con un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en donde la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y la porción alcoxi respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo grupos tales como (tetrahidrofuran-2-il)metoxi y (tetrahidrofuran-3-il)metoxi.

El grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en donde la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representa un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono, el cual es substituido con un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en donde la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, la porción alcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos

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anteriormente. Se pueden incluir por ejemplo grupos tales como (tetrahidrofuran-2-il)metoximetilo y (tetrahidrofuran-3-il)metoximetilo.

El grupo C_2-C_6 haloalquenilo representa, a menos que se limite en forma particular, un grupo alquenilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono substituidos con 1 a 11, y preferentemente 1 a 5, átomos de halógeno, y se pueden incluir por ejemplo grupos tales como 2-clorovinilo, 2-bromovinilo, 2-yodovinilo, 3-cloro-2-propenilo, 3-bromo-2-propenilo, 1-clorometilvinilo, 2-bromo-1-metilvinilo, 1-trifluorometilvinilo, 3,3,3-tricloro-1-propenilo, 3-bromo-3,3-difluoro-1-propenilo, 2,3,3,3-tetracloro-1-propenilo, 1-trifluorometil-2,2-difluorovinilo, 2-cloro-2-propenilo, 3,3-difluoro-2-propenilo, 2,3,3-tricloro-2-propenilo, 4-bromo-3-cloro-3,4,4-trifluoro-1-butenilo, 1-bromometil-2-propenilo, 3-cloro-2-butenilo, 4,4,4-trifluoro-2-butenilo, 4-bromo-4,4-difluoro-2-butenilo, 3-bromo-3-butenilo, 3,4,4-trifluoro-3-butenilo, 3,4,4-tribromo-3-butenilo, 3-bromo-2-metil-2-propenilo, 3,3-difluoro-2-metil-2-propenilo, 3,3,3-trifluoro-2-metilpropenilo, 3-cloro-4,4,4-trifluoro-2-butenilo, 3,3,3-trifluoro-1-metil-1-propenilo, 3,4,4-trifluoro-1,3-butadienilo, 3,4-dibromo-1-pentenilo, 4,4-difluoro-3-metil-3-butenilo, 3,3,4,4,5,5,5-heptafluoro-1-pentenilo, 5,5-difluoro-4-pentenilo,

4,5,5-trifluoro-4-pentenilo,

3,4,4,4-tetrafluoro-3-trifluorometil-1-butenilo,

4,4,4-trifluorometil-3-metil-2-butenilo,

3,5,5-trifluoro-2,4-pentadienilo,

4,4,5,5,6,6,6-heptafluoro-2-hexenilo,

3,4,4,5,5,5-hexafluoro-3-trifluorometil-1-pentenilo,

4,5,5,5-tetrafluoro-4-trifluorometil-2-pentenilo y

5-bromo-4,5,5-trifluoro-4-trifluorometil-2-pentenilo.

El grupo C_2-C_6 haloalquinilo representa, a menos que se limite en forma particular, un grupo alquinilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono substituidos con 1 a 4 átomos de halógeno idénticos o diferentes, y se pueden incluir, por ejemplo grupos tales como 3-cloro-2-propinilo, 3-bromo-2-propinilo, 3-yodo-2-propinilo, 3-cloro-1-propinilo y 5-cloro-4-pentinilo.

El grupo amino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo amino, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-aminoetilo y 3-aminopropilo.

El grupo mono (C_1-C_6 alquil)amino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con un grupo amino el cual es

mono-substituido con un grupo alquilo, en el cual la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(metilamino)etilo y 3-(metilamino)propilo.

El grupo di(C_1-C_6 alquil)amino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con un grupo amino el cual es di-substituido con grupos alquilo, en los cuales la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como N,N-dimetilaminometilo y N,N-dimetilaminoetilo.

El grupo C_1-C_6 alquiltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alquiltio que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alquilo del alquiltio respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como metiltiometilo y etiltiometilo.

El grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alquilsulfinilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alquilo del alquilsulfinilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden

incluir por ejemplo grupos tales como metilsulfinilmetilo y etilsulfinilmetilo.

El grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alquilsulfonilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alquilo del alquilsulfonilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfonilmetilo y etilsulfonilmetilo.

El grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (haloalquil)-S- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción haloalquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometiltiometilo y trifluorometiltiometilo.

El grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (haloalquil)-SO- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción haloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometilsulfinilmetilo y

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trifluorometilsulfinilmetilo.

El grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (haloalquil)-SO_2- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción haloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometilsulfonilmetilo y trifluorometilsulfonilmetilo.

El grupo fenil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo fenilo, en donde la porción alquilo y la porción alcoxi, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como benciloximetilo y benciloxietilo.

El grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alcoxi respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(2-metoxietoxi)etilo y

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2-(2-etoxietoxi)etilo.

El grupo C_1-C_6 cicloalquiloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (cicloalquil)-O- que tiene 3 a 8 átomos de carbono, en donde la porción alquilo y la porción cicloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como ciclopropiloximetilo, ciclobutiloximetilo, ciclopentiloximetilo y ciclohexiloximetilo.

El grupo feniloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (fenil)-O-, en donde la porción alquilo tiene tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como fenoximetilo, 2-fenoxietilo y 3-fenoxipropilo.

El grupo feniltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (fenil)-S-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como feniltiometilo, 2-feniltioetilo y 3-feniltiopropilo.

El grupo C_1-C_6 haloalcoxi representa, a menos que se limite en forma particular, un grupo alquil-O- de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con 1 a 13, y preferentemente 1 a 5 átomos de halógeno idénticos o

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2-(2-etoxietoxi)etilo.

El grupo C_1-C_6 cicloalquiloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (cicloalquil)-O- que tiene 3 a 8 átomos de carbono, en donde la porción alquilo y la porción cicloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como ciclopropiloximetilo, ciclobutiloximetilo, ciclopentiloximetilo y ciclohexiloximetilo.

El grupo feniloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (fenil)-O-, en donde la porción alquilo tiene tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como fenoximetilo, 2-fenoxietilo y 3-fenoxipropilo.

El grupo feniltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (fenil)-S-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como feniltiometilo, 2-feniltioetilo y 3-feniltiopropilo.

El grupo C_1-C_6 haloalcoxi representa, a menos que se limite en forma particular, un grupo alquil-O- de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con 1 a 13, y preferentemente 1 a 5 átomos de halógeno idénticos o

diferentes, en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como clorometoxi, difluorometoxi, clorodifluorometoxi, trifluorometoxi y 2,2,2-trifluoroetoxi.

El grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo haloalcoxi que tiene 1 a 6 átomos de carbono, en donde la cantidad haloalcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como clorometoximetilo, difluorometoximetilo, clorodifluorometoximetilo, trifluorometoximetilo y 2,2,2-trifluoroetoximetilo.

El grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi representa, a menos que se limite en forma particular, un grupo alcoxi que tiene 1 a 6 átomos de carbono substituido con un grupo haloalcoxi que tiene 1 a 6 átomos de carbono, en donde la cantidad haloalcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como clorometoximetoxi, difluorometoximetoxi, clorodifluorometoximetoxi, trifluorometoximetoxi y 2, 2,2-trifluoroetoximetoxi.

El grupo C_1-C_6 alquiltio-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un

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grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alquiltio que tiene 1 a 6 átomos de carbono, en donde la porción alquiltio, la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-metiltioetoximetilo y 2-etiltioetoximetilo.

El grupo C_1-C_6 alquilsulfinil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alquilsulfinilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilsulfinilo, la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo, grupos tales como 2-metilsulfiniletoximetilo y 2-etilsulfiniletoximetilo.

El grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alquilsulfonilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilsulfonilo, la porción alcoxi y la porción alquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-metilsulfoniletoximetilo y 2-etilsulfoniletoximetilo.

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El grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo ciano, en donde la porción alcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-cianoetoximetilo y 3-cianopropoximetilo.

El grupo ciano-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo ciano, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como cianometilo y 2-cianoetilo.

El grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (alquil)-C(=O)O- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como acetoximetilo, propioniloximetilo, isopropioniloximetilo y pivaloiloximetilo.

El grupo C_1-C_6 acil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo acilo que tiene 1 a 6 átomos de carbono, en donde la porción acilo y la porción alquilo

respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-oxopropilo, 3-oxopropilo y 2-oxobutilo.

El grupo di(C_1-C_6 alcoxi)-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono di-substituidos con grupos alcoxi que tienen cada uno 1 a 6 átomos de carbono, en donde la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como (2,2-dimetoxi)etilo, (3,3-dimetoxi)propilo, (2,2-dietoxi)etilo y (3,3-dietoxi)propilo.

El grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alcoxicarbonilo que tiene 1 a 6 átomos de carbono, en donde la porción alcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-metoxi-2-oxoetilo, 2-etoxi-2-oxoetilo y 2-ter-butoxi-2-oxoetilo.

El grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (alcoxi)-N= que tiene 1 a 6 átomos de carbono, en donde la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo

grupos tales como 2-metoxiiminoetilo y 3-metoxiiminopropilo.

El grupo C_6-C_{10} arilo puede ser ejemplificado a través de grupos tales como fenilo y naftilo.

El grupo C_6-C_{10} aril-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo arilo que tienen 6 a 10 átomos de carbono, en donde la porción arilo y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como bencilo, fenetilo, 3-fenilpropilo, naftalen-1-ilmetilo y naftalen-2-ilmetilo.

El grupo C_3-C_8 halocicloalquilo representa, a menos que se limite en forma particular, un grupo cicloalquilo que tiene 3 a 8 átomos de carbono substituido con 1 a 5, y preferentemente 1 a 3, átomos de halógeno, en donde la porción cicloalquilo y el átomo de halógeno respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2,2-difluorociclopropilo y 2,2-diclorociclopropilo.

El grupo nitro-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo nitro, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como nitrometilo y 2-nitroetilo.

El grupo hidroxi-C_1-C_6 alquilo representa, a menos que se

limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo hidroxilo, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-hidroxietilo y 3-hidroxipropilo.

El grupo C_1-C_6 acilamino representa, a menos que se limite en forma particular, un grupo amino substituido con un grupo acilo que tiene 1 a 6 átomos de carbono, en donde la porción acilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como formamida, acetamida y propionamida.

El grupo (R^6R^7N-C=O)-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con (R^6R^7N-C=O), en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como N,N-dimetilaminocarbonilmetilo, N,N-dimetilaminocarboniletilo y N-metil-N-etilaminocarbonilmetilo.

La cadena de C_2-C_5 alquileno puede ejemplificarse, a menos que se limite en forma particular, a través de grupos tales como etileno, trimetileno, propileno, tetrametileno y pentametileno.

El grupo heterocíclico-oxi-C_1-C_6 alquilo en donde la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un

átomo de carbono representa, a menos que se limite en forma particular, un grupo analquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (heterocíclico)-O-, en donde la porción alquilo y la porción heterocíclico tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(2-piridiloxi)etilo, 2-(2-piraziniloxi)etilo, y 2-(2-tiazolil)etilo.

El grupo C_3-C_8 cicloalquil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono, el cual es substituido con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono, en donde la porción alquilo, la porción alcoxi y la porción cicloalquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como ciclopropilmetiloximetilo, ciclobutilmetiloximetilo, ciclopentilmetiloximetilo y ciclohexilmetiloximetilo.

El grupo fenilsulfinil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (fenil)-SO-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como fenilsulfinilmetilo, 2-fenilsulfiniletilo, y 3-fenilsulfinilpropilo.

El grupo fenilsulfonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (fenil)-SO_2-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-fenilsulfoniletilo, 3-fenilsulfonilpropilo, y 4-fenilsulfonilbutilo.

El grupo C_1-C_6alquilideno presenta, a menos que se limite en forma particular, un grupo alquilo divalente de cadena recta o ramificada que tiene 1 a 6 átomos de carbono y se pueden incluir, por ejemplo grupos tales como metileno, etilideno, propilideno, e isopropilideno.

El grupo C_1-C_6 alquilidenoaminooxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un (alquilideno)=N-O- que tiene 1 a 6 átomos de carbono, en donde la porción alquilideno y la porción alquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo grupos tales como metilenoaminooximetilo, 2-(etilidenoaminooxi)etilo, y 2-(isopropilidenoaminooxi)etilo.

El grupo C_3-C_8 halocicloalquil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono los cuales son substituidos con 1 a 5, preferentemente 1 a 3 átomos de halógeno, en donde la porción

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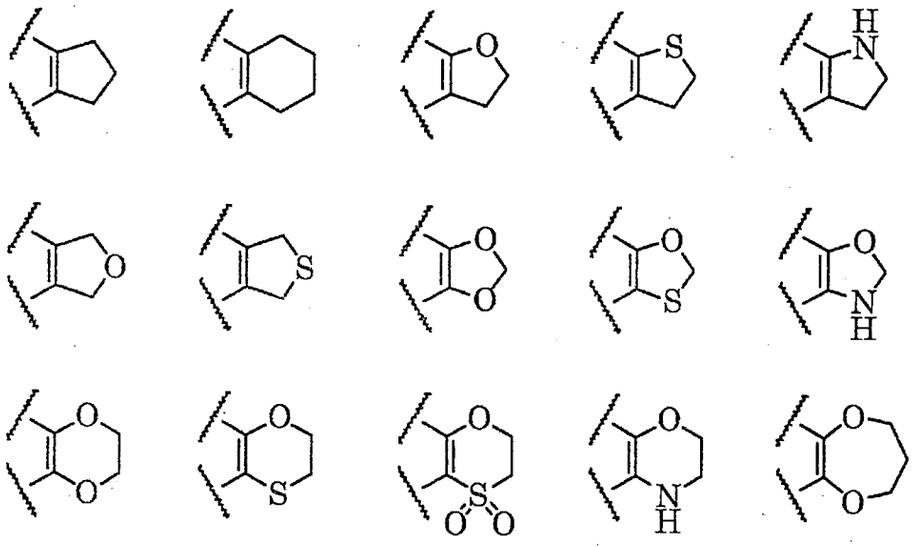
cicloalquilo, la porción alquilo, y el átomo de halógeno, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2,2-difluorociclopropilmetilo, y 2,2-diclorociclopropilmetilo.

El metal álcali puede ser ejemplificado mediante sodio, potasio, y similares.

Las frases "dos R^2s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros, o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", "dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros, o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", y "dos R^{13}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros, o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", se pueden ejemplificar a través de lo que se encuentra a continuación, a menos que se limite en forma particular.

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[Fórmula Química 5]



X^1 en la fórmula [I] de la presente invención puede ejemplificarse a través de un átomo de oxígeno o un átomo de azufre. Una X^1 preferida incluye un átomo de oxígeno. Con respecto a la fórmula [I], X^1 se describe en la forma de un grupo carbonilo; sin embargo, en el caso en donde el substituyente R^1 o el átomo de nitrógeno adyacente es un átomo de hidrógeno, X^1 no está en la forma de carbonilo, sino que puede existir en la forma de enol, el cual es un tautómero de la forma carbonilo.

X^2 en la fórmula [I] de la presente invención representa =CH- o $=N(O)_{ra}$- (siempre que m representa un entero de 0 o 1). Cuando X^2 es =CH-, el anillo de 6 miembros que contiene X^2 cambia para ser un anillo de benceno el cual es fusionado con el anillo de pirazina, para formar de esta manera un anillo de benzopirazina. El anillo de benceno formado de esta manera

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puede ser substituido con un substituyente R^2 en la posición de X^2, como es el caso para los otros átomos de carbono en el anillo de benceno. En la presente especificación, esto se expresa de modo que "el átomo de carbono puede ser substituido con R^2". Además, cuando X^2 representa $=N(O)_m-$, esto es, =N- o =N(O)-, el anillo de 6 miembros que contiene X^2 se convierte a un anillo de piridina o un anillo de N-óxido de piridina el cual se fusiona con un anillo de pirazina, para formar de esta manera un anillo de piridopirazina o un anillo de N-óxido del mismo. X^2 preferido en la fórmula [I] de la presente invención incluye =CH-, $=C(R^2)-$, o =N-.

R^1 en la fórmula [I] de la presente invención puede ejemplificarse a través de un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_2-C_6 haloalquinilo; un grupo amino-C_1-C_6 alquilo; un grupo nitro-C_1-C_6 alquilo; un grupo mono(C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo di(C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo hidroxi-C_1-C_6 alquilo; un grupo fenil-C_1-C_6 alcoxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituido con uno, o dos o más R^4s idénticos o

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diferentes); un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 cicloalquiloxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes); un grupo feniltio-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes); un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, se puede substituir con uno o más R^5s idénticos o diferentes); un grupo C_1-C_6 alquiltio-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo di(C_1-C_6 alcoxi)-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O) -C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes lo cual se describió más adelante); un grupo heterocíclico-C_1-C_6 alquilo en la cual la porción heterocíclica tiene 2 a 10 átomos de carbono y

1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede substituirse con uno o más R^9s idénticos o diferentes lo cual se describirá más adelante); un grupo $NR^{10}R^{11}$; un grupo C_1-C_6 alcoxi; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes lo cual se describirá más adelante); o un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes lo cual se describirá más adelante).

Los ejemplos preferidos de R^1 incluyen un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6

alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes lo cual se describirá más adelante); un grupo heterocíclico-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes lo cual se describirá más adelante); un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes lo cual se describirá más adelante); un grupo heterocíclico (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes lo cual se describirá más adelante); y similares. Los ejemplos más preferidos de R^1 incluyen un grupo C_1-C_{12} alquilo, un grupo C_2-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo C_2-C6 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_2-C_6 haloalquenilo, un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo, un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo, un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo ciano-C_1-C_6 alquilo, un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo, un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes lo cual se describirá más adelante), un grupo heterocíclico-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes lo cual se describirá más

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adelante), un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes lo cual se describirá más adelante), un grupo heterocíclico (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes lo cual se describirá más adelante); y similares.

R^2 en la fórmula [I] de la presente invención puede ejemplificarse a través de un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_8 halocicloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di (C_1-C_6 alquil)amino; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo mono (C_1-C_6 alquil) aminocarbonilo; un grupo di(C_1-C_6 alquil)aminocarbonilo; un grupo heterocíclico-C_1-C_6 alquilo, en el cual porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno;

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y similares.

Los ejemplos preferidos de R^2 incluyen un átomo de halógeno; un grupo nitro; un grupo C_1-C_6 alquilo; un grupo C_1-C_5 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonilo; y similar.

Los ejemplos más preferidos de R^2 incluyen un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; y similares, incluso más preferentemente, un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; y un grupo C_1-C_6 alcoxi.

En la fórmula [I] de la presente invención se encuentra un entero de 0 a 4 (siempre y cuando X^2 sea $N(O)_m$, un entero de 0 a 3), preferentemente 0 a 2, y más preferentemente 0 a 1.

R^3 en la fórmula [I] de la presente invención puede ejemplificarse a través de un grupo hidroxilo; O^-M^+ (M^+ representa un catión de metal álcali o un catión de amonio); un grupo amino; un átomo de halógeno; un grupo C_1-C_6 alquilsulfoniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_2-C_6 alqueniltio; un grupo C_2-C_6 alquenilsulfinilo; un grupo C_2-C_6 alquenilsulfonilo; un grupo C_2-C_6 alquiniltio; un grupo C_2-C_6 alquinilsulfinilo; un grupo C_2-C_6 alquinilosulfonilo; un grupo C_1-C_6

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alquilcarboniloxi; un grupo C_2-C_6 alquenilcarboniloxi; un grupo C_2-C_6 alquinilocarboniloxi; un grupo fenoxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo feniltio (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilsulfinilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilsulfonilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilsulfoniloxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilcarboniloxi (el grupo puede ser substituido con uno o más R^{14}s ifferent); un grupo 1,2,4-triazol-1-ilo; un 1,2,3-triazol-1-ilo; un 1,2,3-triazol-2-ilo; un imidazol-1-ilo; un pirazol-1-ilo; un tetrazol-1-ilo; un tetrazol-2-ilo; y similar. El ejemplo preferido de R^3 incluye un grupo hidroxilo, y derivados tales como sales, éteres y ésteres de los grupos también pueden ser empleados.

Un ejemplo más preferido de R^3 incluye un grupo hidroxilo.

R^8 en la fórmula [I] de la presente invención puede ser ejemplificado a través de un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6

haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo. Los ejemplos preferidos de R^8 incluyen un átomo de halógeno; un grupo C_1-C=6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 haloalcoxi; y similar.

R^9 en la fórmula [I] de la presente invención puede ejemplificarse a través de un grupo oxo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo.

Los ejemplos preferidos de R^9 incluyen un grupo C_1-C_6 alquilo; un átomo de halógeno; un grupo C_1-C_6 haloalquilo y similares.

R^{12} en la fórmula [I] de la presente invención puede ser ejemplificado a través de un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquilo-C_1-C_6; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi;

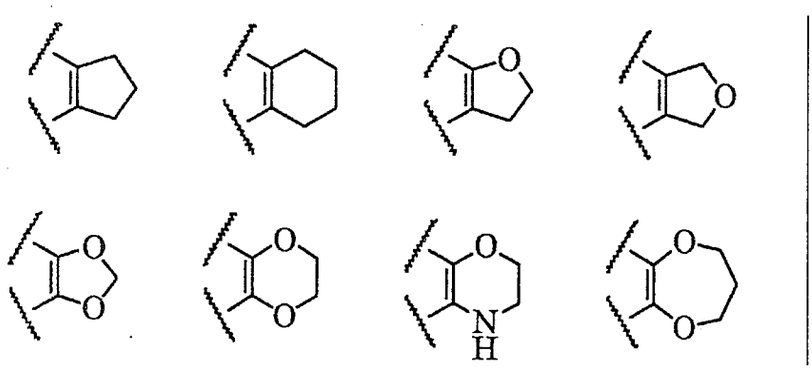
un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo C_1-C_6 acilamino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo carbamoilo; un grupo mono(C_1-C_6 alquil)aminocarbonilo; un grupo di(C_1-C_6 alquil)aminocarbonilo; un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica del grupo puede ser substituida con uno o dos o más R^{14}s idénticos o diferentes, lo cual se describirá más adelante); un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionado de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, puede ser substituido con uno, dos o más R^{14}s idénticos o diferentes, lo cual se describe más

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adelante); y similares.

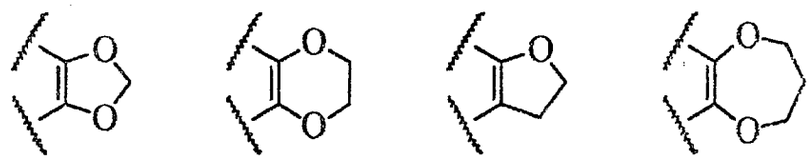
Los ejemplos preferidos de R^{12} incluye un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo di(C_1-C_6 alquil)amino; un grupo heterocíclico-C_1-C_6 alcoxi; y los que se muestran a continuación.

[Fórmula Química 6]



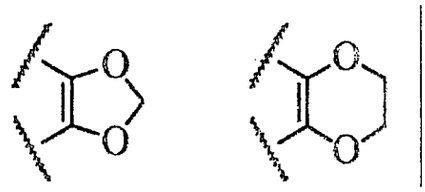
Los ejemplos más preferidos de R^{12} incluyen un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 acilo; y los que se muestran a continuación.

[Fórmula Química 7]



Los ejemplos más preferidos de los mismos incluyen un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 haloalcoxi; y los que se muestran a continuación.

[Fórmula Química 8]



A^1 en la fórmula [I] de la presente invención representa $-C(R^{15}R^{16})-$.

A^2 en la fórmula [I] de la presente invención representa $-C(R^{17}R^{18})-$ o $C=O$.

A^3 en la fórmula [I] de la presente invención representa $-C(R^{19}R^{20})-$.

Esto es, $-A^1-A^2-A^3-$ en la fórmula (I) de la presente invención presenta:

$C(R^{15}R^{16})-C(R^{17}R^{18})-C(R^{19}R^{20})-$ o

$C(R^{15}R^{16})-C(=O)-C(R^{19}R^{20})-$,

y forman un anillo carbocíclico de 6 miembros junto con

átomos de carbono adyacentes.

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} y R^{20} utilizados en la presente invención incluyen cada uno independientemente un átomo de hidrógeno; o un grupo C_1-C_6 alquilo. Además, R^{15} y R^{20} se pueden unir con átomos de carbono adyacentes para formar un anillo carbocíclico de 5 a 10 miembros preferentemente 5 a 8 miembros. En otras palabras, R^{15} y R^{20} se pueden unir para formar una cadena de C_2-C_5 divalente de cadena recta o ramificada. Un grupo alquileno puede ser ejemplificado a través de un grupo de etileno.

Los ejemplos específicos del grupo heterocíclico tal como se indica en "el grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados arbitrariamente de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", "un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", "grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", o "un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados arbitrariamente de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", incluye tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de

tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1-3-benzodioxole, benzo-1,4-dioxano, benzofurano, indole y similares.

Un grupo de ejemplos preferidos del anillo heterocíclico que incluye 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, piridina, pirazina, 1,3-benzodioxole, y benzo-1,4-dioxano. Los ejemplos más preferidos del anillo heterocíclico incluyen tiofeno, isoxazole, piridina, 1,3-benzodioxole, benzo-1,4-dioxano y similares.

El grupo heterocíclico formado a partir del anillo heterocíclico mostrado en la fórmula [I] de la presente invención, se puede elaborar en un radical que es unido a cualquier posición de un anillo heterocíclico seleccionado. Incluso en el caso en donde el anillo heterocíclico seleccionado es un anillo fusionado con un anillo de benceno, la posición en la cual se forma el radical no se limita a la porción heterocíclica, y también se puede seleccionar una posición del anillo benceno.

Los ejemplos preferidos específicos del compuesto representado a través de la fórmula [I] de la presente invención, se mostrarán en las siguientes tablas de la 1 a la 45. Sin embargo, el compuesto de la presente invención no pretende estar limitado a estos compuestos. Además, los números de compuesto serán referidos en las descripciones que se encuentran a continuación.

Las notaciones que se encuentran a continuación en las tablas en la presente especificación, representan los grupos correspondientes respectivos tal como se indican a continuación. Por ejemplo,

Me representa un grupo metilo,

Et representa un grupo etilo,

n-Pr representa un grupo n-propilo,

i-Pr representa un grupo isopropilo,

c-Pr representa un grupo ciclopropilo,

n-Bu representa un grupo n-butilo,

s-Bu representa un grupo sec-butilo,

i-Bu representa un grupo isobutilo,

t-Bu representa un grupo tert-butilo,

c-Bu representa un grupo ciclobutilo,

n-Pen representa un grupo n-pentilo,

c-Pen representa un grupo ciclopentilo,

n-Hex representa un grupo n-hexilo,

Ph representa un grupo fenilo,

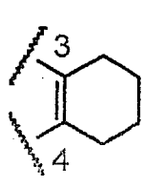
Bn representa un grupo bencilo,

"-" para R^2 y R^{12} implica que son substituidos,

(4-Cl)Bn representa un grupo 4-clorobencilo,

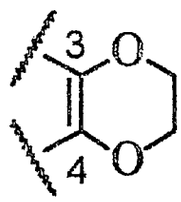
3,4-$(CH_2CH_2CH_2CH_2)$- representa la siguiente estructura química en la cual se une la posición-3 y la posición-4 a través del grupo de butileno para formar un anillo:

[Fórmula Química 9]



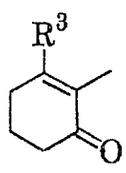
y 3,4-(OCH_2CH_2O)- representa la siguiente estructura química en la cual la posición-3 y la posición-4 se unen en forma similar a través del grupo etilenodioxi para formar un anillo:

[Fórmula Química 10]

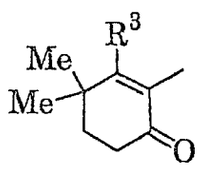


Además, con respecto a A en las tablas, A-1, A-2, A-3, A-4, A-5, A-6 y A-7 representan respectivamente los siguientes grupos.

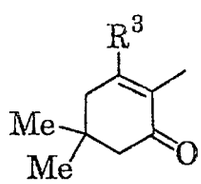
[Fórmula Química 11]



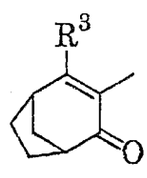
A-1



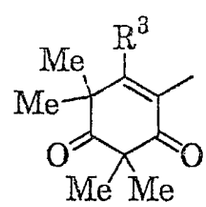
A-2



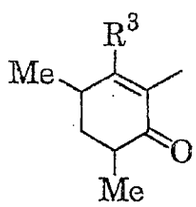
A-3



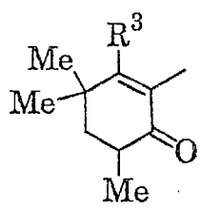
A-4



A-5

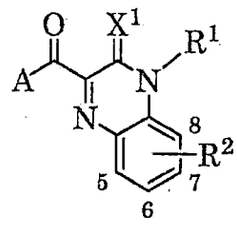


A-6



A-7

[Tabla 1]



No. de Compuesto	A	X^1	R^1	R^2	R^3
I-1	A-1	O	H	—	OH
I-2	A-1	O	Me	—	OH
I-3	A-2	O	Me	—	OH
I-4	A-3	O	Me	—	OH
I-5	A-4	O	Me	—	OH
I-6	A-5	O	Me	—	OH
I-7	A-1	O	Et	—	OH
I-8	A-2	O	Et	—	OH
I-9	A-3	O	Et	—	OH
I-10	A-4	O	Et	—	OH
I-11	A-5	O	Et	—	OH
I-12	A-1	O	n-Pr	—	OH
I-13	A-1	O	i-Pr	—	OH
I-14	A-1	O	c-Pr	—	OH
I-15	A-1	O	n-Bu	—	OH
I-16	A-1	O	s-Bu	—	OH
I-17	A-1	O	i-Bu	—	OH
I-18	A-1	O	t-Bu	—	OH
I-19	A-1	O	c-Bu	—	OH
I-20	A-1	O	n-Pen	—	OH
I-21	A-1	O	c-Pen	—	OH
I-22	A-1	O	n-Hex	—	OH
I-23	A-1	O	c-Hex	—	OH
I-24	A-1	O	$n\text{-}C_7H_{15}$	—	OH
I-25	A-1	O	$n\text{-}C_8H_{17}$	—	OH
I-26	A-1	O	$n\text{-}C_9H_{19}$	—	OH
I-27	A-1	O	$n\text{-}C_{10}H_{21}$	—	OH
I-28	A-1	O	$n\text{-}C_{11}H_{23}$	—	OH
I-29	A-1	O	$n\text{-}C_{12}H_{25}$	—	OH
I-30	A-1	O	$CH_2CH{=}CH_2$	—	OH
I-31	A-2	O	$CH_2CH{=}CH_2$	—	OH
I-32	A-3	O	$CH_2CH{=}CH_2$	—	OH
I-33	A-4	O	$CH_2CH{=}CH_2$	—	OH

509

[Tabla 2]

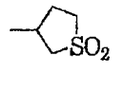
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-34	A-5	O	$CH_2CH=CH_2$	—	OH
I-35	A-1	O	$CH_2C\equiv CH$	—	OH
I-36	A-2	O	$CH_2C\equiv CH$	—	OH
I-37	A-3	O	$CH_2C\equiv CH$	—	OH
I-38	A-4	O	$CH_2C\equiv CH$	—	OH
I-39	A-5	O	$CH_2C\equiv CH$	—	OH
I-40	A-1	O	CH_2CF_3	—	OH
I-41	A-2	O	CH_2CF_3	—	OH
I-42	A-3	O	CH_2CF_3	—	OH
I-43	A-4	O	CH_2CF_3	—	OH
I-44	A-5	O	CH_2CF_3	—	OH
I-45	A-1	O	CH_2CH_2F	—	OH
I-46	A-1	O	CH_2CH_2Cl	—	OH
I-47	A-1	O	$CH_2CH_2CF_3$	—	OH
I-48	A-1	O	$CH_2CH=CCl_2$	—	OH
I-49	A-1	O	CH_2OMe	—	OH
I-50	A-1	O	CH_2OEt	—	OH
I-51	A-2	O	CH_2OEt	—	OH
I-52	A-3	O	CH_2OEt	—	OH
I-53	A-4	O	CH_2OEt	—	OH
I-54	A-5	O	CH_2OEt	—	OH
I-55	A-1	O	$CH(Me)OMe$	—	OH
I-56	A-1	O	$CH(Me)OEt$	—	OH
I-57	A-1	O	CH_2OPh	—	OH
I-58	A-1	O	$CH_2OCH_2CH_2OMe$	—	OH
I-59	A-1	O	$CH_2OCH_2CF_3$	—	OH
I-60	A-2	O	$CH_2OCH_2CF_3$	—	OH
I-61	A-3	O	$CH_2OCH_2CF_3$	—	OH
I-62	A-4	O	$CH_2OCH_2CF_3$	—	OH
I-63	A-5	O	$CH_2OCH_2CF_3$	—	OH
I-64	A-1	O	$CH(Me)OCH_2CF_3$	—	OH
I-65	A-1	O	CH_2OCH_2 	—	OH
I-66	A-1	O	$CH(Me)OCH_2$ 	—	OH
I-67	A-1	O	$CH_2OCH_2CH_2SO_2Me$	—	OH
I-68	A-1	O	$CH_2OCH_2CH_2CN$	—	OH
I-69	A-1	O	$CH_2OC(=O)t\text{-}Bu$	—	OH
I-70	A-1	O	CH_2SMe	—	OH

S 18

[Tabla 3]

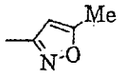
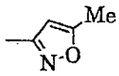
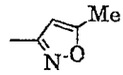
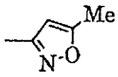
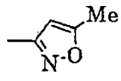
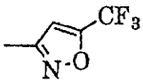
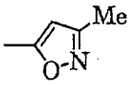
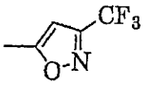
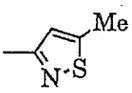
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-71	A-4	O	CH_2SMe	—	OH
I-72	A-1	O	CH_2SEt	—	OH
I-73	A-1	O	CH_2S-n-Pr	—	OH
I-74	A-1	O	CH(Me)SMe	—	OH
I-75	A-1	O	CH(Me)SEt	—	OH
I-76	A-1	O	CH(Me)S-n-Pr	—	OH
I-77	A-1	O	CH_2SOMe	—	OH
I-78	A-1	O	CH_2SOEt	—	OH
I-79	A-1	O	CH_2SO-n-Pr	—	OH
I-80	A-1	O	CH_2SO_2Me	—	OH
I-81	A-4	O	CH_2SO_2Me	—	OH
I-82	A-1	O	CH_2SO_2Et	—	OH
I-83	A-1	O	CH_2SO_2-n-Pr	—	OH
I-84	A-1	O	$CH(Me)SO_2Me$	—	OH
I-85	A-1	O	$CH(Me)SO_2Et$	—	OH
I-86	A-1	O	$CH(Me)SO_2$-n-Pr	—	OH
I-87	A-1	O	CH_2CH_2OH	—	OH
I-88	A-1	O	CH_2CH_2OMe	—	OH
I-89	A-1	O	CH_2CH_2OEt	—	OH
I-90	A-1	O	$CH(Me)CH_2OMe$	—	OH
I-91	A-1	O	CH_2CH_2SMe	—	OH
I-92	A-1	O	$CH_2CH_2SO_2Me$	—	OH
I-93	A-1	O	$CH_2CH_2CH_2OMe$	—	OH
I-94	A-1	O	$CH_2C(=O)Me$	—	OH
I-95	A-1	O	$CH_2C(=O)OMe$	—	OH
I-96	A-1	O	$CH_2C(=O)OEt$	—	OH
I-97	A-1	O	$CH_2C(=O)O$-n-Pr	—	OH
I-98	A-1	O	$CH_2C(=O)O$-i-Pr	—	OH
I-99	A-1	O	$CH_2C(=O)O$-t-Bu	—	OH
I-100	A-1	O	$CH_2C(=O)NMe_2$	—	OH
I-101	A-1	O	$CH_2C(=O)$–N⟨ ⟩O	—	OH
I-102	A-1	O	CH_2CN	—	OH
I-103	A-1	O	CH_2CH_2CN	—	OH
I-104	A-1	O	$CH(Me)CH_2CN$	—	OH
I-105	A-1	O	$CH_2CH_2CH_2CN$	—	OH
I-106	A-1	O	$CH_2CH_2NO_2$	—	OH
I-107	A-1	O	Bn	—	OH
I-108	A-1	O	(2-F)Bn	—	OH

[Tabla 4]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-109	A-1	O	(3-F)Bn	—	OH
I-110	A-1	O	(4-F)Bn	—	OH
I-111	A-1	O	(2-Cl)Bn	—	OH
I-112	A-1	O	(3-Cl)Bn	—	OH
I-113	A-1	O	(4-Cl)Bn	—	OH
I-114	A-1	O	(2-Me)Bn	—	OH
I-115	A-1	O	(3-Me)Bn	—	OH
I-116	A-1	O	(4-Me)Bn	—	OH
I-117	A-1	O	(2-CF_3)Bn	—	OH
I-118	A-1	O	(3-CF_3)Bn	—	OH
I-119	A-1	O	(4-CF_3)Bn	—	OH
I-120	A-1	O	(2-OMe)Bn	—	OH
I-121	A-2	O	(2-OMe)Bn	—	OH
I-122	A-3	O	(2-OMe)Bn	—	OH
I-123	A-4	O	(2-OMe)Bn	—	OH
I-124	A-5	O	(2-OMe)Bn	—	OH
I-125	A-1	O	(3-OMe)Bn	—	OH
I-126	A-1	O	(4-OMe)Bn	—	OH
I-127	A-1	O	(2,4-$(OMe)_2$)Bn	—	OH
I-128	A-1	O	(2,6-$(OMe)_2$)Bn	—	OH
I-129	A-1	O	(3,5-$(OMe)_2$)Bn	—	OH
I-130	A-1	O	CH(Me)Ph	—	OH
I-131	A-1	O		—	OH
I-132	A-2	O		—	OH
I-133	A-3	O		—	OH
I-134	A-4	O		—	OH
I-135	A-5	O		—	OH
I-136	A-1	O		—	OH
I-137	A-1	O		—	OH
I-138	A-1	O		—	OH

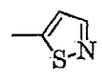
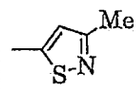
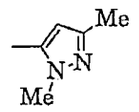
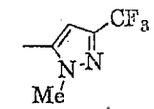
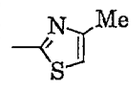
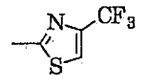
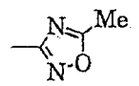
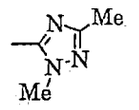
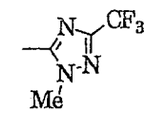
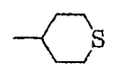
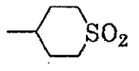
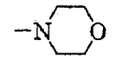
S12

[Tabla 5]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-139	A-1	O		—	OH
I-140	A-2	O		—	OH
I-141	A-3	O		—	OH
I-142	A-4	O		—	OH
I-143	A-5	O		—	OH
I-144	A-1	O		—	OH
I-145	A-1	O		—	OH
I-146	A-2	O		—	OH
I-147	A-3	O		—	OH
I-148	A-4	O		—	OH
I-149	A-5	O		—	OH
I-150	A-1	O		—	OH
I-151	A-1	O		—	OH
I-152	A-1	O		—	OH
I-153	A-1	O		—	OH
I-154	A-1	O		—	OH

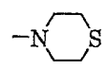
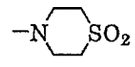
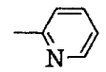
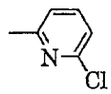
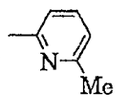
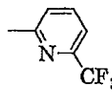
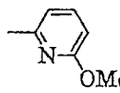
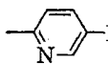
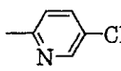
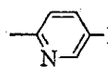
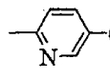
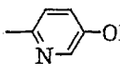
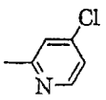
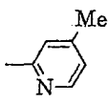
SB

[Tabla 6]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-155	A-1	O		—	OH
I-156	A-1	O		—	OH
I-157	A-1	O		—	OH
I-158	A-1	O		—	OH
I-159	A-1	O		—	OH
I-160	A-1	O		—	OH
I-161	A-1	O		—	OH
I-162	A-1	O		—	OH
I-163	A-1	O		—	OH
I-164	A-1	O		—	OH
I-165	A-1	O		—	OH
I-166	A-1	O		—	OH
I-167	A-1	O		—	OH
I-168	A-1	O		—	OH

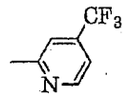
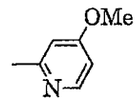
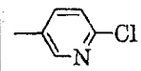
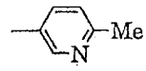
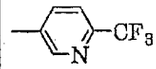
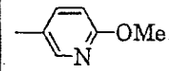
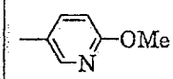
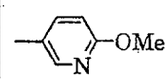
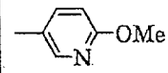
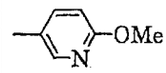
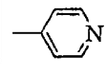
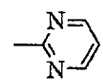
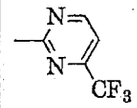
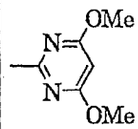
S14

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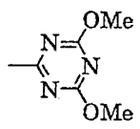
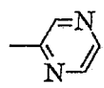
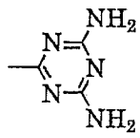
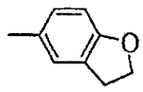
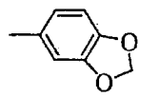
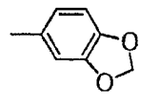
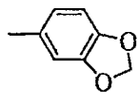
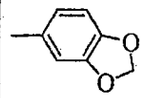
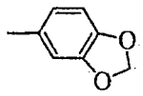
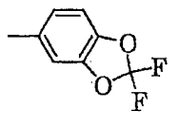
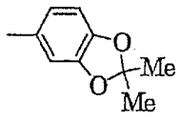
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-169	A-1	O		–	OH
I-170	A-1	O		–	OH
I-171	A-1	O		–	OH
I-172	A-1	O		–	OH
I-173	A-1	O		–	OH
I-174	A-1	O		–	OH
I-175	A-1	O		–	OH
I-176	A-1	O		–	OH
I-177	A-1	O		–	OH
I-178	A-1	O		–	OH
I-179	A-1	O		–	OH
I-180	A-1	O		–	OH
I-181	A-1	O		–	OH
I-182	A-1	O		–	OH

SLS

[Tabla 8]

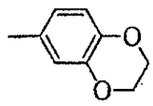
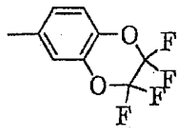
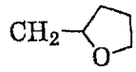
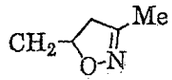
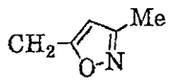
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-183	A-1	O		—	OH
I-184	A-1	O		—	OH
I-185	A-1	O		—	OH
I-186	A-1	O		—	OH
I-187	A-1	O		—	OH
I-188	A-1	O		—	OH
I-189	A-1	O		—	OH
I-190	A-2	O		—	OH
I-191	A-3	O		—	OH
I-192	A-4	O		—	OH
I-193	A-5	O		—	OH
I-194	A-1	O		—	OH
I-195	A-1	O		—	OH
I-196	A-1	O		—	OH
I-197	A-1	O		—	OH

[Tabla 9]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-198	A-1	O		—	OH
I-199	A-1	O		—	OH
I-200	A-1	O		—	OH
I-201	A-1	O		—	OH
I-202	A-1	O		—	OH
I-203	A-2	O		—	OH
I-204	A-3	O		—	OH
I-205	A-4	O		—	OH
I-206	A-5	O		—	OH
I-207	A-1	O		—	OH
I-208	A-1	O		—	OH

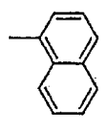
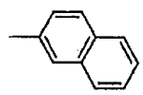
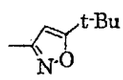
S17

[Tabla 10]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-209	A-1	O		—	OH
I-210	A-1	O		—	OH
I-211	A-1	O		—	OH
I-212	A-1	O		—	OH
I-213	A-1	O		—	OH
I-214	A-1	O	NH_2	—	OH
I-215	A-1	O	NHMe	—	OH
I-216	A-1	O	NMe_2	—	OH
I-217	A-1	O	OMe	—	OH
I-218	A-1	O	OEt	—	OH
I-219	A-1	O	Me	5-F	OH
I-220	A-1	O	Me	6-F	OH
I-221	A-1	O	Me	7-F	OH
I-222	A-1	O	Me	8-F	OH
I-223	A-1	O	Me	5-Cl	OH
I-224	A-1	O	CH_2CH_2OMe	5-Cl	OH
I-225	A-1	O	Me	6-Cl	OH
I-226	A-1	O	CH_2CH_2OMe	6-Cl	OH
I-227	A-1	O	Me	7-Cl	OH
I-228	A-1	O	CH_2CH_2OMe	7-Cl	OH
I-229	A-1	O	Me	8-Cl	OH
I-230	A-1	O	CH_2CH_2OMe	8-Cl	OH
I-232	A-1	O	Me	7-Me	OH
I-233	A-1	O	Me	6-CF_3	OH
I-234	A-1	O	Me	7-CF_3	OH
I-235	A-1	O	Me	6-OH	OH
I-236	A-1	O	Me	7-OH	OH

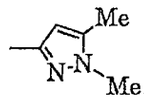
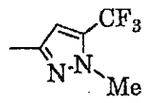
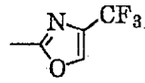
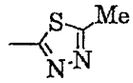
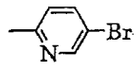
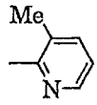
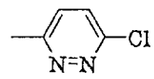
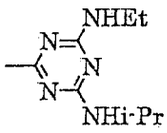
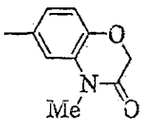
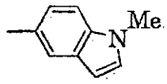
518

[Tabla 11]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-237	A-1	O	Me	6-OMe	OH
I-238	A-1	O	Me	7-OMe	OH
I-239	A-1	O	Me	6-OCF_3	OH
I-240	A-1	O	Me	7-OCF_3	OH
I-241	A-1	O	Me	5-SMe	OH
I-242	A-1	O	Me	6-SMe	OH
I-243	A-1	O	Me	7-SMe	OH
I-244	A-1	O	Me	8-SMe	OH
I-245	A-1	O	Me	5-SO_2Me	OH
I-246	A-1	O	Me	6-SO_2Me	OH
I-247	A-1	O	Me	7-SO_2Me	OH
I-248	A-1	O	Me	8-SO_2Me	OH
I-249	A-1	O	Me	6-NO_2	OH
I-250	A-1	O	Me	7-NO_2	OH
I-251	A-1	O	Me	6-NH_2	OH
I-252	A-1	O	Me	7-NH_2	OH
I-253	A-1	O	Me	7-CN	OH
I-254	A-1	O	Me	6,7-Cl_2	OH
I-255	A-1	O	Me	6,7-Me_2	OH
I-256	A-1	S	Me	—	OH
I-257	A-4	O	Me	—	S(n-Hex)
I-258	A-4	O	Me	—	SO(n-Hex)
I-259	A-4	O	Me	—	SO_2(n-Hex)
I-260	A-4	O	Me	—	SPh
I-261	A-4	O	Me	—	SOPh
I-262	A-4	O	Me	—	SO_2Ph
I-263	A-1	O		—	OH
I-264	A-1	O		—	OH
I-265	A-1	O		—	OH

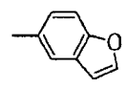
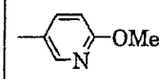
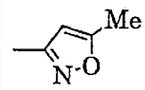
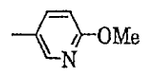
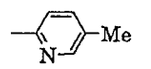
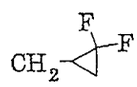
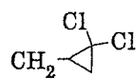
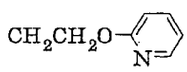
S19

[Tabla 12]

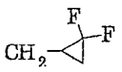
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-266	A-1	O		—	OH
I-267	A-1	O		—	OH
I-268	A-1	O		—	OH
I-269	A-1	O		—	OH
I-270	A-1	O		—	OH
I-271	A-1	O		—	OH
I-272	A-1	O		—	OH
I-273	A-1	O		—	OH
I-274	A-1	O		—	OH
I-275	A-1	O		—	OH
I-276	A-1	O		—	OH

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[Tabla 13]

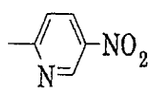
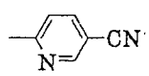
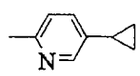
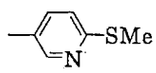
No. de Compuesto	A	X^1	R^1	R^2	R^8
I-277	A-1	O		—	OH
I-278	A-1	O	N(Me)C(=O)Ot-Bu	—	OH
I-279	A-1	O		5-F	OH
I-280	A-1	O	Bn	6-F	OH
I-281	A-1	O		6-F	OH
I-282	A-1	O	Me	5-CH_2OMe	OH
I-283	A-1	O		5,7-F_2	OH
I-284	A-1	O		7-Cl	OH
I-285	A-1	O	CH_2-c-Pr	—	OH
I-286	A-1	O	CH_2-c-Bu	—	OH
I-287	A-1	O	CH_2c-Pen	—	OH
I-288	A-1	O	CH_2O-c-Pen	—	OH
I-289	A-1	O	$CH_2CH_2NH_2$	—	OH
I-290	A-1	O	CH_2CH_2NHEt	—	OH
I-291	A-1	O	$CH_2CH_2NMe_2$	—	OH
I-292	A-1	O	$CH_2CH_2NEt_2$	—	OH
I-293	A-1	O	CH_2CH_2CHO	—	OH
I-294	A-1	O		—	OH
I-295	A-1	O		—	OH
I-296	A-1	O	CH_2OCH_2-c-Pr	—	OH
I-297	A-1	O	CH_2SPh	—	OH
I-298	A-1	O		—	OH

[Tabla 14]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-299	A-1	O	$CH_2SCH_2CF_3$	—	OH
I-300	A-1	O	$CH_2SOCH_2CF_3$	—	OH
I-301	A-1	O	$CH_2SO_2CH_2CF_3$	—	OH
I-302	A-1	O	CH_2OCH_2Ph	—	OH
I-303	A-1	O	CH_2SOPh	—	OH
I-304	A-1	O	CH_2SO_2Ph	—	OH
I-305	A-1	O	$CH_2OCH_2CH_2SMe$	—	OH
I-306	A-1	O	$CH_2OCH_2CH_2SOMe$	—	OH
I-307	A-1	O	$CH_2CH_2CH(OEt)_2$	—	OH
I-308	A-1	O	$CH_2C(Me)=NOMe$	—	OH
I-309	A-1	O	$CH_2CH_2ON=CMe_2$	—	OH
I-310	A-1	O	Me	7-$CH=CMe_2$	OH
I-311	A-1	O	Me	7-$C\equiv CMe$	OH
I-312	A-1	O	Me	7-CH_2-c-Pr	OH
I-313	A-1	O	Me	7-$C(Me)=CF_2$	OH
I-314	A-1	O	Me	7- 	OH
I-315	A-1	O	Me	7-O-c-Pr	OH
I-316	A-1	O	Me	7- 	OH
I-317	A-1	O	Me	7-OCH_2-c-Pr	OH
I-318	A-1	O	Me	7-OCH_2OMe	OH
I-319	A-1	O	Me	7-OC(=O)Me	OH
I-320	A-1	O	Me	7-SOMe	OH
I-321	A-1	O	Me	7-SCF_3	OH
I-322	A-1	O	Me	7-$SOCH_2CF_3$	OH
I-323	A-1	O	Me	7-$SO_2CH_2CF_3$	OH
I-324	A-1	O	Me	7-NMe_2	OH
I-325	A-1	O	Me	7-NHC(=O)Me	OH
I-326	A-1	O	Me	7-CH_2OH	OH
I-327	A-1	O	Me	7-$CH(OEt)_2$	OH
I-328	A-1	O	Me	7-CH_2SMe	OH
I-329	A-1	O	Me	7-CH_2SOMe	OH
I-330	A-1	O	Me	7-CH_2SO_2Me	OH
I-331	A-1	O	Me	7-CH_2SCHF_2	OH
I-332	A-1	O	Me	7-CH_2SOCHF_2	OH
I-333	A-1	O	Me	7-$CH_2SO_2CHF_2$	OH

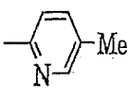
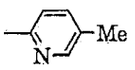
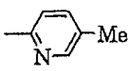
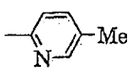
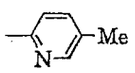
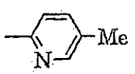
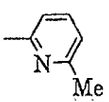
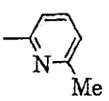
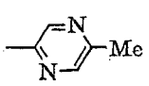
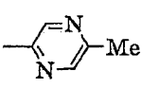
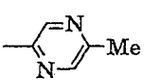
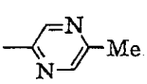
522

[Tabla 15]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-334	A-1	O	Me	7-CH_2CN	OH
I-335	A-1	O	Me	7-C(Me)=NOMe	OH
I-336	A-1	O	Me	7-$C(=O)NH_2$	OH
I-337	A-1	O	Me	7- 	OH
I-338	A-1	O	$CH_2OCH_2Ph(2\text{-}F)$	—	OH
I-339	A-1	O	$CH_2OCH_2Ph(2\text{-}Cl)$		
I-340	A-1	O	$CH_2OCH_2Ph(2\text{-}NO_2)$	—	OH
I-341	A-1	O	$CH_2OCH_2Ph(2\text{-}CN)$	—	OH
I-342	A-1	O	$CH_2OCH_2Ph(2\text{-}Me)$	—	OH
I-343	A-1	O	$CH_2OCH_2Ph(2\text{-}CF_3)$	—	OH
I-344	A-1	O	$CH_2OCH_2Ph(2\text{-}OMe)$	—	OH
I-345	A-1	O	$CH_2OCH_2Ph(2\text{-}OCF_3)$	—	OH
I-346	A-1	O	$CH_2OCH_2Ph(2\text{-}SMe)$	—	OH
I-347	A-1	O	$CH_2OCH_2Ph(2\text{-}SO_2Me)$	—	OH
I-348	A-1	O	$CH_2OCH_2Ph(2\text{-}SCF_3)$	—	OH
I-349	A-1	O	$CH_2OCH_2Ph(2\text{-}CO_2Me)$	—	OH
I-350	A-1	O	$CH_2OCH_2Ph(2\text{-}COMe)$	—	OH
I-351	A-1	O	$(2\text{-}NO_2)Bn$	—	OH
I-352	A-1	O	(2-CN)Bn	—	OH
I-353	A-1	O	(3-SMe)Bn	—	OH
I-354	A-1	O	$(3\text{-}SO_2Me)Bn$	—	OH
I-355	A-1	O	$(3\text{-}SCF_3)Bn$	—	OH
I-356	A-1	O	$(3\text{-}CO_2Me)Bn$	—	OH
I-357	A-1	O	(3-COMe)Bn	—	OH
I-358	A-1	O	(3-OMe)Bn	—	OH
I-359	A-1	O		—	OH
I-360	A-1	O		—	OH
I-361	A-1	O		—	OH
I-362	A-1	O		—	OH

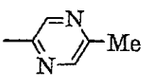
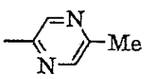
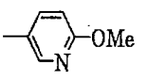
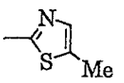
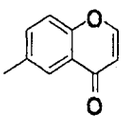
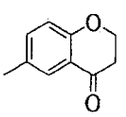
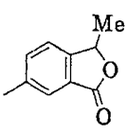
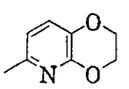
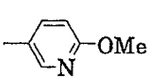
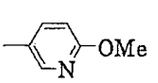
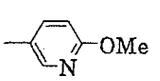
S23.

[Tabla 16]

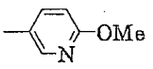
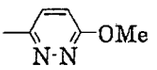
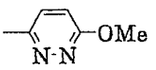
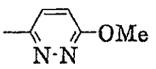
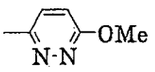
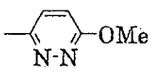
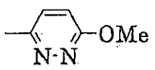
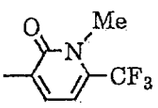
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-363	A-1	O	Et	5-Cl	OH
I-364	A-1	O	n-Bu	5-Cl	OH
I-365	A-1	O		5-F	OH
I-366	A-1	O		5-Cl	OH
I-367	A-1	O		5-Me	OH
I-368	A-1	O		7-Me	OH
I-369	A-1	O		7-CF_3	OH
I-370	A-1	O		7-OMe	OH
I-371	A-1	O		6-F	OH
I-372	A-1	O		6-CF_3	OH
I-373	A-1	O		—	OH
I-374	A-1	O		5-F	OH
I-375	A-1	O		5-Cl	OH
I-376	A-1	O		5-Me	OH

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[Tabla 17]

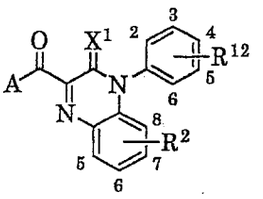
No. de Compuesto	A	X^1	R^1	R^2	R^3
I-377	A-1	O		7-Me	OH
I-378	A-1	O		7-OMe	OH
I-379	A-1	O		5-Cl	OH
I-380	A-1	O		—	OH
I-381	A-1	O		—	OH
I-382	A-1	O		—	OH
I-383	A-1	O		—	OH
I-384	A-1	O		—	OH
I-385	A-1	O		5-Me	OH
I-386	A-1	O		5-Br	OH
I-387	A-1	O		7-Me	OH

[Tabla 18]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-388	A-1	O		7-OMe	OH
I-389	A-1	O		—	OH
I-390	A-1	O		5-F	OH
I-391	A-1	O		5-Cl	OH
I-392	A-1	O		5-Me	OH
I-393	A-1	O		7-Me	OH
I-394	A-1	O		7-OMe	OH
I-395	A-1	O		—	OH

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[Tabla 19]



No. de Compuesto	A	X^1	R^{12}	R^2	R^8
II-1	A-1	O	—	—	OH
II-2	A-2	O	—	—	OH
II-3	A-3	O	—	—	OH
II-4	A-4	O	—	—	OH
II-5	A-5	O	—	—	OH
II-6	A-1	O	2-F	—	OH
II-7	A-1	O	3-F	—	OH
II-8	A-1	O	4-F	—	OH
II-9	A-1	O	2-Cl	—	OH
II-10	A-4	O	2-Cl	—	OH
II-11	A-1	O	3-Cl	—	OH
II-12	A-4	O	3-Cl	—	OH
II-13	A-1	O	4-Cl	—	OH
II-14	A-4	O	4-Cl	—	OH
II-15	A-5	O	4-Cl	—	OH
II-16	A-1	O	2-Br	—	OH
II-17	A-1	O	3-Br	—	OH
II-18	A-1	O	4-Br	—	OH
II-19	A-1	O	2-Me	—	OH
II-20	A-1	O	3-Me	—	OH
II-21	A-1	O	4-Me	—	OH
II-22	A-1	O	2-Et	—	OH
II-23	A-1	O	3-Et	—	OH
II-24	A-1	O	4-Et	—	OH
II-25	A-1	O	2-n-Pr	—	OH
II-26	A-1	O	3-n-Pr	—	OH
II-27	A-1	O	4-n-Pr	—	OH
II-28	A-1	O	2-i-Pr	—	OH
II-29	A-1	O	3-i-Pr	—	OH
II-30	A-1	O	4-i-Pr	—	OH
II-31	A-1	O	2-c-Pr	—	OH
II-32	A-1	O	3-c-Pr	—	OH
II-33	A-1	O	4-c-Pr	—	OH

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[Tabla 20]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-34	A-1	O	2-CF_3	—	OH
II-35	A-2	O	2-CF_3	—	OH
II-36	A-3	O	2-CF_3	—	OH
II-37	A-4	O	2-CF_3	—	OH
II-38	A-5	O	2-CF_3	—	OH
II-39	A-1	O	3-CF_3	—	OH
II-40	A-2	O	3-CF_3	—	OH
II-41	A-3	O	3-CF_3	—	OH
II-42	A-4	O	3-CF_3	—	OH
II-43	A-5	O	3-CF_3	—	OH
II-44	A-1	O	4-CF_3	—	OH
II-45	A-2	O	4-CF_3	—	OH
II-46	A-3	O	4-CF_3	—	OH
II-47	A-4	O	4-CF_3	—	OH
II-48	A-5	O	4-CF_3	—	OH
II-49	A-1	O	2-OH	—	OH
II-50	A-1	O	3-OH	—	OH
II-51	A-1	O	4-OH	—	OH
II-52	A-1	O	2-OMe	—	OH
II-53	A-2	O	2-OMe	—	OH
II-54	A-3	O	2-OMe	—	OH
II-55	A-4	O	2-OMe	—	OH
II-56	A-5	O	2-OMe	—	OH
II-57	A-1	O	3-OMe	—	OH
II-58	A-2	O	3-OMe	—	OH
II-59	A-3	O	3-OMe	—	OH
II-60	A-4	O	3-OMe	—	OH
II-61	A-5	O	3-OMe	—	OH
II-62	A-1	O	4-OMe	—	OH
II-63	A-2	O	4-OMe	—	OH
II-64	A-3	O	4-OMe	—	OH
II-65	A-4	O	4-OMe	—	OH
II-66	A-5	O	4-OMe	—	OH
II-67	A-1	O	2-OEt	—	OH
II-68	A-1	O	3-OEt	—	OH
II-69	A-1	O	4-OEt	—	OH
II-70	A-1	O	2-O-n-Pr	—	OH
II-71	A-1	O	3-O-n-Pr	—	OH
II-72	A-1	O	4-O-n-Pr	—	OH

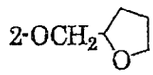
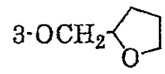
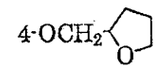
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[Tabla 21]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-73	A-1	O	2-O-i-Pr	—	OH
II-74	A-1	O	3-O-i-Pr	—	OH
II-75	A-1	O	4-O-i-Pr	—	OH
II-76	A-1	O	2-O-c-Pr	—	OH
II-77	A-1	O	3-O-c-Pr	—	OH
II-78	A-1	O	4-O-c-Pr	—	OH
II-79	A-1	O	2-$OCH_2CH=CH_2$	—	OH
II-80	A-1	O	3-$OCH_2CH=CH_2$	—	OH
II-81	A-1	O	4-$OCH_2CH=CH_2$	—	OH
II-82	A-1	O	2-$OCH_2C\equiv CH$	—	OH
II-83	A-1	O	3-$OCH_2C\equiv CH$	—	OH
II-84	A-1	O	4-$OCH_2C\equiv CH$	—	OH
II-85	A-1	O	2-$OCHF_2$	—	OH
II-86	A-2	O	2-$OCHF_2$	—	OH
II-87	A-3	O	2-$OCHF_2$	—	OH
II-88	A-4	O	2-$OCHF_2$	—	OH
II-89	A-5	O	2-$OCHF_2$	—	OH
II-90	A-1	O	3-$OCHF_2$	—	OH
II-91	A-2	O	3-$OCHF_2$	—	OH
II-92	A-3	O	3-$OCHF_2$	—	OH
II-93	A-4	O	3-$OCHF_2$	—	OH
II-94	A-5	O	3-$OCHF_2$	—	OH
II-95	A-1	O	4-$OCHF_2$	—	OH
II-96	A-2	O	4-$OCHF_2$	—	OH
II-97	A-3	O	4-$OCHF_2$	—	OH
II-98	A-4	O	4-$OCHF_2$	—	OH
II-99	A-5	O	4-$OCHF_2$	—	OH
II-100	A-1	O	2-OCF_3	—	OH
II-101	A-1	O	3-OCF_3	—	OH
II-102	A-2	O	3-OCF_3	—	OH
II-103	A-3	O	3-OCF_3	—	OH
II-104	A-4	O	3-OCF_3	—	OH
II-105	A-5	O	3-OCF_3	—	OH
II-106	A-1	O	4-OCF_3	—	OH
II-107	A-2	O	4-OCF_3	—	OH
II-108	A-3	O	4-OCF_3	—	OH
II-109	A-4	O	4-OCF_3	—	OH
II-110	A-5	O	4-OCF_3	—	OH
II-111	A-1	O	2-OCH_2CH_2OMe	—	OH

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[Tabla 22]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-112	A-1	O	3-OCH_2CH_2OMe	—	OH
II-113	A-1	O	4-OCH_2CH_2OMe	—	OH
II-114	A-1	O	2- 	—	OH
II-115	A-1	O	3- 	—	OH
II-116	A-1	O	4- 	—	OH
II-117	A-1	O	2-OC(=O)Me	—	OH
II-118	A-1	O	3-OC(=O)Me	—	OH
II-119	A-1	O	3-OC(=O)Me	—	OH
II-120	A-1	O	2-SMe	—	OH
II-121	A-1	O	3-SMe	—	OH
II-122	A-1	O	4-SMe	—	OH
II-123	A-1	O	2-SO_2Me	—	OH
II-124	A-1	O	3-SO_2Me	—	OH
II-125	A-1	O	4-SO_2Me	—	OH
II-126	A-1	O	2-SCF_3	—	OH
II-127	A-1	O	3-SCF_3	—	OH
II-128	A-1	O	4-SCF_3	—	OH
II-129	A-1	O	2-NO_2	—	OH
II-130	A-1	O	3-NO_2	—	OH
II-131	A-1	O	4-NO_2	—	OH
II-132	A-1	O	2-NH_2	—	OH
II-133	A-1	O	3-NH_2	—	OH
II-134	A-1	O	4-NH_2	—	OH
II-135	A-1	O	2-CN	—	OH
II-136	A-1	O	3-CN	—	OH
II-137	A-1	O	4-CN	—	OH
II-138	A-1	O	2-C(=O)Me	—	OH
II-139	A-1	O	3-C(=O)Me	—	OH
II-140	A-1	O	4-C(=O)Me	—	OH
II-141	A-1	O	2-C(=O)OH	—	OH
II-142	A-1	O	3-C(=O)OH	—	OH
II-143	A-1	O	4-C(=O)OH	—	OH
II-144	A-1	O	2-C(=O)OMe	—	OH
II-145	A-1	O	3-C(=O)OMe	—	OH
II-146	A-1	O	4-C(=O)OMe	—	OH

[Tabla 23]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-147	A-1	O	2-CH_2OMe	—	OH
II-148	A-1	O	3-CH_2OMe	—	OH
II-149	A-1	O	4-CH_2OMe	—	OH
II-150	A-1	O	2,3-F_2	—	OH
II-151	A-1	O	2,4-F_2	—	OH
II-152	A-1	O	2,5-F_2	—	OH
II-153	A-1	O	2,6-F_2	—	OH
II-154	A-1	O	3,4-F_2	—	OH
II-155	A-1	O	3,5-F_2	—	OH
II-156	A-1	O	2,3-Cl_2	—	OH
II-157	A-1	O	2,4-Cl_2	—	OH
II-158	A-1	O	2,5-Cl_2	—	OH
II-159	A-1	O	2,6-Cl_2	—	OH
II-160	A-1	O	3,4-Cl_2	—	OH
II-161	A-1	O	3,5-Cl_2	—	OH
II-162	A-1	O	2-F, 3-OMe	—	OH
II-163	A-1	O	2-Cl, 3-OMe	—	OH
II-164	A-1	O	2-Me, 3-OMe	—	OH
II-165	A-1	O	2,3-$(OMe)_2$	—	OH
II-166	A-1	O	3-OMe, 4-F	—	OH
II-167	A-1	O	3-OMe, 4-Cl	—	OH
II-168	A-1	O	3-OMe, 4-Me	—	OH
II-169	A-1	O	3,4-$(OMe)_2$	—	OH
II-170	A-1	O	3-OMe, 5-F	—	OH
II-171	A-1	O	3-OMe, 5-Cl	—	OH
II-172	A-1	O	3-OMe, 5-Me	—	OH
II-173	A-1	O	3,5-$(OMe)_2$	—	OH
II-174	A-1	O	2-F, 4-OMe	—	OH
II-175	A-1	O	2-Cl, 4-OMe	—	OH
II-176	A-1	O	2-Me, 4-OMe	—	OH
II-177	A-1	O	2,4-$(OMe)_2$	—	OH
II-178	A-1	O	3-F, 4-OMe	—	OH
II-179	A-1	O	3-Cl, 4-OMe	—	OH
II-180	A-1	O	3-Me, 4-OMe	—	OH
II-181	A-1	O	2-F, 5-OMe	—	OH
II-182	A-1	O	2-Cl, 5-OMe	—	OH
II-183	A-1	O	2-Me, 5-OMe	—	OH
II-184	A-1	O	2,5-$(OMe)_2$	—	OH
II-185	A-1	O	3,4,5-$(OMe)_3$	—	OH

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[Tabla 24]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-186	A-1	O	4-OMe	5-F	OH
II-187	A-1	O	4-OMe	6-F	OH
II-188	A-1	O	4-OMe	7-F	OH
II-189	A-1	O	4-OMe	8-F	OH
II-190	A-1	O	—	5-Cl	OH
II-191	A-1	O	—	6-Cl	OH
II-192	A-1	O	—	7-Cl	OH
II-193	A-1	O	—	8-Cl	OH
II-194	A-1	O	4-OMe	5-Cl	OH
II-195	A-1	O	4-OMe	6-Cl	OH
II-196	A-1	O	4-OMe	7-Cl	OH
II-197	A-1	O	4-OMe	8-Cl	OH
II-198	A-1	S	—	—	OH
II-199	A-4	O	—	—	S(n-Hex)
II-200	A-4	O	—	—	SO(n-Hex)
II-201	A-4	O	—	—	SO_2(n-Hex)
II-202	A-4	O	—	—	SPh
II-203	A-4	O	—	—	SOPh
II-204	A-4	O	—	—	SO_2Ph
II-205	A-1	O	4-OCH_2CN	—	OH
II-206	A-1	O	3-OCH_2-c-Pr	—	OH
II-207	A-1	O	3-OCH_2CF_3	—	OH
II-208	A-1	O	4-OCH_2-c-Pr	—	OH
II-209	A-1	O	4-OCH_2CF_3	—	OH
II-210	A-1	O	4-NMe_2	—	OH
II-211	A-1	O	3,4-Me_2	—	OH
II-212	A-1	O	2-F, 4-Me	—	OH
II-213	A-1	O	3-F, 4-Me	—	OH
II-214	A-1	O	3-Me, 4-F	—	OH
II-215	A-1	O	2-Cl, 4-Me	—	OH
II-216	A-1	O	3-Cl, 4-Me	—	OH
II-217	A-1	O	3-OEt, 4-OMe	—	OH
II-218	A-1	O	2,3,4-$(OMe)_3$	—	OH
II-219	A-1	O	2,5-F_2, 4-OMe	—	OH
II-220	A-1	O	3,5-F_2, 4-OMe	—	OH
II-221	A-1	O	3,5-Cl_2, 4-OMe	—	OH
II-222	A-1	O	3,4-$(CH_2CH_2CH_2)$-	—	OH
II-223	A-1	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—	OH

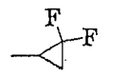
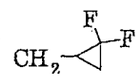
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[Tabla 25]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-224	A-1	O	3,4-(CH_2OCH_2)-	—	OH
II-225	A-1	O	3,4-(OCH_2O)-	7-F	OH
II-226	A-1	O	2,3-(OCH_2CH_2O)-	—	OH
II-227	A-2	O	3,4-(OCH_2CH_2O)-	—	OH
II-228	A-3	O	3,4-(OCH_2CH_2O)-	—	OH
II-229	A-6	O	3,4-(OCH_2CH_2O)-	—	OH
II-230	A-7	O	3,4-(OCH_2CH_2O)-	—	OH
II-231	A-1	O	3,4-($OCH_2CH(Me)O$)-	—	OH
II-232	A-1	O	3,4-($OCH_2CH_2CH_2O$)-	—	OH
II-233	A-1	O	—	5-F	OH
II-234	A-1	O	3,4,5-$(OMe)_3$	5-F	OH
II-235	A-1	O	3,5-F_2, 4-OMe	5-F	OH
II-236	A-1	O	3,4-(OCH_2CH_2O)-	5-F	OH
II-237	A-1	O	—	6-F	OH
II-238	A-1	O	3,4,5-$(OMe)_3$	6-F	OH
II-239	A-1	O	3,4-(OCH_2O)-	6-F	OH
II-240	A-1	O	3,4-(OCH_2CH_2O)-	6-F	OH
II-241	A-1	O	—	7-F	OH
II-242	A-1	O	3,4-(OCH_2CH_2O)-	7-F	OH
II-243	A-1	O	—	8-F	OH
II-244	A-1	O	—	5-Me	OH
II-245	A-1	O	4-OMe	5-Me	OH
II-246	A-1	O	4-OMe	6-Me	OH
II-247	A-1	O	4-OMe	7-Me	OH
II-248	A-1	O	3,5-F_2, 4-OMe	7-Me	OH
II-249	A-1	O	—	6-CF_3	OH
II-250	A-1	O	—	6-OMe	OH
II-251	A-1	O	4-OMe	6-OMe	OH
II-252	A-1	O	—	7-OMe	OH
II-253	A-1	O	4-OMe	7-OMe	OH
II-254	A-1	O	2,5-F_2, 4-OMe	7-OMe	OH
II-255	A-1	O	3,5-F_2, 4-OMe	7-OMe	OH
II-256	A-1	O	—	8-OMe	OH
II-257	A-1	O	4-OMe	8-OMe	OH
II-258	A-1	O	4-OMe	5,6-F_2	OH
II-259	A-1	O	4-OMe	5,7-F_2	OH
II-260	A-1	O	—	6,7-F_2	OH
II-261	A-1	O	—	6,8-F_2	OH

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[Tabla 26]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-262	A-1	O	4-OMe	5,7-Cl_2	OH
II-263	A-1	O	4-OMe	6-F, 7-OMe	OH
II-264	A-1	O	—	7-c-Pr	OH
II-265	A-1	O	—	7-$OCH_2CH=CH_2$	OH
II-266	A-1	O	—	7-$OCH_2C\equiv CH$	OH
II-267	A-1	O	—	7-NHMe	OH
II-268	A-1	O	—	7-C(=O)H	OH
II-269	A-1	O	—	6-C(=O)Me	OH
II-270	A-1	O	—	7-C(=O)OH	OH
II-271	A-1	O	—	7-C(=O)OMe	OH
II-272	A-1	O	—	7-C(=O)OEt	OH
II-273	A-1	O	—	7-C(=O)NHNe	OH
II-274	A-1	O	—	7-$C(=O)NMe_2$	OH
II-275	A-1	O	—	6,7-(OCH_2CH_2O)-	OH
II-276	A-1	O	—	6,7-(OCH_2O)-	OH
II-277	A-1	O	4-OMe	6,7-(OCH_2CH_2O)-	OH
II-278	A-1	O	4-OMe	6,7-(OCH_2O)-	OH
II-279	A-1	O	2-CONHMe	—	OH
II-280	A-1	O	2-$CONMe_2$	—	OH
II-281	A-1	O	2-NHCOMe	—	OH
II-282	A-1	O	4-CH_2-c-Pr	—	OH
II-283	A-1	O	4-$CH=CH_2$	—	OH
II-284	A-1	O	4-$C\equiv CMe$	—	OH
II-285	A-1	O	3-$CH=CF_2$	—	OH
II-286	A-1	O	3- 	—	OH
II-287	A-1	O	4- 	—	OH
II-288	A-1	O	4-CH_2OH	—	OH
II-289	A-1	O	4-CH_2SMe	—	OH
II-290	A-1	O	4-CH_2SOMe	—	OH
II-291	A-1	O	4-CH_2SO_2Me	—	OH
II-292	A-1	O	4-CH_2SCHF_2	—	OH
II-293	A-1	O	4-CH_2SOCHF_2	—	OH
II-294	A-1	O	4-$CH_2SO_2CHF_2$	—	OH
II-295	A-1	O	4-CH_2CN	—	OH

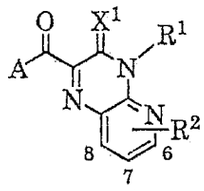
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[Tabla 27]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-296	A-1	O	4-NHMe	—	OH
II-297	A-1	O	4-$OCH_2OCH_2CF_3$	—	OH
II-298	A-1	O	4-C(Me)=NOMe	—	OH
II-299	A-1	O	2-$CONH_2$	—	OH
II-300	A-1	O	4- 	—	OH
II-301	A-1	O	3-F, 4-OMe	5-Cl	OH
II-302	A-1	O	4-OMe	5,6,8-F_3, 7-OMe	OH
II-303	A-1	O	—	7-CF_3	OH
II-304	A-1	O	4-F	7-OMe	OH
II-305	A-1	O	4-$OCHF_2$	7-OMe	OH
II-306	A-1	O	4-Me	7-OMe	OH
II-307	A-1	O	4-OMe	5-Br	OH
II-308	A-1	O	4-OMe	5-CN	OH
II-309	A-1	O	4-OMe	5-CF_3	OH
II-310	A-1	O	2,3,5,6-F_4, 4-OMe	—	OH
II-311	A-1	O	4-Me	5-Cl	OH
II-312	A-1	O	3-F, 4-Me	5-Cl	OH
II-313	A-1	O	2-F, 4-OMe	5-Cl	OH
II-314	A-1	O	3-F	6-F	OH
II-315	A-1	O	3-Me	6-F	OH
II-316	A-1	O	3-F, 4-OMe	5-Me	OH
II-317	A-1	O	4-F	5-F	OH
II-318	A-1	O	4-F	5-Cl	OH
II-319	A-1	O	4-F	5-Me	OH
II-320	A-1	O	4-$OCHF_2$	5-F	OH
II-321	A-1	O	4-$OCHF_2$	5-Cl	OH
II-322	A-1	O	4-$OCHF_2$	5-Me	OH
II-323	A-1	O	3-F, 4-OEt	—	OH

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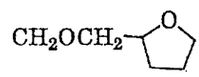
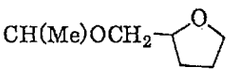
[Tabla 28]



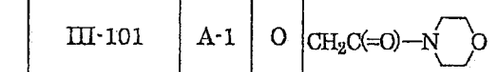
No. de Compuesto	A	X^1	R^1	R^2	R^8
III-1	A-1	O	H	—	OH
III-2	A-1	O	Me	—	OH
III-3	A-2	O	Me	—	OH
III-4	A-3	O	Me	—	OH
III-5	A-4	O	Me	—	OH
III-6	A-5	O	Me	—	OH
III-7	A-1	O	Et	—	OH
III-8	A-2	O	Et	—	OH
III-9	A-3	O	Et	—	OH
III-10	A-4	O	Et	—	OH
III-11	A-5	O	Et	—	OH
III-12	A-1	O	n-Pr	—	OH
III-13	A-1	O	i-Pr	—	OH
III-14	A-1	O	c-Pr	—	OH
III-15	A-1	O	n-Bu	—	OH
III-16	A-1	O	s-Bu	—	OH
III-17	A-1	O	i-Bu	—	OH
III-18	A-1	O	t-Bu	—	OH
III-19	A-1	O	c-Bu	—	OH
III-20	A-1	O	n-Pen	—	OH
III-21	A-1	O	c-Pen	—	OH
III-22	A-1	O	n-Hex	—	OH
III-23	A-1	O	c-Hex	—	OH
III-24	A-1	O	$n\text{-}C_7H_{15}$	—	OH
III-25	A-1	O	$n\text{-}C_8H_{17}$	—	OH
III-26	A-1	O	$n\text{-}C_9H_{19}$	—	OH
III-27	A-1	O	$n\text{-}C_{10}H_{21}$	—	OH
III-28	A-1	O	$n\text{-}C_{11}H_{23}$	—	OH
III-29	A-1	O	$n\text{-}C_{12}H_{25}$	—	OH
III-30	A-1	O	$CH_2CH{=}CH_2$	—	OH
III-31	A-2	O	$CH_2CH{=}CH_2$	—	OH
III-32	A-3	O	$CH_2CH{=}CH_2$	—	OH
III-33	A-4	O	$CH_2CH{=}CH_2$	—	OH
III-34	A-5	O	$CH_2CH{=}CH_2$	—	OH
III-35	A-1	O	$CH_2C{\equiv}CH$	—	OH

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[Tabla 29]

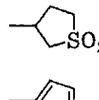
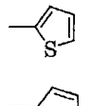
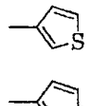
No. de Compuesto	A	X^1	R^1	R^2	R^3
III-36	A-2	O	$CH_2C\equiv CH$	—	OH
III-37	A-3	O	$CH_2C\equiv CH$	—	OH
III-38	A-4	O	$CH_2C\equiv CH$	—	OH
III-39	A-5	O	$CH_2C\equiv CH$	—	OH
III-40	A-1	O	CH_2CF_3	—	OH
III-41	A-2	O	CH_2CF_3	—	OH
III-42	A-3	O	CH_2CF_3	—	OH
III-43	A-4	O	CH_2CF_3	—	OH
III-44	A-5	O	CH_2CF_3	—	OH
III-45	A-1	O	CH_2CH_2F	—	OH
III-46	A-1	O	CH_2CH_2Cl	—	OH
III-47	A-1	O	$CH_2CH_2CF_3$	—	OH
III-48	A-1	O	$CH_2CH=CCl_2$	—	OH
III-49	A-1	O	CH_2OMe	—	OH
III-50	A-1	O	CH_2OEt	—	OH
III-51	A-2	O	CH_2OEt	—	OH
III-52	A-3	O	CH_2OEt	—	OH
III-53	A-4	O	CH_2OEt	—	OH
III-54	A-5	O	CH_2OEt	—	OH
III-55	A-1	O	CH(Me)OMe	—	OH
III-56	A-1	O	CH(Me)OEt	—	OH
III-57	A-1	O	CH_2OPh	—	OH
III-58	A-1	O	$CH_2OCH_2CH_2OMe$	—	OH
III-59	A-1	O	$CH_2OCH_2CF_3$	—	OH
III-60	A-2	O	$CH_2OCH_2CF_3$	—	OH
III-61	A-3	O	$CH_2OCH_2CF_3$	—	OH
III-62	A-4	O	$CH_2OCH_2CF_3$	—	OH
III-63	A-5	O	$CH_2OCH_2CF_3$	—	OH
III-64	A-1	O	$CH(Me)OCH_2CF_3$	—	OH
III-65	A-1	O		—	OH
III-66	A-1	O		—	OH
III-67	A-1	O	$CH_2OCH_2CH_2SO_2Me$	—	OH
III-68	A-1	O	$CH_2OCH_2CH_2CN$	—	OH
III-69	A-1	O	$CH_2OC(=O)t\text{-}Bu$	—	OH
III-70	A-1	O	CH_2SMe	—	OH
III-71	A-4	O	CH_2SMe	—	OH
III-72	A-1	O	CH_2SEt	—	OH

[Tabla 30]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-73	A-1	O	CH_2S-n-Pr	—	OH
III-74	A-1	O	CH(Me)SMe	—	OH
III-75	A-1	O	CH(Me)SEt	—	OH
III-76	A-1	O	CH(Me)S-n-Pr	—	OH
III-77	A-1	O	CH_2SOMe	—	OH
III-78	A-1	O	CH_2SOEt	—	OH
III-79	A-1	O	CH_2SO-n-Pr	—	OH
III-80	A-1	O	CH_2SO_2Me	—	OH
III-81	A-4	O	CH_2SO_2Me	—	OH
III-82	A-1	O	CH_2SO_2Et	—	OH
III-83	A-1	O	CH_2SO_2-n-Pr	—	OH
III-84	A-1	O	$CH(Me)SO_2Me$	—	OH
III-85	A-1	O	$CH(Me)SO_2Et$	—	OH
III-86	A-1	O	$CH(Me)SO_2$-n-Pr	—	OH
III-87	A-1	O	CH_2CH_2OH	—	OH
III-88	A-1	O	CH_2CH_2OMe	—	OH
III-89	A-1	O	CH_2CH_2OEt	—	OH
III-90	A-1	O	$CH(Me)CH_2OMe$	—	OH
III-91	A-1	O	CH_2CH_2SMe	—	OH
III-92	A-1	O	$CH_2CH_2SO_2Me$	—	OH
III-93	A-1	O	$CH_2CH_2CH_2OMe$	—	OH
III-94	A-1	O	$CH_2C(=O)Me$	—	OH
III-95	A-1	O	$CH_2C(=O)OMe$	—	OH
III-96	A-1	O	$CH_2C(=O)OEt$	—	OH
III-97	A-1	O	$CH_2C(=O)On$-Pr	—	OH
III-98	A-1	O	$CH_2C(=O)Oi$-Pr	—	OH
III-99	A-1	O	$CH_2C(=O)Ot$-Bu	—	OH
III-100	A-1	O	$CH_2C(=O)NMe_2$	—	OH
III-101	A-1	O		—	OH
III-102	A-1	O	CH_2CN	—	OH
III-103	A-1	O	CH_2CH_2CN	—	OH
III-104	A-1	O	$CH(Me)CH_2CN$	—	OH
III-105	A-1	O	$CH_2CH_2CH_2CN$	—	OH
III-106	A-1	O	$CH_2CH_2NO_2$	—	OH
III-107	A-1	O	Bn	—	OH
III-108	A-1	O	(2-F)Bn	—	OH
III-109	A-1	O	(3-F)Bn	—	OH
III-110	A-1	O	(4-F)Bn	—	OH
III-111	A-1	O	(2-Cl)Bn	—	OH

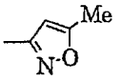
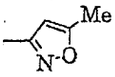
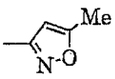
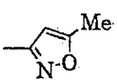
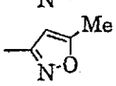
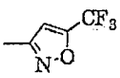
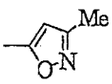
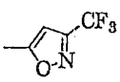
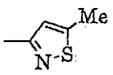
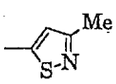
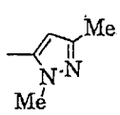
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[Tabla 31]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-112	A-1	O	(3-Cl)Bn	—	OH
III-113	A-1	O	(4-Cl)Bn	—	OH
III-114	A-1	O	(2-Me)Bn	—	OH
III-115	A-1	O	(3-Me)Bn	—	OH
III-116	A-1	O	(4-Me)Bn	—	OH
III-117	A-1	O	(2-CF_3)Bn	—	OH
III-118	A-1	O	(3-CF_3)Bn	—	OH
III-119	A-1	O	(4-CF_3)Bn	—	OH
III-120	A-1	O	(2-OMe)Bn	—	OH
III-121	A-2	O	(2-OMe)Bn	—	OH
III-122	A-3	O	(2-OMe)Bn	—	OH
III-123	A-4	O	(2-OMe)Bn	—	OH
III-124	A-5	O	(2-OMe)Bn	—	OH
III-125	A-1	O	(3-OMe)Bn	—	OH
III-126	A-1	O	(4-OMe)Bn	—	OH
III-127	A-1	O	(2,4-$(OMe)_2$)Bn	—	OH
III-128	A-1	O	(2,6-$(OMe)_2$)Bn	—	OH
III-129	A-1	O	(3,5-$(OMe)_2$)Bn	—	OH
III-130	A-1	O	CH(Me)Ph	—	OH
III-131	A-1	O		—	OH
III-132	A-2	O		—	OH
III-133	A-3	O		—	OH
III-134	A-4	O		—	OH
III-135	A-5	O		—	OH
III-136	A-1	O		—	OH
III-137	A-1	O		—	OH
III-138	A-1	O		—	OH
III-139	A-1	O		—	OH
III-140	A-2	O		—	OH

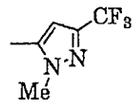
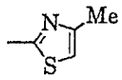
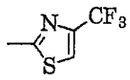
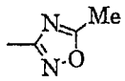
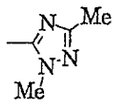
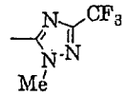
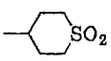
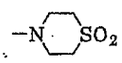
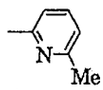
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[Tabla 32]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-141	A-3	O		—	OH
III-142	A-4	O		—	OH
III-143	A-5	O		—	OH
III-144	A-1	O		—	OH
III-145	A-1	O		—	OH
III-146	A-2	O		—	OH
III-147	A-3	O		—	OH
III-148	A-4	O		—	OH
III-149	A-5	O		—	OH
III-150	A-1	O		—	OH
III-151	A-1	O		—	OH
III-152	A-1	O		—	OH
III-153	A-1	O		—	OH
III-154	A-1	O		—	OH
III-155	A-1	O		—	OH
III-156	A-1	O		—	OH
III-157	A-1	O		—	OH

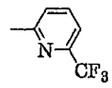
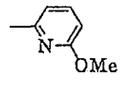
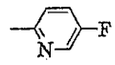
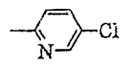
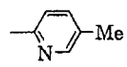
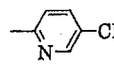
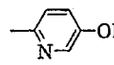
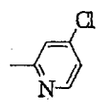
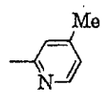
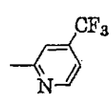
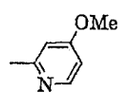
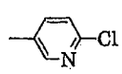
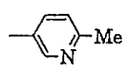
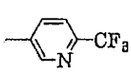
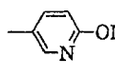
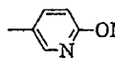
S40

[Tabla 33]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-158	A-1	O		—	OH
III-159	A-1	O		—	OH
III-160	A-1	O		—	OH
III-161	A-1	O		—	OH
III-162	A-1	O		—	OH
III-163	A-1	O		—	OH
III-164	A-1	O		—	OH
III-165	A-1	O		—	OH
III-166	A-1	O		—	OH
III-167	A-1	O		—	OH
III-168	A-1	O		—	OH
III-169	A-1	O		—	OH
III-170	A-1	O		—	OH
III-171	A-1	O		—	OH
III-172	A-1	O		—	OH
III-173	A-1	O		—	OH

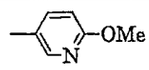
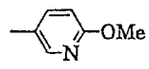
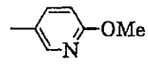
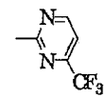
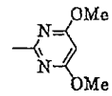
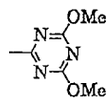
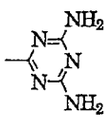
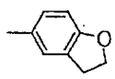
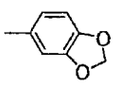
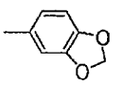
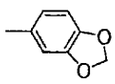
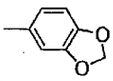
541

[Tabla 34]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-174	A-1	O		—	OH
III-175	A-1	O		—	OH
III-176	A-1	O		—	OH
III-177	A-1	O		—	OH
III-178	A-1	O		—	OH
III-179	A-1	O		—	OH
III-180	A-1	O		—	OH
III-181	A-1	O		—	OH
III-182	A-1	O		—	OH
III-183	A-1	O		—	OH
III-184	A-1	O		—	OH
III-185	A-1	O		—	OH
III-186	A-1	O		—	OH
III-187	A-1	O		—	OH
III-188	A-1	O		—	OH
III-189	A-1	O		—	OH
III-190	A-2	O		—	OH

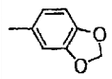
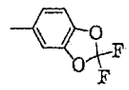
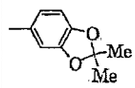
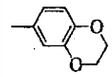
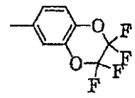
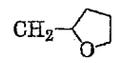
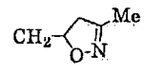
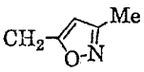
542

[Tabla 35]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-191	A-3	O		—	OH
III-192	A-4	O		—	OH
III-193	A-5	O		—	OH
III-194	A-1	O		—	OH
III-195	A-1	O		—	OH
III-196	A-1	O		—	OH
III-197	A-1	O		—	OH
III-198	A-1	O		—	OH
III-199	A-1	O		—	OH
III-200	A-1	O		—	OH
III-201	A-1	O		—	OH
III-202	A-1	O		—	OH
III-203	A-2	O		—	OH
III-204	A-3	O		—	OH
III-205	A-4	O		—	OH

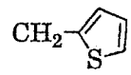
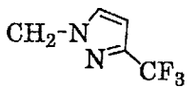
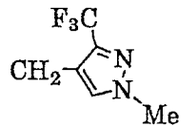
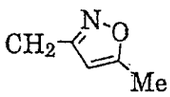
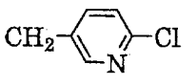
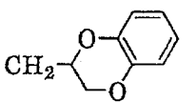
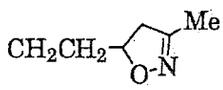
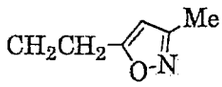
S43

[Tabla 36]

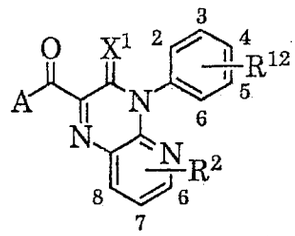
No. de Compuesto	A	X^1	R^1	R^2	R^3
III-206	A-5	O		—	OH
III-207	A-1	O		—	OH
III-208	A-1	O		—	OH
III-209	A-1	O		—	OH
III-210	A-1	O		—	OH
III-211	A-1	O		—	OH
III-212	A-1	O		—	OH
III-213	A-1	O		—	OH
III-214	A-1	O	NH_2	—	OH
III-215	A-1	O	NHMe	—	OH
III-216	A-1	O	NMe_2	—	OH
III-217	A-1	O	OMe	—	OH
III-218	A-1	O	OEt	—	OH
III-219	A-1	O	Me	6-F	OH
III-220	A-1	O	Me	6-Cl	OH
III-221	A-1	O	Me	6-OMe	OH
III-222	A-1	S	Me	—	OH
III-223	A-4	O	Me	—	S(n-Hex)
III-224	A-4	O	Me	—	SO(n-Hex)
III-225	A-4	O	Me	—	SO_2(n-Hex)
III-226	A-4	O	Me	—	SPh
III-227	A-4	O	Me	—	SOPh
III-228	A-4	O	Me	—	SO_2Ph
III-229	A-1	O	$CH_2CH_2CH=CH_2$	—	OH
III-230	A-1	O	$CH_2CH_2CH=C(Me)_2$	—	OH
III-231	A-1	O	$CH_2CH_2C\equiv CH$	—	OH
III-232	A-1	O	$CH_2CH_2C(Me)=CF_2$	—	OH
III-233	A-1	O	CH(Me)C(=O)Ot-Bu	—	OH

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[Tabla 37]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-234	A-1	O	(2-$OCHF_2$)Bn	—	OH
III-235	A-1	O	CH_2CH_2Ph	—	OH
III-236	A-1	O		—	OH
III-237	A-1	O		—	OH
III-238	A-1	O		—	OH
III-239	A-1	O		—	OH
III-240	A-1	O		—	OH
III-241	A-1	O		—	OH
III-242	A-1	O		—	OH
III-243	A-1	O		—	OH
III-244	A-1	O	Bn	8-Me	OH
III-245	A-1	O	Bn	7-Me	OH
III-246	A-1	O	Bn	6-Me	OH
III-247	A-1	O	Bn	6-OMe	OH

[Tabla 38]



No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-1	A-1	O	—	—	OH
IV-2	A-2	O	—	—	OH
IV-3	A-3	O	—	—	OH
IV-4	A-4	O	—	—	OH
IV-5	A-5	O	—	—	OH
IV-6	A-1	O	2-F	—	OH
IV-7	A-1	O	3-F	—	OH
IV-8	A-1	O	4-F	—	OH
IV-9	A-1	O	2-Cl	—	OH
IV-10	A-4	O	2-Cl	—	OH
IV-11	A-1	O	3-Cl	—	OH
IV-12	A-4	O	3-Cl	—	OH
IV-13	A-1	O	4-Cl	—	OH
IV-14	A-4	O	4-Cl	—	OH
IV-15	A-5	O	4-Cl	—	OH
IV-16	A-1	O	2-Br	—	OH
IV-17	A-1	O	3-Br	—	OH
IV-18	A-1	O	4-Br	—	OH
IV-19	A-1	O	2-Me	—	OH
IV-20	A-1	O	3-Me	—	OH
IV-21	A-1	O	4-Me	—	OH
IV-22	A-1	O	2-Et	—	OH
IV-23	A-1	O	3-Et	—	OH
IV-24	A-1	O	4-Et	—	OH
IV-25	A-1	O	2-n-Pr	—	OH
IV-26	A-1	O	3-n-Pr	—	OH
IV-27	A-1	O	4-n-Pr	—	OH
IV-28	A-1	O	2-i-Pr	—	OH
IV-29	A-1	O	3-i-Pr	—	OH
IV-30	A-1	O	4-i-Pr	—	OH
IV-31	A-1	O	2-c-Pr	—	OH
IV-32	A-1	O	3-c-Pr	—	OH
IV-33	A-1	O	4-c-Pr	—	OH
IV-34	A-1	O	2-CF_3	—	OH

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[Tabla 39]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-35	A-2	O	2-CF_3	—	OH
IV-36	A-3	O	2-CF_3	—	OH
IV-37	A-4	O	2-CF_3	—	OH
IV-38	A-5	O	2-CF_3	—	OH
IV-39	A-1	O	3-CF_3	—	OH
IV-40	A-2	O	3-CF_3	—	OH
IV-41	A-3	O	3-CF_3	—	OH
IV-42	A-4	O	3-CF_3	—	OH
IV-43	A-5	O	3-CF_3	—	OH
IV-44	A-1	O	4-CF_3	—	OH
IV-45	A-2	O	4-CF_3	—	OH
IV-46	A-3	O	4-CF_3	—	OH
IV-47	A-4	O	4-CF_3	—	OH
IV-48	A-5	O	4-CF_3	—	OH
IV-49	A-1	O	2-OH	—	OH
IV-50	A-1	O	3-OH	—	OH
IV-51	A-1	O	4-OH	—	OH
IV-52	A-1	O	2-OMe	—	OH
IV-53	A-2	O	2-OMe	—	OH
IV-54	A-3	O	2-OMe	—	OH
IV-55	A-4	O	2-OMe	—	OH
IV-56	A-5	O	2-OMe	—	OH
IV-57	A-1	O	3-OMe	—	OH
IV-58	A-2	O	3-OMe	—	OH
IV-59	A-3	O	3-OMe	—	OH
IV-60	A-4	O	3-OMe	—	OH
IV-61	A-5	O	3-OMe	—	OH
IV-62	A-1	O	4-OMe	—	OH
IV-63	A-2	O	4-OMe	—	OH
IV-64	A-3	O	4-OMe	—	OH
IV-65	A-4	O	4-OMe	—	OH
IV-66	A-5	O	4-OMe	—	OH
IV-67	A-1	O	2-OEt	—	OH
IV-68	A-1	O	3-OEt	—	OH
IV-69	A-1	O	4-OEt	—	OH
IV-70	A-1	O	2-O-n-Pr	—	OH
IV-71	A-1	O	3-O-n-Pr	—	OH
IV-72	A-1	O	4-O-n-Pr	—	OH
IV-73	A-1	O	2-O-i-Pr	—	OH
IV-74	A-1	O	3-O-i-Pr	—	OH
IV-75	A-1	O	4-O-i-Pr	—	OH

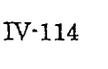
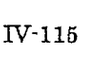
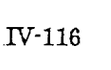
S47

[Tabla 40]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-76	A-1	O	2-O-c-Pr	—	OH
IV-77	A-1	O	3-O-c-Pr	—	OH
IV-78	A-1	O	4-O-c-Pr	—	OH
IV-79	A-1	O	2-$OCH_2CH=CH_2$	—	OH
IV-80	A-1	O	3-$OCH_2CH=CH_2$	—	OH
IV-81	A-1	O	4-$OCH_2CH=CH_2$	—	OH
IV-82	A-1	O	2-$OCH_2C\equiv CH$	—	OH
IV-83	A-1	O	3-$OCH_2C\equiv CH$	—	OH
IV-84	A-1	O	4-$OCH_2C\equiv CH$	—	OH
IV-85	A-1	O	2-$OCHF_2$	—	OH
IV-86	A-2	O	2-$OCHF_2$	—	OH
IV-87	A-3	O	2-$OCHF_2$	—	OH
IV-88	A-4	O	2-$OCHF_2$	—	OH
IV-89	A-5	O	2-$OCHF_2$	—	OH
IV-90	A-1	O	3-$OCHF_2$	—	OH
IV-91	A-2	O	3-$OCHF_2$	—	OH
IV-92	A-3	O	3-$OCHF_2$	—	OH
IV-93	A-4	O	3-$OCHF_2$	—	OH
IV-94	A-5	O	3-$OCHF_2$	—	OH
IV-95	A-1	O	4-$OCHF_2$	—	OH
IV-96	A-2	O	4-$OCHF_2$	—	OH
IV-97	A-3	O	4-$OCHF_2$	—	OH
IV-98	A-4	O	4-$OCHF_2$	—	OH
IV-99	A-5	O	4-$OCHF_2$	—	OH
IV-100	A-1	O	2-OCF_3	—	OH
IV-101	A-1	O	3-OCF_3	—	OH
IV-102	A-2	O	3-OCF_3	—	OH
IV-103	A-3	O	3-OCF_3	—	OH
IV-104	A-4	O	3-OCF_3	—	OH
IV-105	A-5	O	3-OCF_3	—	OH
IV-106	A-1	O	4-OCF_3	—	OH
IV-107	A-2	O	4-OCF_3	—	OH
IV-108	A-3	O	4-OCF_3	—	OH
IV-109	A-4	O	4-OCF_3	—	OH
IV-110	A-5	O	4-OCF_3	—	OH
IV-111	A-1	O	2-OCH_2CH_2OMe	—	OH
IV-112	A-1	O	3-OCH_2CH_2OMe	—	OH
IV-113	A-1	O	4-OCH_2CH_2OMe	—	OH

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[Tabla 41]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-114	A-1	O	2- 	—	OH
IV-115	A-1	O	3- 	—	OH
IV-116	A-1	O	4- 	—	OH
IV-117	A-1	O	2-OC(=O)Me	—	OH
IV-118	A-1	O	3-OC(=O)Me	—	OH
IV-119	A-1	O	3-OC(=O)Me	—	OH
IV-120	A-1	O	2-SMe	—	OH
IV-121	A-1	O	3-SMe	—	OH
IV-122	A-1	O	4-SMe	—	OH
IV-123	A-1	O	2-SO_2Me	—	OH
IV-124	A-1	O	3-SO_2Me	—	OH
IV-125	A-1	O	4-SO_2Me	—	OH
IV-126	A-1	O	2-SCF_3	—	OH
IV-127	A-1	O	3-SCF_3	—	OH
IV-128	A-1	O	4-SCF_3	—	OH
IV-129	A-1	O	2-NO_2	—	OH
IV-130	A-1	O	3-NO_2	—	OH
IV-131	A-1	O	4-NO_2	—	OH
IV-132	A-1	O	2-NH_2	—	OH
IV-133	A-1	O	3-NH_2	—	OH
IV-134	A-1	O	4-NH_2	—	OH
IV-135	A-1	O	2-CN	—	OH
IV-136	A-1	O	3-CN	—	OH
IV-137	A-1	O	4-CN	—	OH
IV-138	A-1	O	2-C(=O)Me	—	OH
IV-139	A-1	O	3-C(=O)Me	—	OH
IV-140	A-1	O	4-C(=O)Me	—	OH
IV-141	A-1	O	2-C(=O)OH	—	OH
IV-142	A-1	O	3-C(=O)OH	—	OH
IV-143	A-1	O	4-C(=O)OH	—	OH
IV-144	A-1	O	2-C(=O)OMe	—	OH
IV-145	A-1	O	3-C(=O)OMe	—	OH
IV-146	A-1	O	4-C(=O)OMe	—	OH
IV-147	A-1	O	2-CH_2OMe	—	OH
IV-148	A-1	O	3-CH_2OMe	—	OH

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[Tabla 42]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-149	A-1	O	4-CH_2OMe	—	OH
IV-150	A-1	O	2,3-F_2	—	OH
IV-151	A-1	O	2,4-F_2	—	OH
IV-152	A-1	O	2,5-F_2	—	OH
IV-153	A-1	O	2,6-F_2	—	OH
IV-154	A-1	O	3,4-F_2	—	OH
IV-155	A-1	O	3,5-F_2	—	OH
IV-156	A-1	O	2,3-Cl_2	—	OH
IV-157	A-1	O	2,4-Cl_2	—	OH
IV-158	A-1	O	2,5-Cl_2	—	OH
IV-159	A-1	O	2,6-Cl_2	—	OH
IV-160	A-1	O	3,4-Cl_2	—	OH
IV-161	A-1	O	3,5-Cl_2	—	OH
IV-162	A-1	O	2-F, 3-OMe	—	OH
IV-163	A-1	O	2-Cl, 3-OMe	—	OH
IV-164	A-1	O	2-Me, 3-OMe	—	OH
IV-165	A-1	O	2,3-$(OMe)_2$	—	OH
IV-166	A-1	O	3-OMe, 4-F	—	OH
IV-167	A-1	O	3-OMe, 4-Cl	—	OH
IV-168	A-1	O	3-OMe, 4-Me	—	OH
IV-169	A-1	O	3,4-$(OMe)_2$	—	OH
IV-170	A-1	O	3-OMe, 5-F	—	OH
IV-171	A-1	O	3-OMe, 5-Cl	—	OH
IV-172	A-1	O	3-OMe, 5-Me	—	OH
IV-173	A-1	O	3,5-$(OMe)_2$	—	OH
IV-174	A-1	O	2-F, 4-OMe	—	OH
IV-175	A-1	O	2-Cl, 4-OMe	—	OH
IV-176	A-1	O	2-Me, 4-OMe	—	OH
IV-177	A-1	O	2,4-$(OMe)_2$	—	OH
IV-178	A-1	O	3-F, 4-OMe	—	OH
IV-179	A-1	O	3-Cl, 4-OMe	—	OH
IV-180	A-1	O	3-Me, 4-OMe	—	OH
IV-181	A-1	O	2-F, 5-OMe	—	OH
IV-182	A-1	O	2-Cl, 5-OMe	—	OH
IV-183	A-1	O	2-Me, 5-OMe	—	OH
IV-184	A-1	O	2,5-$(OMe)_2$	—	OH
IV-185	A-1	O	3,4,5-$(OMe)_3$	—	OH
IV-186	A-1	O	—	6-F	OH
IV-187	A-1	O	4-OMe	6-F	OH

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[Tabla 43]

No. de Compuesto	A	X^1	R^{12}	R^2	R^8
IV-188	A-1	O	—	6-Cl	OH
IV-189	A-1	O	4-OMe	6-Cl	OH
IV-190	A-1	O	—	6-OMe	OH
IV-191	A-1	O	4-OMe	6-OMe	OH
IV-192	A-1	S	—	—	OH
IV-193	A-1	S	4-OMe	—	OH
IV-194	A-4	O	—	—	S(n-Hex)
IV-195	A-4	O	—	—	SO(n-Hex)
IV-196	A-4	O	—	—	SO_2(n-Hex)
IV-197	A-4	O	—	—	SPh
IV-198	A-4	O	—	—	SOPh
IV-199	A-4	O	—	—	SO_2Ph
IV-200	A-1	O	2-F,5-CF_3	—	OH
IV-201	A-1	O	3-CF_3,4-F	—	OH
IV-202	A-1	O	2-F,3-CF_3	—	OH
IV-203	A-1	O	3-F,5-CF_3	—	OH
IV-204	A-1	O	2,3-$(Me)_2$	—	OH
IV-205	A-1	O	2,4-$(Me)_2$	—	OH
IV-206	A-1	O	2,5-$(Me)_2$	—	OH
IV-207	A-1	O	2,6-$(Me)_2$	—	OH
IV-208	A-1	O	3,4-$(Me)_2$	—	OH
IV-209	A-1	O	3,5-$(Me)_2$	—	OH
IV-210	A-1	O	3,5-$(CF_3)_2$	—	OH
IV-211	A-1	O	2,6-$(OMe)_2$	—	OH
IV-212	A-1	O	2-F, 3-Cl	—	OH
IV-213	A-1	O	2-F, 4-Cl	—	OH
IV-214	A-1	O	2-F, 5-Cl	—	OH
IV-215	A-1	O	3-F, 4-Cl	—	OH
IV-216	A-1	O	4-F, 2-Cl	—	OH
IV-217	A-1	O	4-F, 3-Cl	—	OH
IV-218	A-1	O	2-F, 3-Me	—	OH
IV-219	A-1	O	2-F, 4-Me	—	OH
IV-220	A-1	O	2-F, 5-Me	—	OH
IV-221	A-1	O	3-F, 2-Me	—	OH
IV-222	A-1	O	3-F, 4-Me	—	OH
IV-223	A-4	O	3-F, 4-Me	—	OH
IV-224	A-1	O	3-F, 5-Me	—	OH
IV-225	A-1	O	4-F, 2-Me	—	OH
IV-226	A-1	O	4-F, 3-Me	—	OH

SS1

[Tabla 44]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-227	A-1	O	5-F, 2-Me	—	OH
IV-228	A-1	O	2-F, 4-CF_3	—	OH
IV-229	A-1	O	3-F, 4-CF_3	—	OH
IV-230	A-1	O	4-F, 2-CF_3	—	OH
IV-231	A-1	O	3-F, 2-OMe	—	OH
IV-232	A-1	O	4-F, 2-OMe	—	OH
IV-233	A-1	O	5-F, 2-OMe	—	OH
IV-234	A-1	O	2-F, 4-$OCHF_2$	—	OH
IV-235	A-1	O	3-F, 4-$OCHF_2$	—	OH
IV-236	A-1	O	4-F, 2-$OCHF_2$	—	OH
IV-237	A-1	O	4-F, 3-CN	—	OH
IV-238	A-1	O	2-Cl, 4-Me	—	OH
IV-239	A-1	O	3-Cl, 4-Me	—	OH
IV-240	A-1	O	3-Cl, 4-$OCHF_2$	—	OH
IV-241	A-1	O	4-Me, 3-CF_3	—	OH
IV-242	A-1	O	4-Me, 2-OMe	—	OH
IV-243	A-1	O	3-Me, 4-CN	—	OH
IV-244	A-1	O	4-Me, 3-CN	—	OH
IV-245	A-1	O	2,3,4-F_3	—	OH
IV-246	A-1	O	2,3,5-F_3	—	OH
IV-247	A-1	O	2,4,5-F_3	—	OH
IV-248	A-1	O	3,4,5-F_3	—	OH
IV-249	A-1	O	2,3-F_2, 4-Me	—	OH
IV-250	A-1	O	2,6-F_2, 4-OMe	—	OH
IV-251	A-1	O	3,5-F_2, 4-OMe	—	OH
IV-252	A-1	O	4-F, 2-Cl, 5-Me	—	OH
IV-253	A-1	O	—	7-Cl	OH
IV-254	A-1	O	—	6-Me	OH
IV-255	A-1	O	—	7-Me	OH
IV-256	A-1	O	—	8-Me	OH
IV-257	A-1	O	3-Me	8-Me	OH
IV-258	A-1	O	3-CF_3	8-Me	OH
IV-259	A-1	O	4-OMe	8-Me	OH
IV-260	A-1	O	3-F, 4-Me	8-Me	OH
IV-261	A-4	O	3-F, 4-Me	8-Me	OH
IV-262	A-1	O	3-F, 4-OMe	8-Me	OH
IV-263	A-1	O	—	8-OMe	OH
IV-264	A-1	O	3-CF_3	8-OMe	OH

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[Tabla 45]

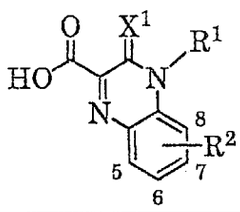
No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-265	A-1	O	3-F, 4-Me	8-Cl	OH
IV-266	A-1	O	3-F, 4-Me	6-F	OH
IV-267	A-1	O	3-F, 4-Me	6-Cl	OH
IV-268	A-1	O	3-F, 4-Me	7-Me	OH
IV-269	A-1	O	3-F, 4-Me	6-Me	OH
IV-270	A-1	O	—	8-Cl	OH
IV-271	A-1	O	3-F, 4-Me	6-OMe	OH
IV-272	A-1	O	3-F, 4-Me	6-OMe	OH
IV-273	A-1	O	4-OMe	8-Cl	OH
IV-274	A-1	O	4-OMe	6,8-Me_2	OH
IV-275	A-1	O	3-F, 4-OMe	6,8-Me_2	OH
IV-276	A-1	O	3,4-(OCH_2O)-	8-Me	OH
IV-277	A-1	O	3,4-(OCH_2CH_2O)-	8-Me	OH
IV-278	A-1	O	3,4-(OCH_2O)-	6,8-Me_2	OH
IV-279	A-1	O	3,4-(OCH_2CH_2O)-	6,8-Me_2	OH
IV-280	A-1	O	4-OMe	6-Cl, 8-Me	OH
IV-281	A-1	O	3-F, 4-OMe	6-Cl, 8-Me	OH
IV-282	A-1	O	3,4-(OCH_2O)-	6-Cl, 8-Me	OH
IV-283	A-1	O	3,4-(OCH_2CH_2O)-	6-Cl, 8-Me	OH
IV-284	A-1	O	—	6-SMe	OH
IV-285	A-1	O	3,4-(OCH_2CH_2O)-	6-OMe	OH
IV-286	A-1	O	3-F, 4-OEt	—	OH
IV-287	A-1	O	3,4-(OCH_2O)-	8-Cl	OH

Los ejemplos preferidos específicos de los compuestos representados por la fórmula [J2] los cuales son una producción intermedia de la presente invención se mostrarán a continuación de la Tabla 46 a la Tabla 81. Sin embargo, el compuesto no pretenderá ser limitado a estos compuestos como en el caso de los compuestos de la presente invención. De manera adicional, el número de compuestos se refiere en las descripciones a continuación, y las observaciones en las tablas tienen el mismo

SS3

significado mencionado anteriormente.

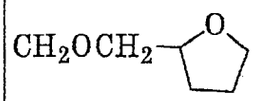
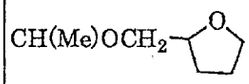
[Tabla 46]



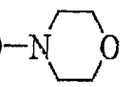
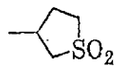
No. de Compuesto	X^1	R^1	R^2
V-1	O	H	—
V-2	O	Me	—
V-3	O	Et	—
V-4	O	n-Pr	—
V-5	O	i-Pr	—
V-6	O	c-Pr	—
V-7	O	n-Bu	—
V-8	O	s-Bu	—
V-9	O	i-Bu	—
V-10	O	t-Bu	—
V-11	O	c-Bu	—
V-12	O	n-Pen	—
V-13	O	c-Pen	—
V-14	O	n-Hex	—
V-15	O	c-Hex	—
V-16	O	$n\text{-}C_7H_{15}$	—
V-17	O	$n\text{-}C_8H_{17}$	—
V-18	O	$n\text{-}C_9H_{19}$	—
V-19	O	$n\text{-}C_{10}H_{21}$	—
V-20	O	$n\text{-}C_{11}H_{23}$	—
V-21	O	$n\text{-}C_{12}H_{25}$	—
V-22	O	$CH_2CH{=}CH_2$	—
V-23	O	$CH_2C{\equiv}CH$	—
V-24	O	CH_2CF_3	—
V-25	O	CH_2CH_2F	—
V-26	O	CH_2CH_2Cl	—
V-27	O	$CH_2CH_2CF_3$	—
V-28	O	$CH_2CH{=}CCl_2$	—
V-29	O	CH_2OMe	—
V-30	O	CH_2OEt	—
V-31	O	CH(Me)OMe	—
V-32	O	CH(Me)OEt	—
V-33	O	CH_2OPh	—
V-34	O	$CH_2OCH_2CH_2OMe$	—

SS4

[Tabla 47]

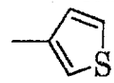
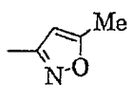
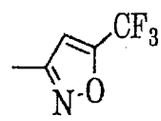
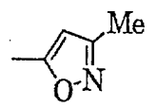
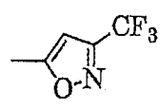
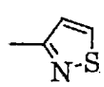
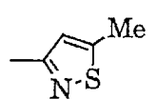
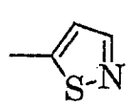
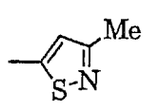
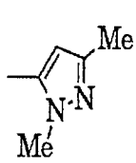
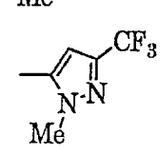
No. de Compuesto	X^1	R^1	R^2
V-35	O	$CH_2OCH_2CF_3$	—
V-36	O	$CH(Me)OCH_2CF_3$	—
V-37	O		—
V-38	O		—
V-39	O	$CH_2OCH_2CH_2SO_2Me$	—
V-40	O	$CH_2OCH_2CH_2CN$	—
V-41	O	$CH_2OC(=O)t\text{-}Bu$	—
V-42	O	CH_2SMe	—
V-43	O	CH_2SEt	—
V-44	O	$CH_2S\text{-}n\text{-}Pr$	—
V-45	O	$CH(Me)SMe$	—
V-46	O	$CH(Me)SEt$	—
V-47	O	$CH(Me)S\text{-}n\text{-}Pr$	—
V-48	O	CH_2SOMe	—
V-49	O	CH_2SOEt	—
V-50	O	$CH_2SO\text{-}n\text{-}Pr$	—
V-51	O	CH_2SO_2Me	—
V-52	O	CH_2SO_2Et	—
V-53	O	$CH_2SO_2\text{-}n\text{-}Pr$	—
V-54	O	$CH(Me)SO_2Me$	—
V-55	O	$CH(Me)SO_2Et$	—
V-56	O	$CH(Me)SO_2\text{-}n\text{-}Pr$	—
V-57	O	CH_2CH_2OH	—
V-58	O	CH_2CH_2OMe	—
V-59	O	CH_2CH_2OEt	—
V-60	O	$CH(Me)CH_2OMe$	—
V-61	O	CH_2CH_2SMe	—
V-62	O	$CH_2CH_2SO_2Me$	—
V-63	O	$CH_2CH_2CH_2OMe$	—
V-64	O	$CH_2C(=O)Me$	—
V-65	O	$CH_2C(=O)OMe$	—
V-66	O	$CH_2C(=O)OEt$	—
V-67	O	$CH_2C(=O)O\text{-}n\text{-}Pr$	—
V-68	O	$CH_2C(=O)O\text{-}i\text{-}Pr$	—
V-69	O	$CH_2C(=O)O\text{-}t\text{-}Bu$	—
V-70	O	$CH_2C(=O)NMe_2$	—

[Tabla 48]

No. de Compuesto	X^1	R^i	R^2
V-71	O	$CH_2C(=O)-N$ 	–
V-72	O	CH_2CN	–
V-73	O	CH_2CH_2CN	–
V-74	O	$CH(Me)CH_2CN$	–
V-75	O	$CH_2CH_2CH_2CN$	–
V-76	O	$CH_2CH_2NO_2$	–
V-77	O	Bn	–
V-78	O	(2-F)Bn	–
V-79	O	(3-F)Bn	–
V-80	O	(4-F)Bn	–
V-81	O	(2-Cl)Bn	–
V-82	O	(3-Cl)Bn	–
V-83	O	(4-Cl)Bn	–
V-84	O	(2-Me)Bn	–
V-85	O	(3-Me)Bn	–
V-86	O	(4-Me)Bn	–
V-87	O	$(2\text{-}CF_3)$Bn	–
V-88	O	$(3\text{-}CF_3)$Bn	–
V-89	O	$(4\text{-}CF_3)$Bn	–
V-90	O	(2-OMe)Bn	–
V-91	O	(3-OMe)Bn	–
V-92	O	(4-OMe)Bn	–
V-93	O	$(2,4\text{-}(OMe)_2)$Bn	–
V-94	O	$(2,6\text{-}(OMe)_2)$Bn	–
V-95	O	$(3,5\text{-}(OMe)_2)$Bn	–
V-96	O	CH(Me)Ph	–
V-97	O		–
V-98	O		–
V-99	O		–
V-100	O		–

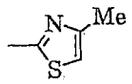
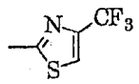
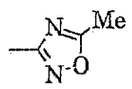
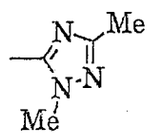
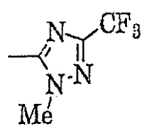
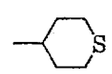
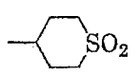
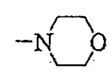
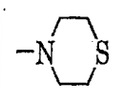
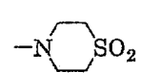
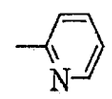
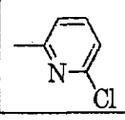
SS6

[Tabla 49]

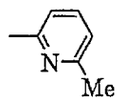
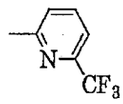
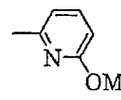
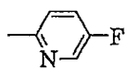
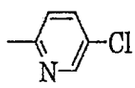
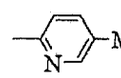
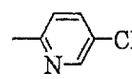
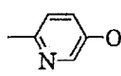
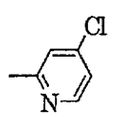
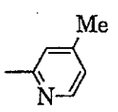
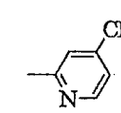
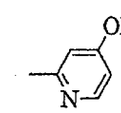
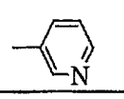
No. de Compuesto	X^1	R^1	R^2
V-101	O		—
V-102	O		—
V-103	O		—
V-104	O		—
V-105	O		—
V-106	O		—
V-107	O		—
V-108	O		—
V-109	O		—
V-110	O		—
V-111	O		—
V-112	O		—

SS7

[Tabla 50]

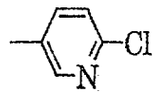
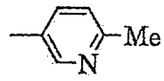
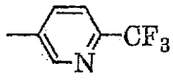
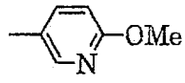
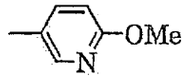
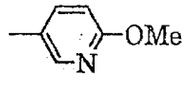
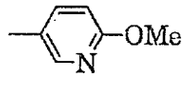
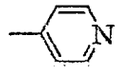
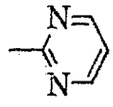
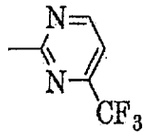
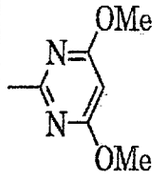
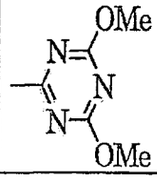
No. de Compuesto	X^1	R^1	R^2
V-113	O		—
V-114	O		—
V-115	O		—
V-116	O		—
V-117	O		—
V-118	O		—
V-119	O		—
V-120	O		—
V-121	O		—
V-122	O		—
V-123	O		—
V-124	O		—
V-125	O		—
V-126	O		—

[Tabla 51]

No. de Compuesto	X^1	R^1	R^2
V-127	O		—
V-128	O		—
V-129	O		—
V-130	O		—
V-131	O		—
V-132	O		—
V-133	O		—
V-134	O		—
V-135	O		—
V-136	O		—
V-137	O		—
V-138	O		—
V-139	O		—

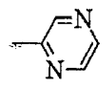
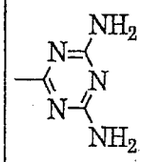
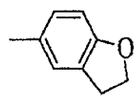
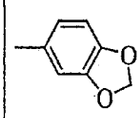
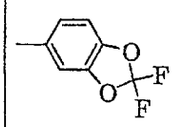
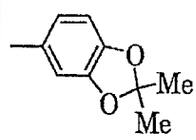
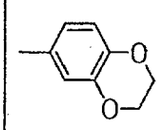
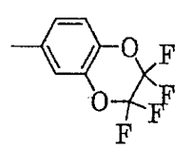
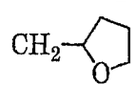
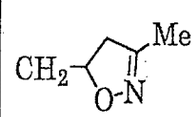
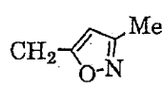
589

[Tabla 52]

No. de Compuesto	X^1	R^1	R^2
V-140	O		—
V-141	O		—
V-142	O		—
V-143	O		—
V-144	O		—
V-145	O		—
V-146	O		—
V-147	O		—
V-148	O		—
V-149	O		—
V-150	O		—
V-151	O		—

560

[Tabla 53]

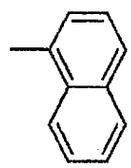
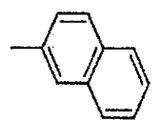
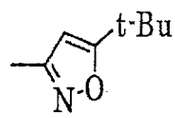
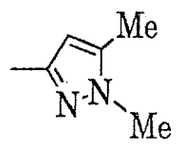
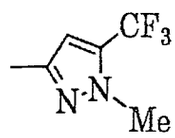
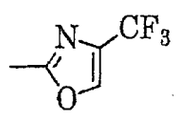
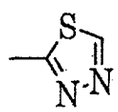
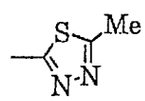
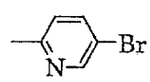
No. de Compuesto	X^1	R^1	R^2
V-152	O		—
V-153	O		—
V-154	O		—
V-155	O		—
V-156	O		—
V-157	O		—
V-158	O		—
V-159	O		—
V-160	O		—
V-161	O		—
V-162	O		—
V-163	O	NH_2	—

[Tabla 54]

No. de Compuesto	X^1	R^1	R^2
V-164	O	NHMe	—
V-165	O	NMe_2	—
V-166	O	OMe	—
V-167	O	OEt	—
V-168	O	Me	5-F
V-169	O	Me	6-F
V-170	O	Me	7-F
V-171	O	Me	8-F
V-172	O	Me	5-Cl
V-173	O	CH_2CH_2OMe	5-Cl
V-174	O	Me	6-Cl
V-175	O	CH_2CH_2OMe	6-Cl
V-176	O	Me	7-Cl
V-177	O	CH_2CH_2OMe	7-Cl
V-178	O	Me	8-Cl
V-179	O	CH_2CH_2OMe	8-Cl
V-180	O	Me	7-Me
V-181	O	Me	6-CF_3
V-182	O	Me	7-CF_3
V-183	O	Me	6-OH
V-184	O	Me	7-OH
V-185	O	Me	6-OMe
V-186	O	Me	7-OMe
V-187	O	Me	6-OCF_3
V-188	O	Me	7-OCF_3
V-189	O	Me	5-SMe
V-190	O	Me	6-SMe
V-191	O	Me	7-SMe
V-192	O	Me	8-SMe
V-193	O	Me	5-SO_2Me
V-194	O	Me	6-SO_2Me
V-195	O	Me	7-SO_2Me
V-196	O	Me	8-SO_2Me
V-197	O	Me	6-NO_2
V-198	O	Me	7-NO_2
V-199	O	Me	6-NH_2
V-200	O	Me	7-NH_2

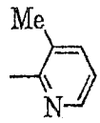
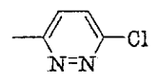
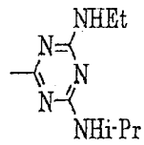
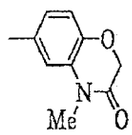
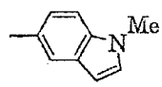
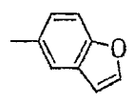
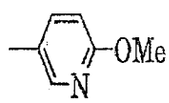
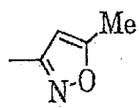
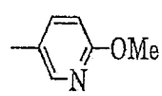
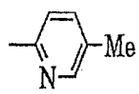
562

[Tabla 55]

No. de Compuesto	X^1	R^1	R^2
V-201	O	Me	7-CN
V-202	O	Me	6,7-Cl_2
V-203	O	Me	6,7-Me_2
V-204	S	Me	—
V-205	O		—
V-206	O		—
V-207	O		—
V-208	O		—
V-209	O		—
V-210	O		—
V-211	O		—
V-212	O		—
V-213	O		—

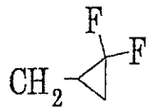
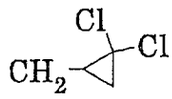
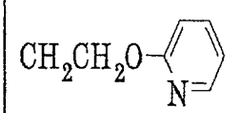
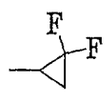
563

[Tabla 56]

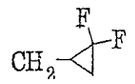
No. de Compuesto	X^1	R^1	R^2
V-214	O		—
V-215	O		—
V-216	O		—
V-217	O		—
V-218	O		—
V-219	O		—
V-220	O	N(Me)C(=O)Ot-Bu	—
V-221	O		5-F
V-222	O	Bn	6-F
V-223	O		6-F
V-224	O	Me	5-CH_2OMe
V-225	O		5,7-F_2
V-226	O		7-Cl
V-227	O	CH_2-c-Pr	—
V-228	O	CH_2-c-Bu	—
V-229	O	CH_2c-Pen	—
V-230	O	CH_2O-c-Pen	—

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[Tabla 57]

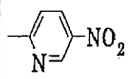
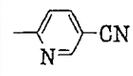
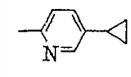
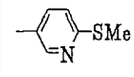
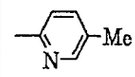
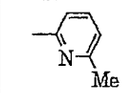
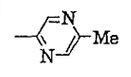
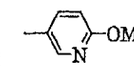
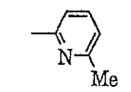
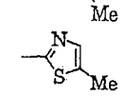
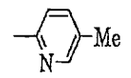
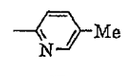
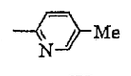
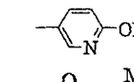
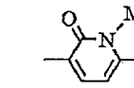
No. de Compuesto	X^1	R^1	R^2
V-231	O	$CH_2CH_2NH_2$	—
V-232	O	CH_2CH_2NHEt	—
V-233	O	$CH_2CH_2NMe_2$	—
V-234	O	$CH_2CH_2NEt_2$	—
V-235	O	CH_2CH_2CHO	—
V-236	O		—
V-237	O		—
V-238	O	CH_2OCH_2-c-Pr	—
V-239	O	CH_2SPh	—
V-240	O		—
V-241	O	$CH_2SCH_2CF_3$	—
V-242	O	$CH_2SOCH_2CF_3$	—
V-243	O	$CH_2SO_2CH_2CF_3$	—
V-244	O	CH_2OCH_2Ph	—
V-245	O	CH_2SOPh	—
V-246	O	CH_2SO_2Ph	—
V-247	O	$CH_2OCH_2CH_2SMe$	—
V-248	O	$CH_2OCH_2CH_2SOMe$	—
V-249	O	$CH_2CH_2CH(OEt)_2$	—
V-250	O	$CH_2C(Me)=NOMe$	—
V-251	O	$CH_2CH_2ON=CMe_2$	—
V-252	O	Me	7-$CH=CMe_2$
V-253	O	Me	7-$C\equiv CMe$
V-254	O	Me	7-CH_2-c-Pr
V-255	O	Me	7-$C(Me)=CF_2$
V-256	O	Me	7- 
V-257	O	Me	7-O-c-Pr

[Tabla 58]

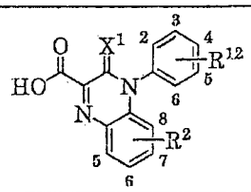
No. de Compuesto	X^1	R^1	R^2
V-258	O	Me	7- 
V-259	O	Me	7-OCH_2-c-Pr
V-260	O	Me	7-OCH_2OMe
V-261	O	Me	7-OC(=O)Me
V-262	O	Me	7-SOMe
V-263	O	Me	7-SCF_3
V-264	O	Me	7-$SOCH_2CF_3$
V-265	O	Me	7-$SO_2CH_2CF_3$
V-266	O	Me	7-NMe_2
V-267	O	Me	7-NHC(=O)Me
V-268	O	Me	7-CH_2OH
V-269	O	Me	7-$CH(OEt)_2$
V-270	O	Me	7-CH_2SMe
V-271	O	Me	7-CH_2SOMe
V-272	O	Me	7-CH_2SO_2Me
V-273	O	Me	7-CH_2SCHF_2
V-274	O	Me	7-CH_2SOCHF_2
V-275	O	Me	7-$CH_2SO_2CHF_2$
V-276	O	Me	7-CH_2CN
V-277	O	Me	7-C(Me)=NOMe
V-278	O	Me	7-$C(=O)NH_2$
V-279	O	Me	7- 
V-280	O	$CH_2OCH_2Ph(2\text{-}F)$	—
V-281	O	$CH_2OCH_2Ph(2\text{-}Cl)$	
V-282	O	$CH_2OCH_2Ph(2\text{-}NO_2)$	—
V-283	O	$CH_2OCH_2Ph(2\text{-}CN)$	—
V-284	O	$CH_2OCH_2Ph(2\text{-}Me)$	—
V-285	O	$CH_2OCH_2Ph(2\text{-}CF_3)$	—
V-286	O	$CH_2OCH_2Ph(2\text{-}OMe)$	—
V-287	O	$CH_2OCH_2Ph(2\text{-}OCF_3)$	—
V-288	O	$CH_2OCH_2Ph(2\text{-}SMe)$	—
V-289	O	$CH_2OCH_2Ph(2\text{-}SO_2Me)$	—
V-290	O	$CH_2OCH_2Ph(2\text{-}SCF_3)$	—
V-291	O	$CH_2OCH_2Ph(2\text{-}CO_2Me)$	—
V-292	O	$CH_2OCH_2Ph(2\text{-}COMe)$	—
V-293	O	$(2\text{-}NO_2)Bn$	—
V-294	O	(2-CN)Bn	—
V-295	O	(3-SMe)Bn	—

S66.

[Tabla 59]

No. de Compuesto	X^1	R^1	R^2
V-296	O	(3-SO_2Me)Bn	—
V-297	O	(3-SCF_3)Bn	—
V-298	O	(3-CO_2Me)Bn	—
V-299	O	(3-COMe)Bn	—
V-300	O	(3-OMe)Bn	—
V-301	O		—
V-302	O		—
V-303	O		—
V-304	O		—
V-305	O	Et	5-Cl
V-306	O	n-Bu	5-Cl
V-307	O		7-Me
V-308	O		6-CF_3
V-309	O		—
V-310	O		5-Cl
V-311	O		6-F
V-312	O		—
V-313	O	NHC(=O)O-t-Bu	—
V-314	O	N(Me)C(=O)O-t-Bu	—
V-315	O		5-F
V-316	O		5-Cl
V-317	O		5-Me
V-318	O		5-Me
V-319	O		—

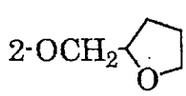
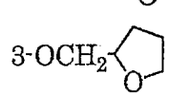
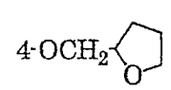
[Tabla 60]



No. de Compuesto	X^1	R^{12}	R^2
VI-1	O	—	—
VI-2	O	2-F	—
VI-3	O	3-F	—
VI-4	O	4-F	—
VI-5	O	2-Cl	—
VI-6	O	3-Cl	—
VI-7	O	4-Cl	—
VI-8	O	2-Br	—
VI-9	O	3-Br	—
VI-10	O	4-Br	—
VI-11	O	2-Me	—
VI-12	O	3-Me	—
VI-13	O	4-Me	—
VI-14	O	2-Et	—
VI-15	O	3-Et	—
VI-16	O	4-Et	—
VI-17	O	2-n-Pr	—
VI-18	O	3-n-Pr	—
VI-19	O	4-n-Pr	—
VI-20	O	2-i-Pr	—
VI-21	O	3-i-Pr	—
VI-22	O	4-i-Pr	—
VI-23	O	2-c-Pr	—
VI-24	O	3-c-Pr	—
VI-25	O	4-c-Pr	—
VI-26	O	2-CF_3	—
VI-27	O	3-CF_3	—
VI-28	O	4-CF_3	—
VI-29	O	2-OH	—
VI-30	O	3-OH	—
VI-31	O	4-OH	—
VI-32	O	2-OMe	—
VI-33	O	3-OMe	—
VI-34	O	4-OMe	—
VI-35	O	2-OEt	—
VI-36	O	3-OEt	—

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[Tabla 61]

No. de Compuesto	X^1	R^{12}	R^2
VI-37	O	4-OEt	—
VI-38	O	2-O-n-Pr	—
VI-39	O	3-O-n-Pr	—
VI-40	O	4-O-n-Pr	—
VI-41	O	2-O-i-Pr	—
VI-42	O	3-O-i-Pr	—
VI-43	O	4-O-i-Pr	—
VI-44	O	2-O-c-Pr	—
VI-45	O	3-O-c-Pr	—
VI-46	O	4-O-c-Pr	—
VI-47	O	2-$OCH_2CH=CH_2$	—
VI-48	O	3-$OCH_2CH=CH_2$	—
VI-49	O	4-$OCH_2CH=CH_2$	—
VI-50	O	2-$OCH_2C\equiv CH$	—
VI-51	O	3-$OCH_2C\equiv CH$	—
VI-52	O	4-$OCH_2C\equiv CH$	—
VI-53	O	2-$OCHF_2$	—
VI-54	O	3-$OCHF_2$	—
VI-55	O	4-$OCHF_2$	—
VI-56	O	2-OCF_3	—
VI-57	O	3-OCF_3	—
VI-58	O	4-OCF_3	—
VI-59	O	2-OCH_2CH_2OMe	—
VI-60	O	3-OCH_2CH_2OMe	—
VI-61	O	4-OCH_2CH_2OMe	—
VI-62	O	2- 	—
VI-63	O	3- 	—
VI-64	O	4- 	—
VI-65	O	2-OC(=O)Me	—
VI-66	O	3-OC(=O)Me	—
VI-67	O	3-OC(=O)Me	—
VI-68	O	2-SMe	—
VI-69	O	3-SMe	—
VI-70	O	4-SMe	—

569

[Tabla 62]

No. de Compuesto	X^1	R^{12}	R^2
VI-71	O	2-SO_2Me	—
VI-72	O	3-SO_2Me	—
VI-73	O	4-SO_2Me	—
VI-74	O	2-SCF_3	—
VI-75	O	3-SCF_3	—
VI-76	O	4-SCF_3	—
VI-77	O	2-NO_2	—
VI-78	O	3-NO_2	—
VI-79	O	4-NO_2	—
VI-80	O	2-NH_2	—
VI-81	O	3-NH_2	—
VI-82	O	4-NH_2	—
VI-83	O	2-CN	—
VI-84	O	3-CN	—
VI-85	O	4-CN	—
VI-86	O	2-C(=O)Me	—
VI-87	O	3-C(=O)Me	—
VI-88	O	4-C(=O)Me	—
VI-89	O	2-C(=O)OH	—
VI-90	O	3-C(=O)OH	—
VI-91	O	4-C(=O)OH	—
VI-92	O	2-C(=O)OMe	—
VI-93	O	3-C(=O)OMe	—
VI-94	O	4-C(=O)OMe	—
VI-95	O	2-CH_2OMe	—
VI-96	O	3-CH_2OMe	—
VI-97	O	4-CH_2OMe	—
VI-98	O	2,3-F_2	—
VI-99	O	2,4-F_2	—
VI-100	O	2,5-F_2	—
VI-101	O	2,6-F_2	—
VI-102	O	3,4-F_2	—
VI-103	O	3,5-F_2	—
VI-104	O	2,3-Cl_2	—
VI-105	O	2,4-Cl_2	—
VI-106	O	2,5-Cl_2	—
VI-107	O	2,6-Cl_2	—
VI-108	O	3,4-Cl_2	—
VI-109	O	3,5-Cl_2	—

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[Tabla 63]

No. de Compuesto	X^1	R^{12}	R^2
VI-110	O	2-F, 3-OMe	—
VI-111	O	2-Cl, 3-OMe	—
VI-112	O	2-Me, 3-OMe	—
VI-113	O	2,3-$(OMe)_2$	—
VI-114	O	3-OMe, 4-F	—
VI-115	O	3-OMe, 4-Cl	—
VI-116	O	3-OMe, 4-Me	—
VI-117	O	3,4-$(OMe)_2$	—
VI-118	O	3-OMe, 5-F	—
VI-119	O	3-OMe, 5-Cl	—
VI-120	O	3-OMe, 5-Me	—
VI-121	O	3,5-$(OMe)_2$	—
VI-122	O	2-F, 4-OMe	—
VI-123	O	2-Cl, 4-OMe	—
VI-124	O	2-Me, 4-OMe	—
VI-125	O	2,4-$(OMe)_2$	—
VI-126	O	3-F, 4-OMe	—
VI-127	O	3-Cl, 4-OMe	—
VI-128	O	3-Me, 4-OMe	—
VI-129	O	2-F, 5-OMe	—
VI-130	O	2-Cl, 5-OMe	—
VI-131	O	2-Me, 5-OMe	—
VI-132	O	2,5-$(OMe)_2$	—
VI-133	O	3,4,5-$(OMe)_3$	—
VI-134	O	4-OMe	5-F
VI-135	O	4-OMe	6-F
VI-136	O	4-OMe	7-F
VI-137	O	4-OMe	8-F
VI-138	O	—	5-Cl
VI-139	O	—	6-Cl
VI-140	O	—	7-Cl
VI-141	O	—	8-Cl
VI-142	O	4-OMe	5-Cl
VI-143	O	4-OMe	6-Cl
VI-144	O	4-OMe	7-Cl
VI-145	O	4-OMe	8-Cl
VI-146	S	—	—
VI-147	O	4-OCH_2CN	—
VI-148	O	3-OCH_2-c-Pr	—

[Tabla 64]

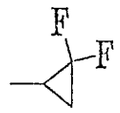
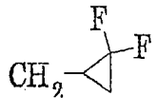
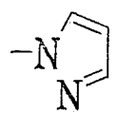
No. de Compuesto	X^1	R^{12}	R^2
VI-149	O	3-OCH_2CF_3	—
VI-150	O	4-OCH_2-c-Pr	—
VI-151	O	4-OCH_2CF_3	—
VI-152	O	4-NMe_2	—
VI-153	O	3,4-Me_2	—
VI-154	O	2-F, 4-Me	—
VI-155	O	3-F, 4-Me	—
VI-156	O	3-Me, 4-F	—
VI-157	O	2-Cl, 4-Me	—
VI-158	O	3-Cl, 4-Me	—
VI-159	O	3-OEt, 4-OMe	—
VI-160	O	2,3,4-$(OMe)_3$	—
VI-161	O	2,5-F_2, 4-OMe	—
VI-162	O	3,5-F_2, 4-OMe	—
VI-163	O	3,5-Cl_2, 4-OMe	—
VI-164	O	3,4-$(CH_2CH_2CH_2)$-	—
VI-165	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
VI-166	O	3,4-(CH_2OCH_2)-	—
VI-167	O	3,4-(OCH_2O)-	7-F
VI-168	O	2,3-(OCH_2CH_2O)-	—
VI-169	O	3,4-$(OCH_2CH(Me)O)$-	—
VI-170	O	3,4-$(OCH_2CH_2CH_2O)$-	—
VI-171	O	—	5-F
VI-172	O	3,4,5-$(OMe)_3$	5-F
VI-173	O	3,5-F_2, 4-OMe	5-F
VI-174	O	3,4-(OCH_2CH_2C)-	5-F
VI-175	O	—	6-F
VI-176	O	3,4,5-$(OMe)_3$	6-F
VI-177	O	3,4-(OCH_2O)-	6-F
VI-178	O	3,4-(OCH_2CH_2O)-	6-F
VI-179	O	—	7-F
VI-180	O	3,4-(OCH_2CH_2O)-	7-F
VI-181	O	—	8-F
VI-182	O	—	5-Me
VI-183	O	4-OMe	5-Me
VI-184	O	4-OMe	6-Me
VI-185	O	4-OMe	7-Me
VI-186	O	3,5-F_2, 4-OMe	7-Me

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[Tabla 65]

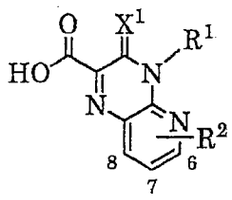
No. de Compuesto	X^1	R^{12}	R^2
VI-187	O	—	6-CF_3
VI-188	O	—	6-OMe
VI-189	O	4-OMe	6-OMe
VI-190	O	—	7-OMe
VI-191	O	4-OMe	7-OMe
VI-192	O	2,5-F_2, 4-OMe	7-OMe
VI-193	O	3,5-F_2, 4-OMe	7-OMe
VI-194	O	—	8-OMe
VI-195	O	4-OMe	8-OMe
VI-196	O	4-OMe	5,6-F_2
VI-197	O	4-OMe	5,7-F_2
VI-198	O	—	6,7-F_2
VI-199	O	—	6,8-F_2
VI-200	O	4-OMe	5,7-Cl_2
VI-201	O	4-OMe	6-F, 7-OMe
VI-202	O	—	7-c-Pr
VI-203	O	—	7-$OCH_2CH{=}CH_2$
VI-204	O	—	7-$OCH_2C{\equiv}CH$
VI-205	O	—	7-NHMe
VI-206	O	—	7-C(=O)H
VI-207	O	—	6-C(=O)Me
VI-208	O	—	7-C(=O)OH
VI-209	O	—	7-C(=O)OMe
VI-210	O	—	7-C(=O)OEt
VI-211	O	—	7-C(=O)NHNe
VI-212	O	—	7-$C(=O)NMe_2$
VI-213	O	—	6,7-(OCH_2CH_2O)-
VI-214	O	—	6,7-(OCH_2O)-
VI-215	O	4-OMe	6,7-(OCH_2CH_2O)-
VI-216	O	4-OMe	6,7-(OCH_2O)-
VI-217	O	2-CONHMe	—
VI-218	O	2-$CONMe_2$	—
VI-219	O	2-NHCOMe	—
VI-220	O	4-CH_2-c-Pr	—
VI-221	O	4-$CH{=}CH_2$	—
VI-222	O	4-$C{\equiv}CMe$	—
VI-223	O	3-$CH{=}CF_2$	—

[Tabla 66]

No. de Compuesto	X^1	R^{12}	R^2
VI-224	O	3- 	—
VI-225	O	4- 	—
VI-226	O	4-CH_2OH	—
VI-227	O	4-CH_2SMe	—
VI-228	O	4-CH_2SOMe	—
VI-229	O	4-CH_2SO_2Me	—
VI-230	O	4-CH_2SCHF_2	—
VI-231	O	4-CH_2SOCHF_2	—
VI-232	O	4-$CH_2SO_2CHF_2$	—
VI-233	O	4-CH_2CN	—
VI-234	O	4-NHMe	—
VI-235	O	4-$OCH_2OCH_2CF_3$	—
VI-236	O	4-C(Me)=NOMe	—
VI-237	O	2-$CONH_2$	—
VI-238	O	4- 	—
VI-239	O	3-F, 4-OMe	5-Cl
VI-240	O	4-OMe	5,6,8-F_3, 7-OMe
VI-241	O	—	7-CF_3
VI-242	O	4-F	7-OMe
VI-243	O	4-$OCHF_2$	7-OMe
VI-244	O	4-Me	7-OMe
VI-245	O	3,4-(OCH_2CH_2O)-	6,8-F_2
VI-246	O	3,4-(OCH_2CH_2O)-	8-F
VI-247	O	4-OMe	5-Br
VI-248	O	4-F	5-F
VI-249	O	3-F, 4-OEt	—

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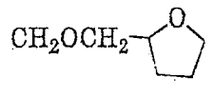
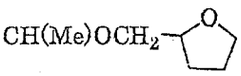
[Tabla 67]



No. de Compuesto	X^1	R^1	R^2
VII-1	O	H	—
VII-2	O	Me	—
VII-3	O	Et	—
VII-4	O	n-Pr	—
VII-5	O	i-Pr	—
VII-6	O	c-Pr	—
VII-7	O	n-Bu	—
VII-8	O	s-Bu	—
VII-9	O	i-Bu	—
VII-10	O	t-Bu	—
VII-11	O	c-Bu	—
VII-12	O	n-Pen	—
VII-13	O	c-Pen	—
VII-14	O	n-Hex	—
VII-15	O	c-Hex	—
VII-16	O	$n\text{-}C_7H_{15}$	—
VII-17	O	$n\text{-}C_8H_{17}$	—
VII-18	O	$n\text{-}C_9H_{19}$	—
VII-19	O	$n\text{-}C_{10}H_{21}$	—
VII-20	O	$n\text{-}C_{11}H_{23}$	—
VII-21	O	$n\text{-}C_{12}H_{25}$	—
VII-22	O	$CH_2CH{=}CH_2$	—
VII-23	O	$CH_2C{\equiv}CH$	—
VII-24	O	CH_2CF_3	—
VII-25	O	CH_2CH_2F	—
VII-26	O	CH_2CH_2Cl	—
VII-27	O	$CH_2CH_2CF_3$	—
VII-28	O	$CH_2CH{=}CCl_2$	—
VII-29	O	CH_2OMe	—
VII-30	O	CH_2OEt	—
VII-31	O	CH(Me)OMe	—
VII-32	O	CH(Me)OEt	—
VII-33	O	CH_2OPh	—

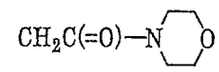
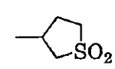
515

[Tabla 68]

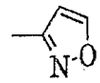
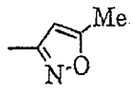
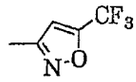
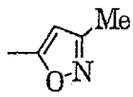
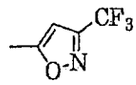
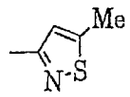
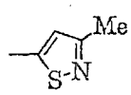
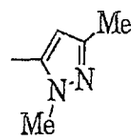
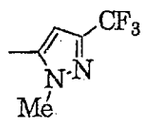
No. de Compuesto	X^1	R^1	R^2
VII-34	O	$CH_2OCH_2CH_2OMe$	—
VII-35	O	$CH_2OCH_2CF_3$	—
VII-36	O	$CH(Me)OCH_2CF_3$	—
VII-37	O		—
VII-38	O		—
VII-39	O	$CH_2OCH_2CH_2SO_2Me$	—
VII-40	O	$CH_2OCH_2CH_2CN$	—
VII-41	O	$CH_2OC(=O)t\text{-}Bu$	—
VII-42	O	CH_2SMe	—
VII-43	O	CH_2SMe	—
VII-44	O	CH_2SEt	—
VII-45	O	$CH_2S\text{-}n\text{-}Pr$	—
VII-46	O	$CH(Me)SMe$	—
VII-47	O	$CH(Me)SEt$	—
VII-48	O	$CH(Me)S\text{-}n\text{-}Pr$	—
VII-49	O	CH_2SOMe	—
VII-50	O	CH_2SOEt	—
VII-51	O	$CH_2SO\text{-}n\text{-}Pr$	—
VII-52	O	CH_2SO_2Me	—
VII-53	O	CH_2SO_2Et	—
VII-54	O	$CH_2SO_2\text{-}n\text{-}Pr$	—
VII-55	O	$CH(Me)SO_2Me$	—
VII-56	O	$CH(Me)SO_2Et$	—
VII-57	O	$CH(Me)SO_2\text{-}n\text{-}Pr$	—
VII-58	O	CH_2CH_2OH	—
VII-59	O	CH_2CH_2OMe	—
VII-60	O	CH_2CH_2OEt	—
VII-61	O	$CH(Me)CH_2OMe$	—
VII-62	O	CH_2CH_2SMe	—
VII-63	O	$CH_2CH_2SO_2Me$	—
VII-64	O	$CH_2CH_2CH_2OMe$	—
VII-65	O	$CH_2C(=O)Me$	—
VII-66	O	$CH_2C(=O)OMe$	—

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[Tabla 69]

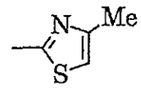
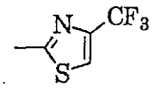
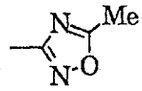
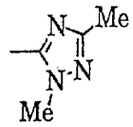
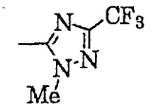
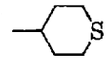
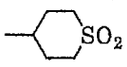
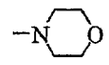
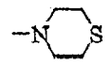
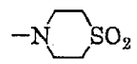
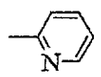
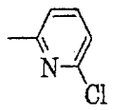
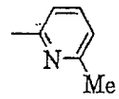
No. de Compuesto	X^1	R^1	R^2
VII-67	O	$CH_2C(=O)OEt$	—
VII-68	O	$CH_2C(=O)On\text{-}Pr$	—
VII-69	O	$CH_2C(=O)Oi\text{-}Pr$	—
VII-70	O	$CH_2C(=O)Ot\text{-}Bu$	—
VII-71	O	$CH_2C(=O)NMe_2$	—
VII-72	O		—
VII-73	O	CH_2CN	—
VII-74	O	CH_2CH_2CN	—
VII-75	O	$CH(Me)CH_2CN$	—
VII-76	O	$CH_2CH_2CH_2CN$	—
VII-77	O	$CH_2CH_2NO_2$	—
VII-78	O	Bn	—
VII-79	O	(2-F)Bn	—
VII-80	O	(3-F)Bn	—
VII-81	O	(4-F)Bn	—
VII-82	O	(2-Cl)Bn	—
VII-83	O	(3-Cl)Bn	—
VII-84	O	(4-Cl)Bn	—
VII-85	O	(2-Me)Bn	—
VII-86	O	(3-Me)Bn	—
VII-87	O	(4-Me)Bn	—
VII-88	O	$(2\text{-}CF_3)Bn$	—
VII-89	O	$(3\text{-}CF_3)Bn$	—
VII-90	O	$(4\text{-}CF_3)Bn$	—
VII-91	O	(2-OMe)Bn	—
VII-92	O	(3-OMe)Bn	—
VII-93	O	(4-OMe)Bn	—
VII-94	O	$(2,4\text{-}(OMe)_2)Bn$	—
VII-95	O	$(2,6\text{-}(OMe)_2)Bn$	—
VII-96	O	$(3,5\text{-}(OMe)_2)Bn$	—
VII-97	O	CH(Me)Ph	—
VII-98	O		—
VII-99	O		—
VII-100	O		—

[Tabla 70]

No. de Compuesto	X^1	R^1	R^2
VII-101	O		—
VII-102	O		—
VII-103	O		—
VII-104	O		—
VII-105	O		—
VII-106	O		—
VII-107	O		—
VII-108	O		—
VII-109	O		—
VII-110	O		—
VII-111	O		—
VII-112	O		—
VII-113	O		—
VII-114	O		—

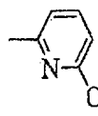
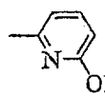
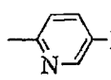
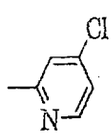
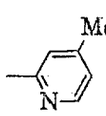
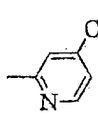
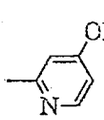
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[Tabla 71]

No. de Compuesto	X^1	R^1	R^2
VII-115	O		—
VII-116	O		—
VII-117	O		—
VII-118	O		—
VII-119	O		—
VII-120	O		—
VII-121	O		—
VII-122	O		—
VII-123	O		—
VII-124	O		—
VII-125	O		—
VII-126	O		—
VII-127	O		—
VII-128	O		—

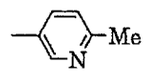
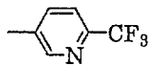
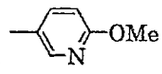
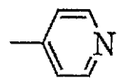
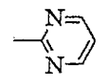
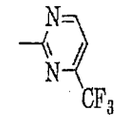
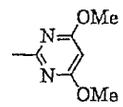
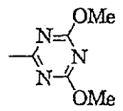
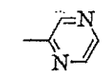
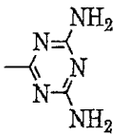
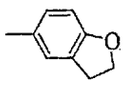
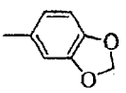
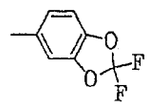
579

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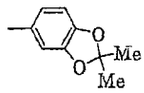
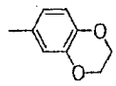
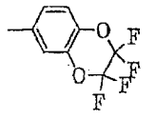
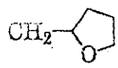
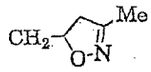
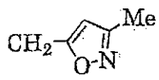
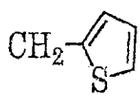
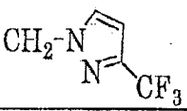
No. de Compuesto	X^1	R^1	R^2
VII-129	O		—
VII-130	O		—
VII-131	O		—
VII-132	O		—
VII-133	O		—
VII-134	O		—
VII-135	O		—
VII-136	O		—
VII-137	O		—
VII-138	O		—
VII-139	O		—
VII-140	O		—
VII-141	O		—

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[Tabla 73]

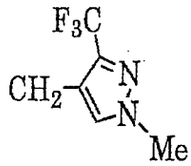
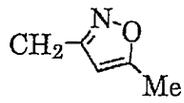
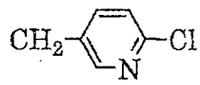
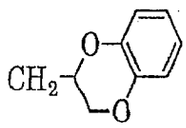
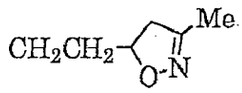
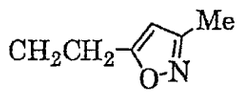
No. de Compuesto	X^1	R^1	R^2
VII-142	O		—
VII-143	O		—
VII-144	O		—
VII-145	O		—
VII-146	O		—
VII-147	O		—
VII-148	O		—
VII-149	O		—
VII-150	O		—
VII-151	O		—
VII-152	O		—
VII-153	O		—
VII-154	O		—

[Tabla 74]

No. de Compuesto	X^1	R^1	R^2
VII-155	O		—
VII-156	O		—
VII-157	O		—
VII-158	O		—
VII-159	O		—
VII-160	O		—
VII-161	O	NH_2	—
VII-162	O	NHMe	—
VII-163	O	NMe_2	—
VII-164	O	OMe	—
VII-165	O	OEt	—
VII-166	O	Me	6-F
VII-167	O	Me	6-Cl
VII-168	O	Me	6-OMe
VII-169	S	Me	—
VII-170	O	$CH_2CH_2CH=CH_2$	—
VII-171	O	$CH_2CH_2CH=C(Me)_2$	—
VII-172	O	$CH_2CH_2C\equiv CH$	—
VII-173	O	$CH_2CH_2C(Me)=CF_2$	—
VII-174	O	CH(Me)C(=O)Ot-Bu	—
VII-175	O	(2-$OCHF_2$)Bn	—
VII-176	O	CH_2CH_2Ph	—
VII-177	O		—
VII-178	O		—

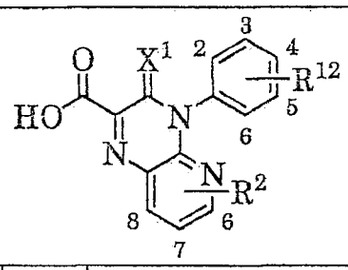
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[Tabla 75]

No. de Compuesto	X^1	R^1	R^2
VII-179	O		—
VII-180	O		—
VII-181	O		—
VII-182	O		—
VII-183	O		—
VII-184	O		—
VII-185	O	Bn	8-Me
VII-186	O	Bn	7-Me
VII-187	O	Bn	6-Me
VII-188	O	Bn	6-OMe

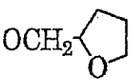
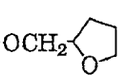
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[Tabla 76]



No. de Compuesto	X^1	R^{12}	R^2
VIII-1	O	—	—
VIII-2	O	2-F	—
VIII-3	O	3-F	—
VIII-4	O	4-F	—
VIII-5	O	2-Cl	—
VIII-6	O	3-Cl	—
VIII-7	O	4-Cl	—
VIII-8	O	2-Br	—
VIII-9	O	3-Br	—
VIII-10	O	4-Br	—
VIII-11	O	2-Me	—
VIII-12	O	3-Me	—
VIII-13	O	4-Me	—
VIII-14	O	2-Et	—
VIII-15	O	3-Et	—
VIII-16	O	4-Et	—
VIII-17	O	2-n-Pr	—
VIII-18	O	3-n-Pr	—
VIII-19	O	4-n-Pr	—
VIII-20	O	2-i-Pr	—
VIII-21	O	3-i-Pr	—
VIII-22	O	4-i-Pr	—
VIII-23	O	2-c-Pr	—
VIII-24	O	3-c-Pr	—
VIII-25	O	4-c-Pr	—
VIII-26	O	2-CF_3	—
VIII-27	O	3-CF_3	—
VIII-28	O	4-CF_3	—
VIII-29	O	2-OH	—
VIII-30	O	3-OH	—
VIII-31	O	4-OH	—

[Tabla 77]

No. de Compuesto	X^1	R^{12}	R^2
VIII-32	O	2-OMe	—
VIII-33	O	3-OMe	—
VIII-34	O	4-OMe	—
VIII-35	O	2-OEt	—
VIII-36	O	3-OEt	—
VIII-37	O	4-OEt	—
VIII-38	O	2-O-n-Pr	—
VIII-39	O	3-O-n-Pr	—
VIII-40	O	4-O-n-Pr	—
VIII-41	O	2-O-i-Pr	—
VIII-42	O	3-O-i-Pr	—
VIII-43	O	4-O-i-Pr	—
VIII-44	O	2-O-c-Pr	—
VIII-45	O	3-O-c-Pr	—
VIII-46	O	4-O-c-Pr	—
VIII-47	O	2-$OCH_2CH=CH_2$	—
VIII-48	O	3-$OCH_2CH=CH_2$	—
VIII-49	O	4-$OCH_2CH=CH_2$	—
VIII-50	O	2-$OCH_2C\equiv CH$	—
VIII-51	O	3-$OCH_2C\equiv CH$	—
VIII-52	O	4-$OCH_2C\equiv CH$	—
VIII-53	O	2-$OCHF_2$	—
VIII-54	O	3-$OCHF_2$	—
VIII-55	O	4-$OCHF_2$	—
VIII-56	O	2-OCF_3	—
VIII-57	O	3-OCF_3	—
VIII-58	O	4-OCF_3	—
VIII-59	O	2-OCH_2CH_2OMe	—
VIII-60	O	3-OCH_2CH_2OMe	—
VIII-61	O	4-OCH_2CH_2OMe	—
VIII-62	O	2- 	—
VIII-63	O	3- 	—
VIII-64	O	4- 	—

S&S

[Tabla 78]

No. de Compuesto	X^1	R^{12}	R^2
VIII-65	O	2-OC(=O)Me	—
VIII-66	O	3-OC(=O)Me	—
VIII-67	O	3-OC(=O)Me	—
VIII-68	O	2-SMe	—
VIII-69	O	3-SMe	—
VIII-70	O	4-SMe	—
VIII-71	O	2-SO_2Me	—
VIII-72	O	3-SO_2Me	—
VIII-73	O	4-SO_2Me	—
VIII-74	O	2-SCF_3	—
VIII-75	O	3-SCF_3	—
VIII-76	O	4-SCF_3	—
VIII-77	O	2-NO_2	—
VIII-78	O	3-NO_2	—
VIII-79	O	4-NO_2	—
VIII-80	O	2-NH_2	—
VIII-81	O	3-NH_2	—
VIII-82	O	4-NH_2	—
VIII-83	O	2-CN	—
VIII-84	O	3-CN	—
VIII-85	O	4-CN	—
VIII-86	O	2-C(=O)Me	—
VIII-87	O	3-C(=O)Me	—
VIII-88	O	4-C(=O)Me	—
VIII-89	O	2-C(=O)OH	—
VIII-90	O	3-C(=O)OH	—
VIII-91	O	4-C(=O)OH	—
VIII-92	O	2-C(=O)OMe	—
VIII-93	O	3-C(=O)OMe	—
VIII-94	O	4-C(=O)OMe	—
VIII-95	O	2-CH_2OMe	—
VIII-96	O	3-CH_2OMe	—
VIII-97	O	4-CH_2OMe	—
VIII-98	O	2,3-F_2	—
VIII-99	O	2,4-F_2	—

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[Tabla 79]

No. de Compuesto	X^1	R^{12}	R^2
VIII-100	O	2,5-F_2	—
VIII-101	O	2,6-F_2	—
VIII-102	O	3,4-F_2	—
VIII-103	O	3,5-F_2	—
VIII-104	O	2,3-Cl_2	—
VIII-105	O	2,4-Cl_2	—
VIII-106	O	2,5-Cl_2	—
VIII-107	O	2,6-Cl_2	—
VIII-108	O	3,4-Cl_2	—
VIII-109	O	3,5-Cl_2	—
VIII-110	O	2-F, 3-OMe	—
VIII-111	O	2-Cl, 3-OMe	—
VIII-112	O	2-Me, 3-OMe	—
VIII-113	O	2,3-$(OMe)_2$	—
VIII-114	O	3-OMe, 4-F	—
VIII-115	O	3-OMe, 4-Cl	—
VIII-116	O	3-OMe, 4-Me	—
VIII-117	O	3,4-$(OMe)_2$	—
VIII-118	O	3-OMe, 5-F	—
VIII-119	O	3-OMe, 5-Cl	—
VIII-120	O	3-OMe, 5-Me	—
VIII-121	O	3,5-$(OMe)_2$	—
VIII-122	O	2-F, 4-OMe	—
VIII-123	O	2-Cl, 4-OMe	—
VIII-124	O	2-Me, 4-OMe	—
VIII-125	O	2,4-$(OMe)_2$	—
VIII-126	O	3-F, 4-OMe	—
VIII-127	O	3-Cl, 4-OMe	—
VIII-128	O	3-Me, 4-OMe	—
VIII-129	O	2-F, 5-OMe	—
VIII-130	O	2-Cl, 5-OMe	—
VIII-131	O	2-Me, 5-OMe	—
VIII-132	O	2,5-$(OMe)_2$	—
VIII-133	O	3,4,5-$(OMe)_3$	—
VIII-134	O	—	6-F
VIII-135	O	4-OMe	6-F
VIII-136	O	—	6-Cl

[Tabla 80]

No. de Compuesto	X^1	R^{12}	R^2
VIII-137	O	4-OMe	6-Cl
VIII-138	O	—	6-OMe
VIII-139	O	4-OMe	6-OMe
VIII-140	S	—	—
VIII-141	S	4-OMe	—
VIII-142	O	2-F,5-CF_3	—
VIII-143	O	3-CF_3,4-F	—
VIII-144	O	2-F,3-CF_3	—
VIII-145	O	3-F,5-CF_3	—
VIII-146	O	2,3-$(Me)_2$	—
VIII-147	O	2,4-$(Me)_2$	—
VIII-148	O	2,5-$(Me)_2$	—
VIII-149	O	2,6-$(Me)_2$	—
VIII-150	O	3,4-$(Me)_2$	—
VIII-151	O	3,5-$(Me)_2$	—
VIII-152	O	3,5-$(CF_3)_2$	—
VIII-153	O	2,6-$(OMe)_2$	—
VIII-154	O	2-F, 3-Cl	—
VIII-155	O	2-F, 4-Cl	—
VIII-156	O	2-F, 5-Cl	—
VIII-157	O	3-F, 4-Cl	—
VIII-158	O	4-F, 2-Cl	—
VIII-159	O	4-F, 3-Cl	—
VIII-160	O	2-F, 3-Me	—
VIII-161	O	2-F, 4-Me	—
VIII-162	O	2-F, 5-Me	—
VIII-163	O	3-F, 2-Me	—
VIII-164	O	3-F, 4-Me	—
VIII-165	O	3-F, 5-Me	—
VIII-166	O	4-F, 2-Me	—
VIII-167	O	4-F, 3-Me	—
VIII-168	O	5-F, 2-Me	—
VIII-169	O	2-F, 4-CF_3	—
VIII-170	O	3-F, 4-CF_3	—
VIII-171	O	4-F, 2-CF_3	—
VIII-172	O	3-F, 2-OMe	—
VIII-173	O	4-F, 2-OMe	—

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[Tabla 81]

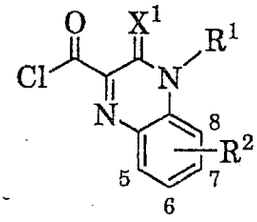
No. de Compuesto	X^1	R^{12}	R^2
VIII-174	O	5-F, 2-OMe	—
VIII-175	O	2-F, 4-$OCHF_2$	—
VIII-176	O	3-F, 4-$OCHF_2$	—
VIII-177	O	4-F, 2-$OCHF_2$	—
VIII-178	O	4-F, 3-CN	—
VIII-179	O	2-Cl, 4-Me	—
VIII-180	O	3-Cl, 4-Me	—
VIII-181	O	3-Cl, 4-$OCHF_2$	—
VIII-182	O	4-Me, 3-CF_3	—
VIII-183	O	4-Me, 2-OMe	—
VIII-184	O	3-Me, 4-CN	—
VIII-185	O	4-Me, 3-CN	—
VIII-186	O	2,3,4-F_3	—
VIII-187	O	2,3,5-F_3	—
VIII-188	O	2,4,5-F_3	—
VIII-189	O	3,4,5-F_3	—
VIII-190	O	2,3-F_2, 4-Me	—
VIII-191	O	2,6-F_2, 4-OMe	—
VIII-192	O	3,5-F_2, 4-OMe	—
VIII-193	O	4-F, 2-Cl, 5-Me	—
VIII-194	O	—	7-Cl
VIII-195	O	—	6-Me
VIII-196	O	—	7-Me
VIII-197	O	—	8-Me
VIII-198	O	3-Me	8-Me
VIII-199	O	3-CF_3	8-Me
VIII-200	O	4-OMe	8-Me
VIII-201	O	3-F, 4-Me	8-Me
VIII-202	O	3-F, 4-OMe	8-Me
VIII-203	O	—	8-OMe
VIII-204	O	3-CF_3	8-OMe
VIII-205	O	3-F, 4-Me	8-Cl
VIII-206	O	3-F, 4-Me	6-F
VIII-207	O	3-F, 4-Me	6-Cl
VIII-208	O	3-F, 4-Me	7-Me
VIII-209	O	3-F, 4-Me	6-Me
VIII-210	O	—	8-Cl
VIII-211	O	3-F, 4-Me	6-OMe
VIII-212	O	3-OEt	—
VIII-213	O	4-OEt	—
VIII-214	O	4-OMe	8-Cl
VIII-215	O	—	6-SMe
VIII-216	O	3,4-(OCH_2CH_2O)-	6-OMe
VIII-217	O	3-F, 4-OEt	—
VIII-218	O	3,4-(OCH_2O)-	8-Cl

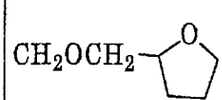
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Los ejemplos preferidos específicos de los compuestos representados por la fórmula [J1] y la fórmula [J2] los cuales son la producción de intermediarios de la presente invención se mostraran a continuación de la Tabla 82 a la Tabla 123. Sin embargo, el compuesto no está limitado a estos compuestos como en el caso de los compuestos de la presente invención.

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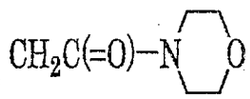
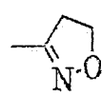
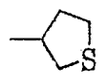
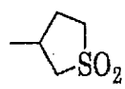
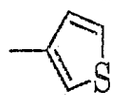
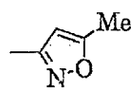
[Tabla 82]



No. de Compuesto	X^1	R^1	R^2
IX-1	O	Me	—
IX-2	O	Et	—
IX-3	O	n-Pr	—
IX-4	O	i-Pr	—
IX-5	O	c-Pr	—
IX-6	O	n-Bu	—
IX-7	O	t-Bu	—
IX-8	O	c-Pen	—
IX-9	O	n-Hex	—
IX-10	O	$CH_2CH=CH_2$	—
IX-11	O	$CH_2C\equiv CH$	—
IX-12	O	CH_2CF_3	—
IX-13	O	CH_2OMe	—
IX-14	O	CH_2OEt	—
IX-15	O	CH_2OPh	—
IX-16	O	$CH_2OCH_2CH_2OMe$	—
IX-17	O	$CH_2OCH_2CF_3$	—
IX-18	O		—
IX-19	O	$CH_2OCH_2CH_2SO_2Me$	—
IX-20	O	$CH_2OCH_2CH_2CN$	—
IX-21	O	$CH_2OC(=O)t\text{-}Bu$	—
IX-22	O	CH_2SMe	—
IX-23	O	CH_2SEt	—
IX-24	O	CH_2SO_2Me	—
IX-25	O	CH_2SO_2Et	—
IX-26	O	CH_2CH_2OMe	—
IX-27	O	$CH(Me)CH_2OMe$	—
IX-28	O	CH_2CH_2SMe	—
IX-29	O	$CH_2CH_2SO_2Me$	—
IX-30	O	$CH_2CH_2CH_2OMe$	—

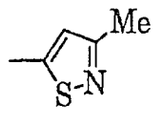
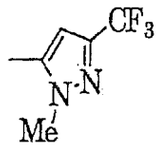
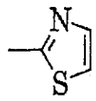
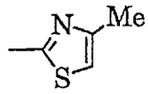
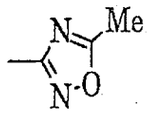
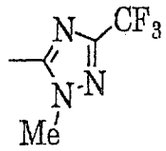
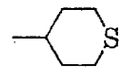
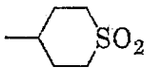
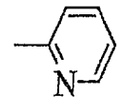
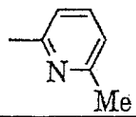
S91

[Tabla 83]

No. de Compuesto	X^1	R^1	R^2
IX-31	O	$CH_2C(=O)Me$	—
IX-32	O	$CH_2C(=O)O$-t-Bu	—
IX-33	O	$CH_2C(=O)NMe_2$	—
IX-34	O		—
IX-35	O	CH_2CN	—
IX-36	O	CH_2CH_2CN	—
IX-37	O	Bn	—
IX-38	O	(2-Cl)Bn	—
IX-39	O	(3-Cl)Bn	—
IX-40	O	(4-Cl)Bn	—
IX-41	O	(2-OMe)Bn	—
IX-42	O	(3-OMe)Bn	—
IX-43	O	(4-OMe)Bn	—
IX-44	O	(2,6-$(OMe)_2$)Bn	—
IX-45	O		—
IX-46	O		—
IX-47	O		—
IX-48	O		—
IX-49	O		—
IX-50	O		—

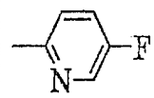
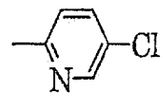
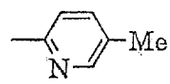
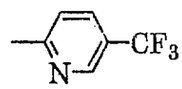
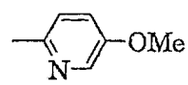
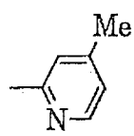
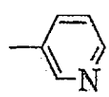
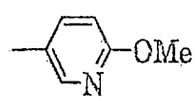
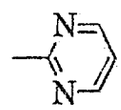
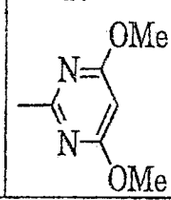
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[Tabla 84]

No. de Compuesto	X^1	R^1	R^2
IX-51	O		—
IX-52	O		—
IX-53	O		—
IX-54	O		—
IX-55	O		—
IX-56	O		—
IX-57	O		—
IX-58	O		—
IX-59	O		—
IX-60	O		—

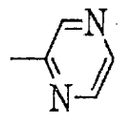
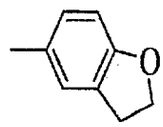
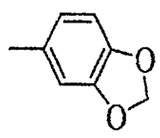
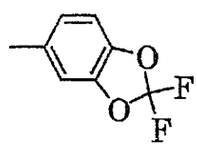
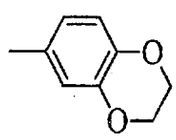
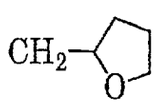
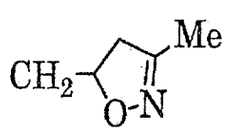
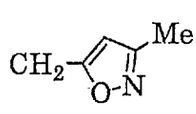
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[Tabla 85]

No. de Compuesto	X^1	R^1	R^2
IX-61	O		—
IX-62	O		—
IX-63	O		—
IX-64	O		—
IX-65	O		—
IX-66	O		—
IX-67	O		—
IX-68	O		—
IX-69	O		—
IX-70	O		—

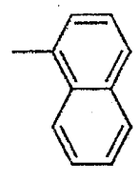
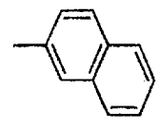
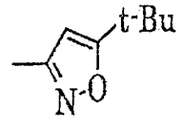
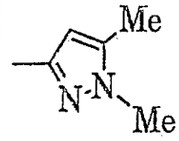
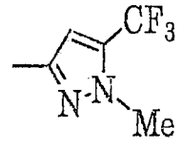
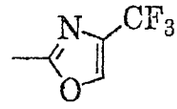
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[Tabla 86]

No. de Compuesto	X^1	R^1	R^2
IX-71	O		—
IX-72	O		—
IX-73	O		—
IX-74	O		—
IX-75	O		—
IX-76	O		—
IX-77	O		—
IX-78	O		—
IX-79	O	NHMe	—
IX-80	O	Me	6-F
IX-81	O	Me	7-F
IX-82	O	Me	5-Cl
IX-83	O	Me	6-Cl
IX-84	O	Me	7-Cl
IX-85	O	Me	8-Cl

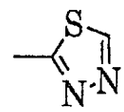
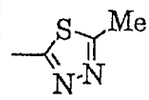
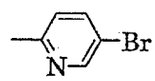
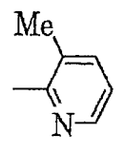
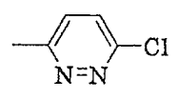
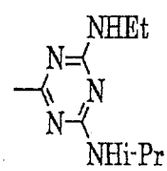
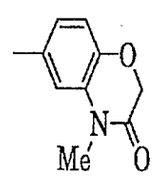
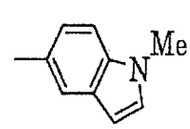
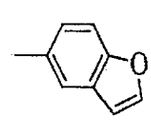
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[Tabla 87]

No. de Compuesto	X^1	R^1	R^2
IX-86	O	CH_2CH_2OMe	8-Cl
IX-87	O	Me	7-OMe
IX-88	O	Me	5-SMe
IX-89	O	Me	7-SMe
IX-90	O	Me	5-SO_2Me
IX-91	O	Me	7-SO_2Me
IX-92	O	Me	6-NO_2
IX-93	O	Me	7-NO_2
IX-94	S	Me	—
IX-95	O		—
IX-96	O		—
IX-97	O		—
IX-98	O		—
IX-99	O		—
IX-100	O		—

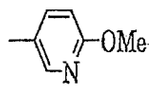
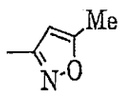
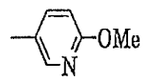
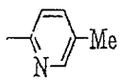
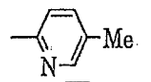
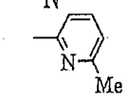
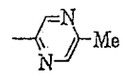
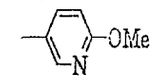
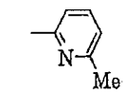
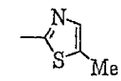
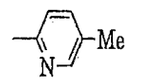
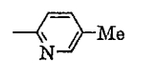
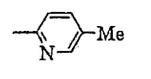
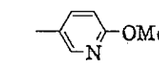
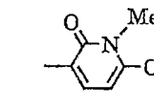
596

[Tabla 88]

No. de Compuesto	X^1	R^1	R^2
IX-101	O		—
IX-102	O		—
IX-103	O		—
IX-104	O		—
IX-105	O		—
IX-106	O		—
IX-107	O		—
IX-108	O		—
IX-109	O		—
IX-110	O	N(Me)C(=O)Ot-Bu	—

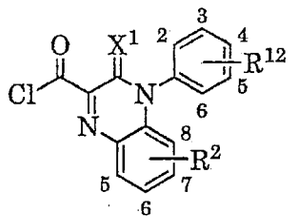
≤97

[Tabla 89]

No. de Compuesto	X^1	R^1	R^2
IX-111	O		5-F
IX-112	O	Bn	6-F
IX-113	O		6-F
IX-114	O	Me	5-CH_2OMe
IX-115	O		5,7-F_2
IX-116	O		7-Cl
IX-117	O	Et	5-Cl
IX-118	O	n-Bu	5-Cl
IX-119	O		7-Me
IX-120	O		6-CF_3
IX-121	O		—
IX-122	O		5-Cl
IX-123	O		6-F
IX-124	O		—
IX-125	O		5-F
IX-126	O		5-Cl
IX-127	O		5-Me
IX-128	O		5-Me
IX-129	O		—

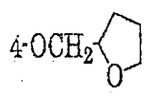
598

[Tabla 90]



No. de Compuesto	X^1	R^{12}	R^2
X-1	O	—	—
X-2	O	2-F	—
X-3	O	3-F	—
X-4	O	4-F	—
X-5	O	2-Cl	—
X-6	O	3-Cl	—
X-7	O	4-Cl	—
X-8	O	3-Me	—
X-9	O	4-Me	—
X-10	O	3-Et	—
X-11	O	4-Et	—
X-12	O	3-i-Pr	—
X-13	O	4-c-Pr	—
X-14	O	3-CF_3	—
X-15	O	4-CF_3	—
X-16	O	4-OH	—
X-17	O	2-OMe	—
X-18	O	3-OMe	—
X-19	O	4-OMe	—
X-20	O	3-OEt	—
X-21	O	4-OEt	—
X-22	O	3-O-n-Pr	—
X-23	O	3-O-i-Pr	—
X-24	O	4-O-i-Pr	—
X-25	O	4-$OCH_2CH{=}CH_2$	—
X-26	O	4-$OCH_2C{\equiv}CH$	—

[Tabla 91]

No. de Compuesto	X^1	R^{12}	R^2
X-27	O	3-$OCHF_2$	—
X-28	O	4-$OCHF_2$	—
X-29	O	3-OCF_3	—
X-30	O		—
X-31	O	3-SMe	—
X-32	O	4-SMe	—
X-33	O	3-SO_2Me	—
X-34	O	4-SO_2Me	—
X-35	O	2-NO_2	—
X-36	O	3-NO_2	—
X-37	O	4-NO_2	—
X-38	O	3-CN	—
X-39	O	4-CN	—
X-40	O	4-C(=O)Me	—
X-41	O	4-C(=O)OMe	—
X-42	O	4-CH_2OMe	—
X-43	O	3-OMe, 4-Cl	—
X-44	O	3-OMe, 4-Me	—
X-45	O	3,4-$(OMe)_2$	—
X-46	O	3,5-$(OMe)_2$	—
X-47	O	2-F, 4-OMe	—
X-48	O	2-Cl, 4-OMe	—
X-49	O	2,4-$(OMe)_2$	—
X-50	O	3-F, 4-OMe	—
X-51	O	3-Cl, 4-OMe	—
X-52	O	3-Me, 4-OMe	—
X-53	O	3,4,5-$(OMe)_3$	—
X-54	O	4-OMe	5-F
X-55	O	4-OMe	6-F
X-56	O	4-OMe	7-F

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[Tabla 92]

No. de Compuesto	X^1	R^{12}	R^2
X-57	O	4-OMe	8-F
X-58	O	—	5-Cl
X-59	O	—	8-Cl
X-60	O	4-OMe	5-Cl
X-61	O	4-OMe	6-Cl
X-62	O	4-OMe	7-Cl
X-63	O	4-OMe	8-Cl
X-64	O	4-OCH_2CN	—
X-65	O	4-OCH_2-c-Pr	—
X-66	O	4-OCH_2CF_3	—
X-67	O	4-NMe_2	—
X-68	O	3,4-Me_2	—
X-69	O	2-F, 4-Me	—
X-70	O	3-F, 4-Me	—
X-71	O	3-Me, 4-F	—
X-72	O	2-Cl, 4-Me	—
X-73	O	3-Cl, 4-Me	—
X-74	O	3-OEt, 4-OMe	—
X-75	O	2,3,4-$(OMe)_3$	—
X-76	O	2,5-F_2, 4-OMe	—
X-77	O	3,5-F_2, 4-OMe	—
X-78	O	3,5-Cl_2, 4-OMe	—
X-79	O	3,4-$(CH_2CH_2CH_2)$-	—
X-80	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
X-81	O	3,4-(CH_2OCH_2)-	—
X-82	O	3,4-(OCH_2O)-	7-F
X-83	O	2,3-(OCH_2CH_2O)-	—
X-84	O	3,4-$(OCH_2CH(Me)O)$-	—
X-85	O	3,4-$(OCH_2CH_2CH_2O)$-	—

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[Tabla 93]

No. de Compuesto	X^1	R^{12}	R^2
X-86	O	—	5-F
X-87	O	3,4,5-$(OMe)_3$	5-F
X-88	O	3,5-F_2, 4-OMe	5-F
X-89	O	3,4-(OCH_2CH_2O)-	5-F
X-90	O	—	6-F
X-91	O	3,4,5-$(OMe)_3$	6-F
X-92	O	3,4-(OCH_2O)-	6-F
X-93	O	3,4-(OCH_2CH_2O)-	6-F
X-94	O	—	7-F
X-95	O	3,4-(OCH_2CH_2O)-	7-F
X-96	O	—	8-F
X-97	O	—	5-Me
X-98	O	4-OMe	5-Me
X-99	O	4-OMe	6-Me
X-100	O	4-OMe	7-Me
X-101	O	3,5-F_2, 4-OMe	7-Me
X-102	O	—	6-CF_3
X-103	O	—	6-OMe
X-104	O	4-OMe	6-OMe
X-105	O	—	7-OMe
X-106	O	4-OMe	7-OMe
X-107	O	2,5-F_2, 4-OMe	7-OMe
X-108	O	3,5-F_2, 4-OMe	7-OMe
X-109	O	—	8-OMe
X-110	O	4-OMe	8-OMe
X-111	O	4-OMe	5,6-F_2
X-112	O	4-OMe	5,7-F_2
X-113	O	—	6,7-F_2

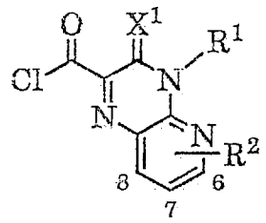
602

[Tabla 94]

No. de Compuesto	X^1	R^{12}	R^2
X-114	O	—	6,8-F_2
X-115	O	4-OMe	5,7-Cl_2
X-116	O	4-OMe	6-F, 7-OMe
X-117	O	3-F, 4-OMe	5-Cl
X-118	O	4-OMe	5,6,8-F_3, 7-OMe
X-119	O	—	7-CF_3
X-120	O	4-F	7-OMe
X-121	O	4-$OCHF_2$	7-OMe
X-122	O	4-Me	7-OMe

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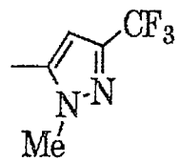
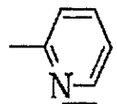
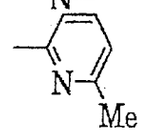
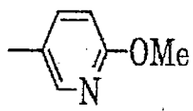
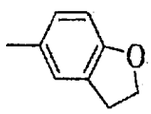
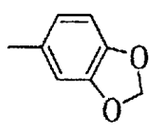
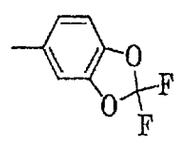
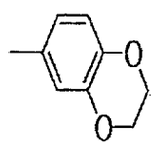
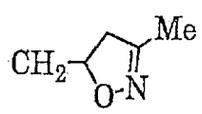
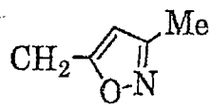
[Tabla 95]



No. de Compuesto	X^1	R^1	R^2
XI-1	O	Me	—
XI-2	O	Et	—
XI-3	O	n-Pr	—
XI-4	O	i-Pr	—
XI-5	O	n-Bu	—
XI-6	O	s-Bu	—
XI-7	O	$CH_2CH=CH_2$	—
XI-8	O	$CH_2C\equiv CH$	—
XI-9	O	CH_2CF_3	—
XI-10	O	CH_2CH_2F	—
XI-11	O	$CH_2OCH_2CF_3$	—
XI-12	O	CH_2SMe	—
XI-13	O	CH_2CH_2OMe	—
XI-14	O	$CH(Me)CH_2OMe$	—
XI-15	O	$CH_2C(=O)OEt$	—
XI-16	O	$CH_2C(=O)Ot\text{-}Bu$	—
XI-17	O	Bn	—
XI-18	O	(2-F)Bn	—
XI-19	O	(2-Cl)Bn	—
XI-20	O	(2-CF_3)Bn	—
XI-21	O	(3-CF_3)Bn	—
XI-22	O	(2-OMe)Bn	—
XI-23	O	CH(Me)Ph	—
XI-24	O		—

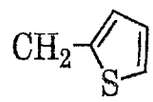
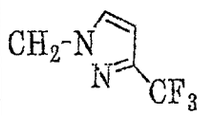
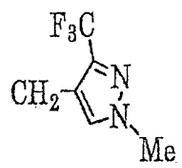
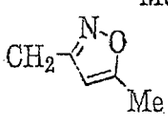
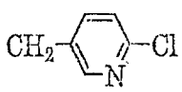
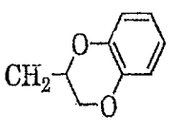
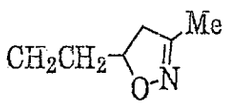
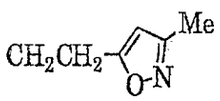
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[Tabla 96]

No. de Compuesto	X^1	R^1	R^2
XI-25	O		—
XI-26	O		—
XI-27	O		—
XI-28	O		—
XI-29	O		—
XI-30	O		—
XI-31	O		—
XI-32	O		—
XI-33	O		—
XI-34	O		—
XI-35	O	Me	6-Cl

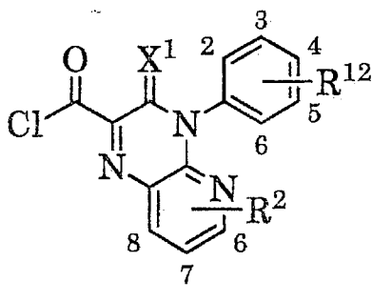
602

[Tabla 97]

No. de Compuesto	X^1	R^1	R^2
XI-36	O	Me	6-OMe
XI-37	O	$CH_2CH_2CH=CH_2$	—
XI-38	O	$CH_2CH_2CH=C(Me)_2$	—
XI-39	O	$CH_2CH_2C\equiv CH$	—
XI-40	O	$CH_2CH_2C(Me)=CF_2$	—
XI-41	O	CH(Me)C(=O)Ot-Bu	—
XI-42	O	(2-OCHF_2)Bn	—
XI-43	O	CH_2CH_2Ph	—
XI-44	O		—
XI-45	O		—
XI-46	O		—
XI-47	O		—
XI-48	O		—
XI-49	O		—
XI-50	O		—
XI-51	O		—
XI-52	O	Bn	8-Me
XI-53	O	Bn	7-Me
XI-54	O	Bn	6-Me
XI-55	O	Bn	6-OMe

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[Tabla 98]



No. de Compuesto	X^1	R^{12}	R^2
XII-1	O	—	—
XII-2	O	2-F	—
XII-3	O	3-F	—
XII-4	O	4-F	—
XII-5	O	2-Cl	—
XII-6	O	3-Cl	—
XII-7	O	4-Cl	—
XII-8	O	3-Br	—
XII-9	O	4-Br	—
XII-10	O	2-Me	—
XII-11	O	3-Me	—
XII-12	O	4-Me	—
XII-13	O	3-Et	—
XII-14	O	4-Et	—
XII-15	O	4-i-Pr	—
XII-16	O	4-c-Pr	—
XII-17	O	2-CF_3	—
XII-18	O	3-CF_3	—
XII-19	O	4-CF_3	—
XII-20	O	2-OMe	—
XII-21	O	3-OMe	—
XII-22	O	4-OMe	—
XII-23	O	2-$OCHF_2$	—
XII-24	O	3-$OCHF_2$	—
XII-25	O	4-$OCHF_2$	—

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[Tabla 99]

No. de Compuesto	X^1	R^{12}	R^2
XII-26	O	2-OCF_3	—
XII-27	O	3-OCF_3	—
XII-28	O	4-OCF_3	—
XII-29	O	4-SCF_3	—
XII-30	O	3-CN	—
XII-31	O	2,3-F_2	—
XII-32	O	2,4-F_2	—
XII-33	O	2,5-F_2	—
XII-34	O	2,6-F_2	—
XII-35	O	3,4-F_2	—
XII-36	O	3,5-F_2	—
XII-37	O	2,3-Cl_2	—
XII-38	O	2,4-Cl_2	—
XII-39	O	2,5-Cl_2	—
XII-40	O	2,6-Cl_2	—
XII-41	O	3,4-Cl_2	—
XII-42	O	3,5-Cl_2	—
XII-43	O	2,3-$(OMe)_2$	—
XII-44	O	3-OMe, 4-F	—
XII-45	O	3-OMe, 4-Me	—
XII-46	O	3,4-$(OMe)_2$	—
XII-47	O	3-OMe, 5-F	—
XII-48	O	3,5-$(OMe)_2$	—
XII-49	O	2-F, 4-OMe	—
XII-50	O	2,4-$(OMe)_2$	—
XII-51	O	3-F, 4-OMe	—
XII-52	O	3-Cl, 4-OMe	—
XII-53	O	3-Me, 4-OMe	—
XII-54	O	2,5-$(OMe)_2$	—
XII-55	O	3,4,5-$(OMe)_3$	—

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[Tabla 100]

No. de Compuesto	X^1	R^{12}	R^2
XII-56	O	—	6-F
XII-57	O	4-OMe	6-F
XII-58	O	—	6-Cl
XII-59	O	4-OMe	6-Cl
XII-60	O	4-OMe	6-OMe
XII-61	O	2-F,5-CF_3	—
XII-62	O	3-CF_3,4-F	—
XII-63	O	2-F,3-CF_3	—
XII-64	O	3-F,5-CF_3	—
XII-65	O	2,5-$(Me)_2$	—
XII-66	O	3,4-$(Me)_2$	—
XII-67	O	3,5-$(Me)_2$	—
XII-68	O	3,5-$(CF_3)_2$	—
XII-69	O	2,6-$(OMe)_2$	—
XII-70	O	2-F, 3-Cl	—
XII-71	O	2-F, 4-Cl	—
XII-72	O	2-F, 5-Cl	—
XII-73	O	3-F, 4-Cl	—
XII-74	O	4-F, 2-Cl	—
XII-75	O	4-F, 3-Cl	—
XII-76	O	2-F, 3-Me	—
XII-77	O	2-F, 4-Me	—
XII-78	O	2-F, 5-Me	—
XII-79	O	3-F, 2-Me	—
XII-80	O	3-F, 4-Me	—

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[Tabla 101]

No. de Compuesto	X^1	R^{12}	R^2
XII-81	O	3-F, 5-Me	—
XII-82	O	4-F, 2-Me	—
XII-83	O	4-F, 3-Me	—
XII-84	O	5-F, 2-Me	—
XII-85	O	2-F, 4-CF_3	—
XII-86	O	3-F, 4-CF_3	—
XII-87	O	4-F, 2-CF_3	—
XII-88	O	3-F, 2-OMe	—
XII-89	O	4-F, 2-OMe	—
XII-90	O	5-F, 2-OMe	—
XII-91	O	2-F, 4-$OCHF_2$	—
XII-92	O	3-F, 4-$OCHF_2$	—
XII-93	O	4-F, 2-$OCHF_2$	—
XII-94	O	4-F, 3-CN	—
XII-95	O	2-Cl, 4-Me	—
XII-96	O	3-Cl, 4-Me	—
XII-97	O	3-Cl, 4-$OCHF_2$	—
XII-98	O	4-Me, 3-CF_3	—
XII-99	O	4-Me, 2-OMe	—
XII-100	O	3-Me, 4-CN	—
XII-101	O	4-Me, 3-CN	—
XII-102	O	2,3,4-F_3	—
XII-103	O	2,3,5-F_3	—
XII-104	O	2,4,5-F_3	—
XII-105	O	3,4,5-F_3	—
XII-106	O	2,3-F_2, 4-Me	—
XII-107	O	2,6-F_2, 4-OMe	—

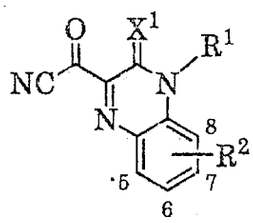
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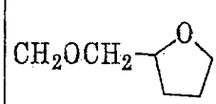
[Tabla 102]

No. de Compuesto	X^1	R^{12}	R^2
XII-108	O	3,5-F_2, 4-OMe	—
XII-109	O	4-F, 2-Cl, 5-Me	—
XII-110	O	—	7-Cl
XII-111	O	—	6-Me
XII-112	O	—	7-Me
XII-113	O	—	8-Me
XII-114	O	3-Me	8-Me
XII-115	O	3-CF_3	8-Me
XII-116	O	4-OMe	8-Me
XII-117	O	3-F, 4-Me	8-Me
XII-118	O	3-F, 4-OMe	8-Me
XII-119	O	—	8-OMe
XII-120	O	3-CF_3	8-OMe
XII-121	O	3-F, 4-Me	8-Cl
XII-122	O	3-F, 4-Me	6-F
XII-123	O	3-F, 4-Me	6-Cl
XII-124	O	3-F, 4-Me	7-Me
XII-125	O	3-F, 4-Me	6-Me
XII-126	O	—	8-Cl
XII-127	O	3-F, 4-Me	6-OMe
XII-128	O	3-OEt	—
XII-129	O	4-OEt	—
XII-130	O	4-OMe	8-Cl
XII-131	O	—	6-SMe
XII-132	O	3,4-(OCH_2CH_2O)-	6-OMe
XII-133	O	3-F, 4-OEt	—
XII-134	O	3,4-(OCH_2O)-	8-Cl

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[Tabla 103]



No. de Compuesto	X^1	R^1	R^2
XIII-1	O	Me	—
XIII-2	O	Et	—
XIII-3	O	n-Pr	—
XIII-4	O	i-Pr	—
XIII-5	O	c-Pr	—
XIII-6	O	n-Bu	—
XIII-7	O	t-Bu	—
XIII-8	O	c-Pen	—
XIII-9	O	n-Hex	—
XIII-10	O	$CH_2CH=CH_2$	—
XIII-11	O	$CH_2C\equiv CH$	—
XIII-12	O	CH_2CF_3	—
XIII-13	O	CH_2OMe	—
XIII-14	O	CH_2OEt	—
XIII-15	O	CH_2OPh	—
XIII-16	O	$CH_2OCH_2CH_2OMe$	—
XIII-17	O	$CH_2OCH_2CF_3$	—
XIII-18	O		—
XIII-19	O	$CH_2OCH_2CH_2SO_2Me$	—
XIII-20	O	$CH_2OCH_2CH_2CN$	—
XIII-21	O	$CH_2OC(=O)t\text{-}Bu$	—
XIII-22	O	CH_2SMe	—
XIII-23	O	CH_2SEt	—
XIII-24	O	CH_2SO_2Me	—
XIII-25	O	CH_2SO_2Et	—
XIII-26	O	CH_2CH_2OMe	—
XIII-27	O	$CH(Me)CH_2OMe$	—
XIII-28	O	CH_2CH_2SMe	—
XIII-29	O	$CH_2CH_2SO_2Me$	—
XIII-30	O	$CH_2CH_2CH_2OMe$	—

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3-metilbutilo, 1-etilpropilo, 1,1-dimetilpropilo, 1,2-dimetilpropilo, neopentilo, n-hexilo, 1-metilpentilo, 2-metilpentilo, 3-metilpentilo, 4-metilpentilo, 1-etilbutilo, 2-etilbutilo, 1,1-dimetilbutilo, 1,2-dimetilbutilo, 1,3-dimetilbutilo, 2,2-dimetilbutilo, 2,3-dimetilbutilo, 3,3-dimetilbutilo, 1,1,2-trimetilpropilo, 1,2,2-trimetilpropilo, 1-etil-1-metilpropilo y 1-etil-2-metilpropilo, por ejemplo. Un grupo alquilo preferido que tiene de 1 a 6 átomos de carbono se puede ejemplificar a través de un grupo alquilo de cadena recta o ramificada que tiene 1 a 4, o 1 a 3, átomos de carbono.

El grupo C_1-C_{12} alquilo representa, a menos que se limite de manera particular, un grupo alquilo de cadena recta o ramificada que tiene de 1 a 12 átomos de carbono, y además de los ejemplos del grupo C_1-C_6 alquilo, se pueden incluir, por ejemplo, grupos tales como grupos n-heptilo, 1-metilhexilo, 5-metilhexilo, 1,1-dimetilpentilo, 2,2-dimetilpentilo, 4,4-dimetilpentilo, 1-etilpentilo, 2-etilpentilo, 1,1,3-trimetilbutilo, 1,2,2-trimetilbutilo, 1,3,3-trimetilbutilo, 2,2,3-trimetilbutilo, 2,3,3-trimetilbutilo, 1-propilbutilo, 1,1,2,2-tetrametilpropilo, n-octilo, 1-metilheptilo, 3-metilheptilo, 6-metilheptilo, 2-etilhexilo, 5,5-dimetilhexilo, 2,4,4-trimetilpentilo, 1-etil-1-metilpentilo, nonilo, 1-metiloctilo, 2-metiloctilo, 3-metiloctilo, 7-metiloctilo, 1-etilheptilo, 1,1-dimetilheptilo, 6,6-dimetilheptilo, decilo, 1-metilnonilo, 2-metilnonilo, 6-metilnonilo, 1-etiloctilo, 1-propilheptilo, n-nonilo, n-decilo,

n-undecilo y n-dodecilo. Un grupo alquilo preferido que tiene 1 a 12 átomos de carbono, se puede ejemplificar a través de un grupo alquilo de cadena recta o ramificada que tiene 1 a 8, 1 a 6, o 1 a 3, átomos de carbono.

El grupo C_3-C_8 cicloalquilo representa, a menos que se limite de manera particular, un grupo cicloalquilo que tiene de 3 a 8 átomos de carbono, y se pueden incluir, por ejemplo, grupos tales como ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo. Un grupo cicloalquilo preferido que tiene de 3 a 8 átomos de carbono puede ser ejemplificado a través de un grupo cicloalquilo que tiene 3 a 6, o 4 a 6, átomos de carbono.

El grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo representa, a menos que se limite de manera particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono, en el cual la parte cicloalquilo y la parte alquilo, respectivamente, tienen los mismos significados a los descritos anteriormente, y se pueden incluir grupos tales como ciclopropilmetilo, 1-ciclopropiletilo, 2-ciclopropiletilo, 1-ciclopropilpropilo, 2-ciclopropilpropilo, 3-ciclopropilpropilo, ciclobutilmetilo, ciclopentilmetilo y ciclohexilmetilo.

El grupo C_1-C_6 haloalquilo representa un grupo alquilo de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con uno o más, preferentemente 1 a 10, y más preferentemente 1 a 5 átomos de halógeno, y se pueden incluir

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grupos tales como fluorometilo, clorometilo, bromometilo, difluorometilo, diclorometilo, trifluorometilo, triclorometilo, clorodifluorometilo, bromodifluorometilo, 2-fluoroetilo, 1-cloroetilo, 2-cloroetilo, 1-bromoetilo, 2-bromoetilo, 2,2-difluoroetilo, 1,2-dicloroetilo, 2,2-dicloroetilo, 2,2,2-trifluoroetilo, 2,2,2-tricloroetilo, 1,1,2,2-tetrafluoroetilo, pentafluoroetilo, 2-bromo-2-cloroetilo, 2-cloro-1,1,2,2-tetrafluoroetilo, 1-cloro-1,2,2,2-tetrafluoroetilo, 1-cloropropilo, 2-cloropropilo, 3-cloropropilo, 2-bromopropilo, 3-bromopropilo, 2-bromo-1-metiletilo, 3-yodopropilo, 2,3-dicloropropilo, 2,3-dibromopropilo, 3,3,3-trifluoropropilo, 3,3,3-tricloropropilo, 3-bromo-3,3-difluoropropilo, 3,3-dicloro-3-fluoropropilo, 2,2,3,3-tetrafluoropropilo, l-bromo-3,3,3-trifluoropropilo, 2,2,3,3,3-pentafluoropropilo, 2,2,2-trifluoro-1-trifluorometiletilo, heptafluoropropilo, 1,2,2,2-tetrafluoro-1-trifluorometiletilo, 2,3-dicloro-1,1,2,3,3-pentafluoropropilo, 2-clorobutilo, 3-clorobutilo, 4-clorobutilo, 2-cloro-1,1-dimetiletilo, 4-bromobutilo, 3-bromo-2-metilpropilo, 2-bromo-1,1-dimetiletilo, 2,2-dicloro-1,1-dimetiletilo, 2-cloro-1-clorometil-2-metiletilo, 4,4,4-trifluorobutilo, 3,3,3-trifluoro-1-metilpropilo, 3,3,3-trifluoro-2-metilpropilo, 2,3,4-triclorobutilo, 2,2,2-tricloro-1,1-dimetiletilo, 4-cloro-4,4-difluorobutilo, 4,4-dicloro-4-fluorobutilo, 4-bromo-4,4-difluorobutilo, 2,4-dibromo-4,4-difluorobutilo, 3,4-dicloro-3,4,4-trifluorobutilo,

3,3-dicloro-4,4,4-trifluorobutilo,
4-bromo-3,3,4,4-tetrafluorobutilo,
4-bromo-3-cloro-3,4,4-trifluorobutilo,
2,2,3,3,4,4-hexafluorobutilo, 2,2,3,4,4,4-hexafluorobutilo,
2,2,2-trifluoro-1-metil-1-trifluorometiletilo,
3,3,3-trifluoro-2-trifluorometilpropilo,
2,2,3,3,4,4,4-heptafluorobutilo,
2,3,3,3-tetrafluoro-2-trifluorometilpropilo,
1,1,2,2,3,3,4,4-octafluorobutilo, nonafluorobutilo,
4-cloro-1,1,2,2,3,3,4,4-octafluorobutilo, 5-fluoropentilo,
5-cloropentilo, 5,5-difluoropentilo, 5,5-dicloropentilo,
5,5,5-trifluoropentilo, 6,6,6-trifluorohexilo y
5,5,5,6,6,6-hexafluorohexilo.

El grupo C_2-C_6 alquenilo representa, a menos que se limite en forma particular, un grupo alquenilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono, y se pueden incluir, por ejemplo, grupos tales como vinilo, 1-propenilo, isopropenilo, 2-propenilo, 1-butenilo, 1-metil-1-propenilo, 2-butenilo, 1-metil-2-propenilo, 3-butenilo, 2-metil-1-propenilo, 2-metil-2-propenilo, 1,3-butadienilo, 1-pentenilo, 1-etil-2-propenilo, 2-pentenilo, 1-metil-1-butenilo, 3-pentenilo, 1-metil-2-butenilo, 4-pentenilo, 1-metil-3-butenilo, 3-metil-1-butenilo, 1,2-dimetil-2-propenilo, 1,1-dimetil-2-propenilo, 2-metil-2-butenilo, 3-metil-2-butenilo, 1,2-dimetil-1-propenilo, 2-metil-3-butenilo, 3-metil-3-butenilo,

1,3-pentadienilo, 1-vinil-2-propenilo, 1-hexenilo, 1-propil-2-propenilo, 2-hexenilo, 1-metil-1-pentenilo, 1-etil-2-butenilo, 3-hexenilo, 4-hexenilo, 5-hexenilo, 1-metil-4-pentenilo, 1-etil-3-butenilo, 1-(isobutil)vinilo, 1-etil-1-metil-2-propenilo, 1-etil-2-metil-2-propenilo, 1-(isopropil)-2-propenilo, 2-metil-2-pentenilo, 3-metil-3-pentenilo, 4-metil-3-pentenilo, 1,3-dimetil-2-butenilo, 1,1-dimetil-3-butenilo, 3-metil-4-pentenilo, 4-metil-4-pentenilo, 1,2-dimetil-3-butenilo, 1,3-dimetil-3-butenilo, 1,1,2-trimetil-2-propenilo, 1,5-hexadienilo, 1-vinil-3-butenilo y 2,4-hexadienilo. Un grupo alquenilo preferido que tiene 2 a 6 átomos de carbono puede ser ejemplificado a través de un grupo alquenilo de caden arecta y ramificada que tiene 2 a 4 átomos de carbono.

El grupo C_2-C_6 alquinilo representa, a menos que se limite en forma particular, un grupo alquinilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono, y se pueden incluir, por ejemplo, grupos tales como etinilo, 1-propinilo, 2-propinilo, 1-butinilo, 1-metil-2-propinilo, 2-butinilo, 3-butinilo, 1-pentinilo, 1-etil-2-propinilo, 2-pentinilo, 3-pentinilo, 1-metil-2-butinilo, 4-pentinilo, 1-metil-3-butinilo, 2-metil-3-butinilo, 1-hexinilo, 1-(n-propil)-2-propinilo, 2-hexinilo, 1-etil-2-butinilo, 3-hexinilo, 1-metil-2-pentinilo, 1-metil-3-pentinilo, 4-metil-1-pentinilo, 3-metil-1-pentinilo, 5-hexinilo, 1-etil-3-butinilo, 1-etil-1-metil-2-propinilo, 1-(isopropil)-2-propinilo,

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1,1-dimetil-2-butinilo y 2,2-dimetil-3-butinilo. Un grupo alquinilo preferido que tiene 2 a 6 átomos de carbono, se puede ejemplificar a través de un grupo alquinilo de cadena recta o ramificada que tiene 2 a 4 átomos de carbono.

El grupo C_2-C_6 alcoxi representa, a menos que se limite en forma particular, un grupo alcoxi de cadena recta o ramificada que tiene 1 a 6 átomos de carbono, y se pueden incluir grupos tales como metoxi, etoxi, propoxi, isopropoxi, butoxi, pentiloxi y hexiloxi. Un grupo alcoxi preferido que tiene 1 a 6 átomos de carbono se puede ejemplificar a través de un grupo alcoxi de cadena recta o ramificada que 1 a 4, o 1 a 3, átomos de carbono.

El grupo C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene de 1 a 6 átomos de carbono substituidos con un grupo alcoxi que tiene 1 a 6 átomos de carbono, en el cual la porción alquilo y la porción alcoxi respectivamente tienen respectivamente los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo, grupos tales como metoximetilo, etoximetilo, isopropoximetilo, pentiloximetilo, metoxietilo y butoxietilo.

El grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituidos con un grupo alcoxi que tiene 1 a 6 átomos de carbono, en donde la porción alcoxi tiene el mismo significado descrito anteriormente, y se pueden incluir, por ejemplo grupos tales como metoximetoxi, etoximetoxi, 2-metoxietoxi y 2-etoxietoxi.

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El grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi representa un grupo (alquil)-O- que tiene 1 a 6 átomos de carbono substituidos con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono, en el cual la porción cicloalquilo y la porción alquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo, grupos tales como ciclopropilmetiloxi, ciclopropiletiloxi y ciclopentilmetilo. Un cicloalquilo preferido que tiene 3 a 8 átomos de carbono, se puede ejemplificar a través de un grupo cicloalquilo que tiene 3 a 6 átomos de carbono.

El grupo ciano-C_1-C_6 alcoxi representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituido con un grupo ciano, en donde la porción alcoxi tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo, grupos tales como 2-cianoetoxi y 3-cianopropoxi.

El grupo C_3-C_8 cicloalquiloxi representa, a menos que se limite en forma particular, un grupo (cicloalquil)-O- que tiene 3 a 8 átomos de carbono en donde la porción cicloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como ciclopropiloxi, ciclobutiloxi, ciclopentiloxi y ciclohexiloxi.

El grupo C_2-C_6 alqueniloxi representa, a menos que se limite en forma particular, un grupo (alquenil)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden mencionar grupos

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tales como 2-propeniloxi.

El grupo C_2-C_6 alquinilooxi representa, a menos que se limite en forma particular, un grupo (alquinilo)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como 2-propiniloxi.

El grupo C_1-C_6 alquiltio representa un grupo (alquil)-S- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como metiltio, etiltio, n-propiltio y isopropiltio.

El grupo C_1-C_6 alquilsulfinilo representa un grupo (alquil)-SO- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfinilo, etilsulfinilo, n-propilsulfinilo y isopropilsulfinilo.

El grupo C_1-C_6 alquilsulfonilo representa un grupo (alquil)-SO_2- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfonilo, etilsulfonilo, n-propilsulfonilo y isopropilsulfonilo.

El grupo C_1-C_6 alquilsulfoniloxi representa un grupo (alquil)-SO_2-O- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfoniloxi

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y etilsulfoniloxi.

El grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituidos con un grupo alquilsulfonilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilsulfonilo y la porción alcoxi tienen respectivamente los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(metilsulfonil)etoxi y 2-(etilsulfonil)etoxi.

El grupo mono(C_1-C_6 alquil)amino representa un grupo (alquil)-NH- que tiene 1 a 6 átomos de carbono en el cual la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilamino y etilamino.

El grupo di (C_1-C_6 alquil)amino representa un grupo $(alquil)_2N$- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como dimetilamino, dietilamino, metiletilamino, dipropilamino y dibutilamino.

El grupo mono(C_1-C_6 alquil)aminocarbonilo representa un grupo (alquil)-NH-C (=O)- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metilaminocarbonilo y etilaminocarbonilo.

El grupo di (C_1-C_6 alquil)aminocarbonilo representa un grupo $(alquil)_2N$-C(=O)- que tiene 1 a 6 átomos de carbono en

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donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden mencionar por ejemplo grupos tales como dimetilaminocarbonilo, dietilaminocarbonilo, metiletilaminocarbonilo, dipropilaminocarbonilo y dibutilaminocarbonilo.

El grupo C_1-C_6 alcoxicarbonilo representa un grupo (alquil)-OC(=O)- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como metoxicarbonilo, etoxicarbonilo, n-propoxicarbonilo y isopropoxicarbonilo.

El grupo C_1-C_6 acilo representa un grupo acilo derivado de un ácido carboxílico alifático de cadena recta o ramificada que tiene 1 a 6 átomos de carbono, y se pueden incluir grupos tales como formilo, acetilo, propionilo, isopropionilo, butirilo y pivaloilo.

El grupo C_1-C_6 alquilcarboniloxi representa un grupo (alquil)-C(=O)-O- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como acetoxi, propioniloxi, isopropioniloxi y pivaloiloxi.

El grupo C_2-C_6 alquenilcarboniloxi representa un grupo (alquenil)-C(=O)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como

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1-propenilcarboniloxi, 2-propenilcarboniloxi, 1-butenilcarboniloxi y 1-metil-1-propenilcarboniloxi.

El grupo C_2-C_6 alquinilocarboniloxi representa un grupo (alquinilo)-C(=O)-O- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 1-propinilcarboniloxi y 2-propinilcarboniloxi.

El grupo C_1-C_6 haloalquiltio representa un grupo (haloalquil)-S- que tiene 1 a 6 átomos de carbono en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometiltio y trifluorometiltio.

El grupo C_1-C_6 haloalquilsulfinilo representa un grupo (haloalquil)-SO- que tiene 1 a 6 átomos de carbono en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como clorometilsulfinilo, difluorometilsulfinilo y trifluorometilsulfinilo.

El grupo C_1-C_6 haloalquilsulfonilo representa un grupo (haloalquil)-SO_2- que tiene 1 a 6 átomos de carbono en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como clorometilsulfonilo, difluorometilsulfonilo y trifluorometilsulfonilo.

El grupo mono(C_1-C_6 alquil)aminocarbonilo representa un grupo (alquil)NH-C(=O)- que tiene 1 a 6 átomos de carbono en donde la porción alquilo tiene el mismo significado definido

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anteriormente, y se pueden incluir por ejemplo grupos tales como metilaminocarbonilo y etilaminocarbonilo.

El grupo di (C_1-C_6 alquil)aminocarbonilo representa un grupo (alquil)$_2$N-C(=O)- en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como dimetilaminocarbonilo, dietilaminocarbonilo, metiletilaminocarbonilo, dipropilaminocarbonilo y dibutilaminocarbonilo.

El grupo C_2-C_6 alqueniltio representa un grupo (alquenil)-S- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como aliltio.

El grupo C_2-C_6 alquenilsulfinilo representa un grupo (alquenil)-SO- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como alilsulfinilo.

El grupo C_1-C_6 alquenilsulfonilo representa un grupo (alquenil)-SO_2- que tiene 2 a 6 átomos de carbono en donde la porción alquenilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como alilsulfonilo.

El grupo C_1-C_6 alquiniltio representa un grupo (alquinilo)-S- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden

incluir por ejemplo grupos tales como 2-propiniltio.

El grupo C_2-C_6 alquinilsulfinilo representa un grupo (alquinilo)-SO- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-propinilsulfinilo.

El grupo C_2-C_6 alquinilsulfonilo representa un grupo (alquinilo)-SO_2- que tiene 2 a 6 átomos de carbono en donde la porción alquinilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-propinilsulfonilo.

El grupo fenil-C_1-C_6 alquilo representa un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo fenilo, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como bencilo, 1-feniletilo y 2-feniletilo.

El grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representaa, a menos que se limite en forma particular, grupo monovalente formado de un anillo heterocíclico de anillo monocíclico, policíclico o fusionado de 3 a 8 miembros, y preferentemente 5 a 7 miembros que tiene 1 a 5 y preferentemente 1 a 3, heteroátomos seleccionados del grupo que consiste en un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y se pueden incluir por

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ejemplo grupos tales como oxirano, tetrahidrofurano, pirrolidina, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, piperidina, piperazina, morfolina, furano, tiofeno, pirrole, pirazole, imidazole, oxazole, isoxazole, tiazole, isotiazole, 1,3,4-oxadiazole, 1,3,4-tiadiazole, 1,3,4-triazole, 1,2,4-oxadiazole, 1,2,4-tiadiazole, 1,2,4-triazole, 1,2,3-oxadiazole, 1,2,3-tiadiazole, 1,2,3-triazole, tetrazole, piridina, pirimidina, pirazina, piridazina, 1,3,5-triazina, 1,2,4-triazina, benzotiofeno, benzofurano, indole, benzoxazole, benzotiazole, bencimidazole, benzisoxazole, benzisotiazole, indazole, 1,3-benzodioxole, benzo-1,4-dioxano y 2,3-dihidrobenzofurano.

El grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo heterocíclico en el cual la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir, por ejemplo, grupos tales como (tetrahidrofuran-2-il)metilo, (4,5-dihidroisoxazol-5-il)metilo, (isoxazol-5-il)metilo y (tiofen-2-il)metilo.

El grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos

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seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representa un grupo alcoxi que tiene 1 a 6 átomos de carbono substituidos con un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en donde la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y la porción alcoxi respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo grupos tales como (tetrahidrofuran-2-il)metoxi y (tetrahidrofuran-3-il)metoxi.

El grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en donde la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, representa un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono, el cual es substituido con un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en donde la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, la porción alcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos

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anteriormente. Se pueden incluir por ejemplo grupos tales como (tetrahidrofuran-2-il)metoximetilo y (tetrahidrofuran-3-il)metoximetilo.

El grupo C_2-C_6 haloalquenilo representa, a menos que se limite en forma particular, un grupo alquenilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono substituidos con 1 a 11, y preferentemente 1 a 5, átomos de halógeno, y se pueden incluir por ejemplo grupos tales como 2-clorovinilo, 2-bromovinilo, 2-yodovinilo, 3-cloro-2-propenilo, 3-bromo-2-propenilo, 1-clorometilvinilo, 2-bromo-1-metilvinilo, 1-trifluorometilvinilo, 3,3,3-tricloro-1-propenilo, 3-bromo-3,3-difluoro-1-propenilo, 2,3,3,3-tetracloro-1-propenilo, 1-trifluorometil-2,2-difluorovinilo, 2-cloro-2-propenilo, 3,3-difluoro-2-propenilo, 2,3,3-tricloro-2-propenilo, 4-bromo-3-cloro-3,4,4-trifluoro-1-butenilo, 1-bromometil-2-propenilo, 3-cloro-2-butenilo, 4,4,4-trifluoro-2-butenilo, 4-bromo-4,4-difluoro-2-butenilo, 3-bromo-3-butenilo, 3,4,4-trifluoro-3-butenilo, 3,4,4-tribromo-3-butenilo, 3-bromo-2-metil-2-propenilo, 3,3-difluoro-2-metil-2-propenilo, 3,3,3-trifluoro-2-metilpropenilo, 3-cloro-4,4,4-trifluoro-2-butenilo, 3,3,3-trifluoro-1-metil-1-propenilo, 3,4,4-trifluoro-1,3-butadienilo, 3,4-dibromo-1-pentenilo, 4,4-difluoro-3-metil-3-butenilo, 3,3,4,4,5,5,5-heptafluoro-1-pentenilo, 5,5-diflúoro-4-pentenilo,

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4,5,5-trifluoro-4-pentenilo,

3,4,4,4-tetrafluoro-3-trifluorometil-1-butenilo,

4,4,4-trifluorometil-3-metil-2-butenilo,

3,5,5-trifluoro-2,4-pentadienilo,

4,4,5,5,6,6,6-heptafluoro-2-hexenilo,

3,4,4,5,5,5-hexafluoro-3-trifluorometil-1-pentenilo,

4,5,5,5-tetrafluoro-4-trifluorometil-2-pentenilo y

5-bromo-4,5,5-trifluoro-4-trifluorometil-2-pentenilo.

El grupo C_2-C_6 haloalquinilo representa, a menos que se limite en forma particular, un grupo alquinilo de cadena recta o ramificada que tiene 2 a 6 átomos de carbono substituidos con 1 a 4 átomos de halógeno idénticos o diferentes, y se pueden incluir, por ejemplo grupos tales como 3-cloro-2-propinilo, 3-bromo-2-propinilo, 3-yodo-2-propinilo, 3-cloro-1-propinilo y 5-cloro-4-pentinilo.

El grupo amino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo amino, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-aminoetilo y 3-aminopropilo.

El grupo mono (C_1-C_6 alquil)amino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con un grupo amino el cual es

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mono-substituido con un grupo alquilo, en el cual la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(metilamino)etilo y 3-(metilamino)propilo.

El grupo di(C_1-C_6 alquil)amino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con un grupo amino el cual es di-substituido con grupos alquilo, en los cuales la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como N,N-dimetilaminometilo y N,N-dimetilaminoetilo.

El grupo C_1-C_6 alquiltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alquiltio que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alquilo del alquiltio respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como metiltiometilo y etiltiometilo.

El grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alquilsulfinilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alquilo del alquilsulfinilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden

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incluir por ejemplo grupos tales como metilsulfinilmetilo y etilsulfinilmetilo.

El grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alquilsulfonilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alquilo del alquilsulfonilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como metilsulfonilmetilo y etilsulfonilmetilo.

El grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (haloalquil)-S- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción haloalquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometiltiometilo y trifluorometiltiometilo.

El grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (haloalquil)-SO- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción haloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometilsulfinilmetilo y

trifluorometilsulfinilmetilo.

El grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (haloalquil)-SO_2- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción haloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como difluorometilsulfonilmetilo y trifluorometilsulfonilmetilo.

El grupo fenil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo fenilo, en donde la porción alquilo y la porción alcoxi, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como benciloximetilo y benciloxietilo.

El grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono, en donde la porción alquilo y la porción alcoxi respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(2-metoxietoxi)etilo y

2-(2-etoxietoxi)etilo.

El grupo C_1-C_6 cicloalquiloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (cicloalquil)-O- que tiene 3 a 8 átomos de carbono, en donde la porción alquilo y la porción cicloalquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como ciclopropiloximetilo, ciclobutiloximetilo, ciclopentiloximetilo y ciclohexiloximetilo.

El grupo feniloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (fenil)-O-, en donde la porción alquilo tiene tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como fenoximetilo, 2-fenoxietilo y 3-fenoxipropilo.

El grupo feniltio-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (fenil)-S-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como feniltiometilo, 2-feniltioetilo y 3-feniltiopropilo.

El grupo C_1-C_6 haloalcoxi representa, a menos que se limite en forma particular, un grupo alquil-O- de cadena recta o ramificada que tiene 1 a 6 átomos de carbono substituidos con 1 a 13, y preferentemente 1 a 5 átomos de halógeno idénticos o

diferentes, en donde la porción haloalquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como clorometoxi, difluorometoxi, clorodifluorometoxi, trifluorometoxi y 2,2,2-trifluoroetoxi.

El grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo haloalcoxi que tiene 1 a 6 átomos de carbono, en donde la cantidad haloalcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como clorometoximetilo, difluorometoximetilo, clorodifluorometoximetilo, trifluorometoximetilo y 2,2,2-trifluoroetoximetilo.

El grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi representa, a menos que se limite en forma particular, un grupo alcoxi que tiene 1 a 6 átomos de carbono substituido con un grupo haloalcoxi que tiene 1 a 6 átomos de carbono, en donde la cantidad haloalcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como clorometoximetoxi, difluorometoximetoxi, clorodifluorometoximetoxi, trifluorometoximetoxi y 2, 2,2-trifluoroetoximetoxi.

El grupo C_1-C_6 alquiltio-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un

grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alquiltio que tiene 1 a 6 átomos de carbono, en donde la porción alquiltio, la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-metiltioetoximetilo y 2-etiltioetoximetilo.

El grupo C_1-C_6 alquilsulfinil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alquilsulfinilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilsulfinilo, la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo, grupos tales como 2-metilsulfiniletoximetilo y 2-etilsulfiniletoximetilo.

El grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo alquilsulfonilo que tiene 1 a 6 átomos de carbono, en donde la porción alquilsulfonilo, la porción alcoxi y la porción alquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-metilsulfoniletoximetilo y 2-etilsulfoniletoximetilo.

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El grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono el cual es substituido con un grupo ciano, en donde la porción alcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-cianoetoximetilo y 3-cianopropoximetilo.

El grupo ciano-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo ciano, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como cianometilo y 2-cianoetilo.

El grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo (alquil)-C(=O)O- que tiene 1 a 6 átomos de carbono, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como acetoximetilo, propioniloximetilo, isopropioniloximetilo y pivaloiloximetilo.

El grupo C_1-C_6 acil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo acilo que tiene 1 a 6 átomos de carbono, en donde la porción acilo y la porción alquilo

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respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-oxopropilo, 3-oxopropilo y 2-oxobutilo.

El grupo di(C_1-C_6 alcoxi)-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono di-substituidos con grupos alcoxi que tienen cada uno 1 a 6 átomos de carbono, en donde la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como (2,2-dimetoxi)etilo, (3,3-dimetoxi)propilo, (2,2-dietoxi)etilo y (3,3-dietoxi)propilo.

El grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo alcoxicarbonilo que tiene 1 a 6 átomos de carbono, en donde la porción alcoxi y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-metoxi-2-oxoetilo, 2-etoxi-2-oxoetilo y 2-ter-butoxi-2-oxoetilo.

El grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (alcoxi)-N= que tiene 1 a 6 átomos de carbono, en donde la porción alcoxi y la porción alquilo respectivamente, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo

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grupos tales como 2-metoxiiminoetilo y 3-metoxiiminopropilo.

El grupo C_6-C_{10} arilo puede ser ejemplificado a través de grupos tales como fenilo y naftilo.

El grupo C_6-C_{10} aril-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo arilo que tienen 6 a 10 átomos de carbono, en donde la porción arilo y la porción alquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como bencilo, fenetilo, 3-fenilpropilo, naftalen-1-ilmetilo y naftalen-2-ilmetilo.

El grupo C_3-C_8 halocicloalquilo representa, a menos que se limite en forma particular, un grupo cicloalquilo que tiene 3 a 8 átomos de carbono substituido con 1 a 5, y preferentemente 1 a 3, átomos de halógeno, en donde la porción cicloalquilo y el átomo de halógeno respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2,2-difluorociclopropilo y 2,2-diclorociclcpropilo.

El grupo nitro-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo nitro, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como nitrometilo y 2-nitroetilo.

El grupo hidroxi-C_1-C_6 alquilo representa, a menos que se

limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo hidroxilo, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-hidroxietilo y 3-hidroxipropilo.

El grupo C_1-C_6 acilamino representa, a menos que se limite en forma particular, un grupo amino substituido con un grupo acilo que tiene 1 a 6 átomos de carbono, en donde la porción acilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como formamida, acetamida y propionamida.

El grupo (R^6R^7N-C=O)-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con (R^6R^7N-C=O), en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como N,N-dimetilaminocarbonilmetilo, N,N-dimetilaminocarboniletilo y N-metil-N-etilaminocarbonilmetilo.

La cadena de C_2-C_5 alquileno puede ejemplificarse, a menos que se limite en forma particular, a través de grupos tales como etileno, trimetileno, propileno, tetrametileno y pentametileno.

El grupo heterocíclico-oxi-C_1-C_6 alquilo en donde la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un

átomo de carbono representa, a menos que se limite en forma particular, un grupo analquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (heterocíclico)-O-, en donde la porción alquilo y la porción heterocíclico tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono, tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2-(2-piridiloxi)etilo, 2-(2-piraziniloxi)etilo, y 2-(2-tiazolil)etilo.

El grupo C_3-C_8 cicloalquil-C_1-C_6 alcoxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo alcoxi que tiene 1 a 6 átomos de carbono, el cual es substituido con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono, en donde la porción alquilo, la porción alcoxi y la porción cicloalquilo respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como ciclopropilmetiloximetilo, ciclobutilmetiloximetilo, ciclopentilmetiloximetilo y ciclohexilmetiloximetilo.

El grupo fenilsulfinil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (fenil)-SO-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como fenilsulfinilmetilo, 2-fenilsulfiniletilo, y 3-fenilsulfinilpropilo.

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El grupo fenilsulfonil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituidos con un grupo (fenil)-SO_2-, en donde la porción alquilo tiene el mismo significado definido anteriormente, y se pueden incluir por ejemplo grupos tales como 2-fenilsulfoniletilo, 3-fenilsulfonilpropilo, y 4-fenilsulfonilbutilo.

El grupo C_1-C_6alquilideno presenta, a menos que se limite en forma particular, un grupo alquilo divalente de cadena recta o ramificada que tiene 1 a 6 átomos de carbono y se pueden incluir, por ejemplo grupos tales como metileno, etilideno, propilideno, e isopropilideno.

El grupo C_1-C_6 alquilidenoaminooxi-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un (alquilideno)=N-O- que tiene 1 a 6 átomos de carbono, en donde la porción alquilideno y la porción alquilo, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir, por ejemplo grupos tales como metilenoaminooximetilo, 2-(etilidenoaminooxi)etilo, y 2-(isopropilidenoaminooxi)etilo.

El grupo C_3-C_8 halocicloalquil-C_1-C_6 alquilo representa, a menos que se limite en forma particular, un grupo alquilo que tiene 1 a 6 átomos de carbono substituido con un grupo cicloalquilo que tiene 3 a 8 átomos de carbono los cuales son substituidos con 1 a 5, preferentemente 1 a 3 átomos de halógeno, en donde la porción

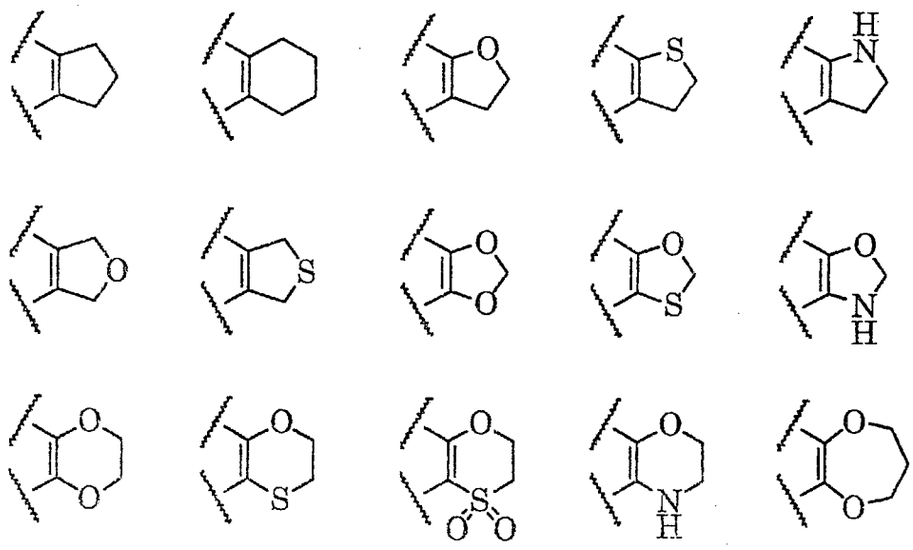
cicloalquilo, la porción alquilo, y el átomo de halógeno, respectivamente tienen los mismos significados a los definidos anteriormente, y se pueden incluir por ejemplo grupos tales como 2,2-difluorociclopropilmetilo, y 2,2-diclorociclopropilmetilo.

El metal álcali puede ser ejemplificado mediante sodio, potasio, y similares.

Las frases "dos R^2s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros, o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", "dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros, o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", y "dos R^{13}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros, o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", se pueden ejemplificar a través de lo que se encuentra a continuación, a menos que se limite en forma particular.

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[Fórmula Química 5]



X^1 en la fórmula [I] de la presente invención puede ejemplificarse a través de un átomo de oxígeno o un átomo de azufre. Una X^1 preferida incluye un átomo de oxígeno. Con respecto a la fórmula [I], X^1 se describe en la forma de un grupo carbonilo; sin embargo, en el caso en donde el substituyente R^1 o el átomo de nitrógeno adyacente es un átomo de hidrógeno, X^1 no está en la forma de carbonilo, sino que puede existir en la forma de enol, el cual es un tautómero de la forma carbonilo.

X^2 en la fórmula [I] de la presente invención representa =CH- o $=N(O)_{ra}-$ (siempre que m representa un entero de 0 o 1). Cuando X^2 es =CH-, el anillo de 6 miembros que contiene X^2 cambia para ser un anillo de benceno el cual es fusionado con el anillo de pirazina, para formar de esta manera un anillo de benzopirazina. El anillo de benceno formado de esta manera

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puede ser substituido con un substituyente R^2 en la posición de X^2, como es el caso para los otros átomos de carbono en el anilio de benceno. En la presente especificación, esto se expresa de modo que "el átomo de carbono puede ser substituido con R^2". Además, cuando X^2 representa $=N(O)_m-$, esto es, =N- o =N(O)-, el anillo de 6 miembros que contiene X^2 se convierte a un anillo de piridina o un anillo de N-óxido de piridina el cual se fusiona con un anillo de pirazina, para formar de esta manera un anillo de piridopirazina o un anillo de N-óxido del mismo. X^2 preferido en la fórmula [I] de la presente invención incluye =CH-, $=C(R^2)-$, o =N-.

R^1 en la fórmula [I] de la presente invención puede ejemplificarse a través de un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_2-C_6 haloalquinilo; un grupo amino-C_1-C_6 alquilo; un grupo nitro-C_1-C_6 alquilo; un grupo mono(C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo di(C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo hidroxi-C_1-C_6 alquilo; un grupo fenil-C_1-C_6 alcoxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituido con uno, o dos o más R^4s idénticos o

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diferentes); un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 cicloalquiloxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes); un grupo feniltio-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes); un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, se puede substituir con uno o más R^5s idénticos o diferentes); un grupo C_1-C_6 alquiltio-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo di(C_1-C_6 alcoxi)-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O) -C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes lo cual se describió más adelante); un grupo heterocíclico-C_1-C_6 alquilo en la cual la porción heterocíclica tiene 2 a 10 átomos de carbono y

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1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxigeno, un átomo de azufre y un átomo de carbono en el grupo, puede substituirse con uno o más R^9s idénticos o diferentes lo cual se describirá más adelante); un grupo $NR^{10}R^{11}$; un grupo C_1-C_6 alcoxi; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes lo cual se describirá más adelante); o un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes lo cual se describirá más adelante).

Los ejemplos preferidos de R^1 incluyen un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6

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alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes lo cual se describirá más adelante); un grupo heterocíclico-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes lo cual se describirá más adelante); un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes lo cual se describirá más adelante); un grupo heterocíclico (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes lo cual se describirá más adelante); y similares. Los ejemplos más preferidos de R^1 incluyen un grupo C_1-C_{12} alquilo, un grupo C_2-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo C_2-C6 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_2-C_6 haloalquenilo, un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo, un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo, un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo ciano-C_1-C_6 alquilo, un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo, un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes lo cual se describirá más adelante), un grupo heterocíclico-C_1-C_6 alquilo (el grupo puede ser substituido con uno o más R^9s idénticos o diferentes lo cual se describirá más

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adelante), un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes lo cual se describirá más adelante), un grupo heterocíclico (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes lo cual se describirá más adelante); y similares.

R^2 en la fórmula [I] de la presente invención puede ejemplificarse a través de un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_8 halocicloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di (C_1-C_6 alquil)amino; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo mono (C_1-C_6 alquil) aminocarbonilo; un grupo di(C_1-C_6 alquil)aminocarbonilo; un grupo heterocíclico-C_1-C_6 alquilo, en el cual porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno;

y similares.

Los ejemplos preferidos de R^2 incluyen un átomo de halógeno; un grupo nitro; un grupo C_1-C_6 alquilo; un grupo C_1-C_5 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonilo; y similar.

Los ejemplos más preferidos de R^2 incluyen un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; y similares, incluso más preferentemente, un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; y un grupo C_1-C_6 alcoxi.

En la fórmula [I] de la presente invención se encuentra un entero de 0 a 4 (siempre y cuando X^2 sea $N(O)_m$, un entero de 0 a 3), preferentemente 0 a 2, y más preferentemente 0 a 1.

R^3 en la fórmula [I] de la presente invención puede ejemplificarse a través de un grupo hidroxilo; O^-M^+ (M^+ representa un catión de metal álcali o un catión de amonio); un grupo amino; un átomo de halógeno; un grupo C_1-C_6 alquilsulfoniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_2-C_6 alqueniltio; un grupo C_2-C_6 alquenilsulfinilo; un grupo C_2-C_6 alquenilsulfonilo; un grupo C_2-C_6 alquiniltio; un grupo C_2-C_6 alquinilsulfinilo; un grupo C_2-C_6 alquinilosulfonilo; un grupo C_1-C_6

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alquilcarboniloxi; un grupo C_2-C_6 alquenilcarboniloxi; un grupo C_2-C_6 alquinilocarboniloxi; un grupo fenoxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo feniltio (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilsulfinilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilsulfonilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilsulfoniloxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes); un grupo fenilcarboniloxi (el grupo puede ser substituido con uno o más R^{14}s ifferent); un grupo 1,2,4-triazol-1-ilo; un 1,2,3-triazol-1-ilo; un 1,2,3-triazol-2-ilo; un imidazol-1-ilo; un pirazol-1-ilo; un tetrazol-1-ilo; un tetrazol-2-ilo; y similar. El ejemplo preferido de R^3 incluye un grupo hidroxilo, y derivados tales como sales, éteres y ésteres de los grupos también pueden ser empleados.

Un ejemplo más preferido de R^3 incluye un grupo hidroxilo.

R^8 en la fórmula [I] de la presente invención puede ser ejemplificado a través de un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfoniio; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6

6 SO.

haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo. Los ejemplos preferidos de R^8 incluyen un átomo de halógeno; un grupo C_1-C=6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 haloalcoxi; y similar.

R^9 en la fórmula [I] de la presente invención puede ejemplificarse a través de un grupo oxo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo.

Los ejemplos preferidos de R^9 incluyen un grupo C_1-C_6 alquilo; un átomo de halógeno; un grupo C_1-C_6 haloalquilo y similares.

R^{12} en la fórmula [I] de la presente invención puede ser ejemplificado a través de un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquilo-C_1-C_6; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi;

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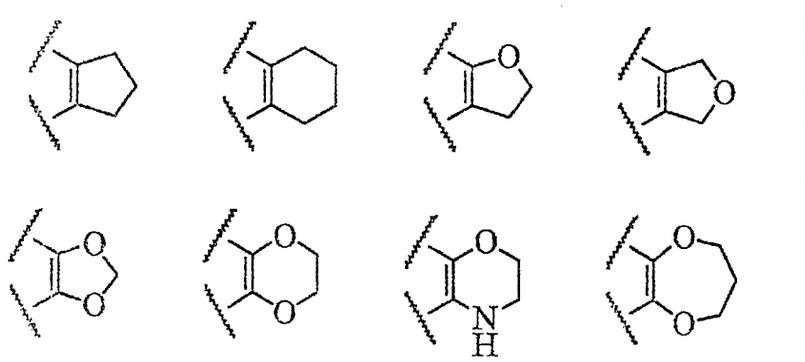
un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo C_1-C_6 acilamino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo carbamoilo; un grupo mono(C_1-C_6 alquil)aminocarbonilo; un grupo di(C_1-C_6 alquil)aminocarbonilo; un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica del grupo puede ser substituida con uno o dos o más R^{14}s idénticos o diferentes, lo cual se describirá más adelante); un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionado de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, puede ser substituido con uno, dos o más R^{14}s idénticos o diferentes, lo cual se describe más

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adelante); y similares.

Los ejemplos preferidos de R^{12} incluye un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo di(C_1-C_6 alquil)amino; un grupo heterocíclico-C_1-C_6 alcoxi; y los que se muestran a continuación.

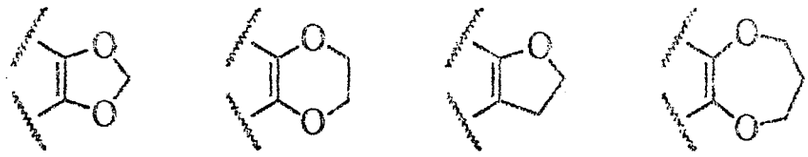
[Fórmula Química 6]



Los ejemplos más preferidos de R^{12} incluyen un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 acilo; y los que se muestran a continuación.

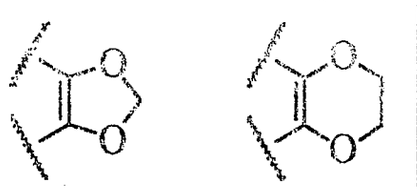
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[Fórmula Química 7]



Los ejemplos más preferidos de los mismos incluyen un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 haloalcoxi; y los que se muestran a continuación.

[Fórmula Química 8]



A^1 en la fórmula [I] de la presente invención representa $-C(R^{15}R^{16})-$.

A^2 en la fórmula [I] de la presente invención representa $-C(R^{17}R^{18})-$ o C=O.

A^3 en la fórmula [I] de la presente invención representa $-C(R^{19}R^{20})-$.

Esto es, $-A^1-A^2-A^3-$ en la fórmula (I) de la presente invención presenta:

$C(R^{15}R^{16})-C(R^{17}R^{18})-C(R^{19}R^{20})-$ o

$C(R^{15}R^{16})-C(=O)-C(R^{19}R^{20})-$,

y forman un anillo carbocíclico de 6 miembros junto con

átomos de carbono adyacentes.

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} y R^{20} utilizados en la presente invención incluyen cada uno independientemente un átomo de hidrógeno; o un grupo C_1-C_6 alquilo. Además, R^{15} y R^{20} se pueden unir con átomos de carbono adyacentes para formar un anillo carbocíclico de 5 a 10 miembros preferentemente 5 a 8 miembros. En otras palabras, R^{15} y R^{20} se pueden unir para formar una cadena de C_2-C_5 divalente de cadena recta o ramificada. Un grupo alquileno puede ser ejemplificado a través de un grupo de etileno.

Los ejemplos específicos del grupo heterocíclico tal como se indica en "el grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados arbitrariamente de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", "un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", "grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", o "un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados arbitrariamente de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno", incluye tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de

tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1-3-benzodioxole, benzo-1,4-dioxano, benzofurano, indole y similares.

Un grupo de ejemplos preferidos del anillo heterocíclico que incluye 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, piridina, pirazina, 1,3-benzodioxole, y benzo-1,4-dioxano. Los ejemplos más preferidos del anillo heterocíclico incluyen tiofeno, isoxazole, piridina, 1,3-benzodioxole, benzo-1,4-dioxano y similares.

El grupo heterocíclico formado a partir del anillo heterocíclico mostrado en la fórmula [I] de la presente invención, se puede elaborar en un radical que es unido a cualquier posición de un anillo heterocíclico seleccionado. Incluso en el caso en donde el anillo heterocíclico seleccionado es un anillo fusionado con un anillo de benceno, la posición en la cual se forma el radical no se limita a la porción heterocíclica, y también se puede seleccionar una posición del anillo benceno.

Los ejemplos preferidos específicos del compuesto representado a través de la fórmula [I] de la presente invención, se mostrarán en las siguientes tablas de la 1 a la 45. Sin embargo, el compuesto de la presente invención no pretende estar limitado a estos compuestos. Además, los números de compuesto serán referidos en las descripciones que se encuentran a continuación.

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Las notaciones que se encuentran a continuación en las tablas en la presente especificación, representan los grupos correspondientes respectivos tal como se indican a continuación. Por ejemplo,

Me representa un grupo metilo,

Et representa un grupo etilo,

n-Pr representa un grupo n-propilo,

i-Pr representa un grupo isopropilo,

c-Pr representa un grupo ciclopropilo,

n-Bu representa un grupo n-butilo,

s-Bu representa un grupo sec-butilo,

i-Bu representa un grupo isobutilo,

t-Bu representa un grupo tert-butilo,

c-Bu representa un grupo ciclobutilo,

n-Pen representa un grupo n-pentilo,

c-Pen representa un grupo ciclopentilo,

n-Hex representa un grupo n-hexilo,

Ph representa un grupo fenilo,

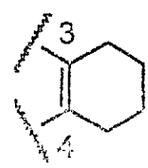
Bn representa un grupo bencilo,

"-" para R^2 y R^{12} implica que son substituidos,

(4-Cl)Bn representa un grupo 4-clorobencilo,

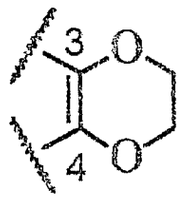
3,4-($CH_2CH_2CH_2CH_2$)- representa la siguiente estructura química en la cual se une la posición-3 y la posición-4 a través del grupo de butileno para formar un anillo:

[Fórmula Química 9]



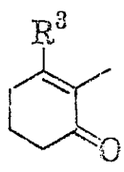
y 3,4-(OCH_2CH_2O)- representa la siguiente estructura química en la cual la posición-3 y la posición-4 se unen en forma similar a través del grupo etilenodioxi para formar un anillo:

[Fórmula Química 10]

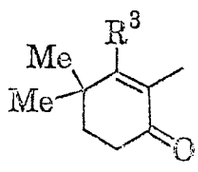


Además, con respecto a A en las tablas, A-1, A-2, A-3, A-4, A-5, A-6 y A-7 representan respectivamente los siguientes grupos.

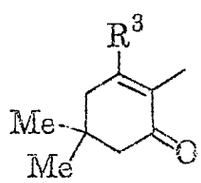
[Fórmula Química 11]



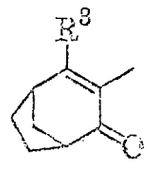
A-1



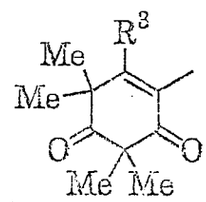
A-2



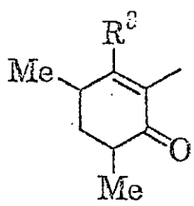
A-3



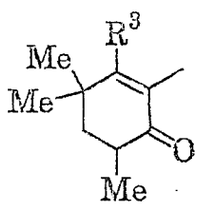
A-4



A-5



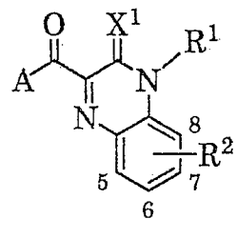
A-6



A-7

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[Tabla 1]



No. de Compuesto	A	X^1	R^1	R^2	R^3
I-1	A-1	O	H	—	OH
I-2	A-1	O	Me	—	OH
I-3	A-2	O	Me	—	OH
I-4	A-3	O	Me	—	OH
I-5	A-4	O	Me	—	OH
I-6	A-5	O	Me	—	OH
I-7	A-1	O	Et	—	OH
I-8	A-2	O	Et	—	OH
I-9	A-3	O	Et	—	OH
I-10	A-4	O	Et	—	OH
I-11	A-5	O	Et	—	OH
I-12	A-1	O	n-Pr	—	OH
I-13	A-1	O	i-Pr	—	OH
I-14	A-1	O	c-Pr	—	OH
I-15	A-1	O	n-Bu	—	OH
I-16	A-1	O	s-Bu	—	OH
I-17	A-1	O	i-Bu	—	OH
I-18	A-1	O	t-Bu	—	OH
I-19	A-1	O	c-Bu	—	OH
I-20	A-1	O	n-Pen	—	OH
I-21	A-1	O	c-Pen	—	OH
I-22	A-1	O	n-Hex	—	OH
I-23	A-1	O	c-Hex	—	OH
I-24	A-1	O	$n\text{-}C_7H_{15}$	—	OH
I-25	A-1	O	$n\text{-}C_8H_{17}$	—	OH
I-26	A-1	O	$n\text{-}C_9H_{19}$	—	OH
I-27	A-1	O	$n\text{-}C_{10}H_{21}$	—	OH
I-28	A-1	O	$n\text{-}C_{11}H_{23}$	—	OH
I-29	A-1	O	$n\text{-}C_{12}H_{25}$	—	OH
I-30	A-1	O	$CH_2CH=CH_2$	—	OH
I-31	A-2	O	$CH_2CH=CH_2$	—	OH
I-32	A-3	O	$CH_2CH=CH_2$	—	OH
I-33	A-4	O	$CH_2CH=CH_2$	—	OH

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[Tabla 2]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-34	A-5	O	$CH_2CH=CH_2$	—	OH
I-35	A-1	O	$CH_2C\equiv CH$	—	OH
I-36	A-2	O	$CH_2C\equiv CH$	—	OH
I-37	A-3	O	$CH_2C\equiv CH$	—	OH
I-38	A-4	O	$CH_2C\equiv CH$	—	OH
I-39	A-5	O	$CH_2C\equiv CH$	—	OH
I-40	A-1	O	CH_2CF_3	—	OH
I-41	A-2	O	CH_2CF_3	—	OH
I-42	A-3	O	CH_2CF_3	—	OH
I-43	A-4	O	CH_2CF_3	—	OH
I-44	A-5	O	CH_2CF_3	—	OH
I-45	A-1	O	CH_2CH_2F	—	OH
I-46	A-1	O	CH_2CH_2Cl	—	OH
I-47	A-1	O	$CH_2CH_2CF_3$	—	OH
I-48	A-1	O	$CH_2CH=CCl_2$	—	OH
I-49	A-1	O	CH_2OMe	—	OH
I-50	A-1	O	CH_2OEt	—	OH
I-51	A-2	O	CH_2OEt	—	OH
I-52	A-3	O	CH_2OEt	—	OH
I-53	A-4	O	CH_2OEt	—	OH
I-54	A-5	O	CH_2OEt	—	OH
I-55	A-1	O	CH(Me)OMe	—	OH
I-56	A-1	O	CH(Me)OEt	—	OH
I-57	A-1	O	CH_2OPh	—	OH
I-58	A-1	O	$CH_2OCH_2CH_2OMe$	—	OH
I-59	A-1	O	$CH_2OCH_2CF_3$	—	OH
I-60	A-2	O	$CH_2OCH_2CF_3$	—	OH
I-61	A-3	O	$CH_2OCH_2CF_3$	—	OH
I-62	A-4	O	$CH_2OCH_2CF_3$	—	OH
I-63	A-5	O	$CH_2OCH_2CF_3$	—	OH
I-64	A-1	O	$CH(Me)OCH_2CF_3$	—	OH
I-65	A-1	O	CH_2OCH_2 	—	OH
I-66	A-1	O	$CH(Me)OCH_2$ 	—	OH
I-67	A-1	O	$CH_2OCH_2CH_2SO_2Me$	—	OH
I-68	A-1	O	$CH_2OCH_2CH_2CN$	—	OH
I-69	A-1	O	$CH_2OC(=O)t\text{-}Bu$	—	OH
I-70	A-1	O	CH_2SMe	—	OH

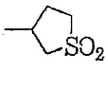
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[Tabla 3]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-71	A-4	O	CH_2SMe	—	OH
I-72	A-1	O	CH_2SEt	—	OH
I-73	A-1	O	CH_2S-n-Pr	—	OH
I-74	A-1	O	CH(Me)SMe	—	OH
I-75	A-1	O	CH(Me)SEt	—	OH
I-76	A-1	O	CH(Me)S-n-Pr	—	OH
I-77	A-1	O	CH_2SOMe	—	OH
I-78	A-1	O	CH_2SOEt	—	OH
I-79	A-1	O	CH_2SO-n-Pr	—	OH
I-80	A-1	O	CH_2SO_2Me	—	OH
I-81	A-4	O	CH_2SO_2Me	—	OH
I-82	A-1	O	CH_2SO_2Et	—	OH
I-83	A-1	O	CH_2SO_2-n-Pr	—	OH
I-84	A-1	O	$CH(Me)SO_2Me$	—	OH
I-85	A-1	O	$CH(Me)SO_2Et$	—	OH
I-86	A-1	O	$CH(Me)SO_2$-n-Pr	—	OH
I-87	A-1	O	CH_2CH_2OH	—	OH
I-88	A-1	O	CH_2CH_2OMe	—	OH
I-89	A-1	O	CH_2CH_2OEt	—	OH
I-90	A-1	O	$CH(Me)CH_2OMe$	—	OH
I-91	A-1	O	CH_2CH_2SMe	—	OH
I-92	A-1	O	$CH_2CH_2SO_2Me$	—	OH
I-93	A-1	O	$CH_2CH_2CH_2OMe$	—	OH
I-94	A-1	O	$CH_2C(=O)Me$	—	OH
I-95	A-1	O	$CH_2C(=O)OMe$	—	OH
I-96	A-1	O	$CH_2C(=O)OEt$	—	OH
I-97	A-1	O	$CH_2C(=O)O$-n-Pr	—	OH
I-98	A-1	O	$CH_2C(=O)O$-i-Pr	—	OH
I-99	A-1	O	$CH_2C(=O)O$-t-Bu	—	OH
I-100	A-1	O	$CH_2C(=O)NMe_2$	—	OH
I-101	A-1	O	$CH_2C(=O)$–N⟨O⟩	—	OH
I-102	A-1	O	CH_2CN	—	OH
I-103	A-1	O	CH_2CH_2CN	—	OH
I-104	A-1	O	$CH(Me)CH_2CN$	—	OH
I-105	A-1	O	$CH_2CH_2CH_2CN$	—	OH
I-106	A-1	O	$CH_2CH_2NO_2$	—	OH
I-107	A-1	O	Bn	—	OH
I-108	A-1	O	(2-F)Bn	—	OH

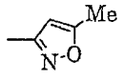
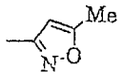
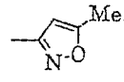
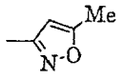
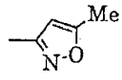
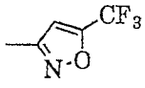
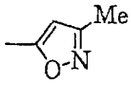
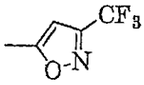
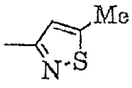
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[Tabla 4]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-109	A-1	O	(3-F)Bn	—	OH
I-110	A-1	O	(4-F)Bn	—	OH
I-111	A-1	O	(2-Cl)Bn	—	OH
I-112	A-1	O	(3-Cl)Bn	—	OH
I-113	A-1	O	(4-Cl)Bn	—	OH
I-114	A-1	O	(2-Me)Bn	—	OH
I-115	A-1	O	(3-Me)Bn	—	OH
I-116	A-1	O	(4-Me)Bn	—	OH
I-117	A-1	O	(2-CF_3)Bn	—	OH
I-118	A-1	O	(3-CF_3)Bn	—	OH
I-119	A-1	O	(4-CF_3)Bn	—	OH
I-120	A-1	O	(2-OMe)Bn	—	OH
I-121	A-2	O	(2-OMe)Bn	—	OH
I-122	A-3	O	(2-OMe)Bn	—	OH
I-123	A-4	O	(2-OMe)Bn	—	OH
I-124	A-5	O	(2-OMe)Bn	—	OH
I-125	A-1	O	(3-OMe)Bn	—	OH
I-126	A-1	O	(4-OMe)Bn	—	OH
I-127	A-1	O	(2,4-$(OMe)_2$)Bn	—	OH
I-128	A-1	O	(2,6-$(OMe)_2$)Bn	—	OH
I-129	A-1	O	(3,5-$(OMe)_2$)Bn	—	OH
I-130	A-1	O	CH(Me)Ph	—	OH
I-131	A-1	O		—	OH
I-132	A-2	O		—	OH
I-133	A-3	O		—	OH
I-134	A-4	O		—	OH
I-135	A-5	O		—	OH
I-136	A-1	O		—	OH
I-137	A-1	O		—	OH
I-138	A-1	O		—	OH

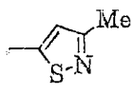
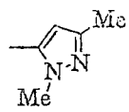
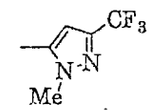
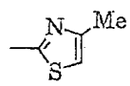
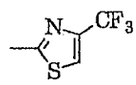
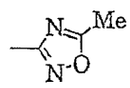
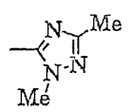
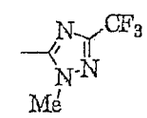
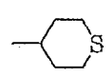
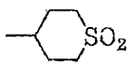
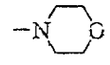
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[Tabla 5]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-139	A-1	O		—	OH
I-140	A-2	O		—	OH
I-141	A-3	O		—	OH
I-142	A-4	O		—	OH
I-143	A-5	O		—	OH
I-144	A-1	O		—	OH
I-145	A-1	O		—	OH
I-146	A-2	O		—	OH
I-147	A-3	O		—	OH
I-148	A-4	O		—	OH
I-149	A-5	O		—	OH
I-150	A-1	O		—	OH
I-151	A-1	O		—	OH
I-152	A-1	O		—	OH
I-153	A-1	O		—	OH
I-154	A-1	O		—	OH

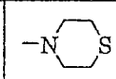
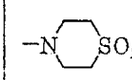
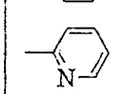
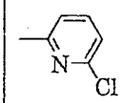
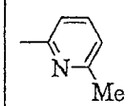
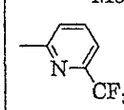
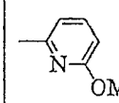
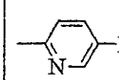
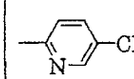
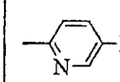
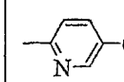
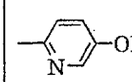
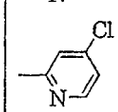
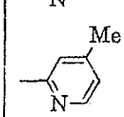
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[Tabla 6]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-155	A-1	O		—	OH
I-156	A-1	O		—	OH
I-157	A-1	O		—	OH
I-158	A-1	O		—	OH
I-159	A-1	O		—	OH
I-160	A-1	O		—	OH
I-161	A-1	O		—	OH
I-162	A-1	O		—	OH
I-163	A-1	O		—	OH
I-164	A-1	O		—	OH
I-165	A-1	O		—	OH
I-166	A-1	O		—	OH
I-167	A-1	O		—	OH
I-168	A-1	O		—	OH

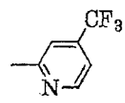
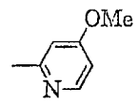
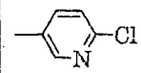
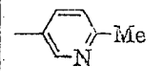
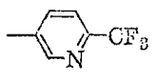
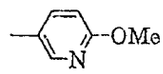
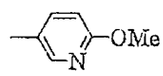
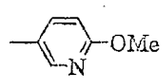
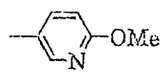
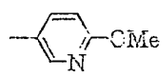
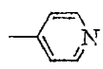
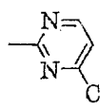
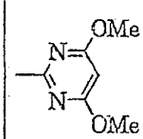
664

[Tabla 7]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-169	A-1	O		—	OH
I-170	A-1	O		—	OH
I-171	A-1	O		—	OH
I-172	A-1	O		—	OH
I-173	A-1	O		—	OH
I-174	A-1	O		—	OH
I-175	A-1	O		—	OH
I-176	A-1	O		—	OH
I-177	A-1	O		—	OH
I-178	A-1	O		—	OH
I-179	A-1	O		—	OH
I-180	A-1	O		—	OH
I-181	A-1	O		—	OH
I-182	A-1	O		—	OH

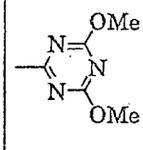
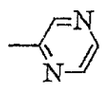
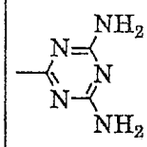
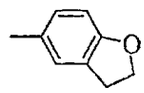
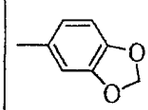
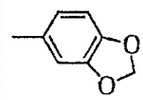
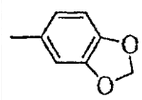
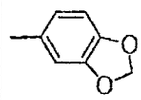
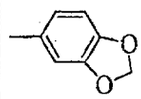
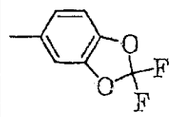
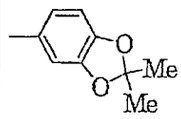
665

[Tabla 8]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-183	A-1	O		—	OH
I-184	A-1	O		—	OH
I-185	A-1	O		—	OH
I-186	A-1	O		—	OH
I-187	A-1	O		—	OH
I-188	A-1	O		—	OH
I-189	A-1	O		—	OH
I-190	A-2	O		—	OH
I-191	A-3	O		—	OH
I-192	A-4	O		—	OH
I-193	A-5	O		—	OH
I-194	A-1	O		—	OH
I-195	A-1	O		—	OH
I-196	A-1	O		—	OH
I-197	A-1	O		—	OH

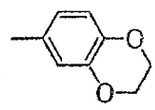
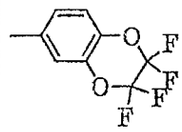
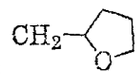
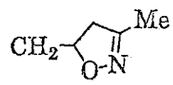
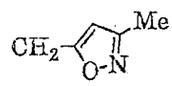
666

[Tabla 9]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-198	A-1	O		—	OH
I-199	A-1	O		—	OH
I-200	A-1	O		—	OH
I-201	A-1	O		—	OH
I-202	A-1	O		—	OH
I-203	A-2	O		—	OH
I-204	A-3	O		—	OH
I-205	A-4	O		—	OH
I-206	A-5	O		—	OH
I-207	A-1	O		—	OH
I-208	A-1	O		—	OH

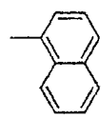
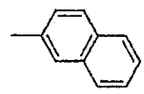
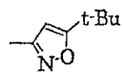
667

[Tabla 10]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-209	A-1	O		—	OH
I-210	A-1	O		—	OH
I-211	A-1	O		—	OH
I-212	A-1	O		—	OH
I-213	A-1	O		—	OH
I-214	A-1	O	NH_2	—	OH
I-215	A-1	O	NHMe	—	OH
I-216	A-1	O	NMe_2	—	OH
I-217	A-1	O	OMe	—	OH
I-218	A-1	O	OEt	—	OH
I-219	A-1	O	Me	5-F	OH
I-220	A-1	O	Me	6-F	OH
I-221	A-1	O	Me	7-F	OH
I-222	A-1	O	Me	8-F	OH
I-223	A-1	O	Me	5-Cl	OH
I-224	A-1	O	CH_2CH_2OMe	5-Cl	OH
I-225	A-1	O	Me	6-Cl	OH
I-226	A-1	O	CH_2CH_2OMe	6-Cl	OH
I-227	A-1	O	Me	7-Cl	OH
I-228	A-1	O	CH_2CH_2OMe	7-Cl	OH
I-229	A-1	O	Me	8-Cl	OH
I-230	A-1	O	CH_2CH_2OMe	8-Cl	OH
I-232	A-1	O	Me	7-Me	OH
I-233	A-1	O	Me	6-CF_3	OH
I-234	A-1	O	Me	7-CF_3	OH
I-235	A-1	O	Me	6-OH	OH
I-236	A-1	O	Me	7-OH	OH

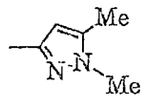
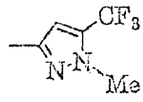
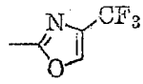
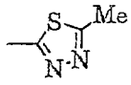
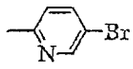
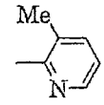
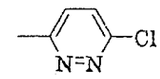
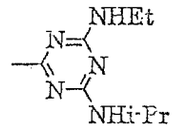
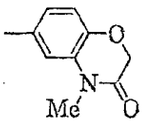
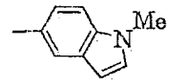
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[Tabla 11]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-237	A-1	O	Me	6-OMe	OH
I-238	A-1	O	Me	7-OMe	OH
I-239	A-1	O	Me	6-OCF_3	OH
I-240	A-1	O	Me	7-OCF_3	OH
I-241	A-1	O	Me	5-SMe	OH
I-242	A-1	O	Me	6-SMe	OH
I-243	A-1	O	Me	7-SMe	OH
I-244	A-1	O	Me	8-SMe	OH
I-245	A-1	O	Me	5-SO_2Me	OH
I-246	A-1	O	Me	6-SO_2Me	OH
I-247	A-1	O	Me	7-SO_2Me	OH
I-248	A-1	O	Me	8-SO_2Me	OH
I-249	A-1	O	Me	6-NO_2	OH
I-250	A-1	O	Me	7-NO_2	OH
I-251	A-1	O	Me	6-NH_2	OH
I-252	A-1	O	Me	7-NH_2	OH
I-253	A-1	O	Me	7-CN	OH
I-254	A-1	O	Me	6,7-Cl_2	OH
I-255	A-1	O	Me	6,7-Me_2	OH
I-256	A-1	S	Me	—	OH
I-257	A-4	O	Me	—	S(n-Hex)
I-258	A-4	O	Me	—	SO(n-Hex)
I-259	A-4	O	Me	—	SO_2(n-Hex)
I-260	A-4	O	Me	—	SPh
I-261	A-4	O	Me	—	SOPh
I-262	A-4	O	Me	—	SO_2Ph
I-263	A-1	O		—	OH
I-264	A-1	O		—	OH
I-265	A-1	O		—	OH

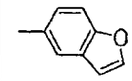
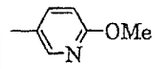
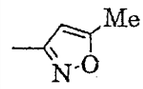
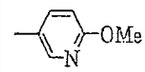
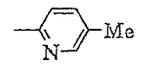
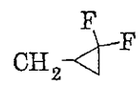
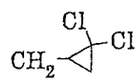
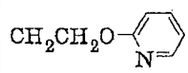
669

[Tabla 12]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-266	A-1	O		—	OH
I-267	A-1	O		—	OH
I-268	A-1	O		—	OH
I-269	A-1	O		—	OH
I-270	A-1	O		—	OH
I-271	A-1	O		—	OH
I-272	A-1	O		—	OH
I-273	A-1	O		—	OH
I-274	A-1	O		—	OH
I-275	A-1	O		—	OH
I-276	A-1	O		—	OH

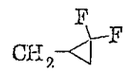
670

[Tabla 13]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-277	A-1	O		—	OH
I-278	A-1	O	N(Me)C(=O)Ot-Bu	—	OH
I-279	A-1	O		5-F	OH
I-280	A-1	O	Bn	6-F	OH
I-281	A-1	O		6-F	OH
I-282	A-1	O	Me	5-CH_2OMe	OH
I-283	A-1	O		5,7-F_2	OH
I-284	A-1	O		7-Cl	OH
I-285	A-1	O	CH_2-c-Pr	—	OH
I-286	A-1	O	CH_2-c-Bu	—	OH
I-287	A-1	O	CH_2c-Pen	—	OH
I-288	A-1	O	CH_2O-c-Pen	—	OH
I-289	A-1	O	$CH_2CH_2NH_2$	—	OH
I-290	A-1	O	CH_2CH_2NHEt	—	OH
I-291	A-1	O	$CH_2CH_2NMe_2$	—	OH
I-292	A-1	O	$CH_2CH_2NEt_2$	—	OH
I-293	A-1	O	CH_2CH_2CHO	—	OH
I-294	A-1	O		—	OH
I-295	A-1	O		—	OH
I-296	A-1	O	CH_2OCH_2-c-Pr	—	OH
I-297	A-1	O	CH_2SPh	—	OH
I-298	A-1	O		—	OH

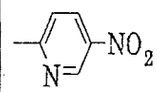
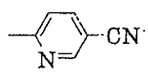
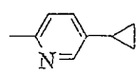
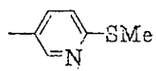
671

[Tabla 14]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-299	A-1	O	$CH_2SCH_2CF_3$	—	OH
I-300	A-1	O	$CH_2SOCH_2CF_3$	—	OH
I-301	A-1	O	$CH_2SO_2CH_2CF_3$	—	OH
I-302	A-1	O	CH_2OCH_2Ph	—	OH
I-303	A-1	O	CH_2SOPh	—	OH
I-304	A-1	O	CH_2SO_2Ph	—	OH
I-305	A-1	O	$CH_2OCH_2CH_2SMe$	—	OH
I-306	A-1	O	$CH_2OCH_2CH_2SOMe$	—	OH
I-307	A-1	O	$CH_2CH_2CH(OEt)_2$	—	OH
I-308	A-1	O	$CH_2C(Me)=NOMe$	—	OH
I-309	A-1	O	$CH_2CH_2ON=CMe_2$	—	OH
I-310	A-1	O	Me	7-$CH=CMe_2$	OH
I-311	A-1	O	Me	7-$C\equiv CMe$	OH
I-312	A-1	O	Me	7-CH_2-c-Pr	OH
I-313	A-1	O	Me	7-$C(Me)=CF_2$	OH
I-314	A-1	O	Me	7- 	OH
I-315	A-1	O	Me	7-O-c-Pr	OH
I-316	A-1	O	Me	7- 	OH
I-317	A-1	O	Me	7-OCH_2-c-Pr	OH
I-318	A-1	O	Me	7-OCH_2OMe	OH
I-319	A-1	O	Me	7-OC(=O)Me	OH
I-320	A-1	O	Me	7-SOMe	OH
I-321	A-1	O	Me	7-SCF_3	OH
I-322	A-1	O	Me	7-$SOCH_2CF_3$	OH
I-323	A-1	O	Me	7-$SO_2CH_2CF_3$	OH
I-324	A-1	O	Me	7-NMe_2	OH
I-325	A-1	O	Me	7-NHC(=O)Me	OH
I-326	A-1	O	Me	7-CH_2OH	OH
I-327	A-1	O	Me	7-$CH(OEt)_2$	OH
I-328	A-1	O	Me	7-CH_2SMe	OH
I-329	A-1	O	Me	7-CH_2SOMe	OH
I-330	A-1	O	Me	7-CH_2SO_2Me	OH
I-331	A-1	O	Me	7-CH_2SCHF_2	OH
I-332	A-1	O	Me	7-CH_2SOCHF_2	OH
I-333	A-1	O	Me	7-$CH_2SO_2CHF_2$	OH

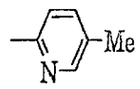
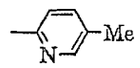
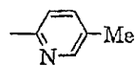
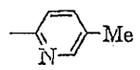
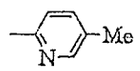
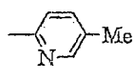
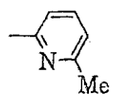
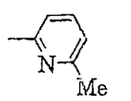
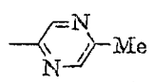
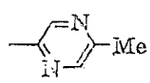
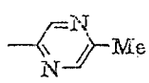
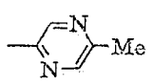
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[Tabla 15]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-334	A-1	O	Me	7-CH_2CN	OH
I-335	A-1	O	Me	7-C(Me)=NOMe	OH
I-336	A-1	O	Me	7-$C(=O)NH_2$	OH
I-337	A-1	O	Me	7- 	OH
I-338	A-1	O	CH_2OCH_2Ph(2-F)	—	OH
I-339	A-1	O	CH_2OCH_2Ph(2-Cl)		
I-340	A-1	O	CH_2OCH_2Ph(2-NO_2)	—	OH
I-341	A-1	O	CH_2OCH_2Ph(2-CN)	—	OH
I-342	A-1	O	CH_2OCH_2Ph(2-Me)	—	OH
I-343	A-1	O	CH_2OCH_2Ph(2-CF_3)	—	OH
I-344	A-1	O	CH_2OCH_2Ph(2-OMe)	—	OH
I-345	A-1	O	CH_2OCH_2Ph(2-OCF_3)	—	OH
I-346	A-1	O	CH_2OCH_2Ph(2-SMe)	—	OH
I-347	A-1	O	CH_2OCH_2Ph(2-SO_2Me)	—	OH
I-348	A-1	O	CH_2OCH_2Ph(2-SCF_3)	—	OH
I-349	A-1	O	CH_2OCH_2Ph(2-CO_2Me)	—	OH
I-350	A-1	O	CH_2OCH_2Ph(2-COMe)	—	OH
I-351	A-1	O	(2-NO_2)Bn	—	OH
I-352	A-1	O	(2-CN)Bn	—	OH
I-353	A-1	O	(3-SMe)Bn	—	OH
I-354	A-1	O	(3-SO_2Me)Bn	—	OH
I-355	A-1	O	(3-SCF_3)Bn	—	OH
I-356	A-1	O	(3-CO_2Me)Bn	—	OH
I-357	A-1	O	(3-COMe)Bn	—	OH
I-358	A-1	O	(3-OMe)Bn	—	OH
I-359	A-1	O		—	OH
I-360	A-1	O		—	OH
I-361	A-1	O		—	OH
I-362	A-1	O		—	OH

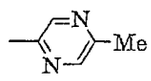
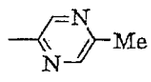
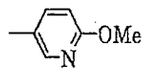
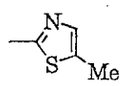
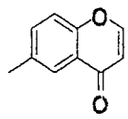
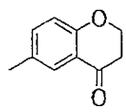
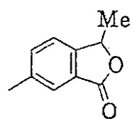
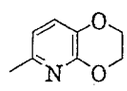
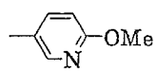
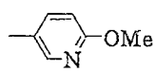
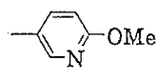
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[Tabla 16]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-363	A-1	O	Et	5-Cl	OH
I-364	A-1	O	n-Bu	5-Cl	OH
I-365	A-1	O		5-F	OH
I-366	A-1	O		5-Cl	OH
I-367	A-1	O		5-Me	OH
I-368	A-1	O		7-Me	OH
I-369	A-1	O		7-CF_3	OH
I-370	A-1	O		7-OMe	OH
I-371	A-1	O		6-F	OH
I-372	A-1	O		6-CF_3	OH
I-373	A-1	O		—	OH
I-374	A-1	O		5-F	OH
I-375	A-1	O		5-Cl	OH
I-376	A-1	O		5-Me	OH

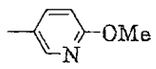
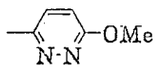
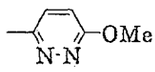
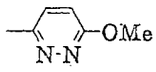
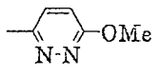
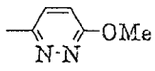
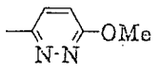
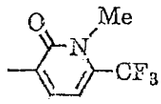
614

[Tabla 17]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-377	A-1	O		7-Me	OH
I-378	A-1	O		7-OMe	OH
I-379	A-1	O		5-Cl	OH
I-380	A-1	O		—	OH
I-381	A-1	O		—	OH
I-382	A-1	O		—	OH
I-383	A-1	O		—	OH
I-384	A-1	O		—	OH
I-385	A-1	O		5-Me	OH
I-386	A-1	O		5-Br	OH
I-387	A-1	O		7-Me	OH

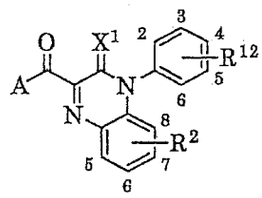
615

[Tabla 18]

No. de Compuesto	A	X^1	R^1	R^2	R^3
I-388	A-1	O		7-OMe	OH
I-389	A-1	O		—	OH
I-390	A-1	O		5-F	OH
I-391	A-1	O		5-Cl	OH
I-392	A-1	O		5-Me	OH
I-393	A-1	O		7-Me	OH
I-394	A-1	O		7-OMe	OH
I-395	A-1	O		—	OH

676

[Tabla 19]



No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-1	A-1	O	—	—	OH
II-2	A-2	O	—	—	OH
II-3	A-3	O	—	—	OH
II-4	A-4	O	—	—	OH
II-5	A-5	O	—	—	OH
II-6	A-1	O	2-F	—	OH
II-7	A-1	O	3-F	—	OH
II-8	A-1	O	4-F	—	OH
II-9	A-1	O	2-Cl	—	OH
II-10	A-4	O	2-Cl	—	OH
II-11	A-1	O	3-Cl	—	OH
II-12	A-4	O	3-Cl	—	OH
II-13	A-1	O	4-Cl	—	OH
II-14	A-4	O	4-Cl	—	OH
II-15	A-5	O	4-Cl	—	OH
II-16	A-1	O	2-Br	—	OH
II-17	A-1	O	3-Br	—	OH
II-18	A-1	O	4-Br	—	OH
II-19	A-1	O	2-Me	—	OH
II-20	A-1	O	3-Me	—	OH
II-21	A-1	O	4-Me	—	OH
II-22	A-1	O	2-Et	—	OH
II-23	A-1	O	3-Et	—	OH
II-24	A-1	O	4-Et	—	OH
II-25	A-1	O	2-n-Pr	—	OH
II-26	A-1	O	3-n-Pr	—	OH
II-27	A-1	O	4-n-Pr	—	OH
II-28	A-1	O	2-i-Pr	—	OH
II-29	A-1	O	3-i-Pr	—	OH
II-30	A-1	O	4-i-Pr	—	OH
II-31	A-1	O	2-c-Pr	—	OH
II-32	A-1	O	3-c-Pr	—	OH
II-33	A-1	O	4-c-Pr	—	OH

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[Tabla 20]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-34	A-1	O	2-CF_3	—	OH
II-35	A-2	O	2-CF_3	—	OH
II-36	A-3	O	2-CF_3	—	OH
II-37	A-4	O	2-CF_3	—	OH
II-38	A-5	O	2-CF_3	—	OH
II-39	A-1	O	3-CF_3	—	OH
II-40	A-2	O	3-CF_3	—	OH
II-41	A-3	O	3-CF_3	—	OH
II-42	A-4	O	3-CF_3	—	OH
II-43	A-5	O	3-CF_3	—	OH
II-44	A-1	O	4-CF_3	—	OH
II-45	A-2	O	4-CF_3	—	OH
II-46	A-3	O	4-CF_3	—	OH
II-47	A-4	O	4-CF_3	—	OH
II-48	A-5	O	4-CF_3	—	OH
II-49	A-1	O	2-OH	—	OH
II-50	A-1	O	3-OH	—	OH
II-51	A-1	O	4-OH	—	OH
II-52	A-1	O	2-OMe	—	OH
II-53	A-2	O	2-OMe	—	OH
II-54	A-3	O	2-OMe	—	OH
II-55	A-4	O	2-OMe	—	OH
II-56	A-5	O	2-OMe	—	OH
II-57	A-1	O	3-OMe	—	OH
II-58	A-2	O	3-OMe	—	OH
II-59	A-3	O	3-OMe	—	OH
II-60	A-4	O	3-OMe	—	OH
II-61	A-5	O	3-OMe	—	OH
II-62	A-1	O	4-OMe	—	OH
II-63	A-2	O	4-OMe	—	OH
II-64	A-3	O	4-OMe	—	OH
II-65	A-4	O	4-OMe	—	OH
II-66	A-5	O	4-OMe	—	OH
II-67	A-1	O	2-OEt	—	OH
II-68	A-1	O	3-OEt	—	OH
II-69	A-1	O	4-OEt	—	OH
II-70	A-1	O	2-O-n-Pr	—	OH
II-71	A-1	O	3-O-n-Pr	—	OH
II-72	A-1	O	4-O-n-Pr	—	OH

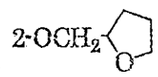
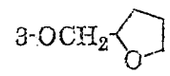
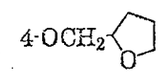
618

[Tabla 21]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-73	A-1	O	2-O-i-Pr	—	OH
II-74	A-1	O	3-O-i-Pr	—	OH
II-75	A-1	O	4-O-i-Pr	—	OH
II-76	A-1	O	2-O-c-Pr	—	OH
II-77	A-1	O	3-O-c-Pr	—	OH
II-78	A-1	O	4-O-c-Pr	—	OH
II-79	A-1	O	2-$OCH_2CH=CH_2$	—	OH
II-80	A-1	O	3-$OCH_2CH=CH_2$	—	OH
II-81	A-1	O	4-$OCH_2CH=CH_2$	—	OH
II-82	A-1	O	2-$OCH_2C\equiv CH$	—	OH
II-83	A-1	O	3-$OCH_2C\equiv CH$	—	OH
II-84	A-1	O	4-$OCH_2C\equiv CH$	—	OH
II-85	A-1	O	2-$OCHF_2$	—	OH
II-86	A-2	O	2-$OCHF_2$	—	OH
II-87	A-3	O	2-$OCHF_2$	—	OH
II-88	A-4	O	2-$OCHF_2$	—	OH
II-89	A-5	O	2-$OCHF_2$	—	OH
II-90	A-1	O	3-$OCHF_2$	—	OH
II-91	A-2	O	3-$OCHF_2$	—	OH
II-92	A-3	O	3-$OCHF_2$	—	OH
II-93	A-4	O	3-$OCHF_2$	—	OH
II-94	A-5	O	3-$OCHF_2$	—	OH
II-95	A-1	O	4-$OCHF_2$	—	OH
II-96	A-2	O	4-$OCHF_2$	—	OH
II-97	A-3	O	4-$OCHF_2$	—	OH
II-98	A-4	O	4-$OCHF_2$	—	OH
II-99	A-5	O	4-$OCHF_2$	—	OH
II-100	A-1	O	2-OCF_3	—	OH
II-101	A-1	O	3-OCF_3	—	OH
II-102	A-2	O	3-OCF_3	—	OH
II-103	A-3	O	3-OCF_3	—	OH
II-104	A-4	O	3-OCF_3	—	OH
II-105	A-5	O	3-OCF_3	—	OH
II-106	A-1	O	4-OCF_3	—	OH
II-107	A-2	O	4-OCF_3	—	OH
II-108	A-3	O	4-OCF_3	—	OH
II-109	A-4	O	4-OCF_3	—	OH
II-110	A-5	O	4-OCF_3	—	OH
II-111	A-1	O	2-OCH_2CH_2OMe	—	OH

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[Tabla 22]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-112	A-1	O	3-OCH_2CH_2OMe	—	OH
II-113	A-1	O	4-OCH_2CH_2OMe	—	OH
II-114	A-1	O	2- 	—	OH
II-115	A-1	O	3- 	—	OH
II-116	A-1	O	4- 	—	OH
II-117	A-1	O	2-OC(=O)Me	—	OH
II-118	A-1	O	3-OC(=O)Me	—	OH
II-119	A-1	O	4-OC(=O)Me	—	OH
II-120	A-1	O	2-SMe	—	OH
II-121	A-1	O	3-SMe	—	OH
II-122	A-1	O	4-SMe	—	OH
II-123	A-1	O	2-SO_2Me	—	OH
II-124	A-1	O	3-SO_2Me	—	OH
II-125	A-1	O	4-SO_2Me	—	OH
II-126	A-1	O	2-SCF_3	—	OH
II-127	A-1	O	3-SCF_3	—	OH
II-128	A-1	O	4-SCF_3	—	OH
II-129	A-1	O	2-NO_2	—	OH
II-130	A-1	O	3-NO_2	—	OH
II-131	A-1	O	4-NO_2	—	OH
II-132	A-1	O	2-NH_2	—	OH
II-133	A-1	O	3-NH_2	—	OH
II-134	A-1	O	4-NH_2	—	OH
II-135	A-1	O	2-CN	—	OH
II-136	A-1	O	3-CN	—	OH
II-137	A-1	O	4-CN	—	OH
II-138	A-1	O	2-C(=O)Me	—	OH
II-139	A-1	O	3-C(=O)Me	—	OH
II-140	A-1	O	4-C(=O)Me	—	OH
II-141	A-1	O	2-C(=O)OH	—	OH
II-142	A-1	O	3-C(=O)OH	—	OH
II-143	A-1	O	4-C(=O)OH	—	OH
II-144	A-1	O	2-C(=O)OMe	—	OH
II-145	A-1	O	3-C(=O)OMe	—	OH
II-146	A-1	O	4-C(=O)OMe	—	OH

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[Tabla 23]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-147	A-1	O	2-CH_2OMe	—	OH
II-148	A-1	O	3-CH_2OMe	—	OH
II-149	A-1	O	4-CH_2OMe	—	OH
II-150	A-1	O	2,3-F_2	—	OH
II-151	A-1	O	2,4-F_2	—	OH
II-152	A-1	O	2,5-F_2	—	OH
II-153	A-1	O	2,6-F_2	—	OH
II-154	A-1	O	3,4-F_2	—	OH
II-155	A-1	O	3,5-F_2	—	OH
II-156	A-1	O	2,3-Cl_2	—	OH
II-157	A-1	O	2,4-Cl_2	—	OH
II-158	A-1	O	2,5-Cl_2	—	OH
II-159	A-1	O	2,6-Cl_2	—	OH
II-160	A-1	O	3,4-Cl_2	—	OH
II-161	A-1	O	3,5-Cl_2	—	OH
II-162	A-1	O	2-F, 3-OMe	—	OH
II-163	A-1	O	2-Cl, 3-OMe	—	OH
II-164	A-1	O	2-Me, 3-OMe	—	OH
II-165	A-1	O	2,3-$(OMe)_2$	—	OH
II-166	A-1	O	3-OMe, 4-F	—	OH
II-167	A-1	O	3-OMe, 4-Cl	—	OH
II-168	A-1	O	3-OMe, 4-Me	—	OH
II-169	A-1	O	3,4-$(OMe)_2$	—	OH
II-170	A-1	O	3-OMe, 5-F	—	OH
II-171	A-1	O	3-OMe, 5-Cl	—	OH
II-172	A-1	O	3-OMe, 5-Me	—	OH
II-173	A-1	O	3,5-$(OMe)_2$	—	OH
II-174	A-1	O	2-F, 4-OMe	—	OH
II-175	A-1	O	2-Cl, 4-OMe	—	OH
II-176	A-1	O	2-Me, 4-OMe	—	OH
II-177	A-1	O	2,4-$(OMe)_2$	—	OH
II-178	A-1	O	3-F, 4-OMe	—	OH
II-179	A-1	O	3-Cl, 4-OMe	—	OH
II-180	A-1	O	3-Me, 4-OMe	—	OH
II-181	A-1	O	2-F, 5-OMe	—	OH
II-182	A-1	O	2-Cl, 5-OMe	—	OH
II-183	A-1	O	2-Me, 5-OMe	—	OH
II-184	A-1	O	2,5-$(OMe)_2$	—	OH
II-185	A-1	O	3,4,5-$(OMe)_3$	—	OH

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[Tabla 24]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-186	A-1	O	4-OMe	5-F	OH
II-187	A-1	O	4-OMe	6-F	OH
II-188	A-1	O	4-OMe	7-F	OH
II-189	A-1	O	4-OMe	8-F	OH
II-190	A-1	O	—	5-Cl	OH
II-191	A-1	O	—	6-Cl	OH
II-192	A-1	O	—	7-Cl	OH
II-193	A-1	O	—	8-Cl	OH
II-194	A-1	O	4-OMe	5-Cl	OH
II-195	A-1	O	4-OMe	6-Cl	OH
II-196	A-1	O	4-OMe	7-Cl	OH
II-197	A-1	O	4-OMe	8-Cl	OH
II-198	A-1	S	—	—	OH
II-199	A-4	O	—	—	S(n-Hex)
II-200	A-4	O	—	—	SO(n-Hex)
II-201	A-4	O	—	—	SO_2(n-Hex)
II-202	A-4	O	—	—	SPh
II-203	A-4	O	—	—	SOPh
II-204	A-4	O	—	—	SO_2Ph
II-205	A-1	O	4-OCH_2CN	—	OH
II-206	A-1	O	3-OCH_2-c-Pr	—	OH
II-207	A-1	O	3-OCH_2CF_3	—	OH
II-208	A-1	O	4-OCH_2-c-Pr	—	OH
II-209	A-1	O	4-OCH_2CF_3	—	OH
II-210	A-1	O	4-NMe_2	—	OH
II-211	A-1	O	3,4-Me_2	—	OH
II-212	A-1	O	2-F, 4-Me	—	OH
II-213	A-1	O	3-F, 4-Me	—	OH
II-214	A-1	O	3-Me, 4-F	—	OH
II-215	A-1	O	2-Cl, 4-Me	—	OH
II-216	A-1	O	3-Cl, 4-Me	—	OH
II-217	A-1	O	3-OEt, 4-OMe	—	OH
II-218	A-1	O	2,3,4-$(OMe)_3$	—	OH
II-219	A-1	O	2,5-F_2, 4-OMe	—	OH
II-220	A-1	O	3,5-F_2, 4-OMe	—	OH
II-221	A-1	O	3,5-Cl_2, 4-OMe	—	OH
II-222	A-1	O	3,4-$(CH_2CH_2CH_2)$-	—	OH
II-223	A-1	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—	OH

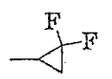
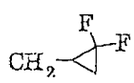
682

[Tabla 25]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-224	A-1	O	3,4-(CH_2OCH_2)-	—	OH
II-225	A-1	O	3,4-(OCH_2O)-	7-F	OH
II-226	A-1	O	2,3-(OCH_2CH_2O)-	—	OH
II-227	A-2	O	3,4-(OCH_2CH_2O)-	—	OH
II-228	A-3	O	3,4-(OCH_2CH_2O)-	—	OH
II-229	A-6	O	3,4-(OCH_2CH_2O)-	—	OH
II-230	A-7	O	3,4-(OCH_2CH_2O)-	—	OH
II-231	A-1	O	3,4-($OCH_2CH(Me)O$)-	—	OH
II-232	A-1	O	3,4-($OCH_2CH_2CH_2O$)-	—	OH
II-233	A-1	O	—	5-F	OH
II-234	A-1	O	3,4,5-$(OMe)_3$	5-F	OH
II-235	A-1	O	3,5-F_2, 4-OMe	5-F	OH
II-236	A-1	O	3,4-(OCH_2CH_2O)-	5-F	OH
II-237	A-1	O	—	6-F	OH
II-238	A-1	O	3,4,5-$(OMe)_3$	6-F	OH
II-239	A-1	O	3,4-(OCH_2O)-	6-F	OH
II-240	A-1	O	3,4-(OCH_2CH_2O)-	6-F	OH
II-241	A-1	O	—	7-F	OH
II-242	A-1	O	3,4-(OCH_2CH_2O)-	7-F	OH
II-243	A-1	O	—	8-F	OH
II-244	A-1	O	—	5-Me	OH
II-245	A-1	O	4-OMe	5-Me	OH
II-246	A-1	O	4-OMe	6-Me	OH
II-247	A-1	O	4-OMe	7-Me	OH
II-248	A-1	O	3,5-F_2, 4-OMe	7-Me	OH
II-249	A-1	O	—	6-CF_3	OH
II-250	A-1	O	—	6-OMe	OH
II-251	A-1	O	4-OMe	6-OMe	OH
II-252	A-1	O	—	7-OMe	OH
II-253	A-1	O	4-OMe	7-OMe	OH
II-254	A-1	O	2,5-F_2, 4-OMe	7-OMe	OH
II-255	A-1	O	3,5-F_2, 4-OMe	7-OMe	OH
II-256	A-1	O	—	8-OMe	OH
II-257	A-1	O	4-OMe	8-OMe	OH
II-258	A-1	O	4-OMe	5,6-F_2	OH
II-259	A-1	O	4-OMe	5,7-F_2	OH
II-260	A-1	O	—	6,7-F_2	OH
II-261	A-1	O	—	6,8-F_2	OH

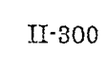
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[Tabla 26]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-262	A-1	O	4-OMe	5,7-Cl_2	OH
II-263	A-1	O	4-OMe	6-F, 7-OMe	OH
II-264	A-1	O	—	7-c-Pr	OH
II-265	A-1	O	—	7-$OCH_2CH=CH_2$	OH
II-266	A-1	O	—	7-$OCH_2C\equiv CH$	OH
II-267	A-1	O	—	7-NHMe	OH
II-268	A-1	O	—	7-C(=O)H	OH
II-269	A-1	O	—	6-C(=O)Me	OH
II-270	A-1	O	—	7-C(=O)OH	OH
II-271	A-1	O	—	7-C(=O)OMe	OH
II-272	A-1	O	—	7-C(=O)OEt	OH
II-273	A-1	O	—	7-C(=O)NHNe	OH
II-274	A-1	O	—	7-$C(=O)NMe_2$	OH
II-275	A-1	O	—	6,7-(OCH_2CH_2O)-	OH
II-276	A-1	O	—	6,7-(OCH_2O)-	OH
II-277	A-1	O	4-OMe	6,7-(OCH_2CH_2O)-	OH
II-278	A-1	O	4-OMe	6,7-(OCH_2O)-	OH
II-279	A-1	O	2-CONHMe	—	OH
II-280	A-1	O	2-$CONMe_2$	—	OH
II-281	A-1	O	2-NHCOMe	—	OH
II-282	A-1	O	4-CH_2-c-Pr	—	OH
II-283	A-1	O	4-$CH=CH_2$	—	OH
II-284	A-1	O	4-$C\equiv CMe$	—	OH
II-285	A-1	O	3-$CH=CF_2$	—	OH
II-286	A-1	O	3- 	—	OH
II-287	A-1	O	4- 	—	OH
II-288	A-1	O	4-CH_2OH	—	OH
II-289	A-1	O	4-CH_2SMe	—	OH
II-290	A-1	O	4-CH_2SOMe	—	OH
II-291	A-1	O	4-CH_2SO_2Me	—	OH
II-292	A-1	O	4-CH_2SCHF_2	—	OH
II-293	A-1	O	4-CH_2SOCHF_2	—	OH
II-294	A-1	O	4-$CH_2SO_2CHF_2$	—	OH
II-295	A-1	O	4-CH_2CN	—	OH

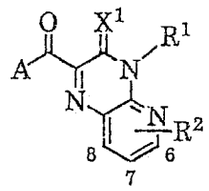
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[Tabla 27]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
II-296	A-1	O	4-NHMe	—	OH
II-297	A-1	O	4-$OCH_2OCH_2CF_3$	—	OH
II-298	A-1	O	4-C(Me)=NOMe	—	OH
II-299	A-1	O	2-$CONH_2$	—	OH
II-300	A-1	O	4- 	—	OH
II-301	A-1	O	3-F, 4-OMe	5-Cl	OH
II-302	A-1	O	4-OMe	5,6,8-F_3, 7-OMe	OH
II-303	A-1	O	—	7-CF_3	OH
II-304	A-1	O	4-F	7-OMe	OH
II-305	A-1	O	4-$OCHF_2$	7-OMe	OH
II-306	A-1	O	4-Me	7-OMe	OH
II-307	A-1	O	4-OMe	5-Br	OH
II-308	A-1	O	4-OMe	5-CN	OH
II-309	A-1	O	4-OMe	5-CF_3	OH
II-310	A-1	O	2,3,5,6-F_4, 4-OMe	—	OH
II-311	A-1	O	4-Me	5-Cl	OH
II-312	A-1	O	3-F, 4-Me	5-Cl	OH
II-313	A-1	O	2-F, 4-OMe	5-Cl	OH
II-314	A-1	O	3-F	6-F	OH
II-315	A-1	O	3-Me	6-F	OH
II-316	A-1	O	3-F, 4-OMe	5-Me	OH
II-317	A-1	O	4-F	5-F	OH
II-318	A-1	O	4-F	5-Cl	OH
II-319	A-1	O	4-F	5-Me	OH
II-320	A-1	O	4-$OCHF_2$	5-F	OH
II-321	A-1	O	4-$OCHF_2$	5-Cl	OH
II-322	A-1	O	4-$OCHF_2$	5-Me	OH
II-323	A-1	O	3-F, 4-OEt	—	OH

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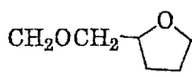
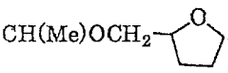
[Tabla 28]



No. de Compuesto	A	X^1	R^1	R^2	R^3
III-1	A-1	O	H	—	OH
III-2	A-1	O	Me	—	OH
III-3	A-2	O	Me	—	OH
III-4	A-3	O	Me	—	OH
III-5	A-4	O	Me	—	OH
III-6	A-5	O	Me	—	OH
III-7	A-1	O	Et	—	OH
III-8	A-2	O	Et	—	OH
III-9	A-3	O	Et	—	OH
III-10	A-4	O	Et	—	OH
III-11	A-5	O	Et	—	OH
III-12	A-1	O	n-Pr	—	OH
III-13	A-1	O	i-Pr	—	OH
III-14	A-1	O	c-Pr	—	OH
III-15	A-1	O	n-Bu	—	OH
III-16	A-1	O	s-Bu	—	OH
III-17	A-1	O	i-Bu	—	OH
III-18	A-1	O	t-Bu	—	OH
III-19	A-1	O	c-Bu	—	OH
III-20	A-1	O	n-Pen	—	OH
III-21	A-1	O	c-Pen	—	OH
III-22	A-1	O	n-Hex	—	OH
III-23	A-1	O	c-Hex	—	OH
III-24	A-1	O	$n\text{-}C_7H_{15}$	—	OH
III-25	A-1	O	$n\text{-}C_8H_{17}$	—	OH
III-26	A-1	O	$n\text{-}C_9H_{19}$	—	OH
III-27	A-1	O	$n\text{-}C_{10}H_{21}$	—	OH
III-28	A-1	O	$n\text{-}C_{11}H_{23}$	—	OH
III-29	A-1	O	$n\text{-}C_{12}H_{25}$	—	OH
III-30	A-1	O	$CH_2CH{=}CH_2$	—	OH
III-31	A-2	O	$CH_2CH{=}CH_2$	—	OH
III-32	A-3	O	$CH_2CH{=}CH_2$	—	OH
III-33	A-4	O	$CH_2CH{=}CH_2$	—	OH
III-34	A-5	O	$CH_2CH{=}CH_2$	—	OH
III-35	A-1	O	$CH_2C{\equiv}CH$	—	OH

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[Tabla 29]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-36	A-2	O	$CH_2C{\equiv}CH$	—	OH
III-37	A-3	O	$CH_2C{\equiv}CH$	—	OH
III-38	A-4	O	$CH_2C{\equiv}CH$	—	OH
III-39	A-5	O	$CH_2C{\equiv}CH$	—	OH
III-40	A-1	O	CH_2CF_3	—	OH
III-41	A-2	O	CH_2CF_3	—	OH
III-42	A-3	O	CH_2CF_3	—	OH
III-43	A-4	O	CH_2CF_3	—	OH
III-44	A-5	O	CH_2CF_3	—	OH
III-45	A-1	O	CH_2CH_2F	—	OH
III-46	A-1	O	CH_2CH_2Cl	—	OH
III-47	A-1	O	$CH_2CH_2CF_3$	—	OH
III-48	A-1	O	$CH_2CH{=}CCl_2$	—	OH
III-49	A-1	O	CH_2OMe	—	OH
III-50	A-1	O	CH_2OEt	—	OH
III-51	A-2	O	CH_2OEt	—	OH
III-52	A-3	O	CH_2OEt	—	OH
III-53	A-4	O	CH_2OEt	—	OH
III-54	A-5	O	CH_2OEt	—	OH
III-55	A-1	O	$CH(Me)OMe$	—	OH
III-56	A-1	O	$CH(Me)OEt$	—	OH
III-57	A-1	O	CH_2OPh	—	OH
III-58	A-1	O	$CH_2OCH_2CH_2OMe$	—	OH
III-59	A-1	O	$CH_2OCH_2CF_3$	—	OH
III-60	A-2	O	$CH_2OCH_2CF_3$	—	OH
III-61	A-3	O	$CH_2OCH_2CF_3$	—	OH
III-62	A-4	O	$CH_2OCH_2CF_3$	—	OH
III-63	A-5	O	$CH_2OCH_2CF_3$	—	OH
III-64	A-1	O	$CH(Me)OCH_2CF_3$	—	OH
III-65	A-1	O		—	OH
III-66	A-1	O		—	OH
III-67	A-1	O	$CH_2OCH_2CH_2SO_2Me$	—	OH
III-68	A-1	O	$CH_2OCH_2CH_2CN$	—	OH
III-69	A-1	O	$CH_2OC(=O)t\text{-}Bu$	—	OH
III-70	A-1	O	CH_2SMe	—	OH
III-71	A-4	O	CH_2SMe	—	OH
III-72	A-1	O	CH_2SEt	—	OH

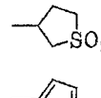
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[Tabla 30]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-73	A-1	O	CH_2S-n-Pr	—	OH
III-74	A-1	O	CH(Me)SMe	—	OH
III-75	A-1	O	CH(Me)SEt	—	OH
III-76	A-1	O	CH(Me)S-n-Pr	—	OH
III-77	A-1	O	CH_2SOMe	—	OH
III-78	A-1	O	CH_2SOEt	—	OH
III-79	A-1	O	CH_2SO-n-Pr	—	OH
III-80	A-1	O	CH_2SO_2Me	—	OH
III-81	A-4	O	CH_2SO_2Me	—	OH
III-82	A-1	O	CH_2SO_2Et	—	OH
III-83	A-1	O	CH_2SO_2-n-Pr	—	OH
III-84	A-1	O	$CH(Me)SO_2Me$	—	OH
III-85	A-1	O	$CH(Me)SO_2Et$	—	OH
III-86	A-1	O	$CH(Me)SO_2$-n-Pr	—	OH
III-87	A-1	O	CH_2CH_2OH	—	OH
III-88	A-1	O	CH_2CH_2OMe	—	OH
III-89	A-1	O	CH_2CH_2OEt	—	OH
III-90	A-1	O	$CH(Me)CH_2OMe$	—	OH
III-91	A-1	O	CH_2CH_2SMe	—	OH
III-92	A-1	O	$CH_2CH_2SO_2Me$	—	OH
III-93	A-1	O	$CH_2CH_2CH_2OMe$	—	OH
III-94	A-1	O	$CH_2C(=O)Me$	—	OH
III-95	A-1	O	$CH_2C(=O)OMe$	—	OH
III-96	A-1	O	$CH_2C(=O)OEt$	—	OH
III-97	A-1	O	$CH_2C(=O)On$-Pr	—	OH
III-98	A-1	O	$CH_2C(=O)Oi$-Pr	—	OH
III-99	A-1	O	$CH_2C(=O)Ot$-Bu	—	OH
III-100	A-1	O	$CH_2C(=O)NMe_2$	—	OH
III-101	A-1	O	$CH_2C(=O)$—N⟨⟩O	—	OH
III-102	A-1	O	CH_2CN	—	OH
III-103	A-1	O	CH_2CH_2CN	—	OH
III-104	A-1	O	$CH(Me)CH_2CN$	—	OH
III-105	A-1	O	$CH_2CH_2CH_2CN$	—	OH
III-106	A-1	O	$CH_2CH_2NO_2$	—	OH
III-107	A-1	O	Bn	—	OH
III-108	A-1	O	(2-F)Bn	—	OH
III-109	A-1	O	(3-F)Bn	—	OH
III-110	A-1	O	(4-F)Bn	—	OH
III-111	A-1	O	(2-Cl)Bn	—	OH

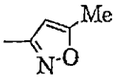
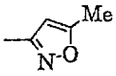
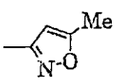
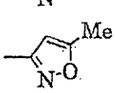
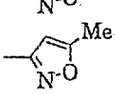
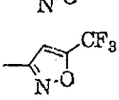
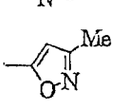
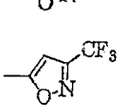
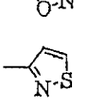
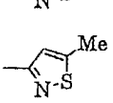
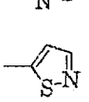
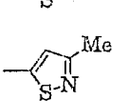
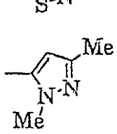
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[Tabla 31]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-112	A-1	O	(3-Cl)Bn	—	OH
III-113	A-1	O	(4-Cl)Bn	—	OH
III-114	A-1	O	(2-Me)Bn	—	OH
III-115	A-1	O	(3-Me)Bn	—	OH
III-116	A-1	O	(4-Me)Bn	—	OH
III-117	A-1	O	(2-CF_3)Bn	—	OH
III-118	A-1	O	(3-CF_3)Bn	—	OH
III-119	A-1	O	(4-CF_3)Bn	—	OH
III-120	A-1	O	(2-OMe)Bn	—	OH
III-121	A-2	O	(2-OMe)Bn	—	OH
III-122	A-3	O	(2-OMe)Bn	—	OH
III-123	A-4	O	(2-OMe)Bn	—	OH
III-124	A-5	O	(2-OMe)Bn	—	OH
III-125	A-1	O	(3-OMe)Bn	—	OH
III-126	A-1	O	(4-OMe)Bn	—	OH
III-127	A-1	O	(2,4-$(OMe)_2$)Bn	—	OH
III-128	A-1	O	(2,6-$(OMe)_2$)Bn	—	OH
III-129	A-1	O	(3,5-$(OMe)_2$)Bn	—	OH
III-130	A-1	O	CH(Me)Ph	—	OH
III-131	A-1	O		—	OH
III-132	A-2	O		—	OH
III-133	A-3	O		—	OH
III-134	A-4	O		—	OH
III-135	A-5	O		—	OH
III-136	A-1	O		—	OH
III-137	A-1	O		—	OH
III-138	A-1	O		—	OH
III-139	A-1	O		—	OH
III-140	A-2	O		—	OH

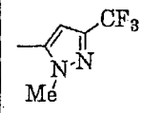
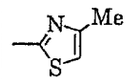
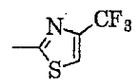
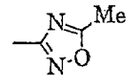
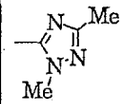
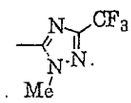
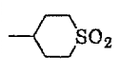
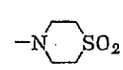
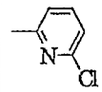
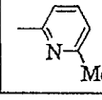
689

[Tabla 32]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-141	A-3	O		—	OH
III-142	A-4	O		—	OH
III-143	A-5	O		—	OH
III-144	A-1	O		—	OH
III-145	A-1	O		—	OH
III-146	A-2	O		—	OH
III-147	A-3	O		—	OH
III-148	A-4	O		—	OH
III-149	A-5	O		—	OH
III-150	A-1	O		—	OH
III-151	A-1	O		—	OH
III-152	A-1	O		—	OH
III-153	A-1	O		—	OH
III-154	A-1	O		—	OH
III-155	A-1	O		—	OH
III-156	A-1	O		—	OH
III-157	A-1	O		—	OH

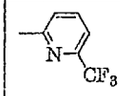
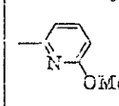
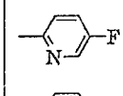
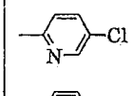
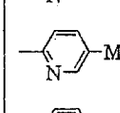
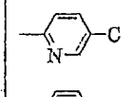
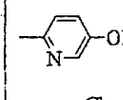
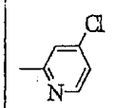
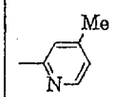
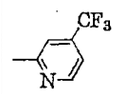
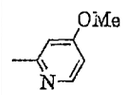
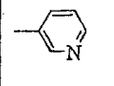
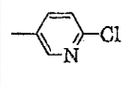
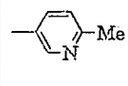
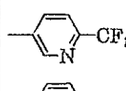
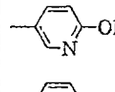
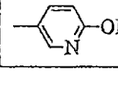
690

[Tabla 33]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-158	A-1	O		—	OH
III-159	A-1	O		—	OH
III-160	A-1	O		—	OH
III-161	A-1	O		—	OH
III-162	A-1	O		—	OH
III-163	A-1	O		—	OH
III-164	A-1	O		—	OH
III-165	A-1	O		—	OH
III-166	A-1	O		—	OH
III-167	A-1	O		—	OH
III-168	A-1	O		—	OH
III-169	A-1	O		—	OH
III-170	A-1	O		—	OH
III-171	A-1	O		—	OH
III-172	A-1	O		—	OH
III-173	A-1	O		—	OH

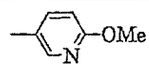
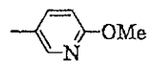
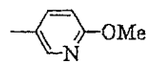
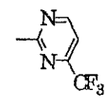
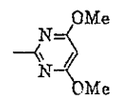
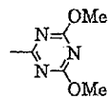
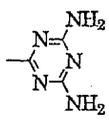
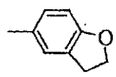
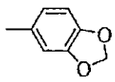
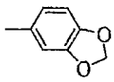
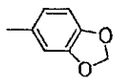
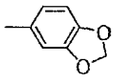
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[Tabla 34]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-174	A-1	O		—	OH
III-175	A-1	O		—	OH
III-176	A-1	O		—	OH
III-177	A-1	O		—	OH
III-178	A-1	O		—	OH
III-179	A-1	O		—	OH
III-180	A-1	O		—	OH
III-181	A-1	O		—	OH
III-182	A-1	O		—	OH
III-183	A-1	O		—	OH
III-184	A-1	O		—	OH
III-185	A-1	O		—	OH
III-186	A-1	O		—	OH
III-187	A-1	O		—	OH
III-188	A-1	O		—	OH
III-189	A-1	O		—	OH
III-190	A-2	O		—	OH

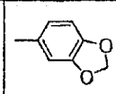
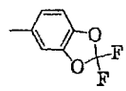
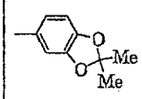
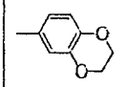
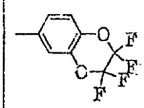
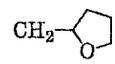
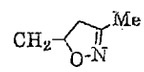
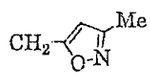
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[Tabla 35]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-191	A-3	O		—	OH
III-192	A-4	O		—	OH
III-193	A-5	O		—	OH
III-194	A-1	O		—	OH
III-195	A-1	O		—	OH
III-196	A-1	O		—	OH
III-197	A-1	O		—	OH
III-198	A-1	O		—	OH
III-199	A-1	O		—	OH
III-200	A-1	O		—	OH
III-201	A-1	O		—	OH
III-202	A-1	O		—	OH
III-203	A-2	O		—	OH
III-204	A-3	O		—	OH
III-205	A-4	O		—	OH

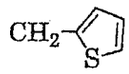
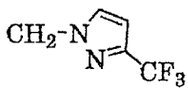
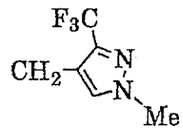
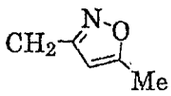
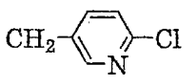
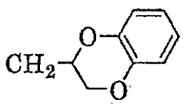
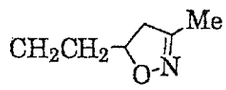
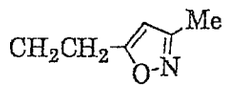
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[Tabla 36]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-206	A-5	O		—	OH
III-207	A-1	O		—	OH
III-208	A-1	O		—	OH
III-209	A-1	O		—	OH
III-210	A-1	O		—	OH
III-211	A-1	O		—	OH
III-212	A-1	O		—	OH
III-213	A-1	O		—	OH
III-214	A-1	O	NH_2	—	OH
III-215	A-1	O	NHMe	—	OH
III-216	A-1	O	NMe_2	—	OH
III-217	A-1	O	OMe	—	OH
III-218	A-1	O	OEt	—	OH
III-219	A-1	O	Me	6-F	OH
III-220	A-1	O	Me	6-Cl	OH
III-221	A-1	O	Me	6-OMe	OH
III-222	A-1	S	Me	—	OH
III-223	A-4	O	Me	—	S(n-Hex)
III-224	A-4	O	Me	—	SO(n-Hex)
III-225	A-4	O	Me	—	SO_2(n-Hex)
III-226	A-4	O	Me	—	SPh
III-227	A-4	O	Me	—	SOPh
III-228	A-4	O	Me	—	SO_2Ph
III-229	A-1	O	$CH_2CH_2CH=CH_2$	—	OH
III-230	A-1	O	$CH_2CH_2CH=C(Me)_2$	—	OH
III-231	A-1	O	$CH_2CH_2C\equiv CH$	—	OH
III-232	A-1	O	$CH_2CH_2C(Me)=CF_2$	—	OH
III-233	A-1	O	CH(Me)C(=O)Ot-Bu	—	OH

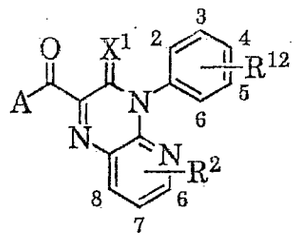
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[Tabla 37]

No. de Compuesto	A	X^1	R^1	R^2	R^3
III-234	A-1	O	(2-$OCHF_2$)Bn	—	OH
III-235	A-1	O	CH_2CH_2Ph	—	OH
III-236	A-1	O		—	OH
III-237	A-1	O		—	OH
III-238	A-1	O		—	OH
III-239	A-1	O		—	OH
III-240	A-1	O		—	OH
III-241	A-1	O		—	OH
III-242	A-1	O		—	OH
III-243	A-1	O		—	OH
III-244	A-1	O	Bn	8-Me	OH
III-245	A-1	O	Bn	7-Me	OH
III-246	A-1	O	Bn	6-Me	OH
III-247	A-1	O	Bn	6-OMe	OH

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[Tabla 38]



No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-1	A-1	O	—	—	OH
IV-2	A-2	O	—	—	OH
IV-3	A-3	O	—	—	OH
IV-4	A-4	O	—	—	OH
IV-5	A-5	O	—	—	OH
IV-6	A-1	O	2-F	—	OH
IV-7	A-1	O	3-F	—	OH
IV-8	A-1	O	4-F	—	OH
IV-9	A-1	O	2-Cl	—	OH
IV-10	A-4	O	2-Cl	—	OH
IV-11	A-1	O	3-Cl	—	OH
IV-12	A-4	O	3-Cl	—	OH
IV-13	A-1	O	4-Cl	—	OH
IV-14	A-4	O	4-Cl	—	OH
IV-15	A-5	O	4-Cl	—	OH
IV-16	A-1	O	2-Br	—	OH
IV-17	A-1	O	3-Br	—	OH
IV-18	A-1	O	4-Br	—	OH
IV-19	A-1	O	2-Me	—	OH
IV-20	A-1	O	3-Me	—	OH
IV-21	A-1	O	4-Me	—	OH
IV-22	A-1	O	2-Et	—	OH
IV-23	A-1	O	3-Et	—	OH
IV-24	A-1	O	4-Et	—	OH
IV-25	A-1	O	2-n-Pr	—	OH
IV-26	A-1	O	3-n-Pr	—	OH
IV-27	A-1	O	4-n-Pr	—	OH
IV-28	A-1	O	2-i-Pr	—	OH
IV-29	A-1	O	3-i-Pr	—	OH
IV-30	A-1	O	4-i-Pr	—	OH
IV-31	A-1	O	2-c-Pr	—	OH
IV-32	A-1	O	3-c-Pr	—	OH
IV-33	A-1	O	4-c-Pr	—	OH
IV-34	A-1	O	2-CF_3	—	OH

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[Tabla 39]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-35	A-2	O	2-CF_3	—	OH
IV-36	A-3	O	2-CF_3	—	OH
IV-37	A-4	O	2-CF_3	—	OH
IV-38	A-5	O	2-CF_3	—	OH
IV-39	A-1	O	3-CF_3	—	OH
IV-40	A-2	O	3-CF_3	—	OH
IV-41	A-3	O	3-CF_3	—	OH
IV-42	A-4	O	3-CF_3	—	OH
IV-43	A-5	O	3-CF_3	—	OH
IV-44	A-1	O	4-CF_3	—	OH
IV-45	A-2	O	4-CF_3	—	OH
IV-46	A-3	O	4-CF_3	—	OH
IV-47	A-4	O	4-CF_3	—	OH
IV-48	A-5	O	4-CF_3	—	OH
IV-49	A-1	O	2-OH	—	OH
IV-50	A-1	O	3-OH	—	OH
IV-51	A-1	O	4-OH	—	OH
IV-52	A-1	O	2-OMe	—	OH
IV-53	A-2	O	2-OMe	—	OH
IV-54	A-3	O	2-OMe	—	OH
IV-55	A-4	O	2-OMe	—	OH
IV-56	A-5	O	2-OMe	—	OH
IV-57	A-1	O	3-OMe	—	OH
IV-58	A-2	O	3-OMe	—	OH
IV-59	A-3	O	3-OMe	—	OH
IV-60	A-4	O	3-OMe	—	OH
IV-61	A-5	O	3-OMe	—	OH
IV-62	A-1	O	4-OMe	—	OH
IV-63	A-2	O	4-OMe	—	OH
IV-64	A-3	O	4-OMe	—	OH
IV-65	A-4	O	4-OMe	—	OH
IV-66	A-5	O	4-OMe	—	OH
IV-67	A-1	O	2-OEt	—	OH
IV-68	A-1	O	3-OEt	—	OH
IV-69	A-1	O	4-OEt	—	OH
IV-70	A-1	O	2-O-n-Pr	—	OH
IV-71	A-1	O	3-O-n-Pr	—	OH
IV-72	A-1	O	4-O-n-Pr	—	OH
IV-73	A-1	O	2-O-i-Pr	—	OH
IV-74	A-1	O	3-O-i-Pr	—	OH
IV-75	A-1	O	4-O-i-Pr	—	OH

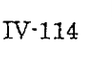
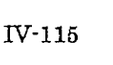
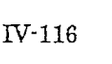
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[Tabla 40]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-76	A-1	O	2-O-c-Pr	—	OH
IV-77	A-1	O	3-O-c-Pr	—	OH
IV-78	A-1	O	4-O-c-Pr	—	OH
IV-79	A-1	O	$2\text{-}OCH_2CH{=}CH_2$	—	OH
IV-80	A-1	O	$3\text{-}OCH_2CH{=}CH_2$	—	OH
IV-81	A-1	O	$4\text{-}OCH_2CH{=}CH_2$	—	OH
IV-82	A-1	O	$2\text{-}OCH_2C{\equiv}CH$	—	OH
IV-83	A-1	O	$3\text{-}OCH_2C{\equiv}CH$	—	OH
IV-84	A-1	O	$4\text{-}OCH_2C{\equiv}CH$	—	OH
IV-85	A-1	O	$2\text{-}OCHF_2$	—	OH
IV-86	A-2	O	$2\text{-}OCHF_2$	—	OH
IV-87	A-3	O	$2\text{-}OCHF_2$	—	OH
IV-88	A-4	O	$2\text{-}OCHF_2$	—	OH
IV-89	A-5	O	$2\text{-}OCHF_2$	—	OH
IV-90	A-1	O	$3\text{-}OCHF_2$	—	OH
IV-91	A-2	O	$3\text{-}OCHF_2$	—	OH
IV-92	A-3	O	$3\text{-}OCHF_2$	—	OH
IV-93	A-4	O	$3\text{-}OCHF_2$	—	OH
IV-94	A-5	O	$3\text{-}OCHF_2$	—	OH
IV-95	A-1	O	$4\text{-}OCHF_2$	—	OH
IV-96	A-2	O	$4\text{-}OCHF_2$	—	OH
IV-97	A-3	O	$4\text{-}OCHF_2$	—	OH
IV-98	A-4	O	$4\text{-}OCHF_2$	—	OH
IV-99	A-5	O	$4\text{-}OCHF_2$	—	OH
IV-100	A-1	O	$2\text{-}OCF_3$	—	OH
IV-101	A-1	O	$3\text{-}OCF_3$	—	OH
IV-102	A-2	O	$3\text{-}OCF_3$	—	OH
IV-103	A-3	O	$3\text{-}OCF_3$	—	OH
IV-104	A-4	O	$3\text{-}OCF_3$	—	OH
IV-105	A-5	O	$3\text{-}OCF_3$	—	OH
IV-106	A-1	O	$4\text{-}OCF_3$	—	OH
IV-107	A-2	O	$4\text{-}OCF_3$	—	OH
IV-108	A-3	O	$4\text{-}OCF_3$	—	OH
IV-109	A-4	O	$4\text{-}OCF_3$	—	OH
IV-110	A-5	O	$4\text{-}OCF_3$	—	OH
IV-111	A-1	O	$2\text{-}OCH_2CH_2OMe$	—	OH
IV-112	A-1	O	$3\text{-}OCH_2CH_2OMe$	—	OH
IV-113	A-1	O	$4\text{-}OCH_2CH_2OMe$	—	OH

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[Tabla 41]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-114	A-1	O	2- 	—	OH
IV-115	A-1	O	3- 	—	OH
IV-116	A-1	O	4- 	—	OH
IV-117	A-1	O	2-OC(=O)Me	—	OH
IV-118	A-1	O	3-OC(=O)Me	—	OH
IV-119	A-1	O	3-OC(=O)Me	—	OH
IV-120	A-1	O	2-SMe	—	OH
IV-121	A-1	O	3-SMe	—	OH
IV-122	A-1	O	4-SMe	—	OH
IV-123	A-1	O	2-SO_2Me	—	OH
IV-124	A-1	O	3-SO_2Me	—	OH
IV-125	A-1	O	4-SO_2Me	—	OH
IV-126	A-1	O	2-SCF_3	—	OH
IV-127	A-1	O	3-SCF_3	—	OH
IV-128	A-1	O	4-SCF_3	—	OH
IV-129	A-1	O	2-NO_2	—	OH
IV-130	A-1	O	3-NO_2	—	OH
IV-131	A-1	O	4-NO_2	—	OH
IV-132	A-1	O	2-NH_2	—	OH
IV-133	A-1	O	3-NH_2	—	OH
IV-134	A-1	O	4-NH_2	—	OH
IV-135	A-1	O	2-CN	—	OH
IV-136	A-1	O	3-CN	—	OH
IV-137	A-1	O	4-CN	—	OH
IV-138	A-1	O	2-C(=O)Me	—	OH
IV-139	A-1	O	3-C(=O)Me	—	OH
IV-140	A-1	O	4-C(=O)Me	—	OH
IV-141	A-1	O	2-C(=O)OH	—	OH
IV-142	A-1	O	3-C(=O)OH	—	OH
IV-143	A-1	O	4-C(=O)OH	—	OH
IV-144	A-1	O	2-C(=O)OMe	—	OH
IV-145	A-1	O	3-C(=O)OMe	—	OH
IV-146	A-1	O	4-C(=O)OMe	—	OH
IV-147	A-1	O	2-CH_2OMe	—	OH
IV-148	A-1	O	3-CH_2OMe	—	OH

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[Tabla 42]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-149	A-1	O	4-CH_2OMe	—	OH
IV-150	A-1	O	2,3-F_2	—	OH
IV-151	A-1	O	2,4-F_2	—	OH
IV-152	A-1	O	2,5-F_2	—	OH
IV-153	A-1	O	2,6-F_2	—	OH
IV-154	A-1	O	3,4-F_2	—	OH
IV-155	A-1	O	3,5-F_2	—	OH
IV-156	A-1	O	2,3-Cl_2	—	OH
IV-157	A-1	O	2,4-Cl_2	—	OH
IV-158	A-1	O	2,5-Cl_2	—	OH
IV-159	A-1	O	2,6-Cl_2	—	OH
IV-160	A-1	O	3,4-Cl_2	—	OH
IV-161	A-1	O	3,5-Cl_2	—	OH
IV-162	A-1	O	2-F, 3-OMe	—	OH
IV-163	A-1	O	2-Cl, 3-OMe	—	OH
IV-164	A-1	O	2-Me, 3-OMe	—	OH
IV-165	A-1	O	2,3-$(OMe)_2$	—	OH
IV-166	A-1	O	3-OMe, 4-F	—	OH
IV-167	A-1	O	3-OMe, 4-Cl	—	OH
IV-168	A-1	O	3-OMe, 4-Me	—	OH
IV-169	A-1	O	3,4-$(OMe)_2$	—	OH
IV-170	A-1	O	3-OMe, 5-F	—	OH
IV-171	A-1	O	3-OMe, 5-Cl	—	OH
IV-172	A-1	O	3-OMe, 5-Me	—	OH
IV-173	A-1	O	3,5-$(OMe)_2$	—	OH
IV-174	A-1	O	2-F, 4-OMe	—	OH
IV-175	A-1	O	2-Cl, 4-OMe	—	OH
IV-176	A-1	O	2-Me, 4-OMe	—	OH
IV-177	A-1	O	2,4-$(OMe)_2$	—	OH
IV-178	A-1	O	3-F, 4-OMe	—	OH
IV-179	A-1	O	3-Cl, 4-OMe	—	OH
IV-180	A-1	O	3-Me, 4-OMe	—	OH
IV-181	A-1	O	2-F, 5-OMe	—	OH
IV-182	A-1	O	2-Cl, 5-OMe	—	OH
IV-183	A-1	O	2-Me, 5-OMe	—	OH
IV-184	A-1	O	2,5-$(OMe)_2$	—	OH
IV-185	A-1	O	3,4,5-$(OMe)_3$	—	OH
IV-186	A-1	O	—	6-F	OH
IV-187	A-1	O	4-OMe	6-F	OH

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[Tabla 43]

No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-188	A-1	O	—	6-Cl	OH
IV-189	A-1	O	4-OMe	6-Cl	OH
IV-190	A-1	O	—	6-OMe	OH
IV-191	A-1	O	4-OMe	6-OMe	OH
IV-192	A-1	S	—	—	OH
IV-193	A-1	S	4-OMe	—	OH
IV-194	A-4	O	—	—	S(n-Hex)
IV-195	A-4	O	—	—	SO(n-Hex)
IV-196	A-4	O	—	—	SO_2(n-Hex)
IV-197	A-4	O	—	—	SPh
IV-198	A-4	O	—	—	SOPh
IV-199	A-4	O	—	—	SO_2Ph
IV-200	A-1	O	2-F,5-CF_3	—	OH
IV-201	A-1	O	3-CF_3,4-F	—	OH
IV-202	A-1	O	2-F,3-CF_3	—	OH
IV-203	A-1	O	3-F,5-CF_3	—	OH
IV-204	A-1	O	2,3-$(Me)_2$	—	OH
IV-205	A-1	O	2,4-$(Me)_2$	—	OH
IV-206	A-1	O	2,5-$(Me)_2$	—	OH
IV-207	A-1	O	2,6-$(Me)_2$	—	OH
IV-208	A-1	O	3,4-$(Me)_2$	—	OH
IV-209	A-1	O	3,5-$(Me)_2$	—	OH
IV-210	A-1	O	3,5-$(CF_3)_2$	—	OH
IV-211	A-1	O	2,6-$(OMe)_2$	—	OH
IV-212	A-1	O	2-F, 3-Cl	—	OH
IV-213	A-1	O	2-F, 4-Cl	—	OH
IV-214	A-1	O	2-F, 5-Cl	—	OH
IV-215	A-1	O	3-F, 4-Cl	—	OH
IV-216	A-1	O	4-F, 2-Cl	—	OH
IV-217	A-1	O	4-F, 3-Cl	—	OH
IV-218	A-1	O	2-F, 3-Me	—	OH
IV-219	A-1	O	2-F, 4-Me	—	OH
IV-220	A-1	O	2-F, 5-Me	—	OH
IV-221	A-1	O	3-F, 2-Me	—	OH
IV-222	A-1	O	3-F, 4-Me	—	OH
IV-223	A-4	O	3-F, 4-Me	—	OH
IV-224	A-1	O	3-F, 5-Me	—	OH
IV-225	A-1	O	4-F, 2-Me	—	OH
IV-226	A-1	O	4-F, 3-Me	—	OH

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[Tabla 44]

Nc. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-227	A-1	O	5-F, 2-Me	—	OH
IV-228	A-1	O	2-F, 4-CF_3	—	OH
IV-229	A-1	O	3-F, 4-CF_3	—	OH
IV-230	A-1	O	4-F, 2-CF_3	—	OH
IV-231	A-1	O	3-F, 2-OMe	—	OH
IV-232	A-1	O	4-F, 2-OMe	—	OH
IV-233	A-1	O	5-F, 2-OMe	—	OH
IV-234	A-1	O	2-F, 4-$OCHF_2$	—	OH
IV-235	A-1	O	3-F, 4-$OCHF_2$	—	OH
IV-236	A-1	O	4-F, 2-$OCHF_2$	—	OH
IV-237	A-1	O	4-F, 3-CN	—	OH
IV-238	A-1	O	2-Cl, 4-Me	—	OH
IV-239	A-1	O	3-Cl, 4-Me	—	OH
IV-240	A-1	O	3-Cl, 4-$OCHF_2$	—	OH
IV-241	A-1	O	4-Me, 3-CF_3	—	OH
IV-242	A-1	O	4-Me, 2-OMe	—	OH
IV-243	A-1	O	3-Me, 4-CN	—	OH
IV-244	A-1	O	4-Me, 3-CN	—	OH
IV-245	A-1	O	2,3,4-F_3	—	OH
IV-246	A-1	O	2,3,5-F_3	—	OH
IV-247	A-1	O	2,4,5-F_3	—	OH
IV-248	A-1	O	3,4,5-F_3	—	OH
IV-249	A-1	O	2,3-F_2, 4-Me	—	OH
IV-250	A-1	O	2,6-F_2, 4-OMe	—	OH
IV-251	A-1	O	3,5-F_2, 4-OMe	—	OH
IV-252	A-1	O	4-F, 2-Cl, 5-Me	—	OH
IV-253	A-1	O	—	7-Cl	OH
IV-254	A-1	O	—	6-Me	OH
IV-255	A-1	O	—	7-Me	OH
IV-256	A-1	O	—	8-Me	OH
IV-257	A-1	O	3-Me	8-Me	OH
IV-258	A-1	O	3-CF_3	8-Me	OH
IV-259	A-1	O	4-OMe	8-Me	OH
IV-260	A-1	O	3-F, 4-Me	8-Me	OH
IV-261	A-4	O	3-F, 4-Me	8-Me	OH
IV-262	A-1	O	3-F, 4-OMe	8-Me	OH
IV-263	A-1	O	—	8-OMe	OH
IV-264	A-1	O	3-CF_3	8-OMe	OH

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[Tabla 45]

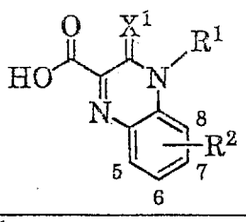
No. de Compuesto	A	X^1	R^{12}	R^2	R^3
IV-265	A-1	O	3-F, 4-Me	8-Cl	OH
IV-266	A-1	O	3-F, 4-Me	6-F	OH
IV-267	A-1	O	3-F, 4-Me	6-Cl	OH
IV-268	A-1	O	3-F, 4-Me	7-Me	OH
IV-269	A-1	O	3-F, 4-Me	6-Me	OH
IV-270	A-1	O	—	8-Cl	OH
IV-271	A-1	O	3-F, 4-Me	6-OMe	OH
IV-272	A-1	O	3-F, 4-Me	6-OMe	OH
IV-273	A-1	O	4-OMe	8-Cl	OH
IV-274	A-1	O	4-OMe	6,8-Me_2	OH
IV-275	A-1	O	3-F, 4-OMe	6,8-Me_2	OH
IV-276	A-1	O	3,4-(OCH_2O)-	8-Me	OH
IV-277	A-1	O	3,4-(OCH_2CH_2O)-	8-Me	OH
IV-278	A-1	O	3,4-(OCH_2O)-	6,8-Me_2	OH
IV-279	A-1	O	3,4-(OCH_2CH_2O)-	6,8-Me_2	OH
IV-280	A-1	O	4-OMe	6-Cl, 8-Me	OH
IV-281	A-1	O	3-F, 4-OMe	6-Cl, 8-Me	OH
IV-282	A-1	O	3,4-(OCH_2O)-	6-Cl, 8-Me	OH
IV-283	A-1	O	3,4-(OCH_2CH_2O)-	6-Cl, 8-Me	OH
IV-284	A-1	O	—	6-SMe	OH
IV-285	A-1	O	3,4-(OCH_2CH_2O)-	6-OMe	OH
IV-286	A-1	O	3-F, 4-OEt	—	OH
IV-287	A-1	O	3,4-(OCH_2O)-	8-Cl	OH

Los ejemplos preferidos específicos de los compuestos representados por la fórmula [J2] los cuales son una producción intermedia de la presente invención se mostrarán a continuación de la Tabla 46 a la Tabla 81. Sin embargo, el compuesto no pretenderá ser limitado a estos compuestos como en el caso de los compuestos de la presente invención. De manera adicional, el número de compuestos se refiere en las descripciones a continuación, y las observaciones en las tablas tienen el mismo

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significado mencionado anteriormente.

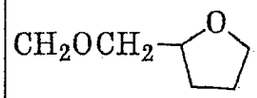
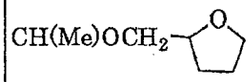
[Tabla 46]



No. de Compuesto	X^1	R^1	R^2
V-1	O	H	—
V-2	O	Me	—
V-3	O	Et	—
V-4	O	n-Pr	—
V-5	O	i-Pr	—
V-6	O	c-Pr	—
V-7	O	n-Bu	—
V-8	O	s-Bu	—
V-9	O	i-Bu	—
V-10	O	t-Bu	—
V-11	O	c-Bu	—
V-12	O	n-Pen	—
V-13	O	c-Pen	—
V-14	O	n-Hex	—
V-15	O	c-Hex	—
V-16	O	$n\text{-}C_7H_{15}$	—
V-17	O	$n\text{-}C_8H_{17}$	—
V-18	O	$n\text{-}C_9H_{19}$	—
V-19	O	$n\text{-}C_{10}H_{21}$	—
V-20	O	$n\text{-}C_{11}H_{23}$	—
V-21	O	$n\text{-}C_{12}H_{25}$	—
V-22	O	$CH_2CH{=}CH_2$	—
V-23	O	$CH_2C{\equiv}CH$	—
V-24	O	CH_2CF_3	—
V-25	O	CH_2CH_2F	—
V-26	O	CH_2CH_2Cl	—
V-27	O	$CH_2CH_2CF_3$	—
V-28	O	$CH_2CH{=}CCl_2$	—
V-29	O	CH_2OMe	—
V-30	O	CH_2OEt	—
V-31	O	CH(Me)OMe	—
V-32	O	CH(Me)OEt	—
V-33	O	CH_2OPh	—
V-34	O	$CH_2OCH_2CH_2OMe$	—

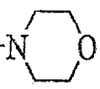
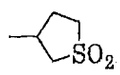
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[Tabla 47]

No. de Compuesto	X^1	R^1	R^2
V-35	O	$CH_2OCH_2CF_3$	—
V-36	O	$CH(Me)OCH_2CF_3$	—
V-37	O		—
V-38	O		—
V-39	O	$CH_2OCH_2CH_2SO_2Me$	—
V-40	O	$CH_2OCH_2CH_2CN$	—
V-41	O	$CH_2OC(=O)t\text{-}Bu$	—
V-42	O	CH_2SMe	—
V-43	O	CH_2SEt	—
V-44	O	$CH_2S\text{-}n\text{-}Pr$	—
V-45	O	$CH(Me)SMe$	—
V-46	O	$CH(Me)SEt$	—
V-47	O	$CH(Me)S\text{-}n\text{-}Pr$	—
V-48	O	CH_2SOMe	—
V-49	O	CH_2SOEt	—
V-50	O	$CH_2SO\text{-}n\text{-}Pr$	—
V-51	O	CH_2SO_2Me	—
V-52	O	CH_2SO_2Et	—
V-53	O	$CH_2SO_2\text{-}n\text{-}Pr$	—
V-54	O	$CH(Me)SO_2Me$	—
V-55	O	$CH(Me)SO_2Et$	—
V-56	O	$CH(Me)SO_2\text{-}n\text{-}Pr$	—
V-57	O	CH_2CH_2OH	—
V-58	O	CH_2CH_2OMe	—
V-59	O	CH_2CH_2OEt	—
V-60	O	$CH(Me)CH_2OMe$	—
V-61	O	CH_2CH_2SMe	—
V-62	O	$CH_2CH_2SO_2Me$	—
V-63	O	$CH_2CH_2CH_2OMe$	—
V-64	O	$CH_2C(=O)Me$	—
V-65	O	$CH_2C(=O)OMe$	—
V-66	O	$CH_2C(=O)OEt$	—
V-67	O	$CH_2C(=O)O\text{-}n\text{-}Pr$	—
V-68	O	$CH_2C(=O)O\text{-}i\text{-}Pr$	—
V-69	O	$CH_2C(=O)O\text{-}t\text{-}Bu$	—
V-70	O	$CH_2C(=O)NMe_2$	—

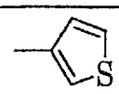
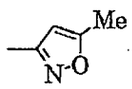
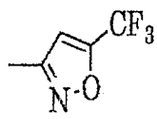
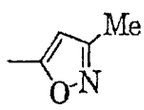
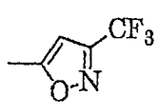
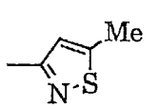
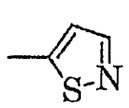
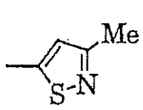
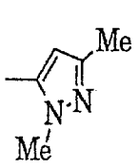
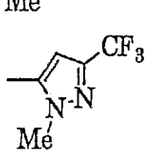
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[Tabla 48]

No. de Compuesto	X^1	R^1	R^2
V-71	O	$CH_2C(=O)-N$ 	—
V-72	O	CH_2CN	—
V-73	O	CH_2CH_2CN	—
V-74	O	$CH(Me)CH_2CN$	—
V-75	O	$CH_2CH_2CH_2CN$	—
V-76	O	$CH_2CH_2NO_2$	—
V-77	O	Bn	—
V-78	O	(2-F)Bn	—
V-79	O	(3-F)Bn	—
V-80	O	(4-F)Bn	—
V-81	O	(2-Cl)Bn	—
V-82	O	(3-Cl)Bn	—
V-83	O	(4-Cl)Bn	—
V-84	O	(2-Me)Bn	—
V-85	O	(3-Me)Bn	—
V-86	O	(4-Me)Bn	—
V-87	O	$(2\text{-}CF_3)Bn$	—
V-88	O	$(3\text{-}CF_3)Bn$	—
V-89	O	$(4\text{-}CF_3)Bn$	—
V-90	O	(2-OMe)Bn	—
V-91	O	(3-OMe)Bn	—
V-92	O	(4-OMe)Bn	—
V-93	O	$(2,4\text{-}(OMe)_2)Bn$	—
V-94	O	$(2,6\text{-}(OMe)_2)Bn$	—
V-95	O	$(3,5\text{-}(OMe)_2)Bn$	—
V-96	O	CH(Me)Ph	—
V-97	O		—
V-98	O		—
V-99	O		—
V-100	O		—

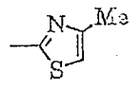
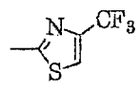
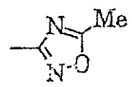
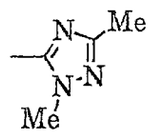
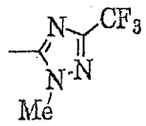
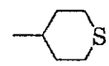
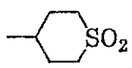
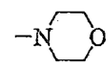
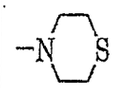
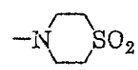
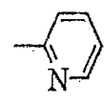
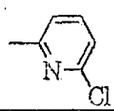
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[Tabla 49]

No. de Compuesto	X^1	R^1	R^2
V-101	O		—
V-102	O		—
V-103	O		—
V-104	O		—
V-105	O		—
V-106	O		—
V-107	O		—
V-108	O		—
V-109	O		—
V-110	O		—
V-111	O		—
V-112	O		—

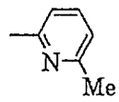
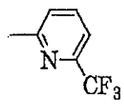
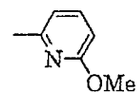
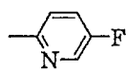
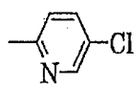
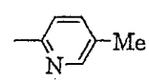
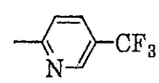
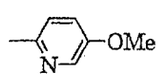
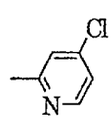
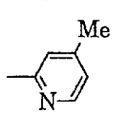
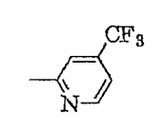
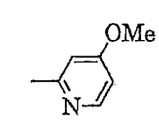
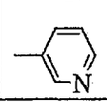
707

[Tabla 50]

No. de Compuesto	X^1	R^1	R^2
V-113	O		—
V-114	O		—
V-115	O		—
V-116	O		—
V-117	O		—
V-118	O		—
V-119	O		—
V-120	O		—
V-121	O		—
V-122	O		—
V-123	O		—
V-124	O		—
V-125	O		—
V-126	O		—

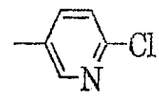
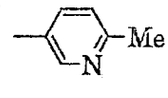
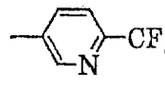
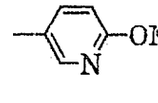
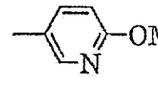
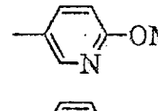
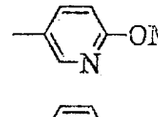
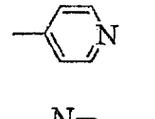
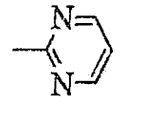
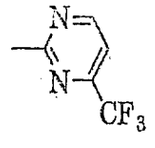
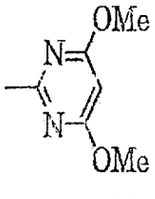
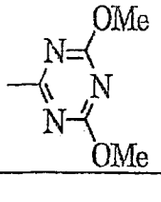
708

[Tabla 51]

No. de Compuesto	X^1	R^1	R^2
V-127	O		–
V-128	O		–
V-129	O		–
V-130	O		–
V-131	O		–
V-132	O		–
V-133	O		–
V-134	O		–
V-135	O		–
V-136	O		–
V-137	O		–
V-138	O		–
V-139	O		–

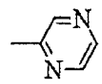
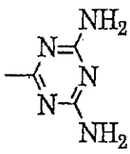
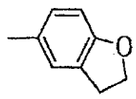
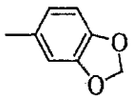
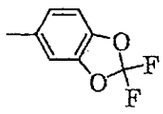
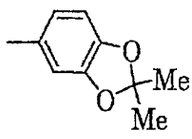
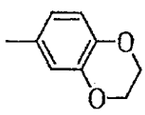
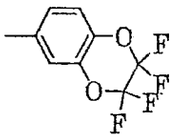
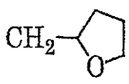
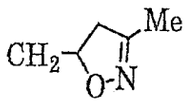
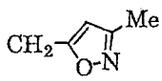
709

[Tabla 52]

No. de Compuesto	X^1	R^1	R^2
V-140	O		—
V-141	O		—
V-142	O		—
V-143	O		—
V-144	O		—
V-145	O		—
V-146	O		—
V-147	O		—
V-148	O		—
V-149	O		—
V-150	O		—
V-151	O		—

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[Tabla 53]

No. de Compuesto	X^1	R^1	R^2
V-152	O		–
V-153	O		–
V-154	O		–
V-155	O		–
V-156	O		–
V-157	O		–
V-158	O		–
V-159	O		–
V-160	O		–
V-161	O		–
V-162	O		–
V-163	O	NH_2	–

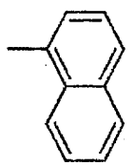
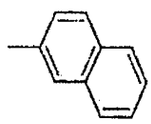
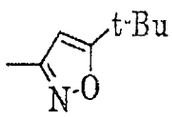
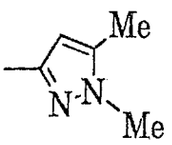
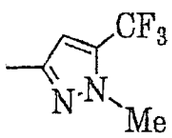
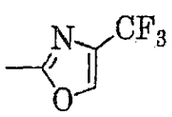
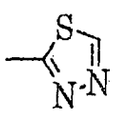
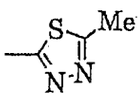
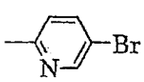
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[Tabla 54]

No. de Compuesto	X^1	R^1	R^2
V-164	O	NHMe	—
V-165	O	NMe_2	—
V-166	O	OMe	—
V-167	O	OEt	—
V-168	O	Me	5-F
V-169	O	Me	6-F
V-170	O	Me	7-F
V-171	O	Me	8-F
V-172	O	Me	5-Cl
V-173	O	CH_2CH_2OMe	5-Cl
V-174	O	Me	6-Cl
V-175	O	CH_2CH_2OMe	6-Cl
V-176	O	Me	7-Cl
V-177	O	CH_2CH_2OMe	7-Cl
V-178	O	Me	8-Cl
V-179	O	CH_2CH_2OMe	8-Cl
V-180	O	Me	7-Me
V-181	O	Me	6-CF_3
V-182	O	Me	7-CF_3
V-183	O	Me	6-OH
V-184	O	Me	7-OH
V-185	O	Me	6-OMe
V-186	O	Me	7-OMe
V-187	O	Me	6-OCF_3
V-188	O	Me	7-OCF_3
V-189	O	Me	5-SMe
V-190	O	Me	6-SMe
V-191	O	Me	7-SMe
V-192	O	Me	8-SMe
V-193	O	Me	5-SO_2Me
V-194	O	Me	6-SO_2Me
V-195	O	Me	7-SO_2Me
V-196	O	Me	8-SO_2Me
V-197	O	Me	6-NO_2
V-198	O	Me	7-NO_2
V-199	O	Me	6-NH_2
V-200	O	Me	7-NH_2

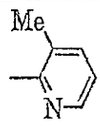
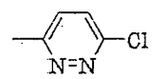
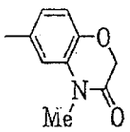
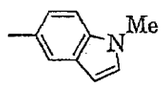
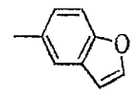
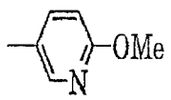
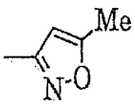
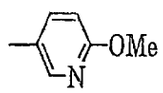
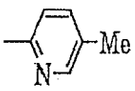
712

[Tabla 55]

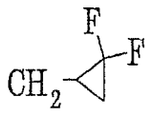
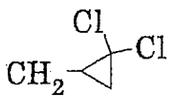
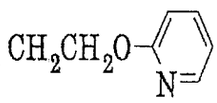
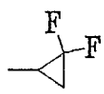
No. de Compuesto	X^1	R^1	R^2
V-201	O	Me	7-CN
V-202	O	Me	6,7-Cl_2
V-203	O	Me	6,7-Me_2
V-204	S	Me	—
V-205	O		—
V-206	O		—
V-207	O		—
V-208	O		—
V-209	O		—
V-210	O		—
V-211	O		—
V-212	O		—
V-213	O		—

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[Tabla 56]

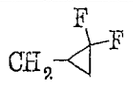
No. de Compuesto	X^1	R^1	R^2
V-214	O		—
V-215	O		—
V-216	O		—
V-217	O		—
V-218	O		—
V-219	O		—
V-220	O	N(Me)C(=O)Ot-Bu	—
V-221	O		5-F
V-222	O	Bn	6-F
V-223	O		6-F
V-224	O	Me	5-CH_2OMe
V-225	O		5,7-F_2
V-226	O		7-Cl
V-227	O	CH_2-c-Pr	—
V-228	O	CH_2-c-Bu	—
V-229	O	CH_2c-Pen	—
V-230	O	CH_2O-c-Pen	—

[Tabla 57]

No. de Compuesto	X^1	R^1	R^2
V-231	O	$CH_2CH_2NH_2$	—
V-232	O	CH_2CH_2NHEt	—
V-233	O	$CH_2CH_2NMe_2$	—
V-234	O	$CH_2CH_2NEt_2$	—
V-235	O	CH_2CH_2CHO	—
V-236	O		—
V-237	O		—
V-238	O	CH_2OCH_2-c-Pr	—
V-239	O	CH_2SPh	—
V-240	O		—
V-241	O	$CH_2SCH_2CF_3$	—
V-242	O	$CH_2SOCH_2CF_3$	—
V-243	O	$CH_2SO_2CH_2CF_3$	—
V-244	O	CH_2OCH_2Ph	—
V-245	O	CH_2SOPh	—
V-246	O	CH_2SO_2Ph	—
V-247	O	$CH_2OCH_2CH_2SMe$	—
V-248	O	$CH_2OCH_2CH_2SOMe$	—
V-249	O	$CH_2CH_2CH(OEt)_2$	—
V-250	O	$CH_2C(Me)=NOMe$	—
V-251	O	$CH_2CH_2ON=CMe_2$	—
V-252	O	Me	7-$CH=CMe_2$
V-253	O	Me	7-$C\equiv CMe$
V-254	O	Me	7-CH_2-c-Pr
V-255	O	Me	7-$C(Me)=CF_2$
V-256	O	Me	7- 
V-257	O	Me	7-O-c-Pr

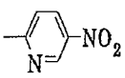
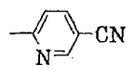
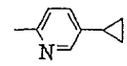
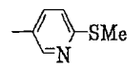
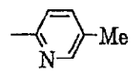
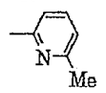
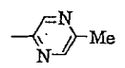
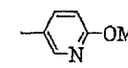
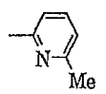
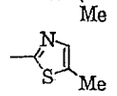
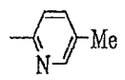
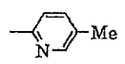
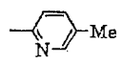
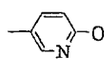
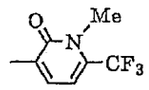
714

[Tabla 58]

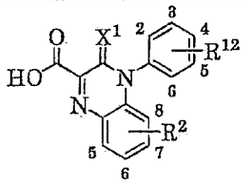
No. de Compuesto	X^1	R^1	R^2
V-258	O	Me	7- 
V-259	O	Me	7-OCH_2-c-Pr
V-260	O	Me	7-OCH_2OMe
V-261	O	Me	7-OC(=O)Me
V-262	O	Me	7-SOMe
V-263	O	Me	7-SCF_3
V-264	O	Me	7-$SOCH_2CF_3$
V-265	O	Me	7-$SO_2CH_2CF_3$
V-266	O	Me	7-NMe_2
V-267	O	Me	7-NHC(=O)Me
V-268	O	Me	7-CH_2OH
V-269	O	Me	7-$CH(OEt)_2$
V-270	O	Me	7-CH_2SMe
V-271	O	Me	7-CH_2SOMe
V-272	O	Me	7-CH_2SO_2Me
V-273	O	Me	7-CH_2SCHF_2
V-274	O	Me	7-CH_2SOCHF_2
V-275	O	Me	7-$CH_2SO_2CHF_2$
V-276	C	Me	7-CH_2CN
V-277	O	Me	7-C(Me)=NOMe
V-278	O	Me	7-$C(=O)NH_2$
V-279	O	Me	7- 
V-280	O	CH_2OCH_2Ph(2-F)	—
V-281	O	CH_2OCH_2Ph(2-Cl)	
V-282	O	CH_2OCH_2Ph(2-NO_2)	—
V-283	O	CH_2OCH_2Ph(2-CN)	—
V-284	O	CH_2OCH_2Ph(2-Me)	—
V-285	O	CH_2OCH_2Ph(2-CF_3)	—
V-286	O	CH_2OCH_2Ph(2-OMe)	—
V-287	O	CH_2OCH_2Ph(2-OCF_3)	—
V-288	O	CH_2OCH_2Ph(2-SMe)	—
V-289	O	CH_2OCH_2Ph(2-SO_2Me)	—
V-290	O	CH_2OCH_2Ph(2-SCF_3)	—
V-291	O	CH_2OCH_2Ph(2-CO_2Me)	—
V-292	O	CH_2OCH_2Ph(2-COMe)	—
V-293	O	(2-NO_2)Bn	—
V-294	O	(2-CN)Bn	—
V-295	O	(3-SMe)Bn	—

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[Tabla 59]

No. de Compuesto	X^1	R^1	R^2
V-296	O	(3-SO_2Me)Bn	—
V-297	O	(3-SCF_3)Bn	—
V-298	O	(3-CO_2Me)Bn	—
V-299	O	(3-COMe)Bn	—
V-300	O	(3-OMe)Bn	—
V-301	O		—
V-302	O		—
V-303	O		—
V-304	O		—
V-305	O	Et	5-Cl
V-306	O	n-Bu	5-Cl
V-307	O		7-Me
V-308	O		6-CF_3
V-309	O		—
V-310	O		5-Cl
V-311	O		6-F
V-312	O		—
V-313	O	NHC(=O)O-t-Bu	—
V-314	O	N(Me)C(=O)O-t-Bu	—
V-315	O		5-F
V-316	O		5-Cl
V-317	O		5-Me
V-318	O		5-Me
V-319	O		—

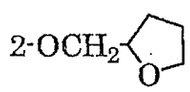
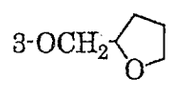
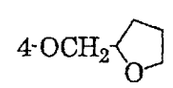
[Tabla 60]



No. de Compuesto	X^1	R^{12}	R^2
VI-1	O	—	—
VI-2	O	2-F	—
VI-3	O	3-F	—
VI-4	O	4-F	—
VI-5	O	2-Cl	—
VI-6	O	3-Cl	—
VI-7	O	4-Cl	—
VI-8	O	2-Br	—
VI-9	O	3-Br	—
VI-10	O	4-Br	—
VI-11	O	2-Me	—
VI-12	O	3-Me	—
VI-13	O	4-Me	—
VI-14	O	2-Et	—
VI-15	O	3-Et	—
VI-16	O	4-Et	—
VI-17	O	2-n-Pr	—
VI-18	O	3-n-Pr	—
VI-19	O	4-n-Pr	—
VI-20	O	2-i-Pr	—
VI-21	O	3-i-Pr	—
VI-22	O	4-i-Pr	—
VI-23	O	2-c-Pr	—
VI-24	O	3-c-Pr	—
VI-25	O	4-c-Pr	—
VI-26	O	2-CF_3	—
VI-27	O	3-CF_3	—
VI-28	O	4-CF_3	—
VI-29	O	2-OH	—
VI-30	O	3-OH	—
VI-31	O	4-OH	—
VI-32	O	2-OMe	—
VI-33	O	3-OMe	—
VI-34	O	4-OMe	—
VI-35	O	2-OEt	—
VI-36	O	3-OEt	—

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[Tabla 61]

No. de Compuesto	X^1	R^{12}	R^2
VI-37	O	4-OEt	—
VI-38	O	2-O-n-Pr	—
VI-39	O	3-O-n-Pr	—
VI-40	O	4-O-n-Pr	—
VI-41	O	2-O-i-Pr	—
VI-42	O	3-O-i-Pr	—
VI-43	O	4-O-i-Pr	—
VI-44	O	2-O-c-Pr	—
VI-45	O	3-O-c-Pr	—
VI-46	O	4-O-c-Pr	—
VI-47	O	2-$OCH_2CH=CH_2$	—
VI-48	O	3-$OCH_2CH=CH_2$	—
VI-49	O	4-$OCH_2CH=CH_2$	—
VI-50	O	2-$OCH_2C\equiv CH$	—
VI-51	O	3-$OCH_2C\equiv CH$	—
VI-52	O	4-$OCH_2C\equiv CH$	—
VI-53	O	2-$OCHF_2$	—
VI-54	O	3-$OCHF_2$	—
VI-55	O	4-$OCHF_2$	—
VI-56	O	2-OCF_3	—
VI-57	O	3-OCF_3	—
VI-58	O	4-OCF_3	—
VI-59	O	2-OCH_2CH_2OMe	—
VI-60	O	3-OCH_2CH_2OMe	—
VI-61	O	4-OCH_2CH_2OMe	—
VI-62	O		—
VI-63	O		—
VI-64	O		—
VI-65	O	2-OC(=O)Me	—
VI-66	O	3-OC(=O)Me	—
VI-67	O	3-OC(=O)Me	—
VI-68	O	2-SMe	—
VI-69	O	3-SMe	—
VI-70	O	4-SMe	—

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[Tabla 62]

No. de Compuesto	X^1	R^{12}	R^2
VI-71	O	2-SO_2Me	—
VI-72	O	3-SO_2Me	—
VI-73	O	4-SO_2Me	—
VI-74	O	2-SCF_3	—
VI-75	O	3-SCF_3	—
VI-76	O	4-SCF_3	—
VI-77	O	2-NO_2	—
VI-78	O	3-NO_2	—
VI-79	O	4-NO_2	—
VI-80	O	2-NH_2	—
VI-81	O	3-NH_2	—
VI-82	O	4-NH_2	—
VI-83	O	2-CN	—
VI-84	O	3-CN	—
VI-85	O	4-CN	—
VI-86	O	2-C(=O)Me	—
VI-87	O	3-C(=O)Me	—
VI-88	O	4-C(=O)Me	—
VI-89	O	2-C(=O)OH	—
VI-90	O	3-C(=O)OH	—
VI-91	O	4-C(=O)OH	—
VI-92	O	2-C(=O)OMe	—
VI-93	O	3-C(=O)OMe	—
VI-94	O	4-C(=O)OMe	—
VI-95	O	2-CH_2OMe	—
VI-96	O	3-CH_2OMe	—
VI-97	O	4-CH_2OMe	—
VI-98	O	2,3-F_2	—
VI-99	O	2,4-F_2	—
VI-100	O	2,5-F_2	—
VI-101	O	2,6-F_2	—
VI-102	O	3,4-F_2	—
VI-103	O	3,5-F_2	—
VI-104	O	2,3-Cl_2	—
VI-105	O	2,4-Cl_2	—
VI-106	O	2,5-Cl_2	—
VI-107	O	2,6-Cl_2	—
VI-108	O	3,4-Cl_2	—
VI-109	O	3,5-Cl_2	—

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[Tabla 63]

No. de Compuesto	X^1	R^{12}	R^2
VI-110	O	2-F, 3-OMe	—
VI-111	O	2-Cl, 3-OMe	—
VI-112	O	2-Me, 3-OMe	—
VI-113	O	2,3-$(OMe)_2$	—
VI-114	O	3-OMe, 4-F	—
VI-115	O	3-OMe, 4-Cl	—
VI-116	O	3-OMe, 4-Me	—
VI-117	O	3,4-$(OMe)_2$	—
VI-118	O	3-OMe, 5-F	—
VI-119	O	3-OMe, 5-Cl	—
VI-120	O	3-OMe, 5-Me	—
VI-121	O	3,5-$(OMe)_2$	—
VI-122	O	2-F, 4-OMe	—
VI-123	O	2-Cl, 4-OMe	—
VI-124	O	2-Me, 4-OMe	—
VI-125	O	2,4-$(OMe)_2$	—
VI-126	O	3-F, 4-OMe	—
VI-127	O	3-Cl, 4-OMe	—
VI-128	O	3-Me, 4-OMe	—
VI-129	O	2-F, 5-OMe	—
VI-130	O	2-Cl, 5-OMe	—
VI-131	O	2-Me, 5-OMe	—
VI-132	O	2,5-$(OMe)_2$	—
VI-133	O	3,4,5-$(OMe)_3$	—
VI-134	O	4-OMe	5-F
VI-135	O	4-OMe	6-F
VI-136	O	4-OMe	7-F
VI-137	O	4-OMe	8-F
VI-138	O	—	5-Cl
VI-139	O	—	6-Cl
VI-140	O	—	7-Cl
VI-141	O	—	8-Cl
VI-142	O	4-OMe	5-Cl
VI-143	O	4-OMe	6-Cl
VI-144	O	4-OMe	7-Cl
VI-145	O	4-OMe	8-Cl
VI-146	S	—	—
VI-147	O	4-OCH_2CN	—
VI-148	O	3-OCH_2-c-Pr	—

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[Tabla 64]

No. de Compuesto	X^1	R^{12}	R^2
VI-149	O	3-OCH_2CF_3	—
VI-150	O	4-OCH_2-c-Pr	—
VI-151	O	4-OCH_2CF_3	—
VI-152	O	4-NMe_2	—
VI-153	O	3,4-Me_2	—
VI-154	O	2-F, 4-Me	—
VI-155	O	3-F, 4-Me	—
VI-156	O	3-Me, 4-F	—
VI-157	O	2-Cl, 4-Me	—
VI-158	O	3-Cl, 4-Me	—
VI-159	O	3-OEt, 4-OMe	—
VI-160	O	2,3,4-$(OMe)_3$	—
VI-161	O	2,5-F_2, 4-OMe	—
VI-162	O	3,5-F_2, 4-OMe	—
VI-163	O	3,5-Cl_2, 4-OMe	—
VI-164	O	3,4-$(CH_2CH_2CH_2)$-	—
VI-165	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
VI-166	O	3,4-(CH_2OCH_2)-	—
VI-167	O	3,4-(OCH_2O)-	7-F
VI-168	O	2,3-(OCH_2CH_2O)-	—
VI-169	O	3,4-$(OCH_2CH(Me)O)$-	—
VI-170	O	3,4-$(OCH_2CH_2CH_2O)$-	—
VI-171	O	—	5-F
VI-172	O	3,4,5-$(OMe)_3$	5-F
VI-173	O	3,5-F_2, 4-OMe	5-F
VI-174	O	3,4-(OCH_2CH_2O)-	5-F
VI-175	O	—	6-F
VI-176	O	3,4,5-$(OMe)_3$	6-F
VI-177	O	3,4-(OCH_2O)-	6-F
VI-178	O	3,4-(OCH_2CH_2O)-	6-F
VI-179	O	—	7-F
VI-180	O	3,4-(OCH_2CH_2O)-	7-F
VI-181	O	—	8-F
VI-182	O	—	5-Me
VI-183	O	4-OMe	5-Me
VI-184	O	4-OMe	6-Me
VI-185	O	4-OMe	7-Me
VI-186	O	3,5-F_2, 4-OMe	7-Me

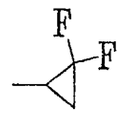
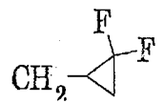
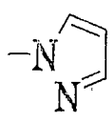
721

[Tabla 65]

No. de Compuesto	X^1	R^{12}	R^2
VI-187	O	—	6-CF_3
VI-188	O	—	6-OMe
VI-189	O	4-OMe	6-OMe
VI-190	O	—	7-OMe
VI-191	O	4-OMe	7-OMe
VI-192	O	2,5-F_2, 4-OMe	7-OMe
VI-193	O	3,5-F_2, 4-OMe	7-OMe
VI-194	O	—	8-OMe
VI-195	O	4-OMe	8-OMe
VI-196	O	4-OMe	5,6-F_2
VI-197	O	4-OMe	5,7-F_2
VI-198	O	—	6,7-F_2
VI-199	O	—	6,8-F_2
VI-200	O	4-OMe	5,7-Cl_2
VI-201	O	4-OMe	6-F, 7-OMe
VI-202	O	—	7-c-Pr
VI-203	O	—	7-$OCH_2CH=CH_2$
VI-204	O	—	7-$OCH_2C\equiv CH$
VI-205	O	—	7-NHMe
VI-206	O	—	7-C(=O)H
VI-207	O	—	6-C(=O)Me
VI-208	O	—	7-C(=O)OH
VI-209	O	—	7-C(=O)OMe
VI-210	O	—	7-C(=O)OEt
VI-211	O	—	7-C(=O)NHNe
VI-212	O	—	7-C(=O)NMe_2
VI-213	O	—	6,7-(OCH_2CH_2O)-
VI-214	O	—	6,7-(OCH_2O)-
VI-215	O	4-OMe	6,7-(OCH_2CH_2O)-
VI-216	O	4-OMe	6,7-(OCH_2O)-
VI-217	O	2-CONHMe	—
VI-218	O	2-$CONMe_2$	—
VI-219	O	2-NHCOMe	—
VI-220	O	4-CH_2-c-Pr	—
VI-221	O	4-$CH=CH_2$	—
VI-222	O	4-C≡CMe	—
VI-223	O	3-$CH=CF_2$	—

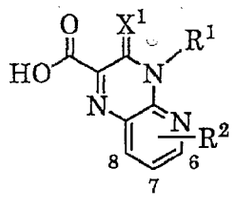
722

[Tabla 66]

No. de Compuesto	X^1	R^{12}	R^2
VI-224	O	3- 	—
VI-225	O	4- 	—
VI-226	O	4-CH_2OH	—
VI-227	O	4-CH_2SMe	—
VI-228	O	4-CH_2SOMe	—
VI-229	O	4-CH_2SO_2Me	—
VI-230	O	4-CH_2SCHF_2	—
VI-231	O	4-CH_2SOCHF_2	—
VI-232	O	4-$CH_2SO_2CHF_2$	—
VI-233	O	4-CH_2CN	—
VI-234	O	4-NHMe	—
VI-235	O	4-$OCH_2OCH_2CF_3$	—
VI-236	O	4-C(Me)=NOMe	—
VI-237	O	2-$CONH_2$	—
VI-238	O	4- 	—
VI-239	O	3-F, 4-OMe	5-Cl
VI-240	O	4-OMe	5,6,8-F_3, 7-OMe
VI-241	O	—	7-CF_3
VI-242	O	4-F	7-OMe
VI-243	O	4-$OCHF_2$	7-OMe
VI-244	O	4-Me	7-OMe
VI-245	O	3,4-(OCH_2CH_2O)-	6,8-F_2
VI-246	O	3,4-(OCH_2CH_2O)-	8-F
VI-247	O	4-OMe	5-Br
VI-248	O	4-F	5-F
VI-249	O	3-F, 4-OEt	—

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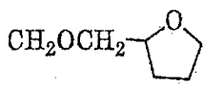
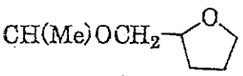
[Tabla 67]



No. de Compuesto	X^1	R^1	R^2
VII-1	O	H	—
VII-2	O	Me	—
VII-3	O	Et	—
VII-4	O	n-Pr	—
VII-5	O	i-Pr	—
VII-6	O	c-Pr	—
VII-7	O	n-Bu	—
VII-8	O	s-Bu	—
VII-9	O	i-Bu	—
VII-10	O	t-Bu	—
VII-11	O	c-Bu	—
VII-12	O	n-Pen	—
VII-13	O	c-Pen	—
VII-14	O	n-Hex	—
VII-15	O	c-Hex	—
VII-16	O	n-C_7H_{15}	—
VII-17	O	n-C_8H_{17}	—
VII-18	O	n-C_9H_{19}	—
VII-19	O	n-$C_{10}H_{21}$	—
VII-20	O	n-$C_{11}H_{23}$	—
VII-21	O	n-$C_{12}H_{25}$	—
VII-22	O	$CH_2CH{=}CH_2$	—
VII-23	O	$CH_2C{\equiv}CH$	—
VII-24	O	CH_2CF_3	—
VII-25	O	CH_2CH_2F	—
VII-26	O	CH_2CH_2Cl	—
VII-27	O	$CH_2CH_2CF_3$	—
VII-28	O	$CH_2CH{=}CCl_2$	—
VII-29	O	CH_2OMe	—
VII-30	O	CH_2OEt	—
VII-31	O	CH(Me)OMe	—
VII-32	O	CH(Me)OEt	—
VII-33	O	CH_2OPh	—

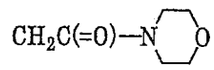
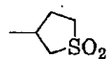
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[Tabla 68]

No. de Compuesto	X^1	R^1	R^2
VII-34	O	$CH_2OCH_2CH_2OMe$	—
VII-35	O	$CH_2OCH_2CF_3$	—
VII-36	O	$CH(Me)OCH_2CF_3$	—
VII-37	O		—
VII-38	O		—
VII-39	O	$CH_2OCH_2CH_2SO_2Me$	—
VII-40	O	$CH_2OCH_2CH_2CN$	—
VII-41	O	$CH_2OC(=O)t\text{-}Bu$	—
VII-42	O	CH_2SMe	—
VII-43	O	CH_2SMe	—
VII-44	O	CH_2SEt	—
VII-45	O	$CH_2S\text{-}n\text{-}Pr$	—
VII-46	O	$CH(Me)SMe$	—
VII-47	O	$CH(Me)SEt$	—
VII-48	O	$CH(Me)S\text{-}n\text{-}Pr$	—
VII-49	O	CH_2SOMe	—
VII-50	O	CH_2SOEt	—
VII-51	O	$CH_2SO\text{-}n\text{-}Pr$	—
VII-52	O	CH_2SO_2Me	—
VII-53	O	CH_2SO_2Et	—
VII-54	O	$CH_2SO_2\text{-}n\text{-}Pr$	—
VII-55	O	$CH(Me)SO_2Me$	—
VII-56	O	$CH(Me)SO_2Et$	—
VII-57	O	$CH(Me)SO_2\text{-}n\text{-}Pr$	—
VII-58	O	CH_2CH_2OH	—
VII-59	O	CH_2CH_2OMe	—
VII-60	O	CH_2CH_2OEt	—
VII-61	O	$CH(Me)CH_2OMe$	—
VII-62	O	CH_2CH_2SMe	—
VII-63	O	$CH_2CH_2SO_2Me$	—
VII-64	O	$CH_2CH_2CH_2OMe$	—
VII-65	O	$CH_2C(=O)Me$	—
VII-66	O	$CH_2C(=O)OMe$	—

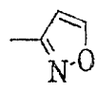
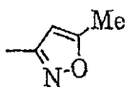
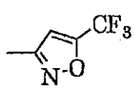
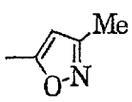
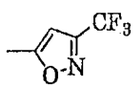
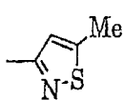
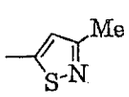
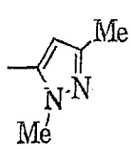
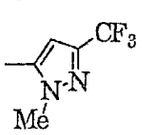
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[Tabla 69]

No. de Compuesto	X^1	R^1	R^2
VII-67	O	$CH_2C(=O)OEt$	—
VII-68	O	$CH_2C(=O)On\text{-}Pr$	—
VII-69	O	$CH_2C(=O)Oi\text{-}Pr$	—
VII-70	O	$CH_2C(=O)Ot\text{-}Bu$	—
VII-71	O	$CH_2C(=O)NMe_2$	—
VII-72	O		—
VII-73	O	CH_2CN	—
VII-74	O	CH_2CH_2CN	—
VII-75	O	$CH(Me)CH_2CN$	—
VII-76	O	$CH_2CH_2CH_2CN$	—
VII-77	O	$CH_2CH_2NO_2$	—
VII-78	O	Bn	—
VII-79	O	(2-F)Bn	—
VII-80	O	(3-F)Bn	—
VII-81	O	(4-F)Bn	—
VII-82	O	(2-Cl)Bn	—
VII-83	O	(3-Cl)Bn	—
VII-84	O	(4-Cl)Bn	—
VII-85	O	(2-Me)Bn	—
VII-86	O	(3-Me)Bn	—
VII-87	O	(4-Me)Bn	—
VII-88	O	$(2\text{-}CF_3)Bn$	—
VII-89	O	$(3\text{-}CF_3)Bn$	—
VII-90	O	$(4\text{-}CF_3)Bn$	—
VII-91	O	(2-OMe)Bn	—
VII-92	O	(3-OMe)Bn	—
VII-93	O	(4-OMe)Bn	—
VII-94	O	$(2,4\text{-}(OMe)_2)Bn$	—
VII-95	O	$(2,6\text{-}(OMe)_2)Bn$	—
VII-96	O	$(3,5\text{-}(OMe)_2)Bn$	—
VII-97	O	CH(Me)Ph	—
VII-98	O		—
VII-99	O		—
VII-100	O		—

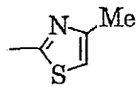
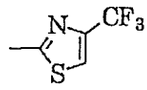
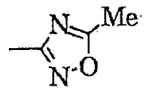
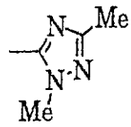
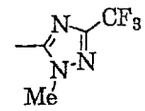
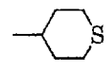
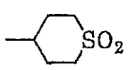
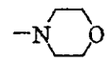
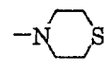
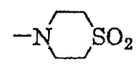
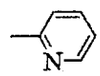
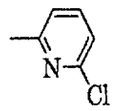
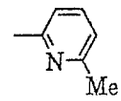
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[Tabla 70]

No. de Compuesto	X^1	R^1	R^2
VII-101	O		—
VII-102	O		—
VII-103	O		—
VII-104	O		—
VII-105	O		—
VII-106	O		—
VII-107	O		—
VII-108	O		—
VII-109	O		—
VII-110	O		—
VII-111	O		—
VII-112	O		—
VII-113	O		—
VII-114	O		—

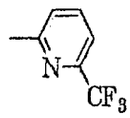
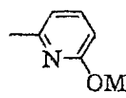
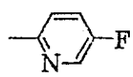
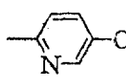
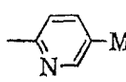
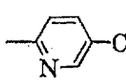
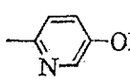
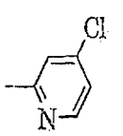
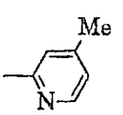
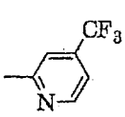
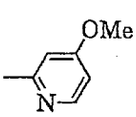
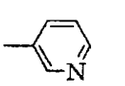
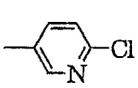
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[Tabla 71]

No. de Compuesto	X^1	R^1	R^2
VII-115	O		—
VII-116	O		—
VII-117	O		—
VII-118	O		—
VII-119	O		—
VII-120	O		—
VII-121	O		—
VII-122	O		—
VII-123	O		—
VII-124	O		—
VII-125	O		—
VII-126	O		—
VII-127	O		—
VII-128	O		—

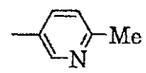
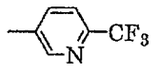
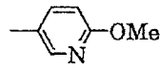
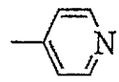
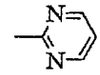
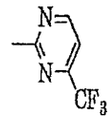
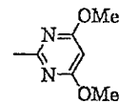
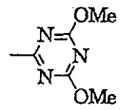
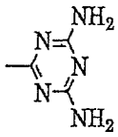
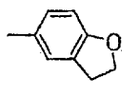
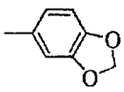
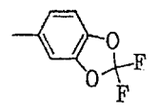
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[Tabla 72]

No. de Compuesto	X^1	R^1	R^2
VII-129	O		—
VII-130	O		—
VII-131	O		—
VII-132	O		—
VII-133	O		—
VII-134	O		—
VII-135	O		—
VII-136	O		—
VII-137	O		—
VII-138	O		—
VII-139	O		—
VII-140	O		—
VII-141	O		—

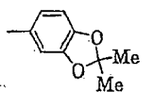
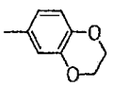
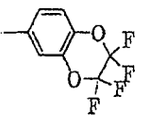
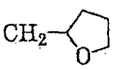
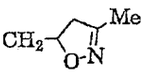
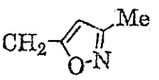
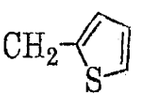
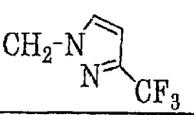
729

[Tabla 73]

No. de Compuesto	X^1	R^1	R^2
VII-142	O		—
VII-143	O		—
VII-144	O		—
VII-145	O		—
VII-146	O		—
VII-147	O		—
VII-148	O		—
VII-149	O		—
VII-150	O		—
VII-151	O		—
VII-152	O		—
VII-153	O		—
VII-154	O		—

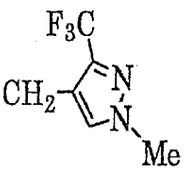
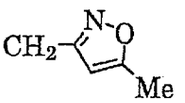
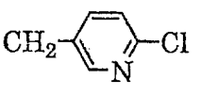
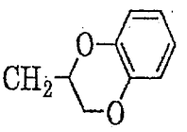
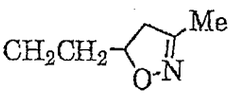
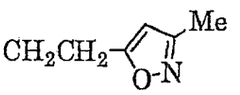
730

[Tabla 74]

No. de Compuesto	X^1	R^1	R^2
VII-155	O		—
VII-156	O		—
VII-157	O		—
VII-158	O		—
VII-159	O		—
VII-160	O		—
VII-161	O	NH_2	—
VII-162	O	NHMe	—
VII-163	O	NMe_2	—
VII-164	O	OMe	—
VII-165	O	OEt	—
VII-166	O	Me	6-F
VII-167	O	Me	6-Cl
VII-168	O	Me	6-OMe
VII-169	S	Me	—
VII-170	O	$CH_2CH_2CH=CH_2$	—
VII-171	O	$CH_2CH_2CH=C(Me)_2$	—
VII-172	O	$CH_2CH_2C\equiv CH$	—
VII-173	O	$CH_2CH_2C(Me)=CF_2$	—
VII-174	O	CH(Me)C(=O)Ot-Bu	—
VII-175	O	(2-OCHF_2)Bn	—
VII-176	O	CH_2CH_2Ph	—
VII-177	O		—
VII-178	O		—

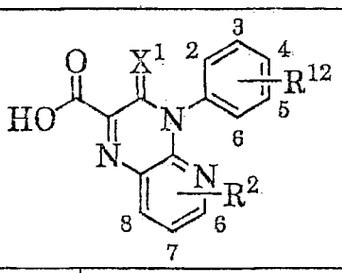
731

[Tabla 75]

No. de Compuesto	X^1	R^1	R^2
VII-179	O		—
VII-180	O		—
VII-181	O		—
VII-182	O		—
VII-183	O		—
VII-184	O		—
VII-185	O	Bn	8-Me
VII-186	O	Bn	7-Me
VII-187	O	Bn	6-Me
VII-188	O	Bn	6-OMe

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[Tabla 76]



No. de Compuesto	X^1	R^{12}	R^2
VIII-1	O	—	—
VIII-2	O	2-F	—
VIII-3	O	3-F	—
VIII-4	O	4-F	—
VIII-5	O	2-Cl	—
VIII-6	O	3-Cl	—
VIII-7	O	4-Cl	—
VIII-8	O	2-Br	—
VIII-9	O	3-Br	—
VIII-10	O	4-Br	—
VIII-11	O	2-Me	—
VIII-12	O	3-Me	—
VIII-13	O	4-Me	—
VIII-14	O	2-Et	—
VIII-15	O	3-Et	—
VIII-16	O	4-Et	—
VIII-17	O	2-n-Pr	—
VIII-18	O	3-n-Pr	—
VIII-19	O	4-n-Pr	—
VIII-20	O	2-i-Pr	—
VIII-21	O	3-i-Pr	—
VIII-22	O	4-i-Pr	—
VIII-23	O	2-c-Pr	—
VIII-24	O	3-c-Pr	—
VIII-25	O	4-c-Pr	—
VIII-26	O	2-CF_3	—
VIII-27	O	3-CF_3	—
VIII-28	O	4-CF_3	—
VIII-29	O	2-OH	—
VIII-30	O	3-OH	—
VIII-31	O	4-OH	—

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[Tabla 77]

No. de Compuesto	X^1	R^{12}	R^2
VIII-32	O	2-OMe	—
VIII-33	O	3-OMe	—
VIII-34	O	4-OMe	—
VIII-35	O	2-OEt	—
VIII-36	O	3-OEt	—
VIII-37	O	4-OEt	—
VIII-38	O	2-O-n-Pr	—
VIII-39	O	3-O-n-Pr	—
VIII-40	O	4-O-n-Pr	—
VIII-41	O	2-O-i-Pr	—
VIII-42	O	3-O-i-Pr	—
VIII-43	O	4-O-i-Pr	—
VIII-44	O	2-O-c-Pr	—
VIII-45	O	3-O-c-Pr	—
VIII-46	O	4-O-c-Pr	—
VIII-47	O	2-$OCH_2CH=CH_2$	—
VIII-48	O	3-$OCH_2CH=CH_2$	—
VIII-49	O	4-$OCH_2CH=CH_2$	—
VIII-50	O	2-$OCH_2C\equiv CH$	—
VIII-51	O	3-$OCH_2C\equiv CH$	—
VIII-52	O	4-$OCH_2C\equiv CH$	—
VIII-53	O	2-$OCHF_2$	—
VIII-54	O	3-$OCHF_2$	—
VIII-55	O	4-$OCHF_2$	—
VIII-56	O	2-OCF_3	—
VIII-57	O	3-OCF_3	—
VIII-58	O	4-OCF_3	—
VIII-59	O	2-OCH_2CH_2OMe	—
VIII-60	O	3-OCH_2CH_2OMe	—
VIII-61	O	4-OCH_2CH_2OMe	—
VIII-62	O	2- 	—
VIII-63	O	3- 	—
VIII-64	O	4- 	—

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[Tabla 78]

No. de Compuesto	X^1	R^{12}	R^2
VIII-65	O	2-OC(=O)Me	—
VIII-66	O	3-OC(=O)Me	—
VIII-67	O	3-OC(=O)Me	—
VIII-68	O	2-SMe	—
VIII-69	O	3-SMe	—
VIII-70	O	4-SMe	—
VIII-71	O	2-SO_2Me	—
VIII-72	O	3-SO_2Me	—
VIII-73	O	4-SO_2Me	—
VIII-74	O	2-SCF_3	—
VIII-75	O	3-SCF_3	—
VIII-76	O	4-SCF_3	—
VIII-77	O	2-NO_2	—
VIII-78	O	3-NO_2	—
VIII-79	O	4-NO_2	—
VIII-80	O	2-NH_2	—
VIII-81	O	3-NH_2	—
VIII-82	O	4-NH_2	—
VIII-83	O	2-CN	—
VIII-84	O	3-CN	—
VIII-85	O	4-CN	—
VIII-86	O	2-C(=O)Me	—
VIII-87	O	3-C(=O)Me	—
VIII-88	O	4-C(=O)Me	—
VIII-89	O	2-C(=O)OH	—
VIII-90	O	3-C(=O)OH	—
VIII-91	O	4-C(=O)OH	—
VIII-92	O	2-C(=O)OMe	—
VIII-93	O	3-C(=O)OMe	—
VIII-94	O	4-C(=O)OMe	—
VIII-95	O	2-CH_2OMe	—
VIII-96	O	3-CH_2OMe	—
VIII-97	O	4-CH_2OMe	—
VIII-98	O	2,3-F_2	—
VIII-99	O	2,4-F_2	—

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[Tabla 79]

No. de Compuesto	X^1	R^{12}	R^2
VIII-100	O	2,5-F_2	—
VIII-101	O	2,6-F_2	—
VIII-102	O	3,4-F_2	—
VIII-103	O	3,5-F_2	—
VIII-104	O	2,3-Cl_2	—
VIII-105	O	2,4-Cl_2	—
VIII-106	O	2,5-Cl_2	—
VIII-107	O	2,6-Cl_2	—
VIII-108	O	3,4-Cl_2	—
VIII-109	O	3,5-Cl_2	—
VIII-110	O	2-F, 3-OMe	—
VIII-111	O	2-Cl, 3-OMe	—
VIII-112	O	2-Me, 3-OMe	—
VIII-113	O	2,3-$(OMe)_2$	—
VIII-114	O	3-OMe, 4-F	—
VIII-115	O	3-OMe, 4-Cl	—
VIII-116	O	3-OMe, 4-Me	—
VIII-117	O	3,4-$(OMe)_2$	—
VIII-118	O	3-OMe, 5-F	—
VIII-119	O	3-OMe, 5-Cl	—
VIII-120	O	3-OMe, 5-Me	—
VIII-121	O	3,5-$(OMe)_2$	—
VIII-122	O	2-F, 4-OMe	—
VIII-123	O	2-Cl, 4-OMe	—
VIII-124	O	2-Me, 4-OMe	—
VIII-125	O	2,4-$(OMe)_2$	—
VIII-126	O	3-F, 4-OMe	—
VIII-127	O	3-Cl, 4-OMe	—
VIII-128	O	3-Me, 4-OMe	—
VIII-129	O	2-F, 5-OMe	—
VIII-130	O	2-Cl, 5-OMe	—
VIII-131	O	2-Me, 5-OMe	—
VIII-132	O	2,5-$(OMe)_2$	—
VIII-133	O	3,4,5-$(OMe)_3$	—
VIII-134	O	—	6-F
VIII-135	O	4-OMe	6-F
VIII-136	O	—	6-Cl

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[Tabla 80]

No. de Compuesto	X^1	R^{12}	R^2
VIII-137	O	4-OMe	6-Cl
VIII-138	O	—	6-OMe
VIII-139	O	4-OMe	6-OMe
VIII-140	S	—	—
VIII-141	S	4-OMe	—
VIII-142	O	2-F,5-CF_3	—
VIII-143	O	3-CF_3,4-F	—
VIII-144	O	2-F,3-CF_3	—
VIII-145	O	3-F,5-CF_3	—
VIII-146	O	2,3-$(Me)_2$	—
VIII-147	O	2,4-$(Me)_2$	—
VIII-148	O	2,5-$(Me)_2$	—
VIII-149	O	2,6-$(Me)_2$	—
VIII-150	O	3,4-$(Me)_2$	—
VIII-151	O	3,5-$(Me)_2$	—
VIII-152	O	3,5-$(CF_3)_2$	—
VIII-153	O	2,6-$(OMe)_2$	—
VIII-154	O	2-F, 3-Cl	—
VIII-155	O	2-F, 4-Cl	—
VIII-156	O	2-F, 5-Cl	—
VIII-157	O	3-F, 4-Cl	—
VIII-158	O	4-F, 2-Cl	—
VIII-159	O	4-F, 3-Cl	—
VIII-160	O	2-F, 3-Me	—
VIII-161	O	2-F, 4-Me	—
VIII-162	O	2-F, 5-Me	—
VIII-163	O	3-F, 2-Me	—
VIII-164	O	3-F, 4-Me	—
VIII-165	O	3-F, 5-Me	—
VIII-166	O	4-F, 2-Me	—
VIII-167	O	4-F, 3-Me	—
VIII-168	O	5-F, 2-Me	—
VIII-169	O	2-F, 4-CF_3	—
VIII-170	O	3-F, 4-CF_3	—
VIII-171	O	4-F, 2-CF_3	—
VIII-172	O	3-F, 2-OMe	—
VIII-173	O	4-F, 2-OMe	—

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[Tabla 81]

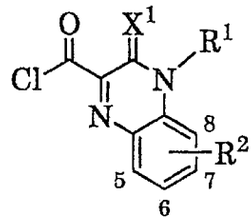
No. de Compuesto	X^1	R^{12}	R^2
VIII-174	O	5-F, 2-OMe	—
VIII-175	O	2-F, 4-$OCHF_2$	—
VIII-176	O	3-F, 4-$OCHF_2$	—
VIII-177	O	4-F, 2-$OCHF_2$	—
VIII-178	O	4-F, 3-CN	—
VIII-179	O	2-Cl, 4-Me	—
VIII-180	O	3-Cl, 4-Me	—
VIII-181	O	3-Cl, 4-$OCHF_2$	—
VIII-182	O	4-Me, 3-CF_3	—
VIII-183	O	4-Me, 2-OMe	—
VIII-184	O	3-Me, 4-CN	—
VIII-185	O	4-Me, 3-CN	—
VIII-186	O	2,3,4-F_3	—
VIII-187	O	2,3,5-F_3	—
VIII-188	O	2,4,5-F_3	—
VIII-189	O	3,4,5-F_3	—
VIII-190	O	2,3-F_2, 4-Me	—
VIII-191	O	2,6-F_2, 4-OMe	—
VIII-192	O	3,5-F_2, 4-OMe	—
VIII-193	O	4-F, 2-Cl, 5-Me	—
VIII-194	O	—	7-Cl
VIII-195	O	—	6-Me
VIII-196	O	—	7-Me
VIII-197	O	—	8-Me
VIII-198	O	3-Me	8-Me
VIII-199	O	3-CF_3	8-Me
VIII-200	O	4-OMe	8-Me
VIII-201	O	3-F, 4-Me	8-Me
VIII-202	O	3-F, 4-OMe	8-Me
VIII-203	O	—	8-OMe
VIII-204	O	3-CF_3	8-OMe
VIII-205	O	3-F, 4-Me	8-Cl
VIII-206	O	3-F, 4-Me	6-F
VIII-207	O	3-F, 4-Me	6-Cl
VIII-208	O	3-F, 4-Me	7-Me
VIII-209	O	3-F, 4-Me	6-Me
VIII-210	O	—	8-Cl
VIII-211	O	3-F, 4-Me	6-OMe
VIII-212	O	3-OEt	—
VIII-213	O	4-OEt	—
VIII-214	O	4-OMe	8-Cl
VIII-215	O	—	6-SMe
VIII-216	O	3,4-(OCH_2CH_2O)-	6-OMe
VIII-217	O	3-F, 4-OEt	—
VIII-218	O	3,4-(OCH_2O)-	8-Cl

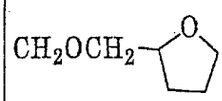
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Los ejemplos preferidos específicos de los compuestos representados por la fórmula [J1] y la fórmula [J2] los cuales son la producción de intermediarios de la presente invención se mostraran a continuación de la Tabla 82 a la Tabla 123. Sin embargo, el compuesto no está limitado a estos compuestos como en el caso de los compuestos de la presente invención.

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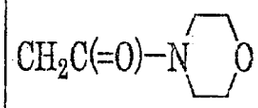
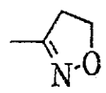
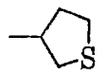
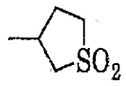
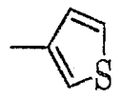
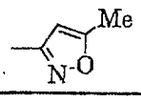
[Tabla 82]



No. de Compuesto	X^1	R^1	R^2
IX-1	O	Me	—
IX-2	O	Et	—
IX-3	O	n-Pr	—
IX-4	O	i-Pr	—
IX-5	O	c-Pr	—
IX-6	O	n-Bu	—
IX-7	O	t-Bu	—
IX-8	O	c-Pen	—
IX-9	O	n-Hex	—
IX-10	O	$CH_2CH=CH_2$	—
IX-11	O	$CH_2C\equiv CH$	—
IX-12	O	CH_2CF_3	—
IX-13	O	CH_2OMe	—
IX-14	O	CH_2OEt	—
IX-15	O	CH_2OPh	—
IX-16	O	$CH_2OCH_2CH_2OMe$	—
IX-17	O	$CH_2OCH_2CF_3$	—
IX-18	O		—
IX-19	O	$CH_2OCH_2CH_2SO_2Me$	—
IX-20	O	$CH_2OCH_2CH_2CN$	—
IX-21	O	$CH_2OC(=O)t\text{-}Bu$	—
IX-22	O	CH_2SMe	—
IX-23	O	CH_2SEt	—
IX-24	O	CH_2SO_2Me	—
IX-25	O	CH_2SO_2Et	—
IX-26	O	CH_2CH_2OMe	—
IX-27	O	$CH(Me)CH_2OMe$	—
IX-28	O	CH_2CH_2SMe	—
IX-29	O	$CH_2CH_2SO_2Me$	—
IX-30	O	$CH_2CH_2CH_2OMe$	—

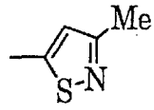
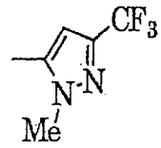
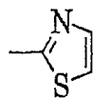
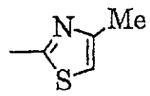
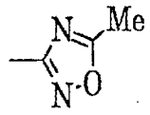
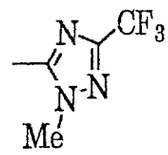
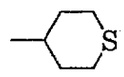
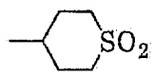
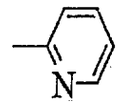
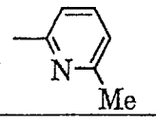
740

[Tabla 83]

No. de Compuesto	X^1	R^1	R^2
IX-31	O	$CH_2C(=O)Me$	—
IX-32	O	$CH_2C(=O)O$-t-Bu	—
IX-33	O	$CH_2C(=O)NMe_2$	—
IX-34	O		—
IX-35	O	CH_2CN	—
IX-36	O	CH_2CH_2CN	—
IX-37	O	Bn	—
IX-38	O	(2-Cl)Bn	—
IX-39	O	(3-Cl)Bn	—
IX-40	O	(4-Cl)Bn	—
IX-41	O	(2-OMe)Bn	—
IX-42	O	(3-OMe)Bn	—
IX-43	O	(4-OMe)Bn	—
IX-44	O	(2,6-$(OMe)_2$)Bn	—
IX-45	O		—
IX-46	O		—
IX-47	O		—
IX-48	O		—
IX-49	O		—
IX-50	O		—

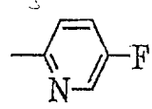
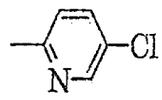
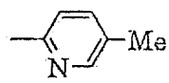
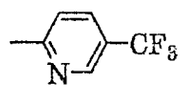
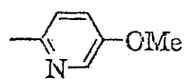
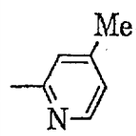
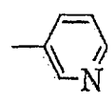
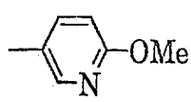
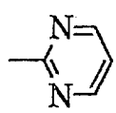
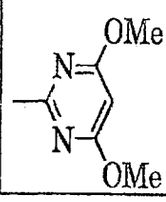
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[Tabla 84]

No. de Compuesto	X^1	R^1	R^2
IX-51	O		—
IX-52	O		—
IX-53	O		—
IX-54	O		—
IX-55	O		—
IX-56	O		—
IX-57	O		—
IX-58	O		—
IX-59	O		—
IX-60	O		—

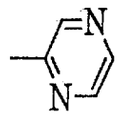
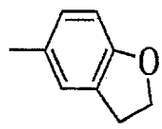
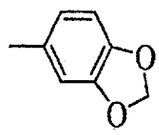
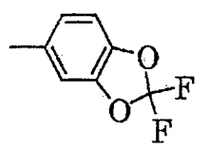
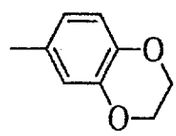
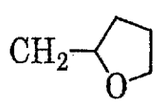
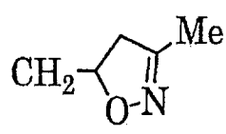
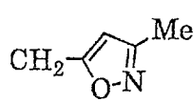
742

[Tabla 85]

No. de Compuesto	X^1	R^1	R^2
IX-61	O		—
IX-62	O		—
IX-63	O		—
IX-64	O		—
IX-65	O		—
IX-66	O		—
IX-67	O		—
IX-68	O		—
IX-69	O		—
IX-70	O		—

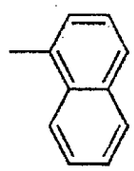
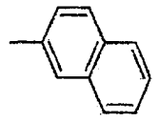
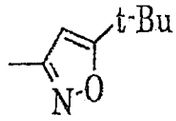
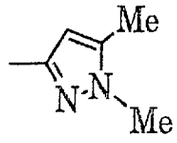
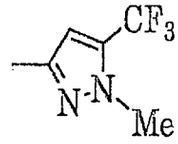
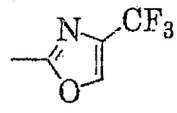
743

[Tabla 86]

No. de Compuesto	X^1	R^1	R^2
IX-71	O		—
IX-72	O		—
IX-73	O		—
IX-74	O		—
IX-75	O		—
IX-76	O		—
IX-77	O		—
IX-78	O		—
IX-79	O	NHMe	—
IX-80	O	Me	6-F
IX-81	O	Me	7-F
IX-82	O	Me	5-Cl
IX-83	O	Me	6-Cl
IX-84	O	Me	7-Cl
IX-85	O	Me	8-Cl

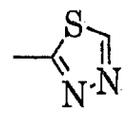
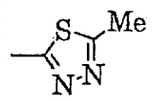
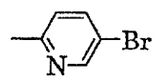
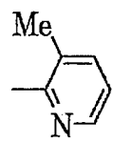
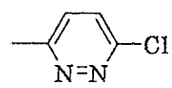
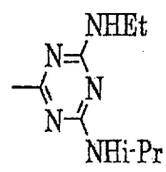
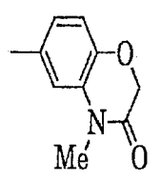
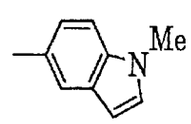
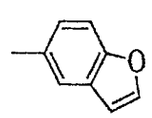
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[Tabla 87]

No. de Compuesto	X^1	R^1	R^2
IX-86	O	CH_2CH_2OMe	8-Cl
IX-87	O	Me	7-OMe
IX-88	O	Me	5-SMe
IX-89	O	Me	7-SMe
IX-90	O	Me	5-SO_2Me
IX-91	O	Me	7-SO_2Me
IX-92	O	Me	6-NO_2
IX-93	O	Me	7-NO_2
IX-94	S	Me	—
IX-95	O		—
IX-96	O		—
IX-97	O		—
IX-98	O		—
IX-99	O		—
IX-100	O		—

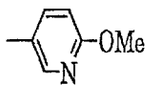
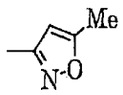
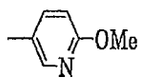
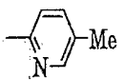
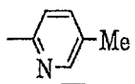
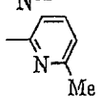
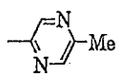
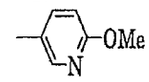
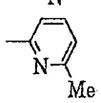
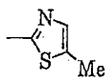
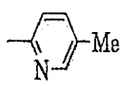
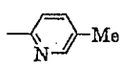
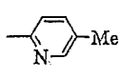
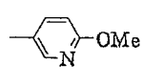
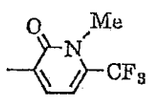
748

[Tabla 88]

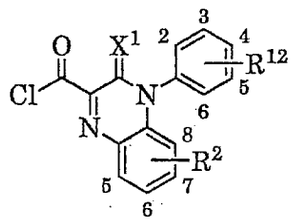
No. de Compuesto	X^1	R^1	R^2
IX-101	O		—
IX-102	O		—
IX-103	O		—
IX-104	O		—
IX-105	O		—
IX-106	O		—
IX-107	O		—
IX-108	O		—
IX-109	O		—
IX-110	O	N(Me)C(=O)Ot-Bu	—

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[Tabla 89]

No. de Compuesto	X^1	R^1	R^2
IX-111	O		5-F
IX-112	O	Bn	6-F
IX-113	O		6-F
IX-114	O	Me	5-CH_2OMe
IX-115	O		5,7-F_2
IX-116	O		7-Cl
IX-117	O	Et	5-Cl
IX-118	O	n-Bu	5-Cl
IX-119	O		7-Me
IX-120	O		6-CF_3
IX-121	O		—
IX-122	O		5-Cl
IX-123	O		6-F
IX-124	O		—
IX-125	O		5-F
IX-126	O		5-Cl
IX-127	O		5-Me
IX-128	O		5-Me
IX-129	O		—

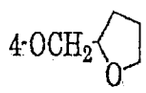
[Tabla 90]



No. de Compuesto	X^1	R^{12}	R^2
X-1	O	—	—
X-2	O	2-F	—
X-3	O	3-F	—
X-4	O	4-F	—
X-5	O	2-Cl	—
X-6	O	3-Cl	—
X-7	O	4-Cl	—
X-8	O	3-Me	—
X-9	O	4-Me	—
X-10	O	3-Et	—
X-11	O	4-Et	—
X-12	O	3-i-Pr	—
X-13	O	4-c-Pr	—
X-14	O	3-CF_3	—
X-15	O	4-CF_3	—
X-16	O	4-OH	—
X-17	O	2-OMe	—
X-18	O	3-OMe	—
X-19	O	4-OMe	—
X-20	O	3-OEt	—
X-21	O	4-OEt	—
X-22	O	3-O-n-Pr	—
X-23	O	3-O-i-Pr	—
X-24	O	4-O-i-Pr	—
X-25	O	4-$OCH_2CH{=}CH_2$	—
X-26	O	4-$OCH_2C{\equiv}CH$	—

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[Tabla 91]

No. de Compuesto	X^1	R^{12}	R^2
X-27	O	3-$OCHF_2$	—
X-28	O	4-$OCHF_2$	—
X-29	O	3-OCF_3	—
X-30	O		—
X-31	O	3-SMe	—
X-32	O	4-SMe	—
X-33	O	3-SO_2Me	—
X-34	O	4-SO_2Me	—
X-35	O	2-NO_2	—
X-36	O	3-NO_2	—
X-37	O	4-NO_2	—
X-38	O	3-CN	—
X-39	O	4-CN	—
X-40	O	4-C(=O)Me	—
X-41	O	4-C(=O)OMe	—
X-42	O	4-CH_2OMe	—
X-43	O	3-OMe, 4-Cl	—
X-44	O	3-OMe, 4-Me	—
X-45	O	3,4-$(OMe)_2$	—
X-46	O	3,5-$(OMe)_2$	—
X-47	O	2-F, 4-OMe	—
X-48	O	2-Cl, 4-OMe	—
X-49	O	2,4-$(OMe)_2$	—
X-50	O	3-F, 4-OMe	—
X-51	O	3-Cl, 4-OMe	—
X-52	O	3-Me, 4-OMe	—
X-53	O	3,4,5-$(OMe)_3$	—
X-54	O	4-OMe	5-F
X-55	O	4-OMe	6-F
X-56	O	4-OMe	7-F

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[Tabla 92]

No. de Compuesto	X^1	R^{12}	R^2
X-57	O	4-OMe	8-F
X-58	O	—	5-Cl
X-59	O	—	8-Cl
X-60	O	4-OMe	5-Cl
X-61	O	4-OMe	6-Cl
X-62	O	4-OMe	7-Cl
X-63	O	4-OMe	8-Cl
X-64	O	4-OCH_2CN	—
X-65	O	4-OCH_2-c-Pr	—
X-66	O	4-OCH_2CF_3	—
X-67	O	4-NMe_2	—
X-68	O	3,4-Me_2	—
X-69	O	2-F, 4-Me	—
X-70	O	3-F, 4-Me	—
X-71	O	3-Me, 4-F	—
X-72	O	2-Cl, 4-Me	—
X-73	O	3-Cl, 4-Me	—
X-74	O	3-OEt, 4-OMe	—
X-75	O	2,3,4-$(OMe)_3$	—
X-76	O	2,5-F_2, 4-OMe	—
X-77	O	3,5-F_2, 4-OMe	—
X-78	O	3,5-Cl_2, 4-OMe	—
X-79	O	3,4-$(CH_2CH_2CH_2)$-	—
X-80	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
X-81	O	3,4-(CH_2OCH_2)-	—
X-82	O	3,4-(OCH_2O)-	7-F
X-83	O	2,3-(OCH_2CH_2O)-	—
X-84	O	3,4-$(OCH_2CH(Me)O)$-	—
X-85	O	3,4-$(OCH_2CH_2CH_2O)$-	—

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[Tabla 93]

No. de Compuesto	X^1	R^{12}	R^2
X-86	O	—	5-F
X-87	O	3,4,5-$(OMe)_3$	5-F
X-88	O	3,5-F_2, 4-OMe	5-F
X-89	O	3,4-(OCH_2CH_2O)-	5-F
X-90	O	—	6-F
X-91	O	3,4,5-$(OMe)_3$	6-F
X-92	O	3,4-(OCH_2O)-	6-F
X-93	O	3,4-(OCH_2CH_2O)-	6-F
X-94	O	—	7-F
X-95	O	3,4-(OCH_2CH_2O)-	7-F
X-96	O	—	8-F
X-97	O	—	5-Me
X-98	O	4-OMe	5-Me
X-99	O	4-OMe	6-Me
X-100	O	4-OMe	7-Me
X-101	O	3,5-F_2, 4-OMe	7-Me
X-102	O	—	6-CF_3
X-103	O	—	6-OMe
X-104	O	4-OMe	6-OMe
X-105	O	—	7-OMe
X-106	O	4-OMe	7-OMe
X-107	O	2,5-F_2, 4-OMe	7-OMe
X-108	O	3,5-F_2, 4-OMe	7-OMe
X-109	O	—	8-OMe
X-110	O	4-OMe	8-OMe
X-111	O	4-OMe	5,6-F_2
X-112	O	4-OMe	5,7-F_2
X-113	O	—	6,7-F_2

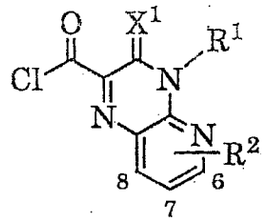
781

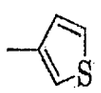
[Tabla 94]

No. de Compuesto	X^1	R^{12}	R^2
X-114	O	—	6,8-F_2
X-115	O	4-OMe	5,7-Cl_2
X-116	O	4-OMe	6-F, 7-OMe
X-117	O	3-F, 4-OMe	5-Cl
X-118	O	4-OMe	5,6,8-F_3, 7-OMe
X-119	O	—	7-CF_3
X-120	O	4-F	7-OMe
X-121	O	4-$OCHF_2$	7-OMe
X-122	O	4-Me	7-OMe

752

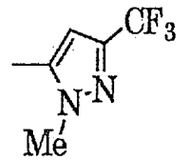
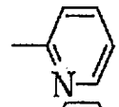
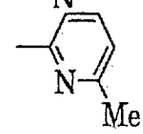
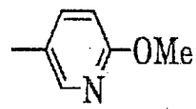
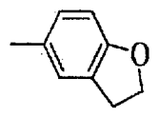
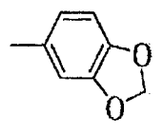
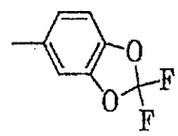
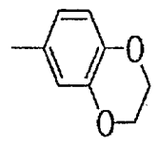
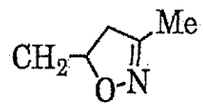
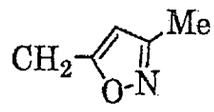
[Tabla 95]



No. de Compuesto	X^1	R^1	R^2
XI-1	O	Me	—
XI-2	O	Et	—
XI-3	O	n-Pr	—
XI-4	O	i-Pr	—
XI-5	O	n-Bu	—
XI-6	O	s-Bu	—
XI-7	O	$CH_2CH=CH_2$	—
XI-8	O	$CH_2C\equiv CH$	—
XI-9	O	CH_2CF_3	—
XI-10	O	CH_2CH_2F	—
XI-11	O	$CH_2OCH_2CF_3$	—
XI-12	O	CH_2SMe	—
XI-13	O	CH_2CH_2OMe	—
XI-14	O	$CH(Me)CH_2OMe$	—
XI-15	O	$CH_2C(=O)OEt$	—
XI-16	O	$CH_2C(=O)Ot\text{-}Bu$	—
XI-17	O	Bn	—
XI-18	O	(2-F)Bn	—
XI-19	O	(2-Cl)Bn	—
XI-20	O	(2-CF_3)Bn	—
XI-21	O	(3-CF_3)Bn	—
XI-22	O	(2-OMe)Bn	—
XI-23	O	CH(Me)Ph	—
XI-24	O		—

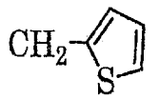
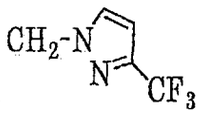
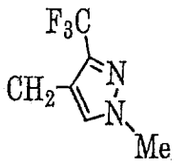
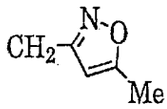
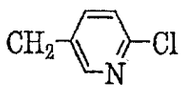
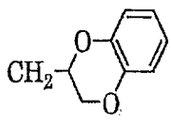
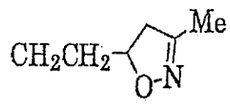
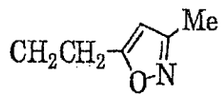
783

[Tabla 96]

No. de Compuesto	X^1	R^1	R^2
XI-25	O		—
XI-26	O		—
XI-27	O		—
XI-28	O		—
XI-29	O		—
XI-30	O		—
XI-31	O		—
XI-32	O		—
XI-33	O		—
XI-34	O		—
XI-35	O	Me	6-Cl

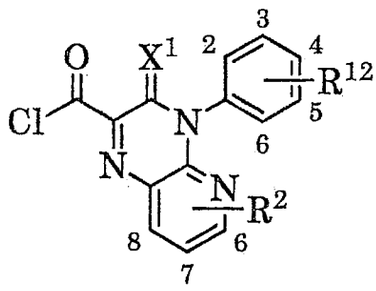
754

[Tabla 97]

No. de Compuesto	X^1	R^1	R^2
XI-36	O	Me	6-OMe
XI-37	O	$CH_2CH_2CH=CH_2$	—
XI-38	O	$CH_2CH_2CH=C(Me)_2$	—
XI-39	O	$CH_2CH_2C\equiv CH$	—
XI-40	O	$CH_2CH_2C(Me)=CF_2$	—
XI-41	O	CH(Me)C(=O)Ot-Bu	—
XI-42	O	(2-$OCHF_2$)Bn	—
XI-43	O	CH_2CH_2Ph	—
XI-44	O		—
XI-45	O		—
XI-46	O		—
XI-47	O		—
XI-48	O		—
XI-49	O		—
XI-50	O		—
XI-51	O		—
XI-52	O	Bn	8-Me
XI-53	O	Bn	7-Me
XI-54	O	Bn	6-Me
XI-55	O	Bn	6-OMe

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[Tabla 98]



No. de Compuesto	X^1	R^{12}	R^2
XII-1	O	—	—
XII-2	O	2-F	—
XII-3	O	3-F	—
XII-4	O	4-F	—
XII-5	O	2-Cl	—
XII-6	O	3-Cl	—
XII-7	O	4-Cl	—
XII-8	O	3-Br	—
XII-9	O	4-Br	—
XII-10	O	2-Me	—
XII-11	O	3-Me	—
XII-12	O	4-Me	—
XII-13	O	3-Et	—
XII-14	O	4-Et	—
XII-15	O	4-i-Pr	—
XII-16	O	4-c-Pr	—
XII-17	O	2-CF_3	—
XII-18	O	3-CF_3	—
XII-19	O	4-CF_3	—
XII-20	O	2-OMe	—
XII-21	O	3-OMe	—
XII-22	O	4-OMe	—
XII-23	O	2-$OCHF_2$	—
XII-24	O	3-$OCHF_2$	—
XII-25	O	4-$OCHF_2$	—

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[Tabla 99]

No. de Compuesto	X^1	R^{12}	R^2
XII-26	O	2-OCF_3	—
XII-27	O	3-OCF_3	—
XII-28	O	4-OCF_3	—
XII-29	O	4-SCF_3	—
XII-30	O	3-CN	—
XII-31	O	2,3-F_2	—
XII-32	O	2,4-F_2	—
XII-33	O	2,5-F_2	—
XII-34	O	2,6-F_2	—
XII-35	O	3,4-F_2	—
XII-36	O	3,5-F_2	—
XII-37	O	2,3-Cl_2	—
XII-38	O	2,4-Cl_2	—
XII-39	O	2,5-Cl_2	—
XII-40	O	2,6-Cl_2	—
XII-41	O	3,4-Cl_2	—
XII-42	O	3,5-Cl_2	—
XII-43	O	2,3-$(OMe)_2$	—
XII-44	O	3-OMe, 4-F	—
XII-45	O	3-OMe, 4-Me	—
XII-46	O	3,4-$(OMe)_2$	—
XII-47	O	3-OMe, 5-F	—
XII-48	O	3,5-$(OMe)_2$	—
XII-49	O	2-F, 4-OMe	—
XII-50	O	2,4-$(OMe)_2$	—
XII-51	O	3-F, 4-OMe	—
XII-52	O	3-Cl, 4-OMe	—
XII-53	O	3-Me, 4-OMe	—
XII-54	O	2,5-$(OMe)_2$	—
XII-55	O	3,4,5-$(OMe)_3$	—

[Tabla 100]

No. de Compuesto	X^1	R^{12}	R^2
XII-56	O	—	6-F
XII-57	O	4-OMe	6-F
XII-58	O	—	6-Cl
XII-59	O	4-OMe	6-Cl
XII-60	O	4-OMe	6-OMe
XII-61	O	2-F,5-CF_3	—
XII-62	O	3-CF_3,4-F	—
XII-63	O	2-F,3-CF_3	—
XII-64	O	3-F,5-CF_3	—
XII-65	O	2,5-$(Me)_2$	—
XII-66	O	3,4-$(Me)_2$	—
XII-67	O	3,5-$(Me)_2$	—
XII-68	O	3,5-$(CF_3)_2$	—
XII-69	O	2,6-$(OMe)_2$	—
XII-70	O	2-F, 3-Cl	—
XII-71	O	2-F, 4-Cl	—
XII-72	O	2-F, 5-Cl	—
XII-73	O	3-F, 4-Cl	—
XII-74	O	4-F, 2-Cl	—
XII-75	O	4-F, 3-Cl	—
XII-76	O	2-F, 3-Me	—
XII-77	O	2-F, 4-Me	—
XII-78	O	2-F, 5-Me	—
XII-79	O	3-F, 2-Me	—
XII-80	O	3-F, 4-Me	—

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[Tabla 101]

No. de Compuesto	X^1	R^{12}	R^2
XII-81	O	3-F, 5-Me	—
XII-82	O	4-F, 2-Me	—
XII-83	O	4-F, 3-Me	—
XII-84	O	5-F, 2-Me	—
XII-85	O	2-F, 4-CF_3	—
XII-86	O	3-F, 4-CF_3	—
XII-87	O	4-F, 2-CF_3	—
XII-88	O	3-F, 2-OMe	—
XII-89	O	4-F, 2-OMe	—
XII-90	O	5-F, 2-OMe	—
XII-91	O	2-F, 4-$OCHF_2$	—
XII-92	O	3-F, 4-$OCHF_2$	—
XII-93	O	4-F, 2-$OCHF_2$	—
XII-94	O	4-F, 3-CN	—
XII-95	O	2-Cl, 4-Me	—
XII-96	O	3-Cl, 4-Me	—
XII-97	O	3-Cl, 4-$OCHF_2$	—
XII-98	O	4-Me, 3-CF_3	—
XII-99	O	4-Me, 2-OMe	—
XII-100	O	3-Me, 4-CN	—
XII-101	O	4-Me, 3-CN	—
XII-102	O	2,3,4-F_3	—
XII-103	O	2,3,5-F_3	—
XII-104	O	2,4,5-F_3	—
XII-105	O	3,4,5-F_3	—
XII-106	O	2,3-F_2, 4-Me	—
XII-107	O	2,6-F_2, 4-OMe	—

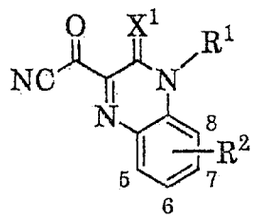
789

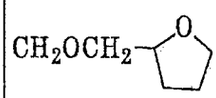
[Tabla 102]

No. de Compuesto	X^1	R^{12}	R^2
XII-108	O	3,5-F_2, 4-OMe	—
XII-109	O	4-F, 2-Cl, 5-Me	—
XII-110	O	—	7-Cl
XII-111	O	—	6-Me
XII-112	O	—	7-Me
XII-113	O	—	8-Me
XII-114	O	3-Me	8-Me
XII-115	O	3-CF_3	8-Me
XII-116	O	4-OMe	8-Me
XII-117	O	3-F, 4-Me	8-Me
XII-118	O	3-F, 4-OMe	8-Me
XII-119	O	—	8-OMe
XII-120	O	3-CF_3	8-OMe
XII-121	O	3-F, 4-Me	8-Cl
XII-122	O	3-F, 4-Me	6-F
XII-123	O	3-F, 4-Me	6-Cl
XII-124	O	3-F, 4-Me	7-Me
XII-125	O	3-F, 4-Me	6-Me
XII-126	O	—	8-Cl
XII-127	O	3-F, 4-Me	6-OMe
XII-128	O	3-OEt	—
XII-129	O	4-OEt	—
XII-130	O	4-OMe	8-Cl
XII-131	O	—	6-SMe
XII-132	O	3,4-(OCH_2CH_2O)-	6-OMe
XII-133	O	3-F, 4-OEt	—
XII-134	O	3,4-(OCH_2O)-	8-Cl

760

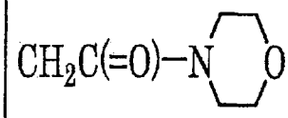
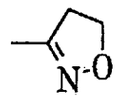
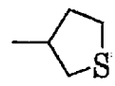
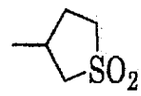
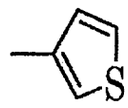
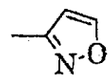
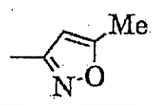
[Tabla 103]



No. de Compuesto	X^1	R^1	R^2
XIII-1	O	Me	—
XIII-2	O	Et	—
XIII-3	O	n-Pr	—
XIII-4	O	i-Pr	—
XIII-5	O	c-Pr	—
XIII-6	O	n-Bu	—
XIII-7	O	t-Bu	—
XIII-8	O	c-Pen	—
XIII-9	O	n-Hex	—
XIII-10	O	$CH_2CH=CH_2$	—
XIII-11	O	$CH_2C\equiv CH$	—
XIII-12	O	CH_2CF_3	—
XIII-13	O	CH_2OMe	—
XIII-14	O	CH_2OEt	—
XIII-15	O	CH_2OPh	—
XIII-16	O	$CH_2OCH_2CH_2OMe$	—
XIII-17	O	$CH_2OCH_2CF_3$	—
XIII-18	O		—
XIII-19	O	$CH_2OCH_2CH_2SO_2Me$	—
XIII-20	O	$CH_2OCH_2CH_2CN$	—
XIII-21	O	$CH_2OC(=O)t\text{-}Bu$	—
XIII-22	O	CH_2SMe	—
XIII-23	O	CH_2SEt	—
XIII-24	O	CH_2SO_2Me	—
XIII-25	O	CH_2SO_2Et	—
XIII-26	O	CH_2CH_2OMe	—
XIII-27	O	$CH(Me)CH_2OMe$	—
XIII-28	O	CH_2CH_2SMe	—
XIII-29	O	$CH_2CH_2SO_2Me$	—
XIII-30	O	$CH_2CH_2CH_2OMe$	—

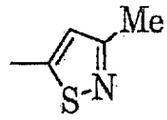
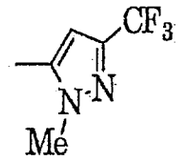
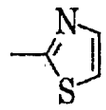
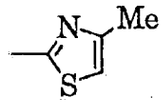
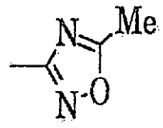
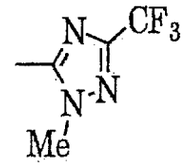
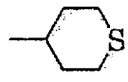
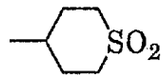
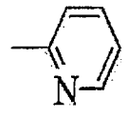
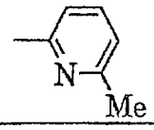
761

[Tabla 104]

No. de Compuesto	X^1	R^1	R^2
XIII-31	O	$CH_2C(=O)Me$	—
XIII-32	O	$CH_2C(=O)O$-t-Bu	—
XIII-33	O	$CH_2C(=O)NMe_2$	—
XIII-34	O		—
XIII-35	O	CH_2CN	—
XIII-36	O	CH_2CH_2CN	—
XIII-37	O	Bn	—
XIII-38	O	(2-Cl)Bn	—
XIII-39	O	(3-Cl)Bn	—
XIII-40	O	(4-Cl)Bn	—
XIII-41	O	(2-OMe)Bn	—
XIII-42	O	(3-OMe)Bn	—
XIII-43	O	(4-OMe)Bn	—
XIII-44	O	(2,6-$(OMe)_2$)Bn	—
XIII-45	O		—
XIII-46	O		—
XIII-47	O		—
XIII-48	O		—
XIII-49	O		—
XIII-50	O		—

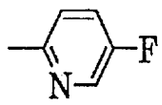
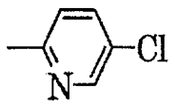
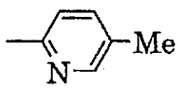
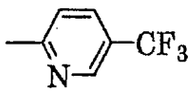
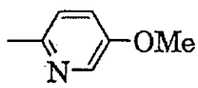
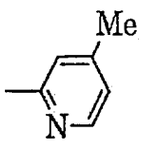
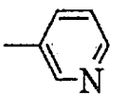
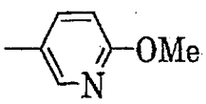
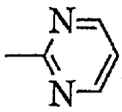
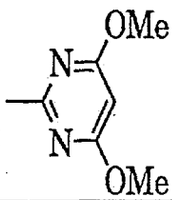
762

[Tabla 105]

No. de Compuesto	X^1	R^1	R^2
XIII-51	O		—
XIII-52	O		—
XIII-53	O		—
XIII-54	O		—
XIII-55	O		—
XIII-56	O		—
XIII-57	O		—
XIII-58	O		—
XIII-59	O		—
XIII-60	O		—

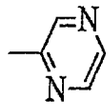
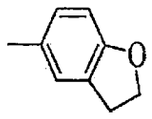
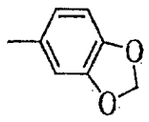
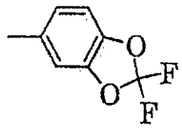
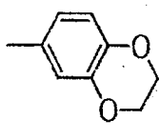
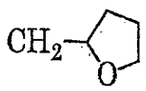
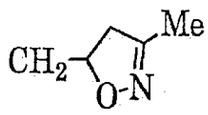
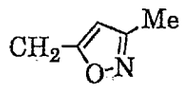
763

[Tabla 106]

No. de Compuesto	X^1	R^1	R^2
XIII-61	O		—
XIII-62	O		—
XIII-63	O		—
XIII-64	O		—
XIII-65	O		—
XIII-66	O		—
XIII-67	O		—
XIII-68	O		—
XIII-69	O		—
XIII-70	O		—

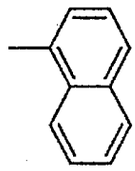
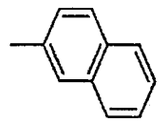
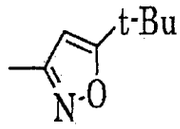
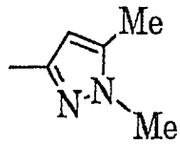
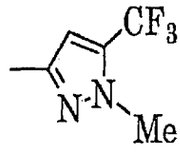
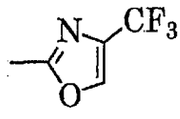
764

[Tabla 107]

No. de Compuesto	X^1	R^1	R^2
XIII-71	O		—
XIII-72	O		—
XIII-73	O		—
XIII-74	O		—
XIII-75	O		—
XIII-76	O		—
XIII-77	O		—
XIII-78	O		—
XIII-79	O	NHMe	—
XIII-80	O	Me	6-F
XIII-81	O	Me	7-F
XIII-82	O	Me	5-Cl
XIII-83	O	Me	6-Cl
XIII-84	O	Me	7-Cl
XIII-85	O	Me	8-Cl

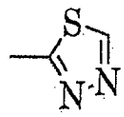
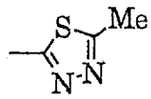
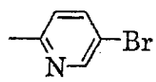
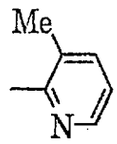
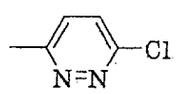
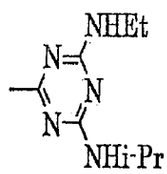
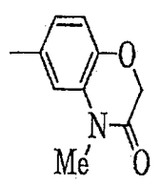
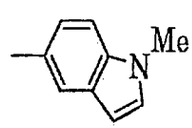
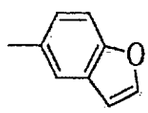
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[Tabla 108]

No. de Compuesto	X^1	R^1	R^2
XIII-86	O	CH_2CH_2OMe	8-Cl
XIII-87	O	Me	7-OMe
XIII-88	O	Me	5-SMe
XIII-89	O	Me	7-SMe
XIII-90	O	Me	5-SO_2Me
XIII-91	O	Me	7-SO_2Me
XIII-92	O	Me	6-NO_2
XIII-93	O	Me	7-NO_2
XIII-94	S	Me	—
XIII-95	O		—
XIII-96	O		—
XIII-97	O		—
XIII-98	O		—
XIII-99	O		—
XIII-100	O		—

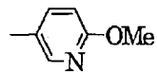
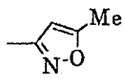
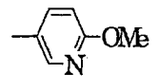
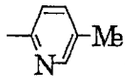
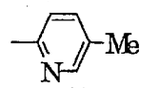
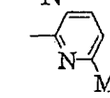
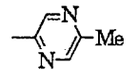
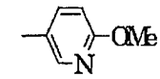
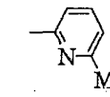
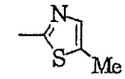
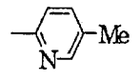
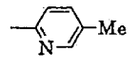
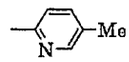
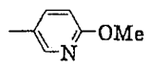
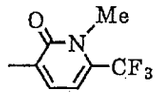
766

[Tabla 109]

No. de Compuesto	X^1	R^1	R^2
XIII-101	O		—
XIII-102	O		—
XIII-103	O		—
XIII-104	O		—
XIII-105	O		—
XIII-106	O		—
XIII-107	O		—
XIII-108	O		—
XIII-109	O		—
XIII-110	O	N(Me)C(=O)Ot-Bu	—

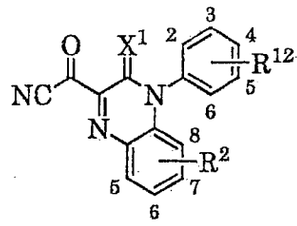
761

[Tabla 110]

No. de Compuesto	X^1	R^1	R^2
XIII-111	O		5-F
XIII-112	O	Bn	6-F
XIII-113	O		6-F
XIII-114	O	Me	5-CH_2OMe
XIII-115	O		5,7-F_2
XIII-116	O		7-Cl
XIII-117	O	Et	5-Cl
XIII-118	O	n-Bu	5-Cl
XIII-119	O		7-Me
XIII-120	O		6-CF_3
XIII-121	O		—
XIII-122	O		5-Cl
XIII-123	O		6-F
XIII-124	O		—
XIII-125	O		5-F
XIII-126	O		5-Cl
XIII-127	O		5-Me
XIII-128	O		5-Me
XIII-129	O		—

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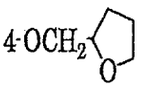
[Tabla 111]



No. de Compuesto	X^1	R^{12}	R^2
XIV-1	O	—	—
XIV-2	O	2-F	—
XIV-3	O	3-F	—
XIV-4	O	4-F	—
XIV-5	O	2-Cl	—
XIV-6	O	3-Cl	—
XIV-7	O	4-Cl	—
XIV-8	O	3-Me	—
XIV-9	O	4-Me	—
XIV-10	O	3-Et	—
XIV-11	O	4-Et	—
XIV-12	O	3-i-Pr	—
XIV-13	O	4-c-Pr	—
XIV-14	O	3-CF_3	—
XIV-15	O	4-CF_3	—
XIV-16	O	4-OH	—
XIV-17	O	2-OMe	—
XIV-18	O	3-OMe	—
XIV-19	O	4-OMe	—
XIV-20	O	3-OEt	—
XIV-21	O	4-OEt	—
XIV-22	O	3-O-n-Pr	—
XIV-23	O	3-O-i-Pr	—
XIV-24	O	4-O-i-Pr	—
XIV-25	O	4-$OCH_2CH{=}CH_2$	—
XIV-26	O	4-$OCH_2C{\equiv}CH$	—

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[Tabla 112]

No. de Compuesto	X^1	R^{12}	R^2
XIV-27	O	3-$OCHF_2$	—
XIV-28	O	4-$OCHF_2$	—
XIV-29	O	3-OCF_3	—
XIV-30	O		—
XIV-31	O	3-SMe	—
XIV-32	O	4-SMe	—
XIV-33	O	3-SO_2Me	—
XIV-34	O	4-SO_2Me	—
XIV-35	O	2-NO_2	—
XIV-36	O	3-NO_2	—
XIV-37	O	4-NO_2	—
XIV-38	O	3-CN	—
XIV-39	O	4-CN	—
XIV-40	O	4-C(=O)Me	—
XIV-41	O	4-C(=O)OMe	—
XIV-42	O	4-CH_2OMe	—
XIV-43	O	3-OMe, 4-Cl	—
XIV-44	O	3-OMe, 4-Me	—
XIV-45	O	3,4-$(OMe)_2$	—
XIV-46	O	3,5-$(OMe)_2$	—
XIV-47	O	2-F, 4-OMe	—
XIV-48	O	2-Cl, 4-OMe	—
XIV-49	O	2,4-$(OMe)_2$	—
XIV-50	O	3-F, 4-OMe	—
XIV-51	O	3-Cl, 4-OMe	—
XIV-52	O	3-Me, 4-OMe	—
XIV-53	O	3,4,5-$(OMe)_3$	—
XIV-54	O	4-OMe	5-F
XIV-55	O	4-OMe	6-F
XIV-56	O	4-OMe	7-F

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[Tabla 113]

No. de Compuesto	X^1	R^{12}	R^2
XIV-57	O	4-OMe	8-F
XIV-58	O	—	5-Cl
XIV-59	O	—	8-Cl
XIV-60	O	4-OMe	5-Cl
XIV-61	O	4-OMe	6-Cl
XIV-62	O	4-OMe	7-Cl
XIV-63	O	4-OMe	8-Cl
XIV-64	O	4-OCH_2CN	—
XIV-65	O	4-OCH_2-c-Pr	—
XIV-66	O	4-OCH_2CF_3	—
XIV-67	O	4-NMe_2	—
XIV-68	O	3,4-Me_2	—
XIV-69	O	2-F, 4-Me	—
XIV-70	O	3-F, 4-Me	—
XIV-71	O	3-Me, 4-F	—
XIV-72	O	2-Cl, 4-Me	—
XIV-73	O	3-Cl, 4-Me	—
XIV-74	O	3-OEt, 4-OMe	—
XIV-75	O	2,3,4-$(OMe)_3$	—
XIV-76	O	2,5-F_2, 4-OMe	—
XIV-77	O	3,5-F_2, 4-OMe	—
XIV-78	O	3,5-Cl_2, 4-OMe	—
XIV-79	O	3,4-$(CH_2CH_2CH_2)$-	—
XIV-80	O	3,4-$(CH_2CH_2CH_2CH_2)$-	—
XIV-81	O	3,4-(CH_2OCH_2)-	—
XIV-82	O	3,4-(OCH_2O)-	7-F
XIV-83	O	2,3-(OCH_2CH_2O)-	—
XIV-84	O	3,4-$(OCH_2CH(Me)O)$-	—
XIV-85	O	3,4-$(OCH_2CH_2CH_2O)$-	—

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[Tabla 114]

No. de Compuesto	X^1	R^{12}	R^2
XIV-86	O	—	5-F
XIV-87	O	3,4,5-$(OMe)_3$	5-F
XIV-88	O	3,5-F_2, 4-OMe	5-F
XIV-89	O	3,4-(OCH_2CH_2O)-	5-F
XIV-90	O	—	6-F
XIV-91	O	3,4,5-$(OMe)_3$	6-F
XIV-92	O	3,4-(OCH_2O)-	6-F
XIV-93	O	3,4-(OCH_2CH_2O)-	6-F
XIV-94	O	—	7-F
XIV-95	O	3,4-(OCH_2CH_2O)-	7-F
XIV-96	O	—	8-F
XIV-97	O	—	5-Me
XIV-98	O	4-OMe	5-Me
XIV-99	O	4-OMe	6-Me
XIV-100	O	4-OMe	7-Me
XIV-101	O	3,5-F_2, 4-OMe	7-Me
XIV-102	O	—	6-CF_3
XIV-103	O	—	6-OMe
XIV-104	O	4-OMe	6-OMe
XIV-105	O	—	7-OMe
XIV-106	O	4-OMe	7-OMe
XIV-107	O	2,5-F_2, 4-OMe	7-OMe
XIV-108	O	3,5-F_2, 4-OMe	7-OMe
XIV-109	O	—	8-OMe
XIV-110	O	4-OMe	8-OMe
XIV-111	O	4-OMe	5,6-F_2
XIV-112	O	4-OMe	5,7-F_2
XIV-113	O	—	6,7-F_2

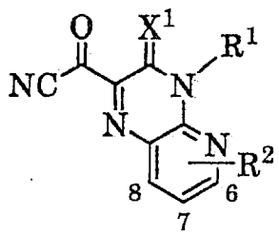
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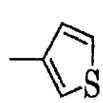
[Tabla 15]

No. de Compuesto	X^1	R^{12}	R^2
XIV-114	O	—	6,8-F_2
XIV-115	O	4-OMe	5,7-Cl_2
XIV-116	O	4-OMe	6-F, 7-OMe
XIV-117	O	3-F, 4-OMe	5-Cl
XIV-118	O	4-OMe	5,6,8-F_3, 7-OMe
XIV-119	O	—	7-CF_3
XIV-120	O	4-F	7-OMe
XIV-121	O	4-$OCHF_2$	7-OMe
XIV-122	O	4-Me	7-OMe
XIV-123	O	4-OMe	5-Br
XIV-124	O	4-F	5-F
XIV-125	O	3-F, 4-OEt	—

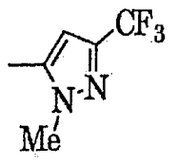
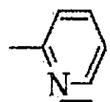
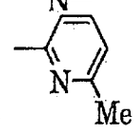
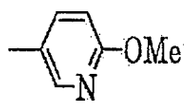
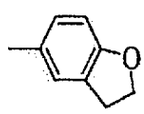
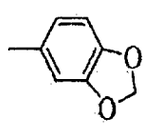
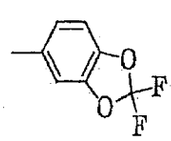
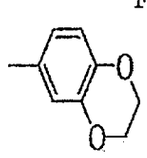
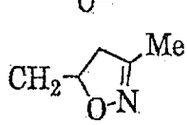
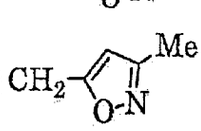
773

[Tabla 116]

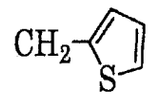
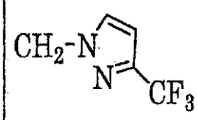
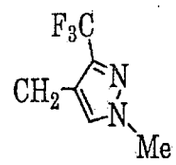
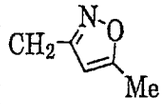
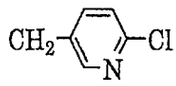
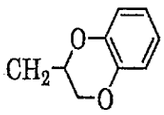
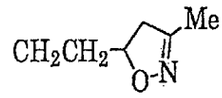
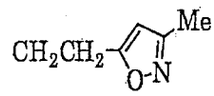


No. de Compuesto	X^1	R^1	R^2
XV-1	O	Me	—
XV-2	O	Et	—
XV-3	O	n-Pr	—
XV-4	O	i-Pr	—
XV-5	O	n-Bu	—
XV-6	O	s-Bu	—
XV-7	O	$CH_2CH=CH_2$	—
XV-8	O	$CH_2C\equiv CH$	—
XV-9	O	CH_2CF_3	—
XV-10	O	CH_2CH_2F	—
XV-11	O	$CH_2OCH_2CF_3$	—
XV-12	O	CH_2SMe	—
XV-13	O	CH_2CH_2OMe	—
XV-14	O	$CH(Me)CH_2OMe$	—
XV-15	O	$CH_2C(=O)OEt$	—
XV-16	O	$CH_2C(=O)Ot\text{-}Bu$	—
XV-17	O	Bn	—
XV-18	O	(2-F)Bn	—
XV-19	O	(2-Cl)Bn	—
XV-20	O	(2-CF_3)Bn	—
XV-21	O	(3-CF_3)Bn	—
XV-22	O	(2-OMe)Bn	—
XV-23	O	CH(Me)Ph	—
XV-24	O		—

[Tabla 117]

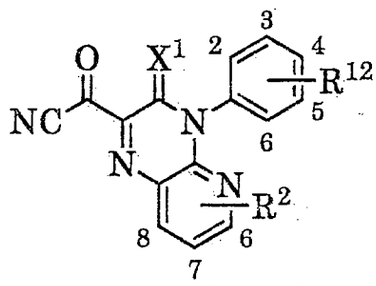
No. de Compuesto	X^1	R^1	R^2
XV-25	O		—
XV-26	O		—
XV-27	O		—
XV-28	O		—
XV-29	O		—
XV-30	O		—
XV-31	O		—
XV-32	O		—
XV-33	O		—
XV-34	O		—
XV-35	O	Me	6-Cl

[Tabla 118]

No. de Compuesto	X^1	R^1	R^2
XV-36	O	Me	6-OMe
XV-37	O	$CH_2CH_2CH=CH_2$	—
XV-38	O	$CH_2CH_2CH=C(Me)_2$	—
XV-39	O	$CH_2CH_2C\equiv CH$	—
XV-40	O	$CH_2CH_2C(Me)=CF_2$	—
XV-41	O	CH(Me)C(=O)Ot-Bu	—
XV-42	O	(2-$OCHF_2$)Bn	—
XV-43	O	CH_2CH_2Ph	—
XV-44	O		—
XV-45	O		—
XV-46	O		—
XV-47	O		—
XV-48	O		—
XV-49	O		—
XV-50	O		—
XV-51	O		—
XV-52	O	Bn	8-Me
XV-53	O	Bn	7-Me
XV-54	O	Bn	6-Me
XV-55	O	Bn	6-OMe

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[Tabla 119]



No. de Compuesto	X^1	R^{12}	R^2
XVI-1	O	—	—
XVI-2	O	2-F	—
XVI-3	O	3-F	—
XVI-4	O	4-F	—
XVI-5	O	2-Cl	—
XVI-6	O	3-Cl	—
XVI-7	O	4-Cl	—
XVI-8	O	3-Br	—
XVI-9	O	4-Br	—
XVI-10	O	2-Me	—
XVI-11	O	3-Me	—
XVI-12	O	4-Me	—
XVI-13	O	3-Et	—
XVI-14	O	4-Et	—
XVI-15	O	4-i-Pr	—
XVI-16	O	4-c-Pr	—
XVI-17	O	2-CF_3	—
XVI-18	O	3-CF_3	—
XVI-19	O	4-CF_3	—
XVI-20	O	2-OMe	—
XVI-21	O	3-OMe	—
XVI-22	O	4-OMe	—
XVI-23	O	2-$OCHF_2$	—
XVI-24	O	3-$OCHF_2$	—
XVI-25	O	4-$OCHF_2$	—

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[Tabla 120]

No. de Compuesto	X^1	R^{12}	R^2
XVI-26	O	2-OCF_3	—
XVI-27	O	3-OCF_3	—
XVI-28	O	4-OCF_3	—
XVI-29	O	4-SCF_3	—
XVI-30	O	3-CN	—
XVI-31	O	2,3-F_2	—
XVI-32	O	2,4-F_2	—
XVI-33	O	2,5-F_2	—
XVI-34	O	2,6-F_2	—
XVI-35	O	3,4-F_2	—
XVI-36	O	3,5-F_2	—
XVI-37	O	2,3-Cl_2	—
XVI-38	O	2,4-Cl_2	—
XVI-39	O	2,5-Cl_2	—
XVI-40	O	2,6-Cl_2	—
XVI-41	O	3,4-Cl_2	—
XVI-42	O	3,5-Cl_2	—
XVI-43	O	2,3-$(OMe)_2$	—
XVI-44	O	3-OMe, 4-F	—
XVI-45	O	3-OMe, 4-Me	—
XVI-46	O	3,4-$(OMe)_2$	—
XVI-47	O	3-OMe, 5-F	—
XVI-48	O	3,5-$(OMe)_2$	—
XVI-49	O	2-F, 4-OMe	—
XVI-50	O	2,4-$(OMe)_2$	—
XVI-51	O	3-F, 4-OMe	—
XVI-52	O	3-Cl, 4-OMe	—
XVI-53	O	3-Me, 4-OMe	—
XVI-54	O	2,5-$(OMe)_2$	—
XVI-55	O	3,4,5-$(OMe)_3$	—

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[Tabla 121]

No. de Compuesto	X^1	R^{12}	R^2
XVI-56	O	—	6-F
XVI-57	O	4-OMe	6-F
XVI-58	O	—	6-Cl
XVI-59	O	4-OMe	6-Cl
XVI-60	O	4-OMe	6-OMe
XVI-61	O	2-F,5-CF_3	—
XVI-62	O	3-CF_3,4-F	—
XVI-63	O	2-F,3-CF_3	—
XVI-64	O	3-F,5-CF_3	—
XVI-65	O	2,5-$(Me)_2$	—
XVI-66	O	3,4-$(Me)_2$	—
XVI-67	O	3,5-$(Me)_2$	—
XVI-68	O	3,5-$(CF_3)_2$	—
XVI-69	O	2,6-$(OMe)_2$	—
XVI-70	O	2-F, 3-Cl	—
XVI-71	O	2-F, 4-Cl	—
XVI-72	O	2-F, 5-Cl	—
XVI-73	O	3-F, 4-Cl	—
XVI-74	O	4-F, 2-Cl	—
XVI-75	O	4-F, 3-Cl	—
XVI-76	O	2-F, 3-Me	—
XVI-77	O	2-F, 4-Me	—
XVI-78	O	2-F, 5-Me	—
XVI-79	O	3-F, 2-Me	—
XVI-80	O	3-F, 4-Me	—

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[Tabla 122]

No. de Compuesto	X^1	R^{12}	R^2
XVI-81	O	3-F, 5-Me	—
XVI-82	O	4-F, 2-Me	—
XVI-83	O	4-F, 3-Me	—
XVI-84	O	5-F, 2-Me	—
XVI-85	O	2-F, 4-CF_3	—
XVI-86	O	3-F, 4-CF_3	—
XVI-87	O	4-F, 2-CF_3	—
XVI-88	O	3-F, 2-OMe	—
XVI-89	O	4-F, 2-OMe	—
XVI-90	O	5-F, 2-OMe	—
XVI-91	O	2-F, 4-$OCHF_2$	—
XVI-92	O	3-F, 4-$OCHF_2$	—
XVI-93	O	4-F, 2-$OCHF_2$	—
XVI-94	O	4-F, 3-CN	—
XVI-95	O	2-Cl, 4-Me	—
XVI-96	O	3-Cl, 4-Me	—
XVI-97	O	3-Cl, 4-$OCHF_2$	—
XVI-98	O	4-Me, 3-CF_3	—
XVI-99	O	4-Me, 2-OMe	—
XVI-100	O	3-Me, 4-CN	—
XVI-101	O	4-Me, 3-CN	—
XVI-102	O	2,3,4-F_3	—
XVI-103	O	2,3,5-F_3	—
XVI-104	O	2,4,5-F_3	—
XVI-105	O	3,4,5-F_3	—
XVI-106	O	2,3-F_2, 4-Me	—
XVI-107	O	2,6-F_2, 4-OMe	—

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[Tabla 123]

No. de Compuesto	X^1	R^{12}	R^2
XVI-108	O	3,5-F_2, 4-OMe	—
XVI-109	O	4-F, 2-Cl, 5-Me	—
XVI-110	O	—	7-Cl
XVI-111	O	—	6-Me
XVI-112	O	—	7-Me
XVI-113	O	—	8-Me
XVI-114	O	3-Me	8-Me
XVI-115	O	3-CF_3	8-Me
XVI-116	O	4-OMe	8-Me
XVI-117	O	3-F, 4-Me	8-Me
XVI-118	O	3-F, 4-OMe	8-Me
XVI-119	O	—	8-OMe
XVI-120	O	3-CF_3	8-OMe
XVI-121	O	3-F, 4-Me	8-Cl
XVI-122	O	3-F, 4-Me	6-F
XVI-123	O	3-F, 4-Me	6-Cl
XVI-124	O	3-F, 4-Me	7-Me
XVI-125	O	3-F, 4-Me	6-Me
XVI-126	O	—	8-Cl
XVI-127	O	3-F, 4-Me	6-OMe
XVI-128	O	3-OEt	—
XVI-129	O	4-OEt	—
XVI-130	O	4-OMe	8-Cl
XVI-131	O	—	6-SMe
XVI-132	O	3,4-(OCH_2CH_2O)-	6-OMe
XVI-133	O	3-F, 4-OEt	—
XVI-134	O	3,4-(OCH_2O)-	8-Cl

Métodos representativos para la producción del compuesto

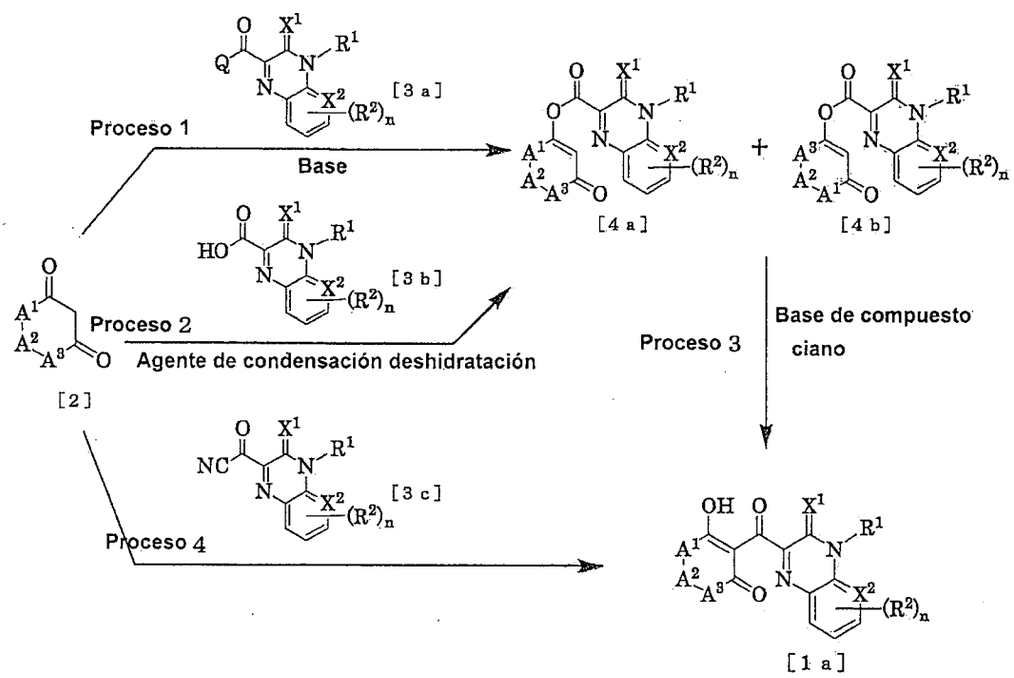
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de la presente invención representado por la fórmula [I] se ilustrará a continuación, sin limitarse el método a estos métodos.

<Método de Producción>

El compuesto de la presente invención representado por la fórmula [1a] a continuación se puede producir mediante los métodos basados en el esquema de reacción tal y como son ilustrados a continuación.

[Fórmula Química 12]



en donde R^1, R^2, A^1, A^2, A^3, n, X^1 y X^2 respectivamente tienen los mismos significados definidos anteriormente; y Q representa un grupo de partida tal como halógeno, un grupo alquilcarboniloxi, un grupo alcoxicarboniloxi, un grupo haloalquilcarboniloxi, un grupo haloalcoxicarboniloxi, un grupo benzoiloxi, un grupo piridilo o un

grupo imidazolilo.

(Proceso 1)

Los compuestos éster enol representados por las fórmulas [4a] y [4b] se pueden producir mediante el asentamiento de un compuesto representado por la fórmula [2] para reaccionar con un compuesto representado por la fórmula [3a] en un solvente en la presencia de una base.

(Por lo tanto, por ejemplo, el "compuesto representado por la fórmula [2]" se puede describir simplemente como "la fórmula [2].")

La cantidad de uso de la fórmula [3a] tal como se utiliza en la presente invención se selecciona de una forma adecuada dentro del índice de 0.5 a 10 moles, y preferentemente 1.0 a 1.2 moles, basado en 1 mol de la fórmula [2].

Como la base que puede ser utilizada en el presente proceso, por ejemplo, aminas orgánicas tales como trietilamina, piridina, 4-dimetilaminopiridina, N,N-dimetilanilina y 1,8-diazabiciclo [5.4.0]-7-undeceno; sales de metal de ácido carboxílico representado por los carbonatos de metal tal como carbonato de sodio, carbonato de potasio, carbonato de magnesio, y carbonato de calcio; carbonatos de hidrógeno de metal tales como carbonato de hidrógeno de sodio y carbonato de hidrógeno de potasio; y acetatos de metal tales como acetato de sodio, acetato de potasio, acetato de calcio y acetato de magnesio, alcóxidos de metal tales como metóxido de sodio,

etóxido de sodio, butóxido terciario de sodio, metóxido de potasio y butóxido terciario de potasio; hidróxido de metal tal como hidróxido de sodio, hidróxido de potasio, hidróxido de calcio e hidróxido de magnesio; hidruros de metal tales como hidruro de litio, en el caso de utilizar un catalizador de transferencia de fase, la cantidad de uso del mismo es de 0.0001 a 1.0 mole, y preferentemente 0.001 a 0.1 moles, con base en un mol de la fórmula [2].

El tiempo de reacción puede variar dependiendo de la temperatura de reacción, el substrato de reacción, el grado de reacción y similar, aunque normalmente es de 10 minutos a 48 horas.

Los compuestos de la fórmula [4a] y la fórmula [4b], los cuales son productos objetivo de la reacción, se pueden recolectar del sistema de reacción a través de un método convencional después del término de la reacción, y posteriormente se pueden purificar mediante operaciones tales como cromatografía de columna y recristalización, según sea necesaria.

(Proceso 2)

Las fórmulas [4a] y [4b] también pueden ser producidos a través de permitir que la fórmula [2] y la fórmula 3[b] reaccionen en un solvente en la presencia de un agente de condensación de deshidratación en la presencia o ausencia de una base.

La cantidad de uso de la fórmula [3b], tal como se utiliza en

el proceso de la presente invención, se puede seleccionar en forma adecuada dentro del rango de 0.5 a 10 moles, y preferentemente 1.0 a 1.2 moles, con base en un mol de la fórmula [2].

Como el agente de condensación de deshidratación, se pueden utilizar diciclohexilcarbodiimida (DCC), N-(3-dimetilaminopropil)-N'-etilcarbodiimida (EDC o WSC), N,N-carbonildiimidazole, cloruro de 2-cloro-1,3-dimetilimidazolio, yoduro de 2-cloro-1-piridinio y similares.

La base y el solvente que se pueden utilizar en el proceso de la presente invención se pueden ejemplificar a través de los descritos en el Proceso 1.

La cantidad de la base utilizada en la reacción del proceso de la presente invención es de 0 a 100 moles, y preferentemente 0 a 10 moles, con base en un mol de la fórmula [2].

La cantidad de uso del solvente es de 0.01 a 100 L, y preferentemente 0.1 a 10 L, con base en un mol de la fórmula [2].

La temperatura de reacción puede ser seleccionada en forma adecuada dentro del rango de -20°C hasta la región del punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de 0°C a 100°C.

El tiempo de reacción puede variar dependiente de la temperatura de reacción, substrato de reacción, el grado de reacción y similar, aunque normalmente es de 10 minutos a 48 horas.

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(Proceso 3)

La fórmula [1a] se puede producir haciendo reaccionar la fórmula [4a] de la fórmula [4b] producida en el proceso 2 ó 3, con un compuesto ciano en la presencia de una base.

La base que se puede utilizar en el proceso de la presente invención se puede ejemplificar a través de las mismas bases a las descritas con respecto al proceso 1.

La cantidad y uso de la base puede ser seleccionada en forma adecuada dentro del rango de 0.5 a 10 moles, y preferentemente 1,0 a 1.2 moles, con base en un mol de la fórmula [4a] y fórmula [4b].

El compuesto ciano que se puede utilizar en el proceso de la presente invención se puede ejemplificar a través de cianuro de potasio, cianuro de sodio, cianohidrina de acetona, cianuro de hidrógeno, un polímero que lleva cianuro de hidrógeno, y similar.

La cantidad de uso del compuesto ciano puede ser seleccionada en forma adecuada dentro del rango de 0.01 a 1.0 moles, y preferentemente 0.05 a 0.2 moles, con base en un mol de las fórmulas [4a] y [4b].

Además, en el proceso de la presente invención, también se puede utilizar un catalizador de transferencia de fase tal como un éter corona.

La cantidad de uso del catalizador de transferencia de fase es de 0.001 a 10 moles, y preferentemente 0.01 a 1.0 moles, con base en un mol de las fórmulas [4a] y [4b].

El solvente que se puede utilizar en la reacción de la presente invención se puede ejemplificar a través de los mismos solventes a los descritos con respecto al proceso 1, y la cantidad de uso del mismo es de 0.01 a 100 L, y preferentemente 0.1 a 10 L, con base en un mol de las fórmulas [4a] y [4b].

La temperatura de reacción puede ser seleccionada dentro del rango de -20°C hasta la región de punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de 0°C a 100°C.

El tiempo de reacción puede variar dependiendo de la temperatura de reacción, el substrato de reacción, el grado de reacción y similar, aunque normalmente de 10 minutos a 48 horas.

Además, en el proceso de la presente invención, la fórmula [1a] aún puede ser producida, incluso si las fórmulas [4a] y [4b] producidas en el proceso 1 o proceso 2 se utilizan sin ser aisladas.

(Proceso 4)

Los compuestos de la fórmula [1a] también se pueden producir haciendo reaccionar la fórmula [2] con la fórmula [3c] en la presencia de una base o ácido de Lewis. Además, el intermediario de producción de la fórmula [3c], se puede producir haciendo reaccionar un compuesto representado a través de la fórmula [3a-1] con un agente de cianogenación. La cantidad de uso de la fórmula [3c] utilizada en le proceso de la presente invención se puede seleccionar en forma adecuada dentro del

rango de 0.5 a 10 moles, y preferentemente 1.0 a 1.2 moles, con base en un mol de la fórmula [2].

El ácido de Lewis que se puede utilizar incluye cloruro de zinc, cloruro de aluminio y similar.

En el caso de utilizar un ácido de Lewis, la cantidad de uso de ácido de Lewis puede ser seleccionado en forma adecuada dentro del rango de 0.01 a 100 moles, y preferentemente 0.1 a 10 moles, con base en un mol de la fórmula [2].

La base que se puede utilizar en el proceso de la presente invención puede ejemplificarse a través de las mismas bases a las descritas con respecto al proceso 1.

En el caso de utilizar una base, la cantidad de uso de la base puede ser seleccionada en forma adecuada dentro del rango de 0.5 a 10 moles, y preferentemente 1.0 a 1.2 moles, con base en un mol de la fórmula [2].

El solvente que se puede utilizar en el proceso de la presente invención se puede ejemplificar a través de los mismos solventes a los descritos con respecto al proceso 1, y la cantidad de uso del mismo es de 0.01 a 100 L, y preferentemente 0.1 a 10 L, con base en un mol de la fórmula [2].

La temperatura de reacción se puede seleccionar dentro del rango de -20°C hasta la región del punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de 0°C a 100°C.

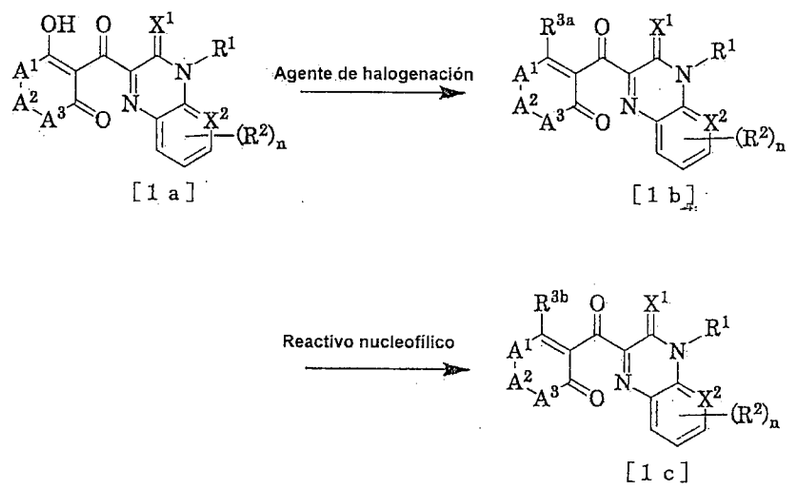
El tiempo de reacción puede variar dependiendo de la

temperatura de reacción, el substrato de reacción, el grado de reacción y similar, aunque normalmente es de 10 minutos a 48 horas.

<Método de producción 2>

Además, los compuestos representados mediante la fórmula [1b] y [1c] de la presente invención, se pueden producir a partir del compuesto representado a través de la fórmula [1a] de la presente invención, de acuerdo con el siguiente método de producción.

[Fórmula Química 13]



[1a] [1b] [1c]

en donde X^1, X^2, R^1, R^2, A^1, A^2, A^3 y n , tienen respectivamente los mismos significados a los definidos anteriormente; R^{3a} representa un átomo de halógeno tal como cloro o bromo; y R^{3b} representa un grupo amino, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquil-C_1-C_6 haloalquiltio, un grupo C_2-C_6 alqueniltio, un grupo C_2-C_6 alquiniltio, un grupo C_1-C_6

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alquilcarboniloxi, un grupo C_2-C_6 alquenilcarboniloxi, un grupo C_2-C_6 alquinilcarboniloxi, un grupo fenoxi (el grupo puede ser substituido con uno o dos o más R^{14}s idénticos o diferentes), un grupo fenilcarboniloxi (el grupo puede ser substituido con uno o dos o más R^{14}s idénticos o diferentes), un grupo 1,2,4-triazol-1-ilo, un grupo 1,2,3-triazol-1-ilo, un grupo 1,2,3-triazol-2-ilo, un grupo imidazol-1-ilo, un grupo pirazol-1-ilo, un grupo tetrazol-1-ilo, o un grupo tetrazol-2-ilo.

En otras palabras, el compuesto de la fórmula [1b] se puede producir haciendo reaccionar el compuesto de la fórmula [1a] con un agente de halogenación, y el compuesto de la fórmula [1c] se puede producir haciendo reaccionar el compuesto de la fórmula [1b] con un reactivo nucleofílico en la presencia de una base.

El agente de halogenación que se puede utilizar en el proceso de convertir de la fórmula [1a] a la fórmula [1b], incluye cloruro de tionilo, bromuro de tionilo, oxicloruro fosforoso, oxibromuro fosforoso, tribromuro de feniltrimetilamonio, un bromuro de ácido de Meldrums y similar. La cantidad de uso del agente de halogenación puede ser seleccionada en forma adecuada dentro del rango de 0.5 a moles, y preferentemente 1.0 a 1.2 moles, con base en un mol del compuesto de la fórmula [1a]-

El solvente que se puede utilizar puede ser ejemplificado a través de los mismos solventes a los descritos con respecto al proceso 1 del método de producción 1.

La temperatura de reacción se puede seleccionar dentro del

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rango de -20°C hasta la región de punto de ebullición del solvente utilizado, y se selecciona preferentemente dentro del rango de 0°C a 100°C. El tiempo de reacción puede variar en la temperatura de reacción, substrato de reacción, el grado de reacción, y similar, aunque normalmente es de 10 minutos a 48 horas.

Como el reactivo nucleofílico que se puede utilizar en el proceso de convertir de la fórmula [1b] a la fórmula [1c], se pueden incluir alcoholes tales como metano, etanol y alcohol bencílico; mercaptanos tales como mercaptano de metilo y mercaptano de etilo; aminas tales como amonia, metilamina y etilamina; y similares. La cantidad de uso del reactivo nucleofílico puede seleccionar en forma adecuada dentro del rango de 0.5 a 10 moles, y preferentemente 1.0 a 1.2 moles, con base en un mol del compuesto de la fórmula [1a].

La base que se puede utilizar se puede ejemplificar a través de las mismas bases a las descritas con respecto al proceso 1 del método de producción 1, y el solvente que se puede utilizar puede ejemplificarse a través de los mismos solventes a los descritos con respecto al proceso 1 del método de producción 1.

La temperatura de reacción puede ser seleccionada dentro del rango de -20°C hasta la reacción del punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de 0°C a 100°C. El tiempo de reacción puede variar dependiendo de la temperatura de reacción, substrato de reacción, el grado de reacción y similar, aunque normalmente es

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de 10 minutos a 48 horas.

<Método de producción 3>

El compuesto de la presente invención representado a través de la siguiente fórmula [1d]. se puede producir a través de un método tal como se muestra en el esquema de reacción que se ilustra a continuación.

[Fórmula Química 14]



[1 a] [1 d]

en donde X^1, X^2, R^1, R^2, A^1, A^2, A^3 y n , tienen respectivamente los mismos significados a los definidos anteriormente; R^{3c} representa un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alquilsulfoniloxi, un grupo alquilcarboniloxi, un grupo C_2-C_6 alquenilcarboniloxi, un grupo C_2-C_6 alquinilcarboniloxi, un grupo fenilsulfoniloxi (el grupo puede ser substituido con uno o dos o más R^{14}s idénticos o diferentes) o un grupo fenilcarboniloxi (el grupo puede ser substituido con uno o dos o más R^{14}s idénticos o diferentes).

En otras palabras, el compuesto de la fórmula [1d] se puede producir haciendo reaccionar el compuesto de la fórmula [1a] con un reactivo electrofílico en un solvente en la presencia/ausencia

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de una base.

En la forma del reactivo electrofílico que se puede utilizar, se pueden incluir por ejemplo, cloruros tales como cloruro de acetilo y cloruro de bencilo; cloruros de ácido sulfónico tales como cloruro de metanosulfonilo y cloruro de p-toluenosulfonilo; ésteres de ácido sulfúrico tales como ácido sulfúrico de dimetilo y ácido sulfúrico de dietilo; y similares. La cantidad de uso del reactivo electrofílico puede ser seleccionada en forma adecuada dentro del rango de 0.1 a 10 moles, y preferentemente 1.0 a 1.2 moles, con base en el mol del compuesto de la fórmula [1a].

La base que se puede utilizar puede ser ejemplificada a través de las mismas bases a las descritas con respecto al proceso 1 del método de producción 1, y la cantidad de uso de la base puede ser seleccionada en forma adecuada dentro del rango de 0 a 10 moles, y preferentemente de 1.0 a 1.2 moles, con base en un mol del compuesto de la fórmula [1a].

El solvente que se puede utilizar puede ser ejemplificado a través de los mismos solventes a los descritos con respecto al proceso 1 del método de producción,

La temperatura de reacción se puede seleccionar dentro del rango de -20°C hasta la región de punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de 0°C a 100°C. El tiempo de reacción puede variar dependiendo de la temperatura de reacción, substrato de reacción, el grado de reacción, y similar, aunque normalmente es de 10 minutos a 48

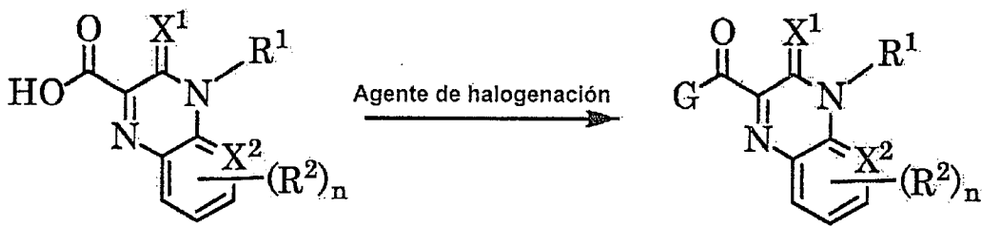
793

horas.

El método para producir un intermediario de producción para el compuesto de la presente invención, se describirá a continuación.

<Método de producción de intermediario 1>

[Fórmula Química 15]



[3 b] [3 a — 1]

en donde R^1, R^2, n, X^1 y X^2 , tienen respectivamente los mismos significados a los definidos anteriormente; y G representa un átomo de halógeno tal como cloro o bromo.

La fórmula [3a-1], la cual es un intermediario de producción para el compuesto de la presente invención, se puede producir haciendo reaccionar la fórmula [3b] con un agente de halogenación adecuado en un solvente o sin solvente.

En la forma del agente de halogenación que se puede utilizar en el proceso de la presente invención, se puede incluir por ejemplo cloruro de oxalilo, cloruro de tionilo y similar.

La cantidad de uso del agente de halogenación puede ser seleccionada en forma adecuada dentro del rango de 0.01 a 100

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moles, y preferentemente 0.1 a 10 moles, con base en un mol de la fórmula [3b].

Los ejemplos del solvente incluyen hidrocarburos halogenados tales como diclorometano y cloroformo; éteres tales como éter de dietilo y tetrahidrofurano; e hidrocarburos aromáticos tales como benceno y tolueno.

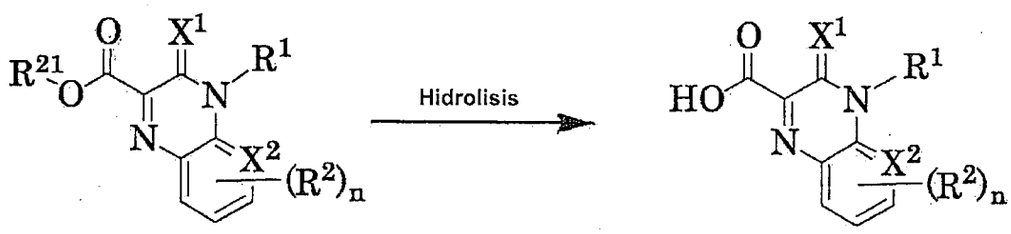
La cantidad de uso del solvente es de 0 a 100 L, y preferentemente 0.01 a 10 L, con base en un mol de la fórmula [3b].

La temperatura de reacción se puede seleccionar dentro del rango de -100°C a 200°C, y se selecciona preferentemente para ser de 0°C a 100°C.

El tiempo de reacción puede variar con la temperatura de reacción, substrato de reacción, el grado de reacción y similar, aunque normalmente es de 10 minutos a 24 horas.

<Método de producción de intermediario 2>

[Fórmula Química 16]



[3 d] [3 b]

en donde R^1, R^2, n, X^1 y X^2 tienen respectivamente los mismos significados a los definidos anteriormente; y R^{21} representa un grupo alquilo inferior, un grupo bencilo el cual puede ser substituido, o un grupo fenilo el cual puede ser substituido.

El intermediario de producción de la fórmula [3b] se puede producir hidrolizando la fórmula [3d] en agua o una mezcla de solvente, en la presencia de un ácido o en la presencia de una base.

Como la base que se puede utilizar en el proceso de la presente invención, se pueden incluir, por ejemplo bases inorgánicas tales como carbonato de potasio, hidruro de sodio e hidróxido de sodio; y bases orgánicas tales como 1,8-diazabiciclo[5,4,0]-7-undeceno.

La cantidad de uso de la base puede ser seleccionada en forma adecuada dentro del rango de 0.01 a 100 moles, y preferentemente 0.1 a 10 moles, con base en un mol del compuesto [3d].

Como el ácido que se puede utilizar en el proceso de la presente invención, se puede incluir, por ejemplo, ácidos inorgánicos tales como ácido hidroclórico, ácido hidrobrómico y ácido sulfúrico; y ácidos orgánicos tales como ácido acético y ácido trifluoroacético.

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La cantidad de uso del ácido puede ser de 1 mol hasta un gran exceso, y preferentemente 1 a 100 moles, con base en un mol del compuesto de la fórmula [3d].

La mezcla de solvente que se puede utilizar en el proceso de la presente invención es una mezcla de solvente de agua y un solvente orgánico, y los ejemplos del solvente orgánico incluyen alcoholes tales como metanol y etanol; éteres tales como tetrahidrofurano; cetonas tales como acetona y cetona de isobutil metilo; amidas tales como N,N-dimetilformamida y N,N-dimetilacetamida; compuestos de azufre tales como dimetilsulfóxido y sulfolano; acetonitrilo; y mezclas de los mismos.

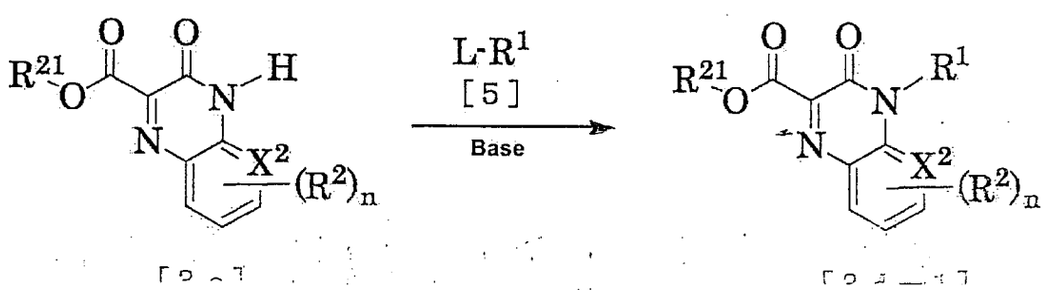
La cantidad de uso del solvente es de 0.01 a 100 L, y preferentemente 0.1 a 10 L, con base en un mol de la fórmula [3d].

La temperatura de reacción puede ser seleccionada dentro del rango de -100 a 200°C, y se selecciona preferentemente dentro del rango de 0°C a 100°C.

El tiempo de reacción puede variar dependiendo de la temperatura de reacción, substrato de reacción, grado de reacción y similar, aunque normalmente es de 10 minutos a 24 horas.

<Método de producción de intermediarios 3>

[Fórmula Química 17]



[3e] [3f]

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en donde L representa un grupo de partida tal como un átomo de halógeno, un grupo C_1-C_4 alquilsulfoniloxi, un grupo C_1-C_4 alquilsulfonilo, un grupo bencilsulfonilo el cual puede ser substituido, un grupo fenilsulfonilo el cual puede ser substituido, un grupo fenilsulfonilo el cual puede ser substituido, o un grupo bencilsulfoniloxi el cual puede ser substituido; y R^1, R^2, R^{21}, n y X^2 teniendo respectivamente los mismos significados a los definidos anteriormente; siempre y cuando R^1 sea un grupo haloalquilo, L representa un grupo de partida que tiene mayor reactividad del átomo de halógeno que permanece detrás de la haloalquilación. Por ejemplo, cuando R^1 es un grupo CHF_2, L representa un átomo de cloro o un átomo de bromo, y cuando R^1 es un grupo CH_2CF_3, L representa un grupo de partida tal como un átomo de cloro, un átomo de bromo, un grupo p-toluenosulfoniloxi, un grupo metilsulfoniloxi, o un grupo trifluorometanosulfoniloxi.

El intermediario de producción para la fórmula [3d-11] puede ser producido haciendo reaccionar la fórmula [3a] con la fórmula [5] en la presencia o ausencia de una base, en un solvente o sin solvente.

La cantidad de uso de la fórmula [5] utilizada en el proceso de la presente invención puede ser seleccionada en forma

adecuada dentro del rango de 0.01 a 100 moles, y preferentemente 0.1 a 10 moles, con base en un mol de la fórmula [3e].

Como la base que se puede utilizar en el proceso de la presente invención, se pueden incluir, por ejemplo, carbonatos de metal álcali tales como carbonato de sodio y carbonato de potasio; hidróxidos de metal álcali tales como hidróxido de sodio e hidróxido de potasio; hidruros de metal álcali tales como hidruro de potasio e hidruro de sodio; alcoholatos de metal álcali tales como etóxido de sodio y metóxido de sodio; y bases orgánicas tales como 1,8-diazabiciclo[5,4,0]-7-undeceno

La cantidad de uso de la base que se puede utilizar en el proceso de la presente invención se puede seleccionar en forma adecuada dentro del rango de 0 1 a 100 moles, y preferentemente 0.1 a 10 moles con base en un mol de la fórmula [3e].

Como el solvente que se puede utilizar en el proceso de la presente invención, se pueden incluir, por ejemplo hidrocarburos halogenados tales como diclorometano y cloroformo; éteres tales como éter dietílico y tetrahidrofurano; hidrocarburos aromáticos tales como benceno y tolueno; hidrocarburos alifáticos tales como hexano y pentano; cetonas tales como acetona y cetona de isobutil metilo; éster tal como acetato de etilo y acetato de metilo; amidas tales como N-metilpirrolidona y N,N-dimetilformamida; compuestos de azufre tales como dimetilsulfóxido y sulfolano; nitrilos tales como acetonitrilo; y mezclas de los mismos.

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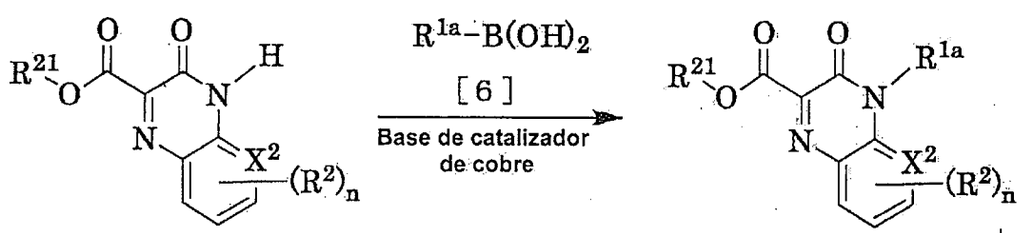
La cantidad de uso del solvente que se puede utilizar en el proceso de la presente invención se puede seleccionar en forma adecuada dentro del rango de 0 a 100 L, y preferentemente 0 a 10 L, con base en un mol de la fórmula [3e].

La temperatura de reacción del proceso de la presente invención se puede seleccionar dentro del rango de -100°C hasta la región del punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de -20°C a 100°C.

El tiempo de reacción del proceso de la presente invención puede variar dependiendo de la temperatura de reacción, substrato de reacción, el grado de reacción y similar, aunque normalmente de 1 hora a 168 horas.

<Método de producción de intermediario 4>

[Fórmula Química 18]



[3 e] [3 d – 2]

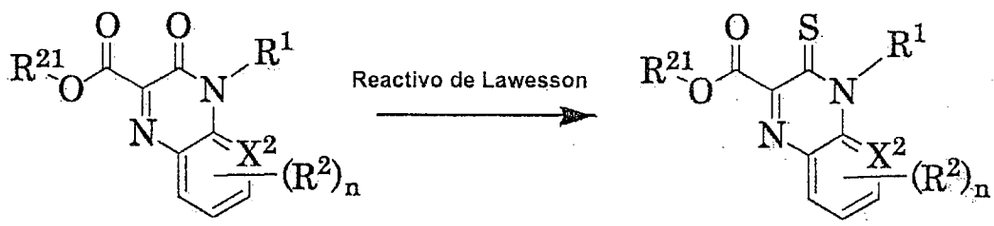
en donde R^{1a} representa un grupo C_6-C_{10} o un grupo

heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno; y R^2, R^{21}, n y X^2 respectivamente tienen los mismos significados a los definidos anteriormente.

El intermediario de producción de la fórmula [3d-2] se puede producir haciendo reaccionar la fórmula [3e] con la fórmula [6] en la presencia de un catalizador de cobre y una base, de acuerdo con el método descrito en la Publicación de Tetrahedron, Vol. 55, pp. 12757-12770 (1990).

<Método de producción de intermediario 5>

[Fórmula Química 19]



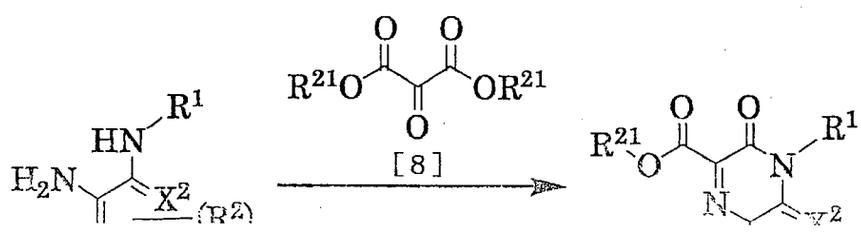
[3d-4] [3d-3]

en donde R^1, R^2, R^{21}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente.

El intermediario de producción de la fórmula [3d-3] se puede producir haciendo reaccionar un compuesto representado por la fórmula [3d-4] con un reactivo de Lawesson, de acuerdo con el método descrito en la publicación de Patente US2005/256000.

<Método de producción de intermediario 6>

[Fórmula Química 20]



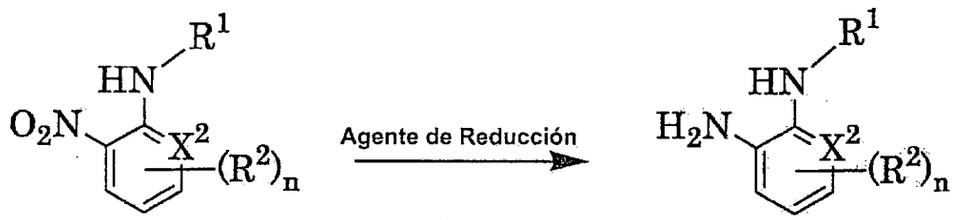
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en donde R^1, R^2, R^{21}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente.

El intermediario de producción de la fórmula [3d-4] se puede producir haciendo reaccionar la fórmula [7] con un diéster de ácido cetomalónico representado mediante la fórmula [8], de acuerdo con los métodos descritos en las Publicaciones de Patente US 6329389, US 6348461; en la Publicación de la Revista de la Sociedad Química, pp. 430-439 (1957); Publicaciones de Patente WO 2005/21547, US 4296114; Revista de la Sociedad Química, Perkin Transactions 1, pp. 75-84 (1987), y similar.

<Método de producción de intermediario 7>

[Fórmula Química 21]



[9] [7]

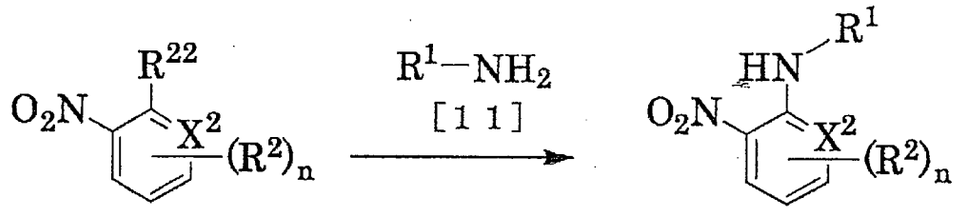
en donde R^1, R^2, n y X^2,, tienen respectivamente los mismos significados a los definidos anteriormente.

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La fórmula [7] se puede producir reduciendo un compuesto nitro representado mediante la fórmula [9] de acuerdo con las descripciones en la Publicación de Lecturas de Química Experimental, cuarta Edición, Vol. 26, "Reducción en General", publicado por Maruzen Co., Ltd.

<Método de producción de intermediario 8>

[Fórmula Química 22]



[10] [9]

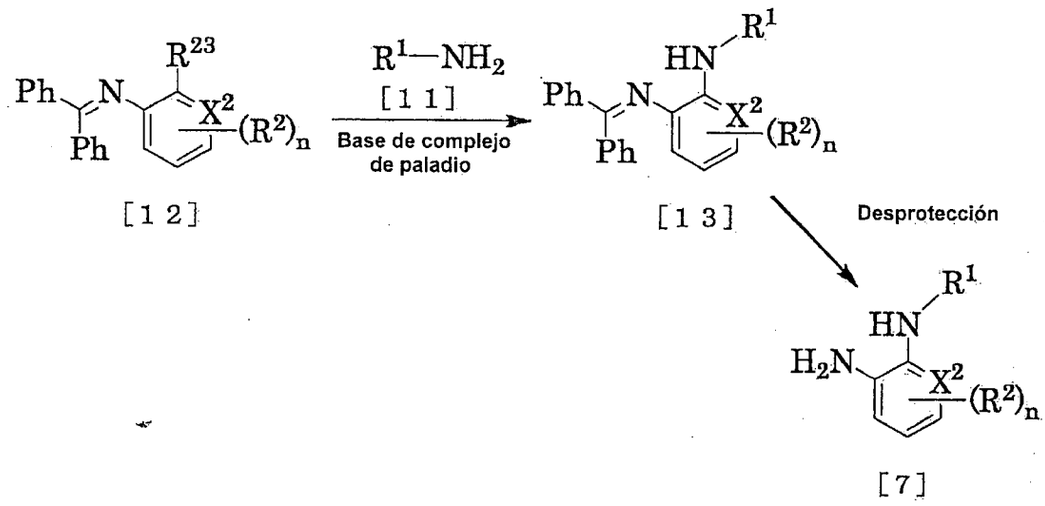
en donde R^1, R^2, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente; y R^{22} representa un átomo de halógeno tal como un átomo de flúor, un átomo de cloro o un átomo de bromo.

El intermediario de producción de la fórmula [9] se puede producir haciendo reaccionar la fórmula [100] con la fórmula [11] de acuerdo con el método descrito en la Publicación de Patente WO 2004/817, US 6348461; Revista de Química Medicinal, Vol. 41, pp. 5457-5465 (1998); Revista de la Sociedad Química, Transacciones de Perkin 1, pp. 2387-2391 (1980), y similares.

<Método de producción de intermediario 9>

[Fórmula Química 23]

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[12] [13] [7]

en donde R^1, R^2, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente; y R^{23} representa un átomo de cloro, un átomo de bromo o un átomo de yodo.

El intermediario de producción de la fórmula [7] se puede producir a través del proceso mostrado anteriormente.

El intermediario de producción de la fórmula [23] se puede producir haciendo reaccionar un compuesto representado a través de la fórmula [12], con un compuesto representado mediante la fórmula [11] en la presencia de un complejo de paladio y una base, de acuerdo con los métodos descritos en la Revista de Química Orgánica, Vol. 65, pp. 1144-1157 (2000); Revista de Química Orgánica, Vol. 65, pp. 1158-1174 (2000); y similares.

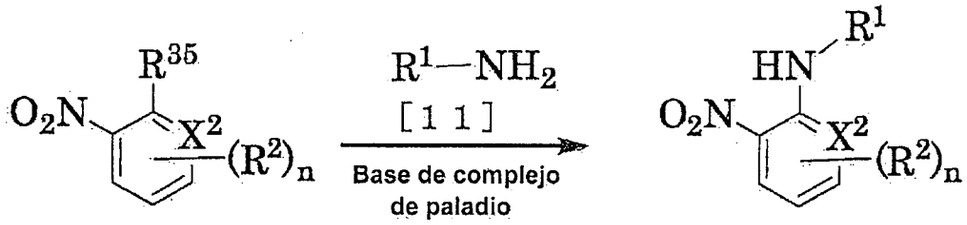
El intermediario de producción de la fórmula [7] también se puede producir, desprotegiendo el grupo amino del compuesto representado mediante la fórmula [13], de acuerdo con los métodos descritos en la Publicación de Tetrahedron Letters, pp.

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2641-2644 (1987); Síntesis, pp. 359-363; Revista de la Sociedad Química, Transacciones de Perkin 1, pp. 3081-3084 (1988); y similar.

<Método de producción de intermediario 10>

[Fórmula Química 24]



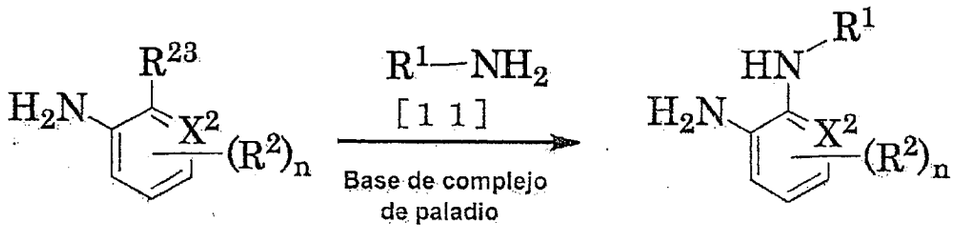
[19] [9]

en donde R^1, R^2, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente; y R^{23} representa un átomo de cloro, un átomo de bromo, un átomo de yodo o un grupo trifluorometanosulfoniloxi.

El intermediario de producción de la fórmula [9] se puede producir haciendo reaccionar el compuesto de la fórmula [19] con el compuesto de la fórmula [1] en la presencia de un complejo de paladio y una base, de acuerdo con el método para producir el intermediario de producción de la [13] en el método de producción de intermediario.

<Método de producción de intermediario 11>

[Fórmula Química 25]



[20] [7]

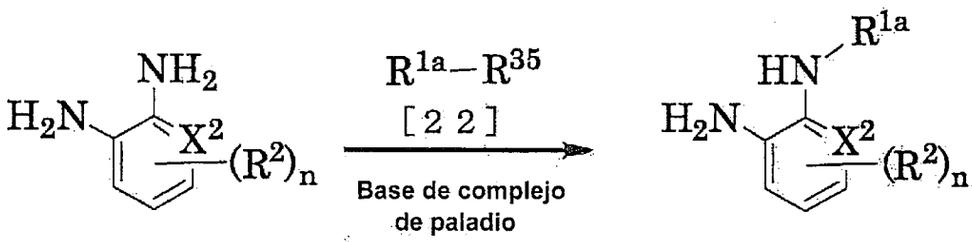
802

en donde R^1, R^2, R^{23}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente.

El intermediario de producción de la fórmula [7] se puede producir haciendo reaccionar el compuesto de la fórmula [20] con el compuesto de la fórmula [11] en la presencia de un complejo de paladio y una base, de acuerdo con el método para producir el intermediario de producción de la fórmula [13] en el método de producción de intermediario 9.

<Método de producción de intermediario 12>

[Fórmula Química 26]



[21] [7a]

en donde R^{1a}, R^2, R^{35}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente.

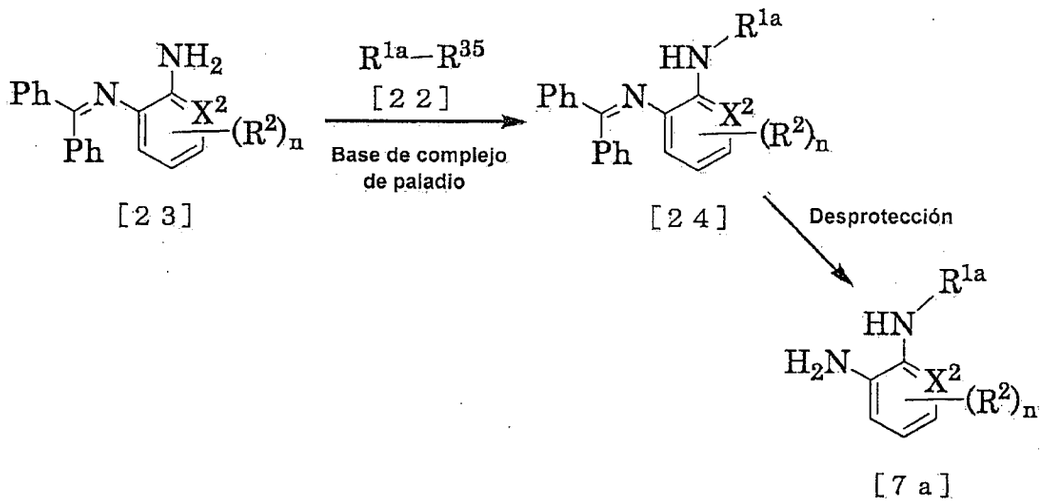
El intermediario de producción de la fórmula [7a] se puede producir haciendo reaccionar el compuesto de la fórmula [21] con el compuesto de la fórmula [22] en la presencia de un complejo de

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paladio y una base, de acuerdo con el método para producir el intermediario de producción de la fórmula [13] en el método de producción de intermediario.

<Método de producción de intermediario 13>

[Fórmula Química 27]

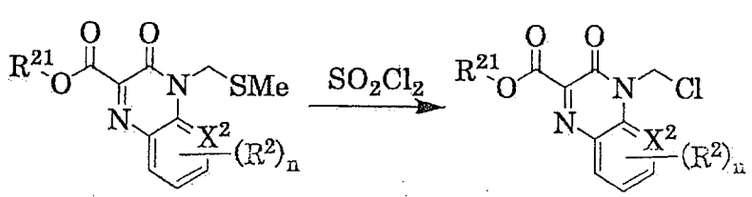


en donde R^{1a}, R^2, R^{35}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente.

El intermediario de producción de la fórmula [7a] también se puede producir desprotegiendo el grupo amino del compuesto representado mediante la fórmula [24] el cual se produce haciendo reaccionar el compuesto de la fórmula [23] con el compuesto de la fórmula [22] en la presencia de un complejo de paladio y una base, de acuerdo con el método de producción del método de producción de intermediario 9.

<Método de producción de intermediario 14>

[Fórmula Química 28]



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en donde R^2, R^{21}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente; R^{24} representa un grupo C_1-C_6 alcoxi, un grupo C_3-C_8 cicloalquiloxi, un grupo C_1-C_6 haloalcoxi, un grupo fenoxi, un grupo C_1-C_6 alquilcarboniloxi, un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi, un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi, un grupo ciano-C_1-C_6 alcoxi, un grupo heterocíclico-C_1-C_6 alcoxi que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos, los cuales pueden ser idénticos o diferentes, seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, o un grupo C_1-C_6 alquiltio; M'^+ representa un catión de metal álcali; y X^3 representa un átomo de oxígeno o un átomo de azufre.

El intermediario de producción de la fórmula [3d-7] se

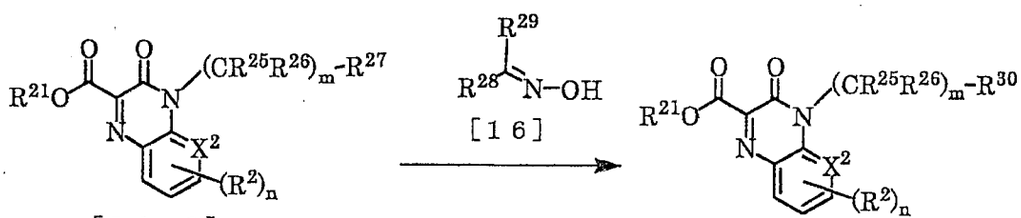
puede producir a través del proceso mostrado anteriormente.

En forma específica, la fórmula [3d-6] se puede producir haciendo reaccionar la fórmula [3d-5] con cloruro de sulfurilo de acuerdo con los métodos descritos en la Publicación de Patente US 2003/195169; Tetrahedron Letters, Vol. 37, No. 6, pp. 759-762 (1996); y similares.

La fórmula [3d-7] se puede producir haciendo reaccionar la fórmula [3d-6] con un compuesto representado mediante la fórmula [14] o fórmula [15], de acuerdo con métodos descritos en las Publicaciones de Patente US5155272, EP-1228067, US 4058392; Revista de Sociedad Química, Transacciones de Perkin 1, pp. 781-790 (1987); y similares.

<Método de producción de intermediario 15>

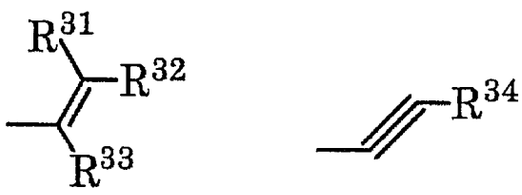
[Fórmula Química 29]



[3d-8] [16] [3d-9]

en donde R^2, R^{21}, X^2 y n, tienen respectivamente los mismos significados a los definidos anteriormente; y R^{27} representa un grupo representado por la siguiente fórmula [17a] o fórmula [17b]:

[Fórmula Química 30]

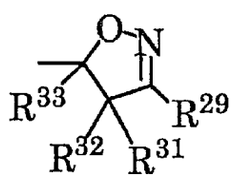


[17a] [17b]

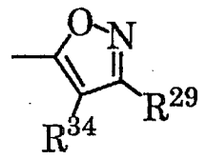
806

R^{30} representa un grupo representado por las siguientes fórmulas [18a] o [18b]:

[Fórmula Química 31]



[18a]



[18b]

R^{25}, R^{26}, R^{31}, R^{32}, R^{33} y R^{34} representan cada uno independientemente un átomo de hidrógeno, un grupo alquilo, un grupo alquenilo, un grupo alquinilo, un grupo cicloalquilo o un grupo haloalquilo; R^{29} representa un grupo alquilo, un grupo alquenilo, un grupo alquinilo, un grupo alcoxi, un grupo cicloalquilo, un grupo haloalquilo o un grupo haloalquenilo; R^{28} representa un átomo de halógeno; y t representa un entero de 0 a 6; siempre y cuando R^{27} sea la fórmula [17b], R^{30} es la fórmula [18b].

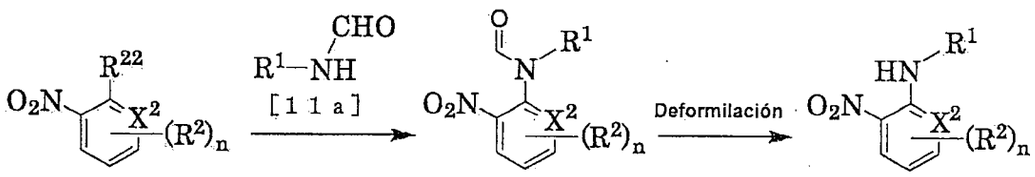
El intermediario de producción de la fórmula [3d-9] se puede producir haciendo reaccionar la fórmula [3d-8] con la fórmula [16] de acuerdo con los métodos descritos en la Publicación de Patente WO 2005/26123; Tetrahedron, Vol. 40, pp.

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2985 (1984); Comunicaciones sintéticas, Vol. 18, pp. 1171 (1988); y similares.

<Método de producción de intermediario 16>

[Fórmula Química 32]



[10] [9a] [9]

en donde R^1, R^2, R^{22}, n y X^2, tienen respectivamente los mismos significados a los definidos anteriormente.

El intermediario de producción de la fórmula [9] también se puede producir a través del proceso mencionado anteriormente.

El intermediario de producción de la fórmula [9a] se puede producir haciendo reaccionar la fórmula [10] con la fórmula [11a] en la presencia de una base en un solvente o sin un solvente.

La cantidad de uso de la fórmula [11a] tal como se utiliza en el proceso de la presente invención, puede ser seleccionada en forma adecuada dentro del rango de 0.1 a 10 moles, y preferentemente 1.0 a 1.1 moles, con base en un mol de la fórmula [10].

Como la base que se puede utilizar en el proceso de la presente invención, por ejemplo, se pueden incluir carbonatos de metal álcali tales como carbonato de sodio o carbonato de

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potasio; hidróxidos de metal álcali tales como hidróxido de sodio o hidróxido de potasio; hidruros de metal álcali tales como hidruro de potasio o hidruro de sodio; alcoholatos de metal álcali tales como etóxido de sodio o metóxido de sodio; o bases orgánicas tales como 1,8-diazabiciclo[5,4,0]-7-undeceno y similares.

La cantidad de uso de la base tal como se utiliza en el proceso de la presente invención se puede seleccionar en forma adecuada dentro del rango de 0.1 a 10 moles, y preferentemente de 1.0 a 1.1 moles, con base en un mol de la fórmula [10].

Como el solvente que se puede utilizar en el proceso de la presente invención, se pueden incluir, por ejemplo, hidrocarburos halogenados tales como diclorometano y cloroformo; éteres tales como éter dietílico y tetrahidrofurano; hidrocarburos aromáticos tales como benceno y tolueno; hidrocarburos alifáticos tales como hexano y heptano; cetonas tales como acetona y cetona de isobutil metilo; ésteres tales como acetato de etilo y acetato de metilo; amidas tales como N-metilpirrolidona y N,N-dimetilformamida; compuestos de azufre tales como sulfóxido de metilo y sulfona; nitrilos tales como acetonitrilo; y mezclas de los mismos.

La cantidad de uso de solvente que se puede utilizar en el proceso de la presente invención se puede seleccionar en forma adecuada dentro del rango de 0 a 100 L, y preferentemente 1 a 2 L, con base en un mol de la fórmula [10].

La temperatura de reacción del proceso de la presente

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invención se puede seleccionar dentro del rango de -100°C hasta la región del punto de ebullición del solvente inerte utilizado, y se selecciona preferentemente dentro del rango de 15°C a 140°C.

El tiempo de reacción del proceso de la presente invención puede variar dependiendo de la temperatura de reacción, substrato de reacción, el grado de reacción y similar, aunque normalmente es de 1 hora a 168 horas.

Además, el intermediario de producción de la fórmula [9] se puede producir desformilando la fórmula [9a] en agua o una mezcla de solvente, en la presencia de un ácido o en la presencia de una base.

Como la base que se puede utilizar en el proceso de la presente invención, se puede incluir bases inorgánicas tales como carbonato de potasio, hidruro de sodio e hidróxido de sodio; y bases orgánicas tales como 1,8-diazabiciclo[5,4,0]-7-undeceno.

La cantidad de uso de la base puede ser seleccionada en forma adecuada dentro del rango de 0.1 a 10 moles, y preferentemente 1.0 a 1.2 moles con base en un mol del compuesto [9a].

Como el ácido que se puede utilizar en el proceso de la presente invención, se puede incluir por ejemplo ácidos inorgánicos tales como ácido hidroclórico, ácido hidrobrómico y ácido sulfúrico; y ácidos orgánicos tales como ácido acético y ácido trifluoroacético.

La cantidad de uso del ácido puede ser de 1 mol hasta un

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gran exceso, y preferentemente 1 a 100 moles, con base en el mol del compuesto de fórmula [9a].

La mezcla de solvente que se puede utilizar en el proceso de la presente invención, es una mezcla de solvente de agua y un solvente orgánico, y los ejemplos del solvente orgánico incluyen alcoholes tales como metanol y etanol; éteres tales como tetrahidrofurano; cetonas tales como acetona y cetona de isobutil metilo; amidas tales como N,N-dimetilformamida y N,N-dimetilacetamida; compuestos de azufre tales como dimetilsulfóxido y sulfolano; acetonitrilo; y mezclas de los mismos.

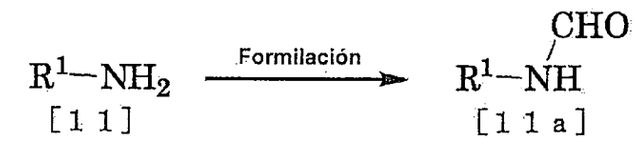
La cantidad de uso del solvente es de 0.1 a 100 L, y preferentemente de 1.0 a 10 L, con base en un mol de la fórmula [9a].

La temperatura de reacción se puede seleccionar dentro del rango de -100 a 200°C, y preferentemente se selecciona dentro del rango de 0°C a 100°C.

El tiempo de reacción puede variar dependiendo de la temperatura de reacción, substrato de reacción, el grado de reacción y similar, aunque normalmente es de 10 minutos a 24 horas.

<Método de producción de intermediario 17>

[Fórmula Química 33]



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en donde R^1 tiene el mismo significado al definido anteriormente.

El intermediario de producción de la fórmula [11a] se puede producir formulando la fórmula [11], de acuerdo con un método descrito en la Revista de la Sociedad Americana de Química, Vol. 80, pp. 1154 y en la Publicación de Patente US2004/198981.

El herbicida y la composición agroquímica de la presente invención están caracterizados por contener el derivado de oxopirazina representado mediante la fórmula [I] de la presente invención o una sal agroquímicamente aceptable del mismo, en la forma de un ingrediente activo. La presente invención también se relaciona con una composición agroquímica, más particularmente una composición herbicida que contiene el derivado de oxopirazina representado mediante la fórmula [I] de la presente invención o uno o dos o más de las sales agroquímicamente aceptables del mismo, y un transportador que se puede utilizar en preparaciones agroquímicas.

El herbicida de la presente invención puede contener componentes aditivos (transportador) que se utilizan convencionalmente en las preparaciones agroquímicas, según sea necesario.

Los ejemplos de estos componentes aditivos incluyen transportadores tales como transportadores sólidos o

transportadores líquidos, tensoactivos enlazadores o agentes que imparten adhesividad, engrosadores, colorantes, agentes de extensión, agentes de dispersión, agentes anticongelación, agentes antipasta, desintegrantes, estabilizadores, y similares. Además de esto, se pueden utilizar como componentes aditivos, de acuerdo con las necesidades, antisépticos, piezas de plantas y similares.

Estos componentes aditivos se pueden utilizar en forma individual o también se pueden utilizar en combinación de dos o más especies.

Los componentes aditivos antes mencionados se describen a continuación.

Los ejemplos de transportadores sólidos incluyen minerales que ocurren naturalmente tales como cuarzo, arcilla, caolinita, pirofilita, sericita, talco, bentonita, arcilla de ácido, atapulguita, zeolita y tierra diatomácea; sales inorgánicas tales como carbonato de calcio; sulfato de amonio, sulfato de sodio y cloruro de potasio; transportadores sólidos orgánicos tales como ácido silícico sintético, silicatos sintéticos, almidones, celulosas y polvos de plantas; transportadores de plástico tales como cloruro de polietileno, polipropileno y polivinilideno; y similares. Estos se pueden utilizar en forma individual o se pueden utilizar en combinación de dos o más especies.

Los ejemplos de transportador líquido incluyen alcoholes los cuales se clasifican en gran parte en alcoholes monohídricos

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tales como metanol, etanol, propanol, isopropanol y butanol; y alcoholes polihídricos tales como etilenglicol, dietilenglicol, propilenglicol, hexilenglicol, polietilenglicol, polipropilenglicol y glicerina; derivados de alcohol polihídrico tales como éteres de glicol a base de propileno; cetonas tales como acetona, cetona de etil metilo, cetona de isobutil metilo, cetona de diisobutilo, ciclohexanona y isoforona; éteres tales como éter etílico, dioxano, solvente celulósico, éter diisopropílico y tetrahidrofurano; hidrocarburos alifáticos tales como parafina normal, nafteno, isoparafina, kerosén y aceite mineral; hidrocarburos aromáticos tales como benceno, tolueno, xileno, nafta de solvente y alquilnaftaleno; hidrocarburos halogenados tales como dicloroetano; cloroformo y tetraclorocarbono; ésteres tales como acetato de etilo; ftalato de diisopropilo, ftalato de dibutilo, ftalato de dioctilo y adipato de dimetilo; lactonas tales como γ-butilolactona; amidas tales como dimetilformamida, dietilformamida, dimetilacetamida y N-alquilpirrolidinona; nitrilos tales como acetonitrilo; compuestos de azufre tales como dimetilsulfóxido; aceites de planta tales como aceite de frijol soya, aceite de semilla de colza, aceite de semilla de algodón y aceite de castor; agua; y similares. Estos se pueden utilizar en forma individual, o se pueden utilizar en combinación de dos o más especies.

El tensoactivo no se limita particularmente aunque preferentemente es un tensoactivo que se gelatiniza o muestra

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capacidad de expansión en agua. Los ejemplos de los mismos incluyen tensoactivos no iónicos tales como ésteres de ácido graso de sorbitano, ésteres de ácido graso de sorbitano de polioxietileno, ésteres de ácido graso de sacarosa, ésteres de ácido graso de polioxietileno, ésteres de ácido de resina de polioxietileno, diésteres de ácido graso de polioxietileno, éteres de alquilo de polioxietileno, éteres de fenil alquilo de polioxietileno, éteres de dialquilfenilo de polioxietileno, condensados de formalina-éter de fenil alquilo de polioxietileno, polímeros de bloque de polioxietileno-polipropileno, éteres de polímero de bloque de polioxietileno-polipropileno de alquilo, alquilaminas de polioxietileno, amidas de ácido graso de polioxietileno, éteres de bisfenilo de ácido graso de polioxietileno, éteres de fenil bencilo de polialquileno, éteres de fenil estirilo de polioxialquileno, acetilenodiol, acetilenodiol de adición de polioxialquileno, siliconas tipo éter de polioxietileno, siliconas tipo éster, tensoactivos a base de fluoro, aceite de castor de polioxietileno y aceite de castor halogenado de polioxietileno; tensoactivos aniónicos tales como sulfatos de alquilo, sulfatos de éter alquílico de polioxietileno, sulfatos de éter alquil fenílico de polioxietileno, sulfatos de éter de estiril fenílico de polioxietileno, bencenosulfonatos de alquilo, sulfonatos de lignina, sulfosuccinatos de alquilo, naftalenosulfonatos, naftalenosulfonatos de alquilo, sales de condensado de formalina-ácido naftalenosulfónico, sales de

condensado de formalina-ácido naftalenosulfónico de alquilo, sales de ácido graso, sales de ácido policarboxílico, sarcosinato de ácido graso-N-metilo-, sales de ácido de resina, fosfatos de éter alquílico de polioxietileno y fosfatos de éter alquil fenílico de polioxietileno; tensoactivos catiónicos tales como sales de alquilamina tales como clorhidratos de laurilamina, clorhidratos de estearilamina, clorhidratos de oleilamina, acetatos de estearilamina, acetatos de estearilaminopropilamina, cloruros de alquiltrimetilamonio y cloruros de alquildimetilbenzalconio; tensoactivos anfotéricos tales como tensoactivos tipo aminoácido y tipo betaína; y similares.

Estos tensoactivos se pueden utilizar en forma individual o se pueden utilizar en combinación de dos o más especies.

Los ejemplos del enlazador o agente que imparte adhesividad incluyen carboximetilcelulosa o sales del mismo, dextrina, almidón soluble en agua, goma xantan, goma guar, sacarosa, polivinilpirrolidona, goma arábiga, alcohol polivinílico, acetato de polivinilo, poliacrilato de sodio, polietilenglicol que tiene un peso molecular promedio de 6000 a 20000, óxido de polietileno que tiene un peso molecular promedio de 100000 a 5000000, fosfolípidos que ocurren naturalmente (por ejemplo, ácido cefálico, lecitina, etc.), y similar.

Los ejemplos del engrosado incluyen polímeros solubles en agua tales como goma xantan, goma guar, carboximetilcelulosa, polivinilpirrolidona, polímeros de carboxivinilo, polímeros de

acrílico, derivados de almidón y polisacáridos; polvos finos inorgánicos tales como bentonita de alta pureza y carbón blanco; y similares.

Los ejemplos de colorantes incluyen pigmentos inorgánicos tales como óxido de hierro, óxido de titanio y azul Prussian; pigmentos orgánicos tales como tintas de alizarin, tintas azo y tintas de ftalocianina de metal; y similares.

Los ejemplos del agente de extensión incluyen tensoactivos a base de silicona, celulosa pulverizada, dextrina, almidón procesado, compuestos de quelato de ácido poliaminocarboxílico, polivinilpirrolidona reticulada, ácido maleico y estirenos, copolímeros de ácido metacrílico, ésteres medio del polímero de alcohol polihídrico y un anhídrido de ácido dicarboxílico, sales solubles en agua de ácido poliestirenosulfónico, y similares.

Los ejemplos del agente de dispersión incluyen diversos tensoactivos tales como dialquilsulfosuccinato de sodio, éteres alquílicos de polioxietileno, éteres alquil fenílicos de polioxietileno y ésteres de ácido graso de polioxietileno; parafinas, terpenos, resinas de poliamida, poliacrilatos, polioxietileno, ceras, éteres alquilpolivinílicos, condensados de alquilfenol-formalina, emulsiones de resina sintética y similares.

Los ejemplos del agente anticongelación incluyen alcoholes polihídricos tales como etilenglicol, dietilenglicol, propilenglicol y glicerina; y similares.

Los ejemplos del agente antipasta incluyen polisacáridos

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tales como almidón, ácido algínico, manosa y galactosa; polivinilpirrolidona, carbón blanco, gomas de éster, resinas de petróleo y similares.

Los ejemplos de los desintegrantes que incluyen tripolifosfato de sodio, hexametofosfato de sodio, sales de metal de ácido esteárico, celulosa pulverizada, dextrina, copolímeros de ésteres de ácido metacrílico, polivinilpirrolidona, compuestos de quelato de ácido poliaminocarboxílico, copolímeros de estireno-isobutileno-anhídrido maleico sulfonados, copolímeros de injerto de almidón-poliacrilonitrilo, y similares.

Los ejemplos del estabilizador incluyen agentes de secado tales como zeolitas, "quickline" y óxido de magnesio; antioxidantes del tipo fenol, tipo amina, tipo sulfuro, tipo azufre, tipo ácido fosfórico y similares; absorbentes ultravioleta del tipo de ácido salicílico, tipo benzofenona y similares; y similares.

Los ejemplos del antiséptico incluyen sorbato de potasio, 1,2-benzotiazolin-3-ona y similares.

Los ejemplos de las piezas de plantas incluyen aserrín, cáscara de coco, tallo de mazorca de tabaco y similares.

En el caso de incorporar los componentes aditivos en el herbicida de la presente invención, la proporción de contenido se selecciona dentro normalmente de 5 a 95%, y preferentemente de 20 a 90%, para el transportador; normalmente de 0.1% a 30%, y preferentemente de 0.5 a 10%, para el tensoactivo; y normalmente de 0.1 a 30%, y preferentemente de 0.5 a 10%, para los otros

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aditivos, todos con base en la masa del herbicida.

El herbicida de la presente invención se utiliza después de formularse en cualquier formulación tal como una formulación líquida, un concentrado emulsificable, una formulación de polvo humectable, una formulación de polvo, una formulación de aceite, una formulación de gránulos dispersibles en agua, una formulación fluible, una suspensión emulsificada, una formulación de gránulo, una formulación jumbo, una suspoemulsión o una formulación de Mametsubu (marca registrada).

Durante esta formulación, el herbicida se puede preparar en una composición mezclada con al menos uno de los agroquímicos tales como otros herbicidas, insecticidas, bactericidas y reguladores de crecimiento de plantas, como materiales libres de riesgo, un fertilizante, o el herbicida se puede utilizar en combinación con estos componentes.

Al momento del uso, el herbicida de la presente invención se puede diluir a una concentración adecuada y dispersarse, o puede aplicarse directamente.

El derivado de oxopirazina representado a través de la fórmula [I] de la presente invención o una sal agroquímicamente aceptable del mismo se puede utilizar sólo como un ingrediente activo, pero también se puede utilizar como una mezcla o en combinación con otros ingredientes activos.

Los ejemplos de compuestos herbicidas conocidos y reguladores de crecimiento de planta que se pueden mezclar o

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utilizar en combinación, se describen a continuación.

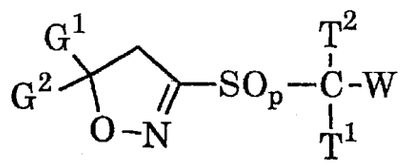
2,3,6-TBA, 2,4-D, 2,4-DB, DNOC, EPTC, etoxifen-etilo, MCPA, MCPA-tioetilo, MCPB, S-metolaclor, TCA, ioxinilo, aclonifen, azafenidin, acifluorfen, azimsulfurón, asulam, acetoclor, atrazina, anilofos, amicarbazona, amidosulfurón, amitrole, aminopiralid, amiprofos-metilo, ametrin, alaclor, alloxidim, ancimidol, yodosulfulón-metil-sodio, isourón, isoxaclortole, isoxaflutole, isoxaben, isoproturón, imazaquin, imazapir, imazametabenz-metilo, imazapic, imazamox, imazetapir, imazosulfurón, indanofan, esprocarb, etametsulfurón-metilo, etalfluralin, etidimurón, etoxisulfurón, etofumesato, etobenzanid, oxadiazón, oxadiargilo, oxaciclomefona, oxasulfurón, oxifluorfen, orizalin, orbencarb, cafenstrole, carfentrazona-etilo, carbutilato, carbetamida, quizalofop, quizalofop-P-etilo, quizalofop-P-terfurilo, quizalofop-etilo, quinclorac, quinmerac, cumilurón, glifosato, glifosato-trimesio, glufosinato-amonio, glufosinato-sodio, cletodim, clodinafop-propargilo, clopiralid, clomazona, clometoxifen, clomeprop, cloransulam-metilo, cloramben, cloridazón, clorimurón-etilo, clorsulfurón, clortal-dimetilo, clortiamid, clorprofam, cloruro de clormequat, cloroxurón, clorotolurón, clorobromurón, cianazina, diurón, dicamba, cicloato, cicloxidim, diclosulam, ciclosulfamurón, diclobenil, diclofop-metilo, diclorprop, diclorprop-P, dibromuro de diquat, ditiopir, sidurón, dinitramina, cinidón-etilo, cinosulfurón, dinoseb,

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dinoterb, cihalofop-butilo, difenamid, difenzoquat, diflufenican, diflufenzopir, diflumetorim, simazina, dimetaclor, dimetametrin, dimetenamid, simetrin, dimepiperato, dimefurón, cinmetrilin, sulcotriona, sulfentrazona, sulfosulfurón, sulfometurón-metilo, setoxidim, terbacilo, daimurón, dalapón, tiazopir, tiocarbazil, tiobencarb, tidiazimin, tidiazurón, tifensulfurón-metilo, desmedifam, desmetrina, tenilclor, tebutam, tebutiurón, tepraloxidim, tefuriltrión, terbutilazina, terbutrin, terbumetón, tembotriona, topramezona, tralcoxidim, triazilflam, triasulfurón, trialato, trietazina; triclopir, triflusulfurón-metilo, tritosulfurón, trifluralin, trifloxisulfurón-sodio, tribenurón-metilo, naptalam, naproanilida, napropamida, nicosulfurón, neburón, norflurazón, vernolato, dicloruro de paraquat, haloxifop, haloxifop-P-metilo, halosulfurón-metilo, pinoxaden, picloram, picolinafen, bispiribac-sodio, bifenox, piperofos, piraclonil, pirasulfotole, pirazoxifen, pirazosulfurón-etilo, pirazolinato, bilanafos, piraflufen-etilo, piridafol, piritiobac-sodio, piridato, piriftalid, piributicarb, piribenzoxim, pirimisulfan, primisulfurón-metilo, piriminobac-metilo, piroxisulam, fenurón, fenoxaprop-P-etilo, fenoxaprop-etilo, fenclorim, fentrazamida, fenmedifam, foramsulfurón, butaclor, butafenacilo, butamifos, butilato, butralin, butroxidim, flazasulfurón, famprop-M, fluazifop-butilo, fluazifop-P-butilo, fluazolato, fluometurón, fluoroglicofen-etilo, flucarbazona-sodio, flucetosulfurón, flutiacet-metilo, flupirsulfurón-metilo-sodio, flufenacet, flufenpir-etilo,

flupropanato, flupoxame, flumioxazin, flumiclorac-pentilo, flumetsulam, fluridona, flurtamona, flurprimidol, fluroxipir, fluorocloridona, pretilaclor, prodiamina, prosulfurón, prosulfocarb, propaquizafop, propaclor, propazina, propanil, propizamida, propisoclor, profam, profluazol, propoxicarbazona, propoxicarbazona-sodio, profoxidim, bromacilo, prometrin, prometón, bromoxinilo, bromofenoxim, bromobutida, florasulam, hexazinona, petoxamid, benazolin, penoxsulam, beflubutamid, pebulato, bencarbazona, pendimetalin, benzfendizona, bensulida, bensulfurón-metilo, benzobiciclón, benzofenap, bentazona, pentanoclor, pentoxazona, benfluralin, benfuresato, fosamina, fomesafen, forclorfenurón, hidrazida maleica, mecoprop, mecoprop-P, mesosulfurón-metilo, mesotriona, metazaclor, metabenztriazurón, metamitrón, metamifop, metil-dimurón, metoxurón, metosulam, metsulfurón-metilo, metobromurón, metobenzurón, metolaclor, metribuzin, mepiquat, mefenacet, monolinurón, molinato, lactofen, linurón, rimsulfurón, lenacil, prohexadiona-calcio, trinexapac-etilo, piroxasulfona, un derivado de isoxalina representado por la siguiente fórmula.

[Fórmula Química 34]



[C]

en donde p representa un entero de 0 a 2; T^1 y T^2 cada uno

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representando independientemente un átomo de hidrógeno, un átomo de halógeno, un grupo ciano, un grupo alcoxicarbonilo inferior o un grupo C_1-C_6 alquilo; G^1 y G^2 cada uno representando independientemente un átomo de hidrógeno, un grupo C_1-C_6 alquilo o un grupo C_1-C_6 haloalquilo; W representa un grupo fenilo (substituido con 1 a 5 Vs idénticas o diferentes); y V representa un átomo de hidrógeno, un grupo C_1-C_6 alquilo {el cual puede ser substituido con 1 a 3 átomos de halógeno idénticos o diferentes, un grupo C_1-C_6 alcoxi, un grupo hidroxilo, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfinilo, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 alquilamino, un grupo C_1-C_6 dialquilamino, un grupo ciano o un grupo fenoxi (el cual puede ser substituido)}, un grupo C_1-C_6 alcoxi (el cual puede ser substituido con 1 a 3 átomos de halógeno idénticos o diferentes, un grupo C_1-C_6 alcoxi, un grupo C_2-C_6 alquenilo, un grupo C_2-C_6 alquinilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo C_1-C_6 alquilcarbonilo o un grupo C_3-C_8 cicloalquilo), un grupo C_3-C_8 cicloalquiloxi o un átomo de halógeno,

y similares pueden ser incluidos.

Además, los ejemplos de compuestos bactericidas conocidos que se pueden mezclar o utilizar en combinación, se describen a continuación.

Se pueden incluir Benomilo, carbendazim, fuberidazole, tiabendazole, tiofanato, tiofanato de metilo, clozolinato, iprodiona, procimidona, vinclozolin, azaconazole, bitertanol,

bromuconazole, ciproconazole, difenoconazole, diniconazole, epoxiconazole, fenarimol, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, miclobutanil, nuarimol, fumarato de oxpoconazole, paclobutrazol, pefurazoato, penconazole, procloraz, propiconazole, protioconazole, pirifenox, simeconazole, tebuconazole, tetraconazole, triadimefón, triadimenol, triflumizole, triforina, triticonazole, benalaxil, furalaxil, mefenoxam, metalaxil, metalaxil-M, ofurace, oxadixil, aldimorf, dodemorf, fenpropidin, fenpropimorf, piperazilin, espiroxamina, tridemorf, edifenfos, iprobenfos, isoprotiolano, pirazofos, benodanil, boscalid, carboxin, fenfuram, flutolanil, furametpir, mepronil, oxicarboxin, pentiopirad, tifluzamida, bupirimato, dimetirimol, etirimol, ciprodinil, mepanipirim, pirimetanil, dietofencarb, azoxistrobin, dimoxistrobin, enestrobin, famoxadona, fenamidona, fluoxastrobin, kresoxim-metilo, metominostrobin, orisastrobin, picoxistrobin, piraclostrobin, trifloxistrobin, fenpiclonil, fludioxonil, quinoxifen, bifenil, cloroneb, dicloran, etridiazole, quintozeno, tecnaceno, tolclofos-metilo, ftalida, piroquilón, triciclazole, carpropamid, diclocimet, fenoxanil, fenhexamid, piributicarb, polioxin, pencicurón, ciazofamid, zoxamida, blasticidin-S, kasugamicin, estreptomicina, validamicina, cimoxanil, yodocarb, propamocarb, protiocarb, binapacril, dinocap, ferimzona, fluazinam, TPTA (acetato de fentin), TPTC (cloruro de fentin), TPTH (hidróxido de

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fentin), ácido oxolínico, himexazol, octilinona, fosetil, ácido fosfórico y sales del mismo, tecloftalam, triazóxido, flusulfamida, diclomezina, siltiofam, diflumetorim, bentiavalicarb-isopropilo, dimetomorf, flumorf, iprovalicarb, mandipropamid, oxitetraciclina, metasulfocarb, cinometionato, fluoroimida, milneb, hidróxido de cobre, octanoato de cobre, oxicloruro de cobre, sulfato de cobre, óxido cuproso, mancobre, cobre-oxina, azufre, ferbam, mancozeb, maneb, metiram, propineb, tiram, zineb, ziram, captafol, captan, folpet, clorotalonil, diclofluanid, tolilfluanid, anilazina, dodina, guazatina, iminoctadina, ditianón, acibenzolar-S-metilo, probenazole, tiadinil, etaboxam, ciflufenamid, proquinazid, metrafenona, fluopicolida, dazomet, difenzoquat, amisubrom, mezcla de Bordeaux, F-991, nabam, óxido de fenazina, policarbamato, piribencarb, y similares.

Los ejemplos de compuestos insecticidas y nematocidas conocidos que se pueden mezclar o utilizar en combinación, se describen a continuación.

Acetamiprid, clotianidin, dinotefuran, imidacloprid, nitenpiram, tiacloprid, tiametoxam, etiprole, fipronil, acetoprol, cromafenozida, halofenozida, metoxifenozida, tebufenozida, acrinatrin, alletrin, alfa-cipermetrin, beta-ciflutrin, beta-cipermetrin, bifentrin, bioalletrin, bioresmetrin, cicloprotrin, ciflutrin, cihalotrin, cipermetrin, cifenotrin, deltametrin, empentrin, esfenvalerato, fenpropatrin, fenvalerato, flucitrinato, flumetrin, gamma-cihalotrin, imiprotrin, lambda-cihalotrin,

metotrin, permetrin, fenotrin, pralletrin, resmetrin, kadetrin, tau-fluvalinato, teflutrin, tetrametrin, zeta-cipermetrin, tralometrin, transflutrin, etofenprox, halfenprox, silafluofén, bensultap, cartap, tiociclam, tiosultap-sodio, acefato, azametifos, azinfos-etilo, azinfos-metilo, cadusafos, cloretoxifos, clorfenvinfos, clormefos, clorpirifos, clorpirifos-metilo, coumafos, cianofos, demetón-S-metilo, diazinón, diclorvos, dicrotofos, dimetoato, dimetilvinfos, disulfotón, EPN, etión, etoprofos, famfur, fanamifos, fenitrotión, fentión, fostiazato, heptenofos, isocarbofos, isoxatión, malatión, mecarbam, metamidofos, metidatión, mevinfos, monocrotofos, naled, ometoato, oxidemetón-metilo, paratión, paratión-metilo, pentoato, forato, fosalona, fosmet, fosfamidón, proxim, pirimifos-metilo, profenofos, propetamfos, protiofos, piraclofos, piridafentión, quinalfos, sulfotep, tebupirimfos, temefos, terbufos, tetraclorvinfos, tiometón, triazofos, ticlorfón, vamidotión, imiciafos, flupirazofos, aldicarb, bendiocarb, benfuracarb, carbarilo, carbofuran, carbosulfan, etiofencarb, fenobucarb, formetanato, furatiocarb, isoprocarb, metiocarb, metomil, metolcarb, oxamilo, pirimicarb, propoxur, trimetacarb, XMC, xililcarb, alanicarb, butocarboxim, butoxicarboxim, tiodiocarb, tiofanox, bistriflurón, clorfluazurón, diflubenzurón, flucicloxurón, flufenoxurón, hexaflumurón, lufenurón, novalurón, noviflumurón, teflubenzurón, triflumurón, abamectin, emamectin, clorfenapir, fenoxicarb, hidropreno, cinopreno, metopreno, piriproxifén,

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dienoclor, cienopirafén, ciflumetofen, espiromesifen, espirodiclofen, espirotetramat, flubendiamida, flurimfen (flufenerim), flonicamid, metaflumizón, rinaxipir, lepmectin, piridalil, fluacripirim, indoxacarb, bromopropilato, triazamato, fenazaquin, fenpiroximato, piridaben, tebufenpirad, clofentezina, etoxazole, hexitiazox, pimetrozina, buprofezin, 1.3-dicloropropeno (1.3-D), isocarbofos, N-metilditiocarbamato de amonio (NCS), azociclotin, endosulfan, clordano, cloropicrin, cihexatin, spinosad, dimetilditiocarbamato de sodio, óxido de fenbutatin, flusulfamida, isotiocianato de metilo (MITC), rotenona, CL900167, fluoruro de aluminio de sodio, pirifluquinazón, RU-15525, XDE-175, ZXI-8901 y similares también se pueden incluir.

Los ejemplos de materiales libres de riesgos conocidos que se pueden mezclar o utilizar en combinación se describen a continuación.

Benoxacor, furilazole, diclormid, diciclonona, DKA-24 (N1,N2-dialil-N2-dicloroacetilglicinamida), AD-67 (4-dicloroacetil-1-oxa-4-azaspiro[4.5]decano), PPG-1292 (2,2-dicloro-N-(1,3-dioxan-2-ilmetil)-N-2-(propenil)acetamida), R-29148 (3-dicloroacetil-2,2,5-trimetil-1,3-oxazolidina), cloquintcet-mexilo, anhídrido 1,8-naftálico, mefenpir-dietilo, mefenpir, fenclorazole-etilo, fenclorim, MG-191 (2-diclorometil-2-metil-1,3-dioxano), ciometrinil, flurazole, fluxofenim, isoxadifen-etilo, mecoprop, MCPA, daimurón, 2,4-D,

isoxadifen, MON4660, oxabetrinil, ciprosulfamida, y similares se pueden incluir.

La proporción de la incorporación del ingrediente activo en el herbicida de la presente invención se selecciona en forma adecuada de acuerdo con las necesidades, y se puede seleccionar en forma adecuada dentro del rango de 0.01 a 10% en peso, y preferentemente 0.05 a 5% en peso, en el caso de una formulación en polvo o una formulación en gránulos. La proporción de incorporación puede ser seleccionada en forma adecuada dentro del rango de 1 a 50% en peso, y preferentemente 5 a 30% en peso, en el caso de una formulación de emulsión o polvo humectable. La proporción de incorporación puede seleccionarse en forma adecuada dentro del rango de 1 a 40% en peso y preferentemente 5 a 30% en peso, en el caso de una formulación fluible y similar.

La cantidad de aplicación del herbicida de la presente invención puede variar dependiendo del tipo de compuesto utilizado como maleza que será tratada, tendencia a surgimiento, condiciones ambientales, formulación utilizada y similares, pero en el caso de utilizar el herbicida directamente tal como en la forma de una formulación en polvo o formulación en gránulo, como está, la cantidad de aplicación puede ser seleccionada en forma adecuada dentro del rango de 1 g a 50 kg y preferentemente 10 g a 10 kg, por una hectárea, en términos del ingrediente activo. En el caso de utilizar el herbicida en el estado líquido tal como en la forma de un concentrado emulsificable, la formulación en polvo

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humectable o una formulación fluible, la cantidad de aplicación puede ser seleccionada en forma adecuada dentro del rango de 0.1 a 50000 ppm, y preferentemente 10 a 10000 ppm.

El herbicida de la presente invención se puede utilizar mediante aplicación al follaje, aplicación a la tierra o aplicación sumergida, a campos montañosos, campos de arroz, huertos y similares. El herbicida de la presente invención también se puede utilizar para el propósito de controlar malezas generales en campos desérticos, surcos entre bordes de arroz, caminos de granjas, zanjas de drenaje, pastizales de propiedad, tierras de sepultamiento, tierras de parque, calles, zonas de juegos, lotes baldíos alrededor de edificios, tierras de propiedad, orillas de pistas, bosques y similares.

El herbicida de la presente invención exhibe excelentes efectos herbicidas en un amplio rango desde el pre-surgimiento hasta el periodo de crecimiento de las semillas incluyendo por ejemplo, Persicaria spp. tal como Polygonum lapathifolium L., Polygonum longisetum De Bruyn y Rumex japonicus Houtt.; Amaranthus spp. tal como Amaranthus viridis L., Amaranthus palmeri S. Wats. Y Amaranthus retroflexus L.; malezas de hoja ancha tales como Solanum carolinense L., Solanum nigrum L., Chenopodium album L., Abutilon theophrasti medicus, Sida spinosa L., Sesbania exaltata Cory, Ambrosia elatior L., Papaver rhoeas L., Ipomea spp., Xanthium strumarium L., Stellaria media Villars, Matricaria chamomilla L., Galium spurium

L. var. echinospermon Hayek, Viola mandshurica, Veronica persica Poiret, Veronica hederifolia L., Lamium amplexicaule L., Vicia angustifolia L., Senecio vulgaris L., y Capsella Bursa-pastoris (L.) medik; malezas ciperáceas perenes o anuales tales como Cyperus rotundus L., Cyperus esculentus L., Cyperus brevifolius Hassk. var. leiolepis T. Koyama, Cyperus microiria Steud., y Cyperus iria; y malezas graminaceas tales como Echinochloa esculenta (A. Braun) H. Scholz, Digitaria ciliaris (Retz.) Koel., Setaria viridis (L.) P. Beauv., Poa annu L., Alopecurus aequalis Sobol. var. amurensis Ohwi, Sorghum halepense Pers., Alopecurus myosuroides Huds., Lolium multiflorum Lamarck., y Avena sativa L. El herbicida de la presente invención también puede controlar malezas anuales que crecen en campos de arroz, tales como Echinochloa oryzicola Vasing, Echinochloa crus-galli (L.) P. Beauv. var. crus-galli, Cyperus difformis L., Leptochloa chinensis (L.) Nees, Monochoria vaginalis (Burm. f.) Preslvar, plantaginea (Roxb.) Solms-Laub., Lindernia dubia (L.) Pennell, Lindernia procumbens (Krock.) Philcox, Rotala indica (Willd.) Koehne var. uliginosa (Miq), Koehne, Vandellia angustifolia Benth., Limnophila sessiliflora, Ammania multiflora Roxb., Elatine triandra Schk. var. pedicellata Krylov. Monochoria korsakowii Regel et Maack, Ludwigia prostrata Roxb., Eclipta prostata L., Bidens frondosa L., Aeschynomene indica L., y Murdannia keisak Hand-Mazz.; y malezas perenes tales como Sagittaria pygmaea Miq., Sagittaria

triflolia L., Cyperus serotinus Rottb., Eleocharis kuroguwai Ohwi, Scirpus juncoides Roxb., Alisma canaliculatum A. Br. et Bouche, Potamogeton distinctus A. Bennett, Leersia japonica Makino, Paspalum distichum L., Leersia oryzoides (L.) Swartz, y Eleocharis acicularis Roem. et Schult. var. longiseta Svenson.

Además, el herbicida de la presente invención es altamente seguro para plantas útiles y cosechas útiles, y exhibe alta seguridad, por ejemplo, para cosechas tales como arroz, trigo, cebada, avena común, centeno, mijo, de cola de zorro, mijo común, maíz y sorgo en grano, frijol soya, algodón, remolacha, caña de azúcar, cebolla, girasol, semilla de aceite de colza, cacahuate, lino, tabaco, café, papa dulce, papa, tomate y otros vegetales o céspedes y similares.

Las cosechas útiles y plantas útiles tal como se utiliza en la presente invención, incluyen las cosechas llamadas, genéticamente modificadas y cultivos que han sido transformados mediante tecnologías de ingeniería genética para exhibir resistencia a herbicidas, pestes, enfermedades y similares, tales como maíz, frijol soya, algodón, semilla de aceite de colza y caña de azúcar; y plantas que tienen resistencia a herbicidas, pestes, enfermedades y similares mediante clasificación.

A continuación, el método para producir el compuesto de la fórmula [I] de acuerdo con la presente invención, ejemplos de preparación y usos, se ilustrarán con detalle a través de los ejemplos que se encuentran a continuación, pero no deberán

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construirse como limitantes.

Además, en la descripción que se encuentra a continuación, "%" representa porcentaje en peso, y "partes" representa partes en peso.

[Ejemplo 1]

Producción de 3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-metilquinoxalin-2(1H)-ona (Compuesto No. I-2 de la presente invención (ver tabla 1))

(1) Producción de 1-metil-2-oxo,1,2-dihidroquinoxalina-3-carboxilato de 3-oxo-1-ciclohexenilo

4.6 g (22.5 mmol) de ácido 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en diclorometano (200 mL), y se agregaron a esto N,N-dimetilformamida (0.5 mL) y 4.3 g (33.9 mmol) de cloruro de oxalilo. La mezcla se agitó durante 1 hora a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida, y el residuo obtenido se disolvió en diclorometano (100 mL). Esta solución se agregó en forma de gotas a temperatura ambiente a una solución preparada disolviendo 2.8 g (25.0 mmol) de 1,3-ciclohexanodiona y 2.7 g (26.7 mmol) de trietilamina en diclorometano (100 mL). La mezcla se agitó durante 1 hora a temperatura ambiente, y posteriormente, la mezcla de reacción se lavó con agua, y se secó sobre sulfato de sodio anhidro. La materia inorgánica se separó mediante filtración, y

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posteriormente el solvente se destiló bajo presión reducida, para obtener 6.3 g (rendimiento 94%) de 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de 3-oxo-1-ciclohexilo en la forma de un sólido color amarillo.

^{1}H-RMN ($CDCl_3$/TMS δ(ppm)):

2.11-2.18 (2H, m), 2.46-2.49 (2H, m), 2.76-2.78 (2H, m), 3.77 (3H, s), 6.13 (1H, s), 7.39-7.47 (2H, m), 7.76 (1H, t), 8.00 (1H, d).

(2) Producción de 3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-metilquinoxalin-2(1H)-ona

Se disolvieron 6.3 g (21.1 mmol) de 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de 3-oxo-1-ciclohexenilo en diclorometano (100 mL), y hasta solución, se le agregaron 2.4 g (23.7 mmol) de trietilamina y cianohidrin de acetona (1 mL). La mezcla se agitó durante un día a temperatura ambiente. La mezcla de reacción se lavó con 10% de ácido hidroclórico y se secó sobre sulfato de sodio anhidro, y el solvente se destiló bajo presión reducida. El residuo se recristalizó a partir de metanol para obtener 4.3 g (rendimiento: 68%) de 3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-metilquinoxalin-2(1H)-ona en la forma de un polvo color blanco (punto de fusión 191 a 192°C).

^{1}H-RMN ($CDCl_3$/TMS δ(ppm)):

2.05-2.12 (2H, m), 2.45-2.47 (2H, m), 2.76-2.80 (2H, m), 3.71 (3H, s), 7.33-7.38 (2H, m), 7.60 (1H, t), 7.85 (1H, d), 16.3 (1H, s).

[Ejemplo 2]

Producción de 2-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-4-fenil-pirido[2,3-b]pirazin-3(4H)-ona (compuesto No. IV-1 de la presente invención (ver tabla 38))

Se disolvieron 6.50 g (24 mmol) de ácido 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico en diclorometano (100 mL), y a esta solución, se le agregó en secuencias N,N-dimetilformamida (0.5 mL) y 3.8 g (30 mmol) de cloruro de oxalilo. La mezcla se agitó durante una hora a temperatura ambiente. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida. El residuo obtenido se disolvió en diclorometano (30 mL), y esta solución se agregó en forma de gotas a una temperatura de 0°C durante 5 minutos, a una solución prepara disolviendo 2.7 g (24 mmol) de 1,3-ciclohexanodiona y 2.5 (24 mmol) de trietilamina en diclorometano (30 mL). La solución de reacción se regresó a temperatura ambiente y se agitó durante 3 horas, y posteriormente se agregó a esto cianohidrin de acetona (1 mL) y 2.5 g (24 mmol) de trietilamina. La mezcla se agitó durante 12 horas a temperatura ambiente. Después de confirmar el término de la reacción, se agregó agua (50 mL) a la solución de reacción,

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la solución de reacción se ajustó a un pH de 12 utilizando una solución acuosa al 10% de hidróxido de sodio, y se separó la solución de reacción. La capa acuosa se ajustó a un pH de 1 agregando ácido hidroclórico 6 N y posteriormente la capa acuosa se extractó con diclorometano. La capa orgánica obtenida se secó sobre sulfato de magnesio anhidro y posteriormente el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó con metanol para obtener 6.5 g (rendimiento: 73%) de 2-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-4-fenil-pirido[2,3-b]pirazin-3(4H)-ona.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.06 (2H, □), 2.44 (2H, s), 2.77 (2H, t), 7.30 (3H, d), 7.53 (3H, m), 8.18 (1H, m), 8.47 (1H, d), 16.10 (1H, s).

[Ejemplo 3]

Producción de 2-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-4-(4-metoxifenil)-piridio[2,3-b]pirazin-3-(4H)-ona (Compuesto No. IV-62 de la presente invención (ver tabla 39))

Se disolvieron 4.4 g (15 mmol) de ácido 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico en diclorometano (100 mL), y a esta solución, se le agregó N,N-dimetilformamida (0.5 mL) y 3.8 g (30 mmol) de cloruro de oxalilo en secuencias. La mezcla se calentó a temperatura de reflujo durante una hora mientras estaba en agitación. Después de confirmar el término de la reacción, la solución de reacción se

enfrió a temperatura ambiente, y el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se disolvió en diclorometano (30 mL), y esta solución se agregó en forma de gotas a una temperatura de 0°C durante 5 minutos a una solución preparada disolviendo 1.8 g (16 mmol) de 1,3-ciclohexanodiona y 1.8 g (18 mmol) de trietilamina en diclorometano (30 mL). La solución de reacción se regresó a temperatura ambiente y se agitó durante 3 horas, y posteriormente se agregó a esto cianohidrin de acetona (1 mL) y 1.8 g (18 mmol) de trietilamina. La mezcla se agitó durante 12 horas a temperatura ambiente. Después de confirmar el término de la reacción, se agregó agua (20 mL) a la solución de reacción, la solución de reacción se agitó a un pH 12 utilizando una solución acuosa al 12% de hidróxido de sodio, y se separó la solución de reacción. La capa acuosa se agitó a pH 1 agregando ácido hidroclórico 6 N, y posteriormente la capa acuosa se extractó con diclorometano. La capa orgánica obtenida se secó sobre sulfato de magnesio anhidro y posteriormente el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó con metanol para obtener 4.5 (rendimiento: 78%) de 2-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-4-(4-metoxifenil)-piridazino[2,3-b]pirazin-3(4H)-ona.

^{1}H RMN ($CDCl_3$/TMS δ (ppm)):

2.06 (2H, s), 2.44 (2H, s), 2.77 (2H, t), 3.86 (3H, s), 7.07 (2H, d), 7.28 (2H, d), 8.17 (1H, d), 8.49 (1H, d).

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[Ejemplo 4]

Producción de 3-hidroxi-2-(1-metil-2-tioxo-1,2-dihidroquinoxalin-3-il-carbonil)-2-ciclohexen-1-ona (Compuesto No. I-256 de la presente invención (ver tabla 11)).

Se agregaron 2.4 g (10.3 mmol) de 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo y 4.2 g (10.4 mmol) de reactivo de Lawesson, a tolueno (50 mL), y la mezcla se agitó durante 1 día manteniendo al mismo tiempo la temperatura del líquido en 100°C. La mezcla de reacción se enfrió a temperatura ambiente y posteriormente el solvente se destiló bajo presión reducida. Se agregó cloroformo al residuo, el material insoluble se separó mediante filtración, y el filtrado se concentró bajo presión reducida. El residuo obtenido de esta forma se disolvió en etanol (300 mL), se agregaron 4.9 g de una solución acuosa al 25% de hidróxido de sodio a temperatura ambiente, y la mezcla se agitó durante un día a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida, se agregó agua a esto, y la mezcla se ajustó a un pH de 1 utilizando ácido hidroclórico 10%. Se recolectó mediante filtración un precipitado sólido, y se lavó con agua. El sólido obtenido de esta forma se secó, y este sólido se disolvió en cloroformo (50 mL). Se agregaron a la solución 1.7 g (13.4 mmol) de cloruro de oxalilo y una gota de N,N-dimetilformamida y la solución de reacción se agitó durante 2 horas a temperatura

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ambiente y se concentró bajo presión reducida. El residuo obtenido de esta forma se disolvió en cloroformo (20 mL), se agregaron a esto 0.84 g (7.49 mmol) de 1,3-ciclohexanodiona y 0.83 g (8.20 mmol) de trietilamina, y la mezcla se agitó durante una hora a temperatura ambiente. Se agregaron en forma adicional a temperatura ambiente 0.83 g (8.20 mmol) de trietilamina y 0.64 g (7.52 mmol) de cianohidrin de acetona, y la mezcla se agitó durante dos días a temperatura ambiente. La mezcla de reacción se lavó con ácido hidroclórico 10%, y se secó sobre sulfato de magnesio anhidro, y el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo/cloroformo = 1/1). El sólido resultante se lavó con acetato de etilo, para obtener 0.40 g de 3-hidroxi-2-(1-metil-2-tioxo-1,2-dihidroquinoxalina-3-carbonil)-2-ciclohexen-1-ona en la forma de un polvo color amarillo (punto de fusión 300°C o superior).

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.01-2.11 (2H, m), 2.38-2.44 (2H, m), 2.75-2.81 (2H, m), 4.19 (3H, s), 7.44 (1H, t), 7.55 (1H, d), 7.68 (1H, t), 7.88 (1H, d), 16.3 (1H, s).

[Ejemplo 5]

Producción de 5-fluoro-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(4-metoxifenil)-quinoxalin-2(1H)-ona (Compuesto No. II-186 de la presente

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invención (ver tabla 24))

Se disolvieron 11.1 g (35 mmol) de ácido 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en cloroformo (300 mL) y hasta solución, se le agregaron en secuencias N,N-dimetilformamida (0.5 mL) y 6.7 g (53 mmol) de cloruro de oxalilo. La mezcla se agitó durante una hora a temperatura ambiente. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se disolvió en cloroformo (100 mL), y esta solución se agregó en forma de gotas a una temperatura de 0°C durante 5 minutos, a una solución preparada disolviendo 4.4 g (39 mmol) de 1,3-ciclohexanodiona y 4.3 g (43 mmol) de trietilamina en cloroformo (200 mL). La solución de reacción se realizó a temperatura ambiente y se agitó durante 3 horas, y posteriormente se agregaron a esto 1.5 g (18 mmol) de cianohidrin de acetona y 4.3 g (43 mmol) de trietilamina. La mezcla se agitó durante 12 horas a temperatura ambiente. La solución de reacción se lavó con ácido hidroclórico al 10% y se secó sobre sulfato de sodio anhidro, y posteriormente el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó con metanol, para obtener 13.6 g (rendimiento: 94%) de 5-fluoro-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(4-metoxifenil)-quinoxalin-2(1H)-ona en la forma de un polvo color naranja pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.06 (2H, □), 2.43 (2H, br), 2.75 (2H, t), 3.88 (3H, s), 6.57 (1H, d), 7.01-7.10 (3H, m), 7.26-7.35 (3H, m), 16.19 (1H, s).

[Ejemplo 6]

Producción de 5-cloro-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(4-metoxifenil)-quinoxalin-2(1H)-ona (Compuesto No. II-194 de la presente invención (ver tabla 24))

Se disolvieron 6.7 g (20 mmol) de ácido 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en cloroformo (300 mL), y a esta solución, se le agregaron en secuencias N,N-dimetilformamida (0.5 mL) y 5.2 g (41 mmol) de cloruro de oxalilo. La mezcla se agitó durante una hora a temperatura ambiente. Después de confirmar el término de la reacción, el término se destiló bajo presión reducida. El residuo obtenido de esta forma se disolvió en cloroformo (70 mL), y esta solución se agregó en forma de gotas a una temperatura de 0°C durante 5 minutos, a una solución preparada disolviendo 2.5 g (22 mmol) de 1,3-ciclohexanodiona y 2.5 g (25 mmol) de trietilamina en cloroformo (70 mL). La solución de reacción se regresó a temperatura ambiente y se agitó durante 3 horas y posteriormente se agregaron a esto 0.86 g (10 mmol) de cianohidrin de acetona y 2.5 g (25 mmol) de trietilamina. La mezcla se agitó durante 12 horas a temperatura ambiente. La solución de reacción se lavó con ácido hidroclórico al 10% y se secó sobre sulfato de sodio anhidro, y posteriormente el solvente se describió bajo presión

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reducida. El residuo obtenido de esta forma se lavó con acetato de etilo para obtener 6.0 (rendimiento: 70%) de 5-cloro-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(4-metoxifenil)quinoxalin-2(1H)-ona en la forma de un polvo color naranja pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.06 (2H, □), 2.44 (2H, br), 2.75 (2H, t), 3.88 (3H, s), 6.71 (1H, d), 7.09 (2H, d), 7.25-7.30 (3H, m), 7.39 (1H, d), 16.23 (1H, s).

[Ejemplo 7]

Producción de 1-(benzo[d][1,3]dioxol-5-il)-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-quinoxalin-2(1H)-ona (Compuesto No. I-202 de la presente invención (ver tabla 9))

Se disolvieron 5.7 g (19 mmol) de ácido 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico y 3.1 g (24 mmol) de cloruro de oxalilo en diclorometano (10 mL) y se agregaron a esto 0.26 g (0.35 mmol) de dimetilformamida. La mezcla se calentó a reflujo durante 2 horas mientras se agitó. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida para obtener cloruro de 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carbonilo en la forma de cristales color amarillos (Compuesto No. del Intermediario de Producción: IX-73).

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^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

6.12 (2H, d), 6.74-6.76 (2H, m), 6.88 (1H, d), 7.01 (1H, d), 7.43 (1H, t), 7.57 (1H, t), 8.04 (1H, d)

El cloruro de 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carbonilo obtenido de esta forma, se disolvió en diclorometano (30 mL) y la solución se agregó en forma de gotas a una mezcla líquida que contiene 2.7 g (24 mmoles) de 1,3-ciclohexanodiona, 3.7 g (36 mmol) de trietilamina y diclorometano (20 mL), bajo enfriamiento con hielo. Después de agitar la solución de reacción durante 3 horas a temperatura ambiente, se agregaron a la mezcla líquida 0.04 g (0.53 mmol) de cianohidrin de acetona y 3.7 g (36 mmol) de trietilamina, y la mezcla se agitó durante 12 horas a temperatura ambiente. La solución de reacción se vertió en agua, y la capa acuosa se acidificó con ácido hidroclórico al 10% y se extractó con diclorometano. La capa orgánica obtenida se secó sobre sulfato de magnesio anhidro y la materia inorgánica se separó mediante filtración. El solvente se destiló bajo presión reducida, y al residuo obtenido de esta forma se le agregó una solución mezclada de metanol y agua, para obtener un sólido. El sólido se recolectó mediante filtración y se secó para obtener 5.5 g (rendimiento: 75%) de 1-(benzo[d][1,3]dioxol-5-il)-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-quinoxalin-2(1H)-ona en la forma de una materia amorfa color amarilla.

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^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.03-2.05 (2H, m), 2.43 (2H, brs), 2.73 (2H, t), 6.08 (2H, d), 6.38-6.87 (3H, m), 6.99 (1H, d), 7.29-7.43 (2H, m), 7.88 (1H, d), 16.27 (1H, s)

[Ejemplo 8]

Producción de 1-(2,3-dihidrobenzo[b]1,4-dioxin-6-il)-3-(2-hidroxi-6-oxo-1-ciclohexenocarbonilo)-quinoxalin-2(1H)-ona (Compuesto No. I-209 de la presente invención (ver Tabla 10))

Se disolvieron 9.9 g (31 mmol) de ácido 1-(2,3-dihidrobenzo[b]1,4-dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en diclorometano (100 mL), y a esta solución, se le agregó en secuencias N,N-dimetilformamida (0.5 mL) y 4.7 g (37 mmol) de cloruro de oxalilo. La mezcla se agitó durante una hora a temperatura ambiente. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida para obtener cloruro de 1-(2,3-dihidrobenzo[b]1,4-dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carbonilo en la forma de cristales color amarillo (Compuesto No. del Intermediario de Producción: IX-75).

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

4.33 (4H, s), 6.74-6.89 (3H, m), 7.08 (1H, d), 7.44 (1H, t), 7.55 (1H, t), 8.02 (1H, d)

El cloruro de 1-(2,3-dihidrobenzo[b]1,4-dioxin-6-il)-2-oxo-1,2-dihidroquinoxali

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na-3-carbonilo obtenido de esta forma se disolvió en diclorometano (30 mL), y esta solución se agregó en forma de gotas a una temperatura de 0°C durante 5 minutos a una solución preparada disolviendo 4.2 g (37 mmol) de 1,3-ciclohexanodiona y 6.2 g (61 mmol) de trietilamina en diclorometano (30 mL). La solución de reacción se regresó a temperatura ambiente y se agitó durante 3 horas, y posteriormente se agregó a esto cianohidrin de acetona (1 mL) y 6.2 g (61 mmol) de trietilamina. La mezcla se agitó durante 12 horas a temperatura ambiente. Después de confirmar el término de la reacción, se agregó agua (50 mL) a la solución de reacción, la solución de reacción se ajustó a un pH de 12 utilizando una solución acuosa al 10% de hidróxido de sodio y se separó la solución de reacción. La capa acuosa se ajustó a un pH de 1 agregando ácido hidroclórico 6N, y posteriormente la capa acuosa se extractó con diclorometano. La capa orgánica obtenida se secó sobre sulfato de magnesio anhidro, y posteriormente el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó con metano, para obtener 5.2 g (rendimiento: 40%) de 1-(2,3-dihidrobenzo[b]1,4-dioxin-6-il)-3-(2-hidroxi-6-oxo-1-cicloh exanocarbonilo)-quinoxalin-2(1H)-ona en la forma de cristales color blanco lechoso.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.02-2.09 (2H, m), 2.44 (2H, br), 2.73-2.77 (2H, m), 4.32 (4H, s), 6.84-6.87 (3H, m), 7.04 (1H, d), 7.28-7.42 (2H, m), 7.87 (1H, d),

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16.3 (1H, s)

[Ejemplo 9]

Producción de 4-(3-fluoro-4-metilfenil)-2-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-pirido[2,3-b]pirazin-3(4H)-ona (Compuesto No. IV-222 de la presente invención (ver Tabla 43))

Se disolvieron 24 g (80 mmol) de ácido 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico en cloroformo (180 mL), y se agregaron a esto N,N-dimetilformamida (1 mL) y 20 g (160 mmol) de cloruro de oxalilo. La mezcla se agitó durante una hora a una temperatura de 40°C. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se disolvió en cloroformo (180 mL), y esta solución se agregó en forma de gotas a una temperatura de 0°C durante 5 minutos a una solución preparada disolviendo 10 g (90 mmol) de 1,3-ciclohexanodiona y 9.0 g (90 mmol) de trietilamina en cloroformo (180 mL). La solución de reacción se regresó a temperatura ambiente, y se agitó durante 3 horas, y posteriormente se agregaron a esto 0.8 g (10 mmol) de cianohidrin de acetona y 9.0 g (90 mmol) de trietilamina. La mezcla se agitó durante 12 horas a temperatura ambiente.

Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida, y el residuo se extractó con acetato de etilo. Se agregó una solución acuosa al 10% de

hidróxido de sodio, y la mezcla se ajustó a un pH de 12. En forma subsecuente, la capa acuosa obtenida se ajustó a un pH de 1 agregando ácido hidroclórico 6N, y la capa acuosa se extractó nuevamente con cloroformo. Se agregaron sulfato de magnesio anhidro y florosil a la capa orgánica para secar la capa, y el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó con metanol, para obtener 15 g (rendimiento: 48%) de 4-(3-fluoro-4-metilfenil)-2-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-pirido[2,3-b]pirazin-3(4H)-ona.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.07 (2H, t), 2.35 (3H, d), 2.44 (2H, brs), 2.78 (2H, t), 7.06 (2H, d), 7.29-7.41 (2H, m), 8.18 (1H, dd), 8.48 (1H, dd), 16.06 (1H, brs)

[Ejemplo 10]

Producción de 3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(6-metil-2-piridil)-quinoxalin-2(1H)-ona (Compuesto No. I-173 de la presente invención (ver Tabla 7))

(1) Producción de 1-(6-metil-2-piridil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de 3-oxo-1-ciclohexenilo

Se disolvieron 23.3 g de ácido 1-(6-metil-2-piridil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en cloroformo (100 mL), y se agregaron a esto 21.0 g de cloruro de oxalilo. La mezcla se agitó durante una hora a temperatura

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ambiente. El solvente se destiló bajo presión reducida, y el residuo se disolvió en 100 mL de cloroformo. Se agregaron 10.2 g de 1,3-ciclohexadiona y 10.1 g de trietilamina, y la mezcla se agitó durante 2 horas a temperatura ambiente. La mezcla de reacción se vertió en agua, y se extractó con cloroformo. La capa orgánica se lavó con salmuera saturada, y se secó sobre sulfato de magnesio anhidro, y posteriormente el solvente se destiló bajo presión reducida, para obtener 28.8 g de 1-(6-metil-2-piridil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de 3-oxo-1-ciclohexenilo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.12 (2H, m), 2.46 (2H, t), 2.65 (3H, s), 2.74 (2H, t), 6.11 (1H, s), 6.68 (1H, d), 7.28 (1H, d), 7.51 (3H, m), 7.93 (1H, d), 8.03 (1H, d)

(2) Producción de 3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(6-metil-2-piridil)-quinoxalin-2(1H)-ona

Se disolvieron 28.8 g de 1-(6-metil-2-piridil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de 3-oxo-1-ciclohexenilo en 100 mL de acetonitrilo, y se agregaron a esto 9.3 g de trietilamina y 7.2 g de cianohidrin de acetona. La mezcla se agitó durante una hora a una temperatura de 80°C. El solvente se destiló bajo presión reducida, el residuo se disolvió en cloroformo, y la solución se ajustó a un pH debajo de 4. La capa orgánica se lavó con salmuera saturada, y se secó sobre sulfato de magnesio anhidro, y posteriormente el solvente

se destiló bajo presión reducida, para obtener 24.2 g de 3-(2-hidroxi-6-oxo-1-ciclohexenocarbonil)-1-(6-metil-2-piridil)-quinoxalin-2(1H)-ona.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.06 (m, 2H), 2.45 (t, 2H), 2.64 (s, 3H), 2.76 (t, 2H), 6.68 (s, 1H), 7.39 (m, 4H), 7.89 (m, 2H), 16.32 (s, 1H)

Los valores de propiedad de los compuestos obtenidos en los Ejemplos 1 a 10 anteriores, y los compuestos de la presente invención producidos en la misma forma que estos Ejemplos, se presentan en las Tablas de la 124 a la 134.

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[Tabla 124]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
I-1	Punto de Fusión	84-86
I-2	Punto de Fusión	191-192
I-5	Punto de Fusión	193-195
I-7	Punto de Fusión	155-157
I-12	Punto de Fusión	164-165
I-13	Punto de Fusión	151-152
I-14	Punto de Fusión	172-174
I-15	Índice Refractivo	1.6148
I-18	Punto de Fusión	157-159
I-21	Punto de Fusión	144-147
I-22	Punto de Fusión	125-128
I-30	Punto de Fusión	171-172
I-35	Punto de Fusión	205-206
I-40	Punto de Fusión	190-192
I-49	Punto de Fusión	140-141
I-50	Punto de Fusión	144-145
I-57	Punto de Fusión	201-203
I-58		Medición ineficiente
I-59	Punto de Fusión	1.5663
I-62	Punto de Fusión	164-166
I-65	Índice Refractivo	1.6070
I-67	Punto de Fusión	184-185
I-68	Punto de Fusión	151-152
I-69	Punto de Fusión	216-218
I-70	Punto de Fusión	179-181
I-71	Punto de Fusión	203-205
I-72	Punto de Fusión	179-181
I-80	Punto de Fusión	249-251
I-81	Punto de Fusión	272-274
I-82	Punto de Fusión	226-228
I-88	Punto de Fusión	142-144
I-90	Punto de Fusión	153-155
I-91	Punto de Fusión	148-149
I-92	Punto de Fusión	218-222
I-93		Aceite
I-94	Punto de Fusión	186-187
I-99	Punto de Fusión	187-189
I-100	Punto de Fusión	217-219
I-101	Punto de Fusión	239-241
I-102	Punto de Fusión	181-183
I-103	Punto de Fusión	184-186
I-107	Punto de Fusión	181-183
I-111	Punto de Fusión	214-216
I-112	Punto de Fusión	192-194
I-113	Punto de Fusión	195-196
I-120	Punto de Fusión	215-218
I-125	Punto de Fusión	203-204
I-126	Punto de Fusión	207-210
I-128	Punto de Fusión	233-235

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[Tabla 125]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
I-131	Punto de Fusión	207-208
I-136	Punto de Fusión	178-181
I-137	Índice Refractivo	126-127
I-139	Punto de Fusión	189-192
I-144	Punto de Fusión	171-174
I-145	Punto de Fusión	87-88
I-148	Punto de Fusión	207-208
I-149	Punto de Fusión	73-75
I-156	Punto de Fusión	105-107
I-158	Punto de Fusión	133-135
I-159		Aceite
I-160	Punto de Fusión	168-169
I-163	Punto de Fusión	182-183
I-165	Punto de Fusión	185-187
I-166	Punto de Fusión	99-101
I-167	Punto de Fusión	150-153
I-171	Punto de Fusión	177-179
I-173	Punto de Fusión	177-179
I-176	Punto de Fusión	170-171
I-177	Índice Refractivo	125-128
I-178	Punto de Fusión	115-117
I-179	Punto de Fusión	116-118
I-180	Punto de Fusión	129-130
I-182	Punto de Fusión	208-210
I-185	Punto de Fusión	168-170
I-189	Punto de Fusión	141-144
I-195	Punto de Fusión	237-239
I-197	Punto de Fusión	217-219
I-199	Punto de Fusión	187-189
I-201	Punto de Fusión	156-157
I-202	Punto de Fusión	150-151
I-207	Punto de Fusión	146-147
I-209	Punto de Fusión	246-248
I-211	Punto de Fusión	151-153
I-212	Punto de Fusión	199-200
I-213	Punto de Fusión	172-175
I-220	Punto de Fusión	235-237
I-221	Índice Refractivo	187-189
I-223	Punto de Fusión	213-216
I-225	Punto de Fusión	225-228
I-227	Punto de Fusión	205-207
I-229	Punto de Fusión	162-163
I-230	Punto de Fusión	161-164
I-238	Punto de Fusión	179-181
I-241	Punto de Fusión	161-164
I-243	Punto de Fusión	170-171
I-245	Punto de Fusión	229-231
I-247	Punto de Fusión	233-235
I-249	Punto de Fusión	225-226
I-250	Punto de Fusión	195-197
I-256	Punto de Fusión	300 ó más
I-263	Punto de Fusión	148-150

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[Tabla 126]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
I-264	Punto de Fusión	170-173
I-265	Punto de Fusión	193-195
I-266	Punto de Fusión	199-200
I-267	Punto de Fusión	163-166
I-268	Punto de Fusión	127-129
I-269	Punto de Fusión	211-213
I-270	Punto de Fusión	167-169
I-271	Punto de Fusión	138-140
I-272	Punto de Fusión	173-174
I-273	Punto de Fusión	141-143
I-274	Punto de Fusión	148-150
I-275	Punto de Fusión	204-205
I-276		Medición ineficiente
I-277	Punto de Fusión	135-137
I-278	Punto de Fusión	144-145
I-279	Punto de Fusión	166-168
I-280	Punto de Fusión	186-188
I-281	Punto de Fusión	139-141
I-282	Punto de Fusión	164-165
I-283	Punto de Fusión	190-192
I-284	Punto de Fusión	160-162
I-363	Punto de Fusión	250-252
I-364	Punto de Fusión	168-170
I-365	Punto de Fusión	182-183
I-366	Punto de Fusión	212-214
I-367	Punto de Fusión	208-210
I-368	Punto de Fusión	152-154
I-371	Punto de Fusión	189-190
I-372	Punto de Fusión	205-207
I-373	Punto de Fusión	124-126
I-379	Punto de Fusión	208-209
I-380	Punto de Fusión	146-147
I-385	Punto de Fusión	194-196
I-388	Punto de Fusión	172-175
I-395	Punto de Fusión	140-142

[Tabla 127]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
II-1	Punto de Fusión	68-71
II-4	Punto de Fusión	203-204
II-5	Índice Refractivo	180-182
II-6	Punto de Fusión	172-174
II-7	Punto de Fusión	177-178
II-8	Punto de Fusión	70-72
II-9	Punto de Fusión	100-101
II-11	Punto de Fusión	202-204
II-13	Punto de Fusión	201-202
II-14	Punto de Fusión	105-107
II-15	Punto de Fusión	176-179
II-20	Punto de Fusión	93-94
II-21	Punto de Fusión	123-126
II-23	Punto de Fusión	136-138
II-24	Punto de Fusión	101-104
II-29	Punto de Fusión	169-171
II-33	Punto de Fusión	147-149
II-39	Punto de Fusión	125-127
II-44	Índice Refractivo	112-114
II-51	Punto de Fusión	264-266
II-52	Punto de Fusión	201-202
II-57	Punto de Fusión	124-125
II-62	Punto de Fusión	112-114
II-63	Punto de Fusión	175-177
II-64	Punto de Fusión	174-176
II-68	Punto de Fusión	164-167
II-69	Punto de Fusión	88-90
II-71	Punto de Fusión	78-80
II-74	Punto de Fusión	106-108
II-75	Punto de Fusión	173-175
II-81	Punto de Fusión	135-136
II-84	Índice Refractivo	149-150
II-90	Punto de Fusión	103-105
II-95	Punto de Fusión	111-113
II-101	Punto de Fusión	61-64
II-116	Punto de Fusión	93-96
II-121	Punto de Fusión	125-128
II-122	Punto de Fusión	172-174
II-124	Punto de Fusión	91-94
II-125	Punto de Fusión	274-277
II-129	Punto de Fusión	230-232
II-130	Punto de Fusión	96-99
II-131	Punto de Fusión	136-137
II-136	Punto de Fusión	143-146
II-137	Punto de Fusión	107-110

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[Tabla 128]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
II-140	Punto de Fusión	128-130
II-146	Punto de Fusión	111-113
II-149	Punto de Fusión	101-103
II-167	Punto de Fusión	108-110
II-168	Punto de Fusión	122-123
II-169	Punto de Fusión	215-216
II-173	Punto de Fusión	185-188
II-174	Punto de Fusión	98-101
II-175	Punto de Fusión	110-112
II-177	Punto de Fusión	136-138
II-178	Punto de Fusión	113-115
II-179	Punto de Fusión	190-193
II-180	Punto de Fusión	106-109
II-185	Punto de Fusión	124-126
II-186	Punto de Fusión	242-245
II-187	Punto de Fusión	114-116
II-188	Punto de Fusión	136-138
II-189	Punto de Fusión	187-189
II-190	Punto de Fusión	217-219
II-193	Punto de Fusión	173-175
II-194	Punto de Fusión	105-107
II-195	Punto de Fusión	191-193
II-196	Punto de Fusión	120-122
II-197	Punto de Fusión	205-207
II-205	Punto de Fusión	139-142
II-208	Punto de Fusión	102-104
II-209	Punto de Fusión	109-111
II-210	Punto de Fusión	98-100
II-211	Punto de Fusión	113-115
II-212	Punto de Fusión	126-129
II-213	Punto de Fusión	171-172
II-214	Punto de Fusión	97-99
II-215	Punto de Fusión	116-117
II-216	Punto de Fusión	181-183
II-217	Punto de Fusión	197-199
II-218	Punto de Fusión	138-140
II-219	Punto de Fusión	183-185
II-220	Punto de Fusión	128-130
II-221	Punto de Fusión	106-109
II-222	Punto de Fusión	91-92
II-223	Punto de Fusión	110-111
II-224	Punto de Fusión	143-145
II-225	Punto de Fusión	135-138
II-226	Punto de Fusión	141-143
II-227	Punto de Fusión	201-203
II-228	Punto de Fusión	182-185
II-229	Punto de Fusión	145-148

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[Tabla 129]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
II-230	Punto de Fusión	161-163
II-231	Punto de Fusión	154-157
II-232	Punto de Fusión	205-208
II-233	Punto de Fusión	212-214
II-234	Punto de Fusión	118-121
II-235	Punto de Fusión	97-98
II-236	Punto de Fusión	232-234
II-237	Punto de Fusión	182-183
II-238	Punto de Fusión	213-216
II-239	Punto de Fusión	153-155
II-240	Punto de Fusión	135-137
II-241	Punto de Fusión	121-123
II-242	Punto de Fusión	145-146
II-243	Punto de Fusión	176-179
II-244	Punto de Fusión	257-260
II-245	Punto de Fusión	165-166
II-246	Punto de Fusión	155-158
II-247	Punto de Fusión	201-204
II-248	Punto de Fusión	113-116
II-249	Punto de Fusión	165-167
II-250	Punto de Fusión	197-198
II-251	Punto de Fusión	129-131
II-252	Punto de Fusión	162-164
II-253	Punto de Fusión	202-204
II-254	Punto de Fusión	104-106
II-255	Punto de Fusión	118-120
II-256	Punto de Fusión	189-190
II-257	Punto de Fusión	91-92
II-258	Punto de Fusión	195-197
II-259	Punto de Fusión	214-216
II-260	Punto de Fusión	224-226
II-261	Punto de Fusión	181-183
II-262	Punto de Fusión	264-267
II-263	Punto de Fusión	194-196
II-301	Punto de Fusión	222-223
II-302	Punto de Fusión	190-192
II-303	Punto de Fusión	102-103
II-304	Punto de Fusión	183-185
II-305	Punto de Fusión	93-95
II-306	Punto de Fusión	156-157
II-307	Punto de Fusión	227-229
II-317	Punto de Fusión	107-109
II-323	Punto de Fusión	106-108

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[Tabla 130]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
III-2	Punto de Fusión	177-180
III-5	Punto de Fusión	101-103
III-7	Punto de Fusión	105-106
III-12	Índice Refractivo	1.5852
III-13	Punto de Fusión	124-126
III-15	Índice Refractivo	1.5521
III-30	Punto de Fusión	128-130
III-35	Punto de Fusión	198-200
III-40	Punto de Fusión	163-165
III-45	Punto de Fusión	141-142
III-59	Punto de Fusión	87-88
III-70	Punto de Fusión	158-159
III-88	Punto de Fusión	119-121
III-90	Punto de Fusión	126-128
III-96	Punto de Fusión	132-134
III-99	Punto de Fusión	142-144
III-107	Punto de Fusión	178-180
III-108	Punto de Fusión	155-157
III-111	Punto de Fusión	170-172
III-117	Punto de Fusión	185-188
III-118	Punto de Fusión	149-151
III-120	Punto de Fusión	167-168
III-130	Punto de Fusión	184-185
III-139	Punto de Fusión	226-229
III-158	Punto de Fusión	185-187
III-173	Punto de Fusión	202-204
III-189	Punto de Fusión	196-198
III-201	Punto de Fusión	135-138
III-202	Punto de Fusión	197-199
III-207	Punto de Fusión	201-203
III-209	Punto de Fusión	231-233
III-212	Punto de Fusión	93-96
III-213	Punto de Fusión	139-141
III-220	Punto de Fusión	148-151
III-221	Punto de Fusión	182-185
III-229	Punto de Fusión	87-88
III-230	Punto de Fusión	113-115
III-231	Punto de Fusión	143-144
III-232	Punto de Fusión	108-110
III-233	Punto de Fusión	83-85
III-234	Punto de Fusión	168-170
III-235	Punto de Fusión	136-138
III-236	Punto de Fusión	168-171
III-237	Punto de Fusión	128-130
III-238	Punto de Fusión	136-137
III-239	Punto de Fusión	122-124
III-240	Punto de Fusión	222-224

[Tabla 131]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
III-241	Punto de Fusión	159-160
III-242	Punto de Fusión	71-73
III-243	Punto de Fusión	88-89
III-244	Punto de Fusión	178-180
III-245	Punto de Fusión	161-162
III-246	Punto de Fusión	129-131
III-247	Punto de Fusión	133-134

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[Tabla 132]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
IV-1	Punto de Fusión	128-130
IV-2	Punto de Fusión	253-255
IV-3	Punto de Fusión	158-159
IV-4	Punto de Fusión	216-218
IV-6	Punto de Fusión	224-226
IV-7	Punto de Fusión	216-217
IV-8	Punto de Fusión	231-232
IV-9	Punto de Fusión	231-232
IV-11	Punto de Fusión	181-183
IV-13	Punto de Fusión	224-227
IV-17	Punto de Fusión	203-206
IV-18	Punto de Fusión	264-266
IV-19	Punto de Fusión	237-239
IV-20	Punto de Fusión	251-253
IV-21	Punto de Fusión	163-165
IV-23	Punto de Fusión	203-205
IV-24	Punto de Fusión	110-112
IV-30	Punto de Fusión	117-119
IV-33	Punto de Fusión	123-125
IV-34	Punto de Fusión	198-199
IV-39	Punto de Fusión	183-185
IV-40	Punto de Fusión	168-171
IV-41	Punto de Fusión	176-178
IV-44	Punto de Fusión	203-205
IV-52	Punto de Fusión	94-96
IV-57	Punto de Fusión	171-172
IV-62	Punto de Fusión	177-180
IV-68		133-135
IV-69	Punto de Fusión	Medición ineficiente
IV-85	Punto de Fusión	102-104
IV-90	Punto de Fusión	185-186
IV-95	Punto de Fusión	172-174
IV-100	Punto de Fusión	133-136
IV-101	Punto de Fusión	100-102
IV-106	Punto de Fusión	155-156
IV-128	Punto de Fusión	166-169
IV-136	Punto de Fusión	176-178
IV-150	Punto de Fusión	196-197
IV-151	Punto de Fusión	201-202
IV-152	Punto de Fusión	177-178
IV-153	Punto de Fusión	230-232
IV-154	Punto de Fusión	242-245
IV-155	Punto de Fusión	226-228
IV-156	Punto de Fusión	260-261
IV-157	Punto de Fusión	215-217
		121-124

[Tabla 133]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
IV-158	Punto de Fusión	251-253
IV-159	Punto de Fusión	183-185
IV-160	Punto de Fusión	208-211
IV-161	Punto de Fusión	237-240
IV-165	Punto de Fusión	228-231
IV-166	Punto de Fusión	196-199
IV-168	Punto de Fusión	199-201
IV-169	Punto de Fusión	171-173
IV-170	Punto de Fusión	216-217
IV-173	Punto de Fusión	208-210
IV-174	Punto de Fusión	196-197
IV-177	Punto de Fusión	204-207
IV-178	Punto de Fusión	167-169
IV-179	Punto de Fusión	153-155
IV-180	Punto de Fusión	177-179
IV-184	Punto de Fusión	181-182
IV-185	Punto de Fusión	104-106
IV-186	Punto de Fusión	221-223
IV-187	Punto de Fusión	214-216
IV-188	Punto de Fusión	217-219
IV-189	Punto de Fusión	194-196
IV-191	Punto de Fusión	118-120
IV-200	Punto de Fusión	237-239
IV-201	Punto de Fusión	167-168
IV-202	Punto de Fusión	155-157
IV-203	Punto de Fusión	189-191
IV-206	Punto de Fusión	122-125
IV-208	Punto de Fusión	171-172
IV-209	Punto de Fusión	242-245
IV-210	Punto de Fusión	222-224
IV-211		Medición ineficiente
IV-212	Punto de Fusión	208-210
IV-213	Punto de Fusión	177-179
IV-214	Punto de Fusión	219-222
IV-215	Punto de Fusión	176-178
IV-216	Punto de Fusión	118-122
IV-217	Punto de Fusión	231-232
IV-218	Punto de Fusión	180-182
IV-219	Punto de Fusión	200-202
IV-220	Punto de Fusión	225-228
IV-221	Punto de Fusión	185-187
IV-222	Punto de Fusión	185-186
IV-223	Punto de Fusión	210-212
IV-224	Punto de Fusión	254-257
IV-225	Punto de Fusión	167-169
IV-226	Punto de Fusión	186-189
IV-227	Punto de Fusión	200-202
IV-228	Punto de Fusión	182-184
IV-229	Punto de Fusión	177-178
IV-230	Punto de Fusión	142-144

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[Tabla 134]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
IV-231	Punto de Fusión	262-265
IV-232	Punto de Fusión	181-183
IV-233	Punto de Fusión	200-203
IV-234	Punto de Fusión	125-127
IV-235	Punto de Fusión	88-89
IV-236	Punto de Fusión	170-172
IV-237	Punto de Fusión	179-182
IV-238	Punto de Fusión	146-149
IV-239	Punto de Fusión	207-209
IV-240	Punto de Fusión	135-137
IV-241	Punto de Fusión	208-211
IV-242	Punto de Fusión	137-139
IV-243	Punto de Fusión	128-130
IV-244	Punto de Fusión	181-182
IV-245	Punto de Fusión	92-94
IV-246	Punto de Fusión	198-201
IV-247	Punto de Fusión	98-100
IV-248	Punto de Fusión	122-124
IV-249	Punto de Fusión	104-107
IV-250	Punto de Fusión	119-122
IV-251	Punto de Fusión	184-187
IV-252	Punto de Fusión	119-121
IV-253	Punto de Fusión	204-205
IV-254	Punto de Fusión	233-235
IV-255	Punto de Fusión	247-249
IV-256	Punto de Fusión	230-232
IV-257	Punto de Fusión	234-236
IV-258	Punto de Fusión	191-192
IV-259	Punto de Fusión	228-229
IV-260	Punto de Fusión	202-204
IV-261	Punto de Fusión	126-128
IV-262	Punto de Fusión	235-237
IV-263	Punto de Fusión	216-218
IV-264	Punto de Fusión	197-199
IV-265	Punto de Fusión	266-268
IV-266	Punto de Fusión	210-211
IV-267	Punto de Fusión	208-210
IV-268	Punto de Fusión	217-219
IV-269	Punto de Fusión	207-210
IV-270	Punto de Fusión	217-220
IV-271	Punto de Fusión	74-76
IV-273	Punto de Fusión	268-271
IV-274	Punto de Fusión	196-199
IV-284	Punto de Fusión	181-183
IV-285	Punto de Fusión	100-103
IV-286	Punto de Fusión	103-105
IV-287	Punto de Fusión	217-219

[datos RMN]

Para los compuestos Nos. I-15, I-58, I-65, I-93, I-159, I-276, III-12, III-15 y IV-211, los datos ^{1}H-RMN (valor $CDCl_3$/TMS δ (ppm)) se presentan a continuación.

Compuesto No. I-15:

1.02 (3H, t), 1.55 (2H, m), 1.81 (2H, m), 2.07 (2H, t), 2.44 (2H, s), 2.77 (2H, t), 4.27 (2H, t), 7.36 (2H, m), 7.58 (1H, t), 7.86 (1H, d), 16.32 (1H, s).

Compuesto No. I-58:

2.07 (2H, s), 2.42 (2H, s), 2.77 (2H, t), 3.34 (3H, s), 3.51 (2H, s), 3.78 (2H, s), 5.79 (2H, s), 7.35 (1H, t), 7.64 (2H, m), 7.83 (1H, d), 16.27 (1H, s).

Compuesto No. I-65:

1.42-2.09 (4H, m), 2.07 (2H, s), 2.43 (2H, s), 3.01 (2H, s), 3.59-4.05 (5H, m), 5.75 (1H, d), 5.85 (1H, d), 7.36 (1H, t), 7.54-7.65 (2H, m), 7.82 (1H, d), 16.3 (1H, br).

Compuesto No. I-68:

1.41 (3H, t), 2.07 (2H, s), 2.44 (2H, s), 2.77 (2H, t), 4.04 (2H, q), 6.87 (1H, m), 7.02 (1H, d), 7.31 (1H, m), 7.46 (1H, t), 8.18 (1H, d), 8.49 (1H, d), 1.48 (3H, t), 4.13 (2H, q), 7.15 (2H, d), 7.23 (2H, d), 7.56 (1H, m), 8.63 (1H, d), 8.72 (1H, d).

Compuesto No. I-93:

2.00-2.08 (4H, m), 2.43 (2H, br), 2.77 (2H, t), 3.36 (3H, s), 3.47 (2H, t), 4.36 (2H, t), 7.34 (1H, t), 7.50 (1H, d), 7.57 (1H, t), 7.86 (1H, d), 16.33 (1H, br).

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Compuesto No. I-159:

2.07 (2H, t), 2.46 (2H, br), 2.78 (2H, t), 7.25 (1H, s), 7.36 (1H, t), 7.46 (1H, t), 7.61 (1H, d), 7.87 (1H, d), 7.89 (1H, d), 16.23 (1H, br).

Compuesto No. I-276:

2.04 (2H, m), 2.42 (2H, m), 2.53 (2H, m), 3.84 (3H, s), 6.52-6.60 (2H, m), 7.06-7.59 (6H, m), 7.86 (1H, d), 18.1 (1H, s).

Compuesto No. III-12:

1.06 (3H, s), 1.83 (2H, m), 2.08 (2H, t), 2.44 (2H, s), 2.65 (2H, s), 4.41 (2H, t), 7.27 (1H, d), 8.13 (1H, d), 8.61 (1H, d), 16.13 (1H, s).

Compuesto No. III-15:

1.01 (3H, s), 1.52 (2H, m), 1.79 (2H, m), 2.05 (2H, t), 2.45 (2H, s), 2.76 (2H, t), 4.47 (2H, t), 7.28 (1H, d), 8.13 (1H, d), 8.61 (1H, d), 16.16 (1H, s).

Compuesto No: IV-211:

2.06 (2H, t), 2.45 (2H, brs), 2.78 (2H, brs), 3.73 (6H, s), 6.72 (2H, d), 7.25 (1H, d), 7.42 (1H, t), 8.16 (1H, dd), 8.46 (1H, dd), 16.11 (1H, brs).

[Ejemplo de Referencia 1]

(Intermediario de Producción) Producción de ácido 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (No. de Compuesto del Intermediario de Producción: V-2)

(1) Producción de 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 4.0 g (18.3 mmol) de 2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en

N,N-dimetilformamida (100 mL), y bajo una corriente de nitrógeno, se agregaron 0.81 g (20.3 mmol) de hidruro de sodio al 60% (aceitoso), manteniendo al mismo tiempo la temperatura del líquido dentro del rango de 5°C a 10°C. Después de agitar la mezcla durante una hora a temperatura ambiente, se agregó en forma de gotas a la solución de reacción 3.9 g (27.5 mmol) de yodometano. La mezcla se agitó durante un día a temperatura ambiente, y posteriormente la mezcla de reacción se vertió en agua, y se extractó con acetato de etilo. La capa orgánica se lavó con agua y una solución acuosa saturada de cloruro de sodio, y se secó sobre sulfato de sodio anhidro. La materia inorgánica se separó mediante filtración, y posteriormente el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 3:2), para obtener 3.0 g (rendimiento: 71%) de 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.45 (3H, t), 3.74 (3H, s), 4.51 (2H, q), 7.35-7.43 (2H, m), 7.67 (1H, t), 7.96 (1H, d).

(2) Producción de ácido 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxílico

Se disolvieron 3.0 g (12.9 mmol) de 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en

etanol (100 mL), y se agregaron a esto en forma de gotas a temperatura ambiente 4.1 g (25.6 mmol) de una solución acuosa al 25% de hidróxido de sodio. La mezcla se agitó durante un día a temperatura ambiente, y posteriormente la mezcla de reacción se concentró bajo presión reducida. Se agregó agua a la mezcla de reacción, y la solución se acidificó utilizando ácido hidroclórico al 10%, y se extractó con cloroformo. La capa orgánica se secó sobre sulfato de sodio anhidro, y posteriormente la materia inorgánica se separó mediante filtración. Posteriormente, el solvente se destiló bajo presión reducida, para obtener 2.6 g (rendimiento: 99%) de ácido 1-metil-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en la forma de un polvo color amarillo lechoso.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.89 (3H, s), 7.52 (1H, d), 7.58 (1H, t), 7.86 (1H, t), 8.28 (1H, d), 14.23 (1H, br).

[Ejemplo de Referencia 2]

(Intermediario de Producción) Producción de ácido 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico (No. de Compuesto de Intermediario de Producción: VIII-1)

(1) Producción de 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo

Se disolvió 11.68 g (63 mmol) de 3-amino-2-anilinopiridina en etanol (150 mL), y se agregaron a esto 12.07 g (69 mmol) de cetomalonato de dietilo. La mezcla se calentó a temperatura de

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reflujo durante 4 horas mientras se mantuvo en agitación. Después de confirmar el término de la reacción, la solución de reacción se enfrió a temperatura ambiente, y el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó utilizando éter diisopropílico, para obtener 10.74 g (rendimiento: 58%) de 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo en la forma de cristales color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.44 (3H, t), 4.51 (2H, q), 7.30 (2H, d), 7.35 (1H, q), 7.56 (3H, m), 8.30 (1H, q), 8.52 (1H, q).

(2) Producción de ácido 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico

Se disolvieron 10.74 g (36 mmol) de 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo en ácido acético (270 mL), y se agregó a esto a temperatura ambiente ácido hidroclórico 6N. Posteriormente, la mezcla se agitó durante la noche a temperatura ambiente. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida, y se agregó agua (100 mL). El sólido obtenido de esta forma se separó mediante filtración y se secó, para obtener 6.50 g (rendimiento: 67%) de ácido 3-oxo-4-fenil-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico en la forma de cristales color café pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

7.33 (2H, d), 7.57 (1H, m), 7.65 (3H, m), 8.64 (1H, q), 8.70 (1H, q)

[Ejemplo de Referencia 3]

(Intermediario de Producción) Producción de ácido 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico (No. de Compuesto de Intermediario de Producción: VIII-34)

(1) Producción de N-(4-metoxifenil)-3-nitropiridin-2-amina

Se disolvieron 5.0 g (32 mmol) de 2-cloro-3-nitropiridina en 2-etoxietanol (150 mL), y se agregó a esto agua (150 mL). A la solución mezclada, se agregaron 3.9 g (32 mmol) de 4-metoxianilina y ácido hidroclórico 6N (1 mL), y la mezcla se calentó a temperatura de reflujo durante 12 horas mientras se agitó. Después de confirmar el término de la reacción, la solución de reacción se enfrió a temperatura ambiente, y el solvente se destiló bajo presión reducida. El residuo se extractó con acetato de etilo, y se lavó con salmuera saturada, y posteriormente la capa orgánica obtenida se secó sobre sulfato de magnesio anhidro. La materia inorgánica se separó mediante filtración, y posteriormente el solvente se destiló bajo presión reducida, para obtener 6.6 g (rendimiento: 85%) de N-(4-metoxifenil)-3-nitropiridin-2-amina en la forma de cristales color naranja.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.83 (3H, s), 6.78 (1H, q), 6.94 (2H, d), 7.49 (2H, d), 8.44 (1H, q), 8.51 (1H, q), 9.96 (1H, brs)

(2) Producción de 3-amino-2-(4-metoxifenil)aminopiridina

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Se disolvió 6.6 g (27 mmol) de 2-(4-metoxifenil)amino-3-nitropiridina en metanol (150 mL). Se disolvió una solución en la cual se preparó dihidrato de cloruro de estaño (II) en ácido hidroclórico 12N (40 mL), y esta solución se agregó en forma de gotas a la solución de reacción a una temperatura de 0°C durante 5 minutos. La solución de reacción se regresó a temperatura ambiente, y posteriormente se agitó durante 3 horas. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida, y se agregó agua (100 mL). La solución de reacción se ajustó a un pH de 12 utilizando una solución acuosa al 10% de hidróxido de sodio, se filtró en forma subsecuente, y se extractó con diclorometano. La capa orgánica obtenida se secó sobre sulfato de magnesio anhidro, y posteriormente la materia inorgánica se separó mediante filtración. El solvente se destiló bajo presión reducida, para obtener 5.2 g (rendimiento: 90%) de 3-amino-2-(4-metoxifenil)aminopiridina en la forma de cristales color naranja.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.34 (2H, s), 3.79 (3H, s), 6.06 (1H, brs), 6.69 (1H, q), 6.87 (2H, d), 6.97 (1H, q), 7.22 (2H, d), 7.80 (1H, q)

(3) Producción de 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo

Se disolvieron 5.2 g (24 mmol) de

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3-amino-2-(4-metoxifenil)aminopiridina en etanol (150 mL), y se agregó a esto 4.6 g (27 mmol) de cetomalonato de dietilo. La mezcla se calentó a temperatura de reflujo durante 9 horas mientras se mantuvo en agitación. Después de confirmar el término de la reacción, la solución de reacción se enfrió a temperatura ambiente, y el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de columna (solvente de desarrollo: acetato de etilo:hexano = 1:2), para obtener 2.9 g (rendimiento: 37%) de 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo en la forma de cristales color amarillo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.44 (3H, t), 3.88 (2H, s), 4.51 (2H, q), 7.09 (2H, d), 7.22 (2H, d), 7.35 (1H, q), 8.29 (1H, q), 8.54 (1H, q)

(4) Producción de ácido 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico

Se disolvieron 2.9 g (9 mmol) de 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo en 1,4-dioxano (30 mL). Se preparó una solución en la cual se disolvieron 1.8 g (13 mmol) de carbonato de potasio en agua (60 mL), y se agregó a la solución de reacción a temperatura ambiente, y posteriormente la mezcla se agitó durante una hora a una temperatura de 50°C. Después de confirmar el término de la reacción, la solución de reacción se enfrió a temperatura

ambiente, y el solvente se destiló bajo presión reducida. Se agregó agua (50 mL), y posteriormente la solución de reacción se enfrió a una temperatura de 0°C, y se ajustó a un pH de 1 utilizando ácido hidroclórico 6N. En forma subsecuente, el sólido se separó mediante filtración y se secó, para obtener 2.6 g (rendimiento: 98%) de ácido 4-(4-metoxifenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico en la forma de cristales color amarillo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.91 (3H, s), 7.15 (2H, d), 7.23 (2H, d), 7.56 (1H, q), 8.63 (1H, q), 8.72 (1H, q)

[Ejemplo de Referencia 4]

(Intermediario de Producción) Producción de 1-(3-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 2.0 g (9.2 mmol) de 2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en diclorometano (100 mL), y se agregaron a esto 2.8 g (1.8 mmol) de ácido 3-metoxifenilborónico, 3.3 g (1.8 mmol) de acetato de cobre anhidro (II) y 1.4 g (1.8 mmol) de piridina. La mezcla se agitó durante 72 horas a temperatura ambiente. Al término de la reacción, la materia inorgánica se separó mediante filtración, y posteriormente el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de columna (solvente de desarrollo: acetato de etilo:hexano =

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1:1), para obtener 1.2 g (rendimiento: 42%) de 1-(3-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.43 (3H, t), 3.83 (3H, s), 4.51 (2H, q), 6.77-6.88 (2H, m), 7.08 (2H, d), 7.35 (1H, t), 7.39-7.53 (2H, m), 7.98 (1H, d)

[Ejemplo de Referencia 5]

(Intermediario de Producción) Producción de ácido 1-[(3-metilisoxazol-5-il)metil]-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (No. Compuesto de Intermediario de Producción: V-103)

(1) Producción de 2-oxo-1-(2-propinil)-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 9.2 g (62.9 mmol) de 1,2-fenilenodiamina de N-(2-propinilo) y 12.1 g (69.2 mmol) de cetomalonato de dietilo en tolueno (100 mL), y la solución se sometió a reflujo durante 3 horas. Después de confirmar el término de la reacción, la solución de reacción se concentró bajo presión reducida, y la materia sólida obtenida de esta forma se lavó con éter diisopropílico, para obtener 15.0 g (rendimiento: 93%) de 2-oxo-1-(2-propinil)-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.45 (3H, t), 2.32 (1H, t), 4.51 (2H, q), 5.08 (2H, d), 7.43 (1H, t), 7.52 (1H, d), 7.70 (1H, t), 7.97 (1H, d)

(2) Producción de cloroacetaldoxima

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Se disolvieron 10.4 g (77.9 mmol) de N-clorosuccinimida en N,N-dimetilformamida (65 mL), y se agregaron en forma de gotas 3.6 g (60.9 mmol) de acetaldoxima a una temperatura dentro del rango de 0°C a 5°C. La mezcla se agitó durante 3 horas a una temperatura de 10°C. Se agregó agua a la solución de reacción, y la mezcla se extractó con éter dietílico. La capa orgánica se lavó con una solución acuosa saturada de cloruro de sodio, se secó y concentró para obtener cloroacetaldoxima en la forma de un líquido color amarillo. La cloroacetaldoxima obtenida se utilizó en la reacción subsecuente sin purificación.

(3) Producción de 1-[(3-metilisoxazol-5-il)metil]-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 3.0 g (11.7 mmol) de 2-oxo-1-(2-propinil)-1,2-dihidroquinoxalina-3-carboxilato de etilo y 3.28 g (35.1 mmol) de cloroacetaldoxima en tetrahidrofurano (30 mL), y se agregó en forma de gotas 3.55 g (35.1 mmol) de trietilamina a una temperatura dentro del rango de 0°C a 5°C. La mezcla se agitó durante 3 días a temperatura ambiente. Después de confirmar el término de la reacción, el solvente se destiló bajo presión reducida, y el residuo se extractó con acetato de etilo. La capa orgánica se lavó con una solución acuosa de ácido cítrico, se secó sobre sulfato de sodio anhidro, y se concentró. La materia sólida obtenida de esta forma se lavó con éter diisopropílico, para obtener 3.4 g (rendimiento: 93%) de

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1-[(3-metilisoxazol-5-il)metil]-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.45 (3H, t), 2.25 (3H, s), 4.52 (2H, q), 5.52 (2H, s), 6.14 (1H, s), 7.42 (1H, t), 7.52 (1H, d), 7.68 (1H, t), 7.98 (1H, d)

(4) Producción de ácido 1-[(3-metilisoxazol-5-il)metil]-2-oxo-1,2-dihidroquinoxalina-3-carboxílico

Se disolvieron 3.0 g (9.59 mmol) de 1-[(3-metilisoxazol-5-il)metil]-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo y 0.46 g (11.5 mmol) de monohidrato de hidróxido de litio, en una mezcla solvente de etanol (30 mL) y agua (30 mL), y la solución se agitó durante 2 horas a temperatura ambiente. La solución de reacción se concentró hasta la mitad del volumen original, y se agregó agua al residuo. La mezcla se acidificó utilizando ácido hidroclórico al 10%, y posteriormente se extractó con acetato de etilo. La capa orgánica se lavó con agua, se secó sobre sulfato de sodio anhidro, y se concentró, para obtener 2.3 g (rendimiento: 84%) de ácido 1-[(3-metilisoxazol-5-il)metil]-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.28 (3H, s), 5.66 (2H, s), 7.62 (1H, t), 7.71 (1H, d), 7.87 (1H, t), 8.28 (1H, d)

[Ejemplo de Referencia 6]

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Producción de ácido 2-oxo-1-[(2,2,2-trifluoroetoxi)metil]-1,2-dihidroquinoxalina-3-carboxílico (No. de Compuesto de Intermediario de Producción: V-35)

(1) Producción de 1-(metiltiometil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 5.0 g (22.9 mmol) de 2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo, 3.8 g (27.5 mmol) de carbonato de potasio, 4.56 g (27.5 mmol) de yoduro de potasio y 2.43 g (25.2 mmol) de sulfuro de clorometil metilo en acetona (100 mL), y la solución se sometió a reflujo durante 5 horas. Al término de la reacción, el solvente se destiló bajo presión reducida, y al residuo obtenido de esta forma se le agregó una mezcla de agua y acetato de etilo. La mezcla resultante se separó. La capa orgánica se secó sobre sulfato de magnesio anhidro, y la materia inorgánica se separó mediante filtración. El solvente se destiló bajo presión reducida, y el residuo obtenido de esta forma se solidificó utilizando éter dietílico, para obtener 3.5 g (rendimiento: 55%) de 1-(metiltiometil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.44 (3H, t), 2.32 (3H, s), 4.51 (2H, q), 5.39 (2H, s), 7.40 (2H, m), 7.67 (1H, t), 7.98 (1H, d)

(2) Producción de

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1-(clorometil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvió 2.1 g (7.5 mmol) de 1-(metiltiometil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en diclorometano (10 mL), y se agregó en forma de gotas 1.3 g (9.8 mmol) de cloruro de sulfurilo a una temperatura o en debajo de 10°C. La mezcla se agitó durante 2 horas a temperatura ambiente. Al término de la reacción, el solvente se destiló bajo presión reducida, para obtener 1-(clorometil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo. El producto de clorometilación obtenido de esta forma se utilizó en la reacción subsecuente sin purificación.

(3) Producción de 2-oxo-1-[(2,2,2-trifluoroetoxi)metil]-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvió 1.2 g (9.0 mmol) de 2,2,2-trifluoroetanol en N,N-dimetilformamida (20 mL), y se agregó en pequeñas porciones 0.36 (9.0 mmol) de hidruro de sodio al 60% (aceitoso). La mezcla se agitó durante una hora a temperatura ambiente. A esta solución de reacción, se le agregó a una temperatura de o debajo de 10°C, 1-(clorometil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo obtenido previamente. La mezcla se agitó durante 3 horas a temperatura ambiente, y posteriormente se vertió en agua de hielo, y se precipitó de ahí una materia sólida que se recolectó mediante filtración. La materia sólida obtenida de esta forma se

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lavó con agua y posteriormente se secó bajo presión reducida, para obtener 2.0 g (rendimiento: 81%) 2-oxo-1-[(2,2,2-trifluoroetoxi)metil]-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color amarillo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.45 (3H, t), 4.10 (2H, q), 4.52 (2H, q), 5.85 (2H, s), 7.45 (1H, t), 7.54 (1H, d), 7.68 (1H, t), 7.97 (1H, d)

(4) Producción de ácido 2-oxo-1-[(2,2,2-trifluoroetoxi)metil]-1,2-dihidroquinoxalina-3-carboxílico

Se disolvieron 1.5 g (4.54 mmol) de 2-oxo-1-[(2,2,2-trifluoroetoxi)metil]-1,2-dihidroquinoxalina-3-carboxilato de etilo y 0.28 g (6.81 mmol) de monohidrato de hidróxido de litio en una mezcla solvente de etanol (30 mL), y agua (30 mL), y la solución se agitó durante 2 horas a temperatura ambiente. La solución de reacción se concentró a la mitad del volumen original, y posteriormente se agregó agua al residuo. La mezcla se acidificó utilizando ácido hidroclórico al 10%, y posteriormente se extractó con acetato de etilo. La capa orgánica se lavó con agua, se secó sobre sulfato de sodio anhidro, y se concentró, para obtener 2.4 g (rendimiento: 52%) de ácido 2-oxo-1-[(2,2,2-trifluoroetoxi)metil]-1,2-dihidroquinoxalina-3-carboxílico en la forma de un polvo color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

4.14 (2H, q), 5.98 (2H, s), 7.64 (2H, m), 7.86 (1H, t), 8.26 (1H, d)

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[Ejemplo de Referencia 7]

Producción de ácido 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (No. de Compuesto de Intermediario de Producción: VI-134)

(1) Producción de 3-fluoro-N-(4-metoxifenil)-2-nitroanilina

Se disolvieron 20.0 g (126 mmol) de 2,6-difluoronitrobenceno y 17.0 g (138 mmol) de p-anisidina en N,N-dimetilformamida (60 ml), y se agregaron a esto 20.8 g (150 mmol) de carbonato de potasio. La mezcla se calentó con agitación durante 12 horas a una temperatura de 75°C. La mezcla de reacción se vertió en agua, y se extractó con acetato de etilo. La capa orgánica extractada se lavó con agua, ácido hidroclórico al 10%, agua, y una solución acuosa saturada de cloruro de sodio en este orden, se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 1:9), para obtener 21.2 g (rendimiento: 64%) de 3-fluoro-N-(4-metoxifenil)-2-nitroanilina en la forma de un líquido color café.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.84 (s, 3H), 6.50 (t, 1H), 6.73 (d, 1H), 6.94 (d, 2H), 7.15-7.21 (m, 3H), 8.51 (br, 1H)

(2) Producción de 3-fluoro-N^1-(4-metoxifenil)-1,2-fenilenodiamina

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Se disolvieron 21.2 g (80.8 mmol) de 3-fluoro-N-(4-metoxifenil)-2-nitroanilina en etanol (600 ml), y se agregaron a esto 2.1 g de carbono activado con paladio al 5%. La mezcla se agitó durante 12 horas en una atmósfera de hidrógeno. La mezcla de reacción se filtró, y el filtrado se concentró bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 1:4), para obtener 15.4 g (rendimiento: 82%) de 3-fluoro-N^1-(4-metoxifenil)-1,2-fenilenodiamina en la forma de un líquido color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.63 (br, 2H), 3.78 (s, 3H), 5.12 (br, 1H), 6.61-6.83 (m, 7H)

(3) Producción de 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 15.4 g (66.3 mmol) de 3-fluoro-N^1-(4-metoxifenil)-1,2-fenilenodiamina y 11.6 g (66.6 mmol) de cetomalonato de dietilo en benceno (400 ml), y la solución se calentó a reflujo durante 2 horas, mientras se eliminó el agua utilizando un aparato Dean-Stark. La mezcla de reacción se concentró bajo presión reducida, y posteriormente el residuo obtenido de esta forma se disolvió en acetato de etilo, y se lavó con agua, ácido hidroclórico al 10% y una solución acuosa saturada de cloruro de sodio. La capa orgánica se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión

reducida. El residuo obtenido de esta forma se recristalizó a partir de etanol, para obtener 14.5 g (rendimiento: 64%) de 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de cristales acirculares color magenta pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.43 (t, 3H), 3.89 (s, 3H), 4.50 (q, 2H), 6.56 (d, 1H), 7.05-7.22 (m, 5H), 7.39 (m, 1H)

(4) Producción de ácido 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico

Se disolvieron 14.3 g (41.8 mmol) de 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en etanol (100 ml), y se agregaron 10.0 g (62.5 mmol) de una solución acuosa al 25% de hidróxido de sodio. La mezcla se agitó durante 2 horas a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida, y se agregó agua al residuo obtenido de esta forma. La mezcla se acidificó utilizando ácido hidroclórico al 10%. Se separó un sólido precipitado de ahí mediante filtración, se lavó con agua, y posteriormente se secó, para obtener 11.1 g (rendimiento: 84%) de ácido 5-fluoro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en la forma de un polvo color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.93 (s, 3H), 6.71 (d, 1H), 7.15-7.29 (m, 5H), 7.60 (m, 1H)

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[Ejemplo de Referencia 8]

Producción de ácido 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (No. de Compuesto de Intermediario de Producción: VI-142)

(1) Producción de 3-cloro-1,2-fenilenodiamina

Se disolvieron 12.5 g (72.4 mmol) de 3-cloro-nitroanilina en metanol (250 ml), y se agregó en forma de gotas una solución preparada disolviendo 57.2 g (253 mmol) de dihidrato cloruro de estaño (II) en ácido hidroclórico concentrado (75 mL). La mezcla de reacción se calentó con agitación durante 3 horas, y se concentró bajo presión reducida. Se agregó agua al residuo obtenido de esta forma, y la solución se alcalinizó con una solución acuosa al 25% de hidróxido de sodio, y se extractó con cloruro de metileno. La capa orgánica extractada se secó sobre sulfato de magnesio anhidro, y posteriormente se concentró bajo presión reducida, para obtener 10.5 g (rendimiento > 99%) de 3-cloro-1,2-fenilenodiamina en la forma de un líquido de color magenta pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.58 (br, 4H), 6.60-6.65 (m, 2H), 6.85 (m, 1H)

(2) Producción de 5-cloro-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 10.5 g (73.6 mmol) de 3-cloro-1,2-fenilenodiamina y 12.8 g (73.5 mmol) de cetomalonato de dietilo en etanol, y la solución se calentó a temperatura de

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reflujo durante 3 horas. Mientras se calentó a reflujo, se agregó etanol a esto hasta que los cristales precipitados se disolvieron. La mezcla de reacción se enfrió a temperatura ambiente, y posteriormente los cristales precipitados se separaron mediante filtración. La pasta del filtro se lavó con etanol frío, y posteriormente se secó, para obtener 10.5 g (rendimiento: 51%) de 5-cloro-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de cristales acirculares color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.44 (t, 3H), 4.51 (q, 2H), 7.26-7.46 (m, 3H), 12.79 (br, 1H)

(3) Producción de 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

A una pasta que contiene 5.7 g (22.6 mmol), de 5-cloro-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo, 6.9 g (45.4 mmol) de ácido 4-metoxifenilborónico, 8.2 g (45.1 mmol) de acetato de cobre anhidro (II) y cloroformo (200 ml), 3.6 g (45.5 mmol) de piridina y 4.6 g (45.5 mmol) de trietilamina se agregaron en forma de gotas, y la mezcla se agitó durante 72 horas a temperatura ambiente. La mezcla de reacción se lavó con ácido hidroclórico al 10%, se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida. El residuo obtenido de esta forma se aisló mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 2:3), y el sólido aislado se lavó con éter diisopropílico, para obtener 6.3 g (rendimiento: 78%)

de 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.43 (t, 3H), 3.89 (s, 3H), 4.51 (q, 2H), 6.69 (d, 1H), 7.11 (d, 2H), 7.18 (d, 2H), 7.33 (t, 1H), 7.44 (d, 1H)

(4) Producción de ácido 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico

Se disolvieron 7.7 g (21.5 mmol) de 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en etanol (100 ml), y se agregaron 6.9 g (43.1 mmol) de una solución acuosa al 25% de hidróxido de sodio. La mezcla se agitó durante 12 horas a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida, se agregó agua al residuo obtenido de esta forma, y la mezcla se acidificó utilizando ácido hidroclórico al 10%. Se separó mediante filtración un sólido precipitado de ahí, se separó mediante filtración, se lavó con agua, y posteriormente se secó, para obtener 6.7 g (rendimiento: 94%) de ácido 5-cloro-1-(4-metoxifenil)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico en la forma de un polvo color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.93 (s, 3H), 6.82 (d, 1H), 7.17 (d, 2H), 7.22 (d, 2H), 7.55 (t, 1H), 7.62 (d, 1H)

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[Ejemplo de Referencia 9]

Producción de ácido 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (Intermediario de Producción del Compuesto No. V-155)

(1) Producción de N-(2-nitrofenil)benzo[d][1,3]dioxol-5-ilamina

Se disolvieron 9.3 g (66 mmol) de 2-fluoro-nitrobenceno y 10 g (73 mmol) de benzo[d][1,3]dioxol-5-ilamina en N,N-dimetilformamida (60 mL) y se agregaron 10.9 g (79 mmol) de carbonato de potasio. La solución mezclada se calentó a temperatura de reflujo durante 10 horas mientras se agitó. La mezcla de reacción se vertió en agua, y se extractó con acetato de etilo. La capa orgánica extractada se lavó con una solución acuosa saturada de cloruro de sodio, se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 1:3), para obtener 11 g (rendimiento: 65%) de N-(2-nitrofenil)benzo[d][1,3]dioxol-5-ilamina en la forma de cristales color púrpura-rojo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

6.02 (1H, s), 6.76-7.87 (3H, m), 7.23 (1H, d), 7.46 (1H, d), 7.62 (1H, d), 7.99 (1H, d), 8.47 (1H, s)

(2) Producción de N-(benzo[d][1,3]dioxol-5-il)benceno-1,2-diamina

Se agregaron 13.6 g (244 mmol) de polvo de hierro y 10.5 g (40 mmol) de N-(2-nitrofenil)benzo[d][1,3]dioxol-5-ilamina a una mezcla de solvente de acetato de etilo (130 ml), agua (65 mL) y ácido acético (15 mL), y la mezcla se agitó durante 1 hora a una temperatura de 70°C. La solución de reacción se enfrió a temperatura ambiente, y el desecho se separó mediante filtración utilizando un auxiliar de filtro. La capa orgánica del filtrado se hizo en lotes, se lavó con agua, y se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida para obtener 9.2 g (rendimiento: 99%) de N-(benzo[d][1,3]dioxol-5-il)benceno-1,2-diamina en la forma de cristales color blanco.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.72 (2H, brs), 5.02 (1H, brs), 5.89 (2H, s), 6.20-6.24 (1H, m), 6.38-6.39 (1H, m), 6.67 (1H, d), 6.71-6.80 (2H, m), 6.94-7.05 (2H, m)

(3) Producción de 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 9.2 g (40 mmol) de N-(benzo[d][1,3]dioxol-5-il)benceno-1,2-diamina en tolueno (200 mL), y se agregaron 8.4 g (48 mmol) de cetomalonato de dietilo. La mezcla se calentó a reflujo durante 2 horas mientras se eliminó el agua utilizando un aparato Dean-Stark. La solución de reacción se enfrió a temperatura ambiente, y el solvente se destiló bajo

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presión reducida. El residuo obtenido de esta forma se solidificó utilizando etanol para obtener 13.6 g (rendimiento: 49%) de 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un cristal amarillo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.44 (3H, t), 4.50 (2H, q), 6.09 (2H, d), 6.74-6.77 (2H, m), 6.83 (1H, d), 7.01 (1H, d), 7.36 (1H, t), 7.97 (1H, d)

(4) Producción de ácido 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-2-carboxílico

Se disolvieron 6.7 g (18 mmol) de 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo y 0.9 g (21 mmol) de monohidrato de hidróxido de litio en una mezcla solvente de etanol (60 mL) y agua (60 mL), y la solución se agitó durante 2 horas a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida y se agregó agua al residuo obtenido de esta forma. La mezcla se acidificó utilizando ácido hidroclórico al 10%. Un sólido precipitado de ahí se separó mediante filtración, se lavó con agua y posteriormente se secó para obtener 5.0 g (rendimiento: 93%) de ácido 1-(benzo[d][1,3]dioxol-5-il)-2-oxo-1,2-dihidroquinoxalina-2-carboxílico en la forma de cristales amarillos.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

6.15 (2H, s), 6.73 (1H, d), 6.88 (1H, d), 7.05 (1H, s), 7.13 (1H, d),

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7.40 (1H, t), 7.52 (1H, t), 7.89 (1H, d)

[Ejemplo de Referencia 10]

Producción de ácido 1-(2,3-dihidrobenzo[b][1.4]dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (Intermediario de Producción del Compuesto No. V-158)

(1) Producción de N-(2-nitrofenil)-2,3-dihidrobenzo[b][1,4]dioxin-6-amina

5.9 g (39 mmol) de 2,3-dihidrobenzo[b]1,4-dioxin-6-amina y 5.0 g (35 mmol) de 2-fluoronitrobenceno se disolvieron en N-metilpirrolidona (70 mL) y se agregaron a esto 20.8 g (150 mmol) de carbonato de potasio. El solvente se calentó con agitación durante 10 horas a una temperatura de 120°C. La mezcla de reacción se vertió en agua, y se extractó con acetato de etilo. La capa orgánica extractada se lavó con agua, ácido hidroclórico al 10%, agua, y una solución acuosa saturada de cloruro de sodio en este orden, se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 1:4), para obtener 6.4 g (rendimiento: 66%) de N-(2-nitrofenil)-2,3-dihidrobenzo[b][1,4]dioxin-6-amina en la forma de un líquido color rojo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

4.29 (4H, s), 6.70-6.76 (2H, m), 6.81 (1H, d), 6.90 (1H, d), 7.09

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(1H, d), 7.33 (1H, t), 8.18 (1H, d), 8.18 (1H, d), 9.36 (1H, s)

(2) Producción de N-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)benceno-1,2-diamina

Una mezcla de 6.3 g (23 mmol) de N-(2-nitrofenil)-2,3-dihidrobenzo[b][1,4]dioxin-6-amina, 9.0 g (161 mmol) de polvo de hierro, ácido acético (1 ml), agua (35 ml) y tolueno (70 ml) se calentaron a reflujo durante 8 horas. La mezcla de reacción se filtró para separar el desecho. La capa orgánica del filtrado se elaboró en lotes, se lavó con agua, se secó sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida para obtener 5.1 g (rendimiento: 91%) de N-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)benceno-1,2-diamina) en la forma de un líquido color café.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

3.71 (2H, br), 4.18-4.25 (4H, m), 4.97 (1H, br), 6.29-6.32 (2H, m), 6.70-6.79 (3H, m), 6.95 (1H, t), 7.06 (1H, d)

(3) Producción de 1-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 5.0 g (21 mmol) de N-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)benceno-1,2-diamina y 3.9 g (22 mmol) de cetomalonato de dietilo en tolueno (60 ml), y la solución se calentó a temperatura de reflujo durante 12 horas, eliminando al mismo tiempo el agua utilizando un aparato Dean-Stark. La solución de reacción se lavó con agua, se secó

sobre sulfato de magnesio anhidro, y se concentró bajo presión reducida. El residuo obtenido de esta forma se purificó mediante cromatografía de gel de sílice (solvente de desarrollo: acetato de etilo:hexano = 2:3) para obtener 4.6 g (rendimiento: 63%) de 1-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en la forma de un polvo color amarillo lechoso.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.43 (3H, t), 4.30-4.36 (4H, m), 4.50 (2H, q), 6.74-6.85 (3H, m), 7.06 (1H, d), 7.32-7.49 (2H, m), 7.97 (1H, d)

(4) Producción de ácido 1-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico

Se disolvió 4.5 g (13 mmol) de 1-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en etanol (100 ml), y se agregaron a esto 4.1 g (26 mmol) de una solución acuosa al 25% de hidróxido de sodio. La solución se agitó durante 2 horas a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida y se agregó agua al residuo obtenido de esta forma. La mezcla se acidificó utilizando ácido hidroclórico al 10%. Un precipitado sólido se separó mediante filtración, se lavó con agua y posteriormente se secó para obtener 3.9 g (rendimiento: 94%) de ácido 1-(2,3-dihidrobenzo[b][1,4]dioxin-6-il)-2-oxo-1,2-dihidroquinoxali

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na-3-carboxílico en la forma de un polvo color amarillo pálido.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

4.35-4.39 (4H, m), 6.77 (1H, d), 6.85 (1H, s), 6.99 (1H, d), 7.14 (1H, d), 7.53-7.67 (2H, m), 8.28 (1H, d)

[Ejemplo de Referencia 11]

Producción de ácido 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico (No. de Compuesto del Intermediario de Producción: VIII-164)

(1) Producción de N-(3-fluoro-4-metilfenil)-3-nitro-2-piridilamina

Se disolvió 45 g (0.28 mmol) de 2-cloro-3-nitropiridina en 2-etoxietanol (300 ml), y se agregaron a esto 36 g (0.28 mmol) de 3-fluoro-4-metilanilina, ácido hidroclórico-12N y agua (300 ml). La solución mezclada se calentó a temperatura de reflujo durante 24 horas mientras se agitó. La solución de reacción se enfrió a temperatura ambiente, y el solvente se destiló bajo presión reducida. La solución se extractó con acetato de etilo, y se lavó con salmuera saturada, y posteriormente la capa orgánica obtenida se secó sobre sulfato de magnesio anhidro. La mezcla se concentró bajo presión reducida, y el residuo obtenido de esta forma se lavó con éter diisopropílico para obtener 57 g (rendimiento: 82%) de N-(3-fluoro-4-metilfenil)-3-nitro-2-piridilamina.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

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2.27 (3H, d), 6.85 (1H, q), 7.16 (2H, d), 7.60 (1H, d), 8.50 (1H, m), 8.54 (1H, d), 10.10 (1H, brs)

(2) Producción de N^2-(3-fluoro-4-metilfenil)-2,3-piridinadiamina

Se disolvieron 57 g (0.23 mol) de N-(3-fluoro-4-metilfenil)-3-nitro-2-piridilamina en acetato de etilo (250 ml), y agua (125 ml) y se agregaron a esto ácido acético (80 ml), y la solución se calentó a una temperatura de 50°C. Se agregaron 77 g (1.40 mol) de polvo de hierro a la solución de reacción de modo que la temperatura de la misma no podía exceder de 60°C, y posteriormente se agitó durante 1 hora a una temperatura de 60°C. La solución de reacción se enfrió a temperatura ambiente, y la materia inorgánica se separó mediante filtración. La solución se extractó con acetato de etilo, y se lavó con salmuera saturada, y posteriormente la capa orgánica obtenida se secó sobre sulfato de magnesio anhidro. El solvente se destiló bajo presión reducida, y el residuo obtenido de esta forma se lavó con hexano para obtener 45 g (rendimiento: 90%) de N^2-(3-fluoro-4-metilfenil)-2,3-piridinadiamina.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.20 (3H, d), 3.27 (2H, s), 6.26 (1H, brs), 6.74-6.86 (2H, m), 6.98-7.14 (3H, m), 7.83 (1H, dd)

(3) Producción de 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo

Se disolvieron 46 g (0.21 mol) de N^2-(3-fluoro-4-metilfenil)-2,3-piridinadiamina en tolueno (400 ml), y se agregaron a esto 40 g (0.23 mol) de cetomalonato de dietilo y 92 g de cernidor molecular 4A. La solución se calentó a temperatura de reflujo durante 12 horas eliminando al mismo tiempo el agua utilizando un aparato Dean-Stark. La solución de reacción se enfrió a temperatura ambiente, se filtró el material inorgánico y el solvente se destiló bajo presión reducida. El residuo obtenido de esta forma se lavó con éter diisopropílico para obtener 50 g (rendimiento: 73%) de 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.44 (3H, t), 2.37 (3H, d), 4.52 (2H, q), 7.01 (2H, d), 7.35-7.42 (2H, m), 8.30 (1H, dd), 8.54 (1H, d)

(4) Producción de ácido 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico

Se disolvieron 45 g (0.14 mol) de 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxilato de etilo en 1,4-dioxano (300 ml), y se agregó a esto una solución de 39 g (0.28 mol) de carbonato de potasio y agua (300 ml). La solución se agitó durante 1 hora a una temperatura de 60°C. La solución de reacción se enfrió a temperatura ambiente, y el solvente se destiló bajo presión reducida. Se agregó agua al

residuo obtenido de esta forma y se lavó con cloroformo. Posteriormente, la capa acuosa se ajustó a un pH de 1 agregando ácido hidroclórico 6N, y la capa acuosa se extractó nuevamente con cloroformo. Se agregó sulfato de magnesio anhidro a la capa orgánica para secar la capa, y el solvente se destiló bajo presión reducida para obtener 32 g (rendimiento: 76%) de ácido 4-(3-fluoro-4-metilfenil)-3-oxo-3,4-dihidropirido[2,3-b]pirazina-2-carboxílico.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.41 (3H, d), 7.04 (2H, d), 7.48 (1H, t), 7.55-7.60 (1H, m), 8.63 (1H, dd), 8.70-8.72 (1H, m)

[Ejemplo de Referencia 12]

Producción de ácido 1-(6-metilpiridina-2-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico (Intermediario de Producción del Compuesto No. V-127)

(1) Producción de N^1-(difenilmetileno)-N^2-(6-metilpiridina-2-il)benceno-1,2-diamina

Se disolvió 37.8 g (0.11 mol) de 2-bromo-N-(difenilmetileno)anilina en tolueno (100 ml), y se agregaron 14.6 g (0.13 mol) de 2-amino-6-picolina, 5.2 g (5.5 mmol) de tris(dibencilidenoacetona)paladio(0), 11.2 g (17.6 mmol) de 2,2'-bis(difenilfosfino)-1,1'-binaftilo, y 15.2 g (0.15 mol) de t-butóxido de sodio. La mezcla se agitó durante 2 horas a una temperatura de 100°C. La mezcla de reacción se vertió en agua, y se extractó con acetato de etilo. La capa orgánica se lavó con

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salmuera saturada y se secó sobre sulfato de magnesio anhidro. El solvente se destiló bajo presión reducida y el residuo se lavó con metanol para obtener 42.1 g (rendimiento: > 99%) de N^1-(difenilmetileno)-N^2-(6-metilpiridina-2-il)benceno-1,2-diamina.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.44 (3H, s), 6.32 (1H, d), 6.64 (3H, m), 6.95 (2H, m), 7.14 (2H, d), 7.25-7.51 (7H, m), 7.75 (2H, d), 7.96 (1H, m)

(2) Producción de N-(6-metilpiridina-2-il)benceno-1,2-diamina

Se disolvieron 42.1 g (0.11 mol) de N^1-(difenilmetileno)-N^2-(6-metilpiridina-2-il)benceno-1,2-diamina en tetrahidrofurano (100 ml), y se agregó ácido hidroclórico al 10% (45 ml), y se agitó durante 2 horas a temperatura ambiente. El solvente se destiló bajo presión reducida, y se agregó agua al residuo obtenido de esta forma y se lavó con acetato de etilo. Posteriormente, la capa acuosa se ajustó a un pH >11, y la capa acuosa se extractó con cloruro de metileno. La capa orgánica se lavó con salmuera saturada, y se secó sobre sulfato de magnesio anhidro, y posteriormente el solvente se destiló bajo presión reducida para obtener 19.8 g (rendimiento: 83%) de N-(6-metilpiridina-2-il)benceno-1,2-diamina.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.43 (3H, s), 3.86 (2H, s), 5.99 (1H, s), 6.21 (1H, d), 6.57 (1H, d), 6.80 (2H, m), 7.05 (1H, t), 7.16 (1H, d), 7.33 (1H, t)

(3) Producción de 1-(6-metilpiridina-2-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo

Se disolvieron 19.8 g (0.1 mol) de N-(6-metilpiridina-2-il)benceno-1,2-diamina en tolueno (100 ml), y se agregaron a esto 19.0 g (0.11 mol) de cetomalonato de dietilo y 57.1 g de cernidor molecular 4A. La solución se calentó a temperatura de reflujo durante 3 horas, eliminando al mismo tiempo el agua utilizando un aparato Dean-Stark. La mezcla de reacción se filtró, y el filtrado se concentró bajo presión reducida para obtener 27.2 g (rendimiento: 89%) de 1-(6-metilpiridina-2-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

1.42 (3H, t), 2.64 (3H, s), 4.51 (2H, q), 4.51 (2H, q), 6.65 (1H, d), 7.24 (1H, d), 7.43 (3H, m), 7.90 (1H, t), 8.00 (1H, d)

(4) Producción de ácido 1-(6-metilpiridina-2-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico

Se disolvió 27.2 g (88 mmol) de 1-(6-metilpiridina-2-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxilato de etilo en 1,4-dioxano (50 ml) y se agregó a esto agua (50 ml) y 18.3 g (0.13 mol) de carbonato de potasio, y la solución se agitó durante 1 hora a una temperatura de 60°C. El solvente se destiló bajo presión reducida y la capa acuosa se ajustó a un pH <4. Se

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filtraron los cristales precipitados para obtener 23.3 g (rendimiento: 94%) de ácido 1-(6-metilpiridina-2-il)-2-oxo-1,2-dihidroquinoxalina-3-carboxílico.

^{1}H-RMN ($CDCl_3$/TMS δ (ppm)):

2.55 (3H, s), 6.57 (1H, d), 7.47 (4H, m), 7.94 (1H, d), 8.07 (1H, t)

Los valores de propiedad de los intermediarios de producción obtenidos en los Ejemplos de Referencia 1 a 12 anteriores, y los intermediarios de producción producidos en la misma forma que en estos Ejemplos de Referencia se presentan en las Tablas de la 135 a la 137.

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[Tabla 135]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
V-2	Punto de Fusión	175-176
V-3	Punto de Fusión	173-175
V-4	Punto de Fusión	165-167
V-5	Punto de Fusión	189-190
V-6	Punto de Fusión	204-207
V-10	Punto de Fusión	253-256
V-37	Punto de Fusión	125-127
V-42	Punto de Fusión	177-179
V-52	Punto de Fusión	179-181
V-97	Punto de Fusión	171-173
V-102	Punto de Fusión	165-167
V-103	Punto de Fusión	152-154
V-120	Punto de Fusión	191-193
V-121	Punto de Fusión	291-293
V-125	Punto de Fusión	176-178
V-127	Punto de Fusión	185-186
V-130	Punto de Fusión	164-166
V-131	Punto de Fusión	159-161
V-132	Punto de Fusión	156-159
V-133	Punto de Fusión	167-169
V-134	Punto de Fusión	185-188
V-136	Punto de Fusión	173-176
V-139	Punto de Fusión	161-163
V-155	Punto de Fusión	183-185
V-158	Punto de Fusión	197-198
V-164	Punto de Fusión	158-160
V-169	Punto de Fusión	190-192
V-170	Punto de Fusión	175-177
V-172	Punto de Fusión	185-186
V-174	Punto de Fusión	190-192
V-176	Punto de Fusión	204-205
V-178	Punto de Fusión	164-166
V-189	Punto de Fusión	207-208
V-191	Punto de Fusión	209-210
V-193	Punto de Fusión	207-209
V-195	Punto de Fusión	214-216
V-198	Punto de Fusión	181-183

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[Tabla 136]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
V-207	Punto de Fusión	145-147
V-212	Punto de Fusión	187-189
V-213	Punto de Fusión	170-172
V-214	Punto de Fusión	172-173
V-217	Punto de Fusión	210-212
V-221	Punto de Fusión	187-188
V-222	Punto de Fusión	173-175
V-223	Punto de Fusión	167-169
V-224	Punto de Fusión	197-199
V-225	Punto de Fusión	179-180
V-305	Punto de Fusión	170-173
V-306	Punto de Fusión	138-140
V-307	Punto de Fusión	181-183
V-308	Punto de Fusión	202-204
V-311	Punto de Fusión	187-188
V-313	Punto de Fusión	163-165
V-314	Punto de Fusión	140-143
V-315	Punto de Fusión	167-169
V-316	Punto de Fusión	197-199
V-317	Punto de Fusión	180-182
V-318		201-203
VI-34	Punto de Fusión	173-175
VI-54	Punto de Fusión	162-163
VI-77	Punto de Fusión	183-185
VI-122	Punto de Fusión	176-178
VI-135	Punto de Fusión	195-197
VI-136	Punto de Fusión	191-192
VI-137		165-166
VI-138	Punto de Fusión	182-184
VI-141	Punto de Fusión	159-161
VI-142	Punto de Fusión	198-200
VI-154		163-165
VI-156	Punto de Fusión	179-180
VI-161	Punto de Fusión	182-183
VI-163	Punto de Fusión	196-198
VI-167	Punto de Fusión	154-156
VI-170		185-187
VI-171	Punto de Fusión	208-209
VI-174	Punto de Fusión	220-222
VI-175	Punto de Fusión	156-159
VI-177		200-201
VI-179	Punto de Fusión	175-176
VI-180	Punto de Fusión	199-200
VI-181	Punto de Fusión	174-176
VI-182		186-189
VI-184	Punto de Fusión	182-184

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[Tabla 137]

Compuesto No.	Punto de Fusión(°C) o Índice Refractivo (n_D^{20})	
VI-187	Punto de Fusión	192-193
VI-188	Punto de Fusión	186-187
VI-190	Punto de Fusión	193-194
VI-191	Punto de Fusión	>300
VI-192	Punto de Fusión	99-101
VI-193	Punto de Fusión	177-180
VI-194	Punto de Fusión	177-178
VI-196	Punto de Fusión	166-168
VI-197	Punto de Fusión	184-186
VI-199	Punto de Fusión	164-165
VI-200	Punto de Fusión	200-201
VI-201	Punto de Fusión	192-195
VI-239	Punto de Fusión	195-196
VI-240	Punto de Fusión	155-157
VI-241	Punto de Fusión	141-143
VI-244	Punto de Fusión	215-217
VI-245	Punto de Fusión	183-184
VI-246	Punto de Fusión	197-198
VI-247	Punto de Fusión	198-200
VII-3	Punto de Fusión	143-144
VII-4	Punto de Fusión	144-147
VII-5	Punto de Fusión	157-158
VII-7	Punto de Fusión	119-120
VII-8	Punto de Fusión	140-141
VII-61	Punto de Fusión	127-129
VII-78	Punto de Fusión	131-132
VII-79	Punto de Fusión	159-160
VII-82	Punto de Fusión	162-165
VII-88	Punto de Fusión	182-184
VII-89	Punto de Fusión	176-178
VII-126	Punto de Fusión	232-235
VII-128	Punto de Fusión	161-163
VII-175	Punto de Fusión	160-162
VIII-1	Punto de Fusión	178-179
VIII-7	Punto de Fusión	159-161
VIII-13	Punto de Fusión	182-183
VIII-27	Punto de Fusión	104-107
VIII-125	Punto de Fusión	123-126

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(Datos RMN)

Para Compuestos Nos. V-7, V-14, V-29, V-35, V-40, V-51, V-58, V-60, V-61, V-62, V-64, V-71, V-72, V-73, V-101, V-113, V-117, V-119, V-152, V-154, V-156, V-158, V-160, V-162, V-179, V-186, V-197, V-205, V-211, V-216, V-217, V-218, V-226, V-310, V-319, VI-1, VI-6, VI-7, VI-13, VI-21, VI-27, VI-31, VI-33, VI-36, VI-37, VI-49, VI-54, VI-55, VI-57, VI-88, VI-94, VI-115, VI-116, VI-117, VI-121, VI-122, VI-123, VI-125, VI-133, VI-134, VI-144, VI-150, VI-152, VI-153, VI-156, VI-157, VI-159, VI-160, VI-161, VI-162, VI-165, VI-170, VI-173, VI-185, VI-189, VI-195, VI-198, VI-242, VI-243, VI-248, VII-59, VII-67, VII-91, VII-97, VII-102, VII-153, VII-154, VII-156, VII-171, VII-173, VII-176, VII-177, VII-178, VII-180, VII-181, VII-185, VII-186, VII-187, VII-188, VIII-2, VIII-3, VIII-4, VIII-5, VIII-6, VIII-11, VIII-12, VIII-15, VIII-16, VIII-22, VIII-28, VIII-53, VIII-54, VIII-55, VIII-56, VIII-57, VIII-58, VIII-76, VIII-84, VIII-98, VIII-99, VIII-100, VIII-101, VIII-102, VIII-103, VIII-104, VIII-106, VIII-107, VIII-108, VIII-109, VIII-113, VIII-114, VIII-116, VIII-117, VIII-118, VIII-121, VIII-126, VIII-127, VIII-128, VIII-132, VIII-133, VIII-134, VIII-135, VIII-136, VIII-137, VIII-139, VIII-142, VIII-143, VIII-144, VIII-145, VIII-150, VIII-152, VIII-153, VIII-154, VIII-155, VIII-156, VIII-157, VIII-158, VIII-159, VIII-160, VIII-161, VIII-162, VIII-163, VIII-164, VIII-165, VIII-166, VIII-167, VIII-168, VIII-169, VIII-170, VIII-171, VIII-172, VIII-173, VIII-174, VIII-175, VIII-176, VIII-177, VIII-178, VIII-179, VIII-180, VIII-181, VIII-182, VIII-183, VIII-184, VIII-185, VIII-187,

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VIII-190, VIII-192, VIII-193, VIII-194, VIII-195, VIII-196, VIII-197, VIII-198, VIII-199, VIII-200, VIII-201, VIII-202, VIII-203, VIII-204, VIII-208, VIII-209, VIII-210, VIII-211, VIII-212, VIII-213, VIII-214, VIII-215, VIII-216 y VIII-218, los datos ^{1}H-RMN ($CDCl_3$/TMS δ (ppm) valor) se presentan a continuación.

Compuesto No. V-7,

1.04 (3H, t), 1.43-1.56 (2H, m), 1.78-1.86 (2H, m), 4.41 (2H, t), 7.51 (1H, d), 7.58 (1H, dd), 7.84 (1H, m), 8.28 (1H, dd), 14.33 (1H, brs)

Compuesto No. V-14,

0.92 (3H, t), 1.35-1.38 (4H, m), 1.49 (2H, m), 1.83 (2H, m), 4.39 (2H, t), 7.51 (1H, d), 7.58 (1H, m), 7.84 (1H, m), 8.28 (1H, d), 14.33 (1H, brs)

Compuesto No. V-29,

3.49 (3H, s), 5.86 (2H, s), 7.59 (1H, t), 7.70 (1H, d), 7.83 (1H, t), 8.25 (1H, dd)

Compuesto No. V-35,

4.14 (2H, q), 5.98 (2H, s), 7.60 - 7.68 (2H, m), 7.86 (1H, t), 8.26 (1H, d)

Compuesto No. V-40,

2.65 (2H, t), 3.93 (2H, t), 5.95 (2H, s), 7.62 (1H, t), 7.71 (1H, d), 7.86 (1H, t), 8.26 (1H, dd), 13.66 (1H, bs)

Compuesto No. V-51,

3.18 (3H, s), 5.86 (2H, s), 7.50 (1H, m), 7.72-7.80 (2H, m), 7.91 (1H, d)

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Compuesto No. V-58,

3.33 (3H, s), 3.84 (2H, t), 4.62 (2H, t), 7.59 (1H, t), 7.71 (1H, d), 7.82 (1H, t), 8.25 (1H, dd), 14.20 (1H, bs)

Compuesto No. V-60,

1.68 (3H, d), 3.31 (3H, s), 3.79-3.84 (1H, m), 4.21-4.28 (1H, m), 4.87-5.28 (1H, m), 7.55 (1H, t), 7.72 (1H, d), 7.79 (1H, t), 8.26 (1H, dd), 14.28 (1H, bs)

Compuesto No. V-61,

2.28 (3H, s), 2.92 (2H, m), 4.63 (2H, m), 7.51-7.62 (2H, m), 7.86 (1H, m), 8.28 (1H, dd)

Compuesto No. V-62,

3.11 (3H, s), 3.54 (2H, t), 4.89 (2H, t), 7.61-7.69 (2H, m), 7.91 (1H, dd), 8.30 (1H, d)

Compuesto No. V-64,

2.44 (3H, s), 5.26 (2H, t), 7.15 (1H, d), 7.58 (1H, t), 7.78 (1H, t), 8.27 (1H, dd), 13.70 (1H, bs)

Compuesto No. V-71,

3.66 - 3.78 (6H, m), 3.84 - 3.87 (3H, m), 7.31 (1H, d), 7.53 (1H, t), 7.77 (1H, t), 8.15 (1H, dd)

Compuesto No. V-72,

5.38 (2H, t), 7.50 - 7.57 (2H, m), 7.80 (1H, t), 8.04 (1H, dd)

Compuesto No. V-73,

2.99 (2H, t), 4.55 (2H, t), 7.46 (1H, t), 7.43 (1H, t), 7.82 (1H, d), 7.89 (1H, d), 13.98 (1H, bs)

Compuesto No. V-101,

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7.04 (1H, d), 7.11 (1H, d), 7.52-7.54 (2H, m), 7.57-7.71 (2H, m), 8.29 (1H, d)

Compuesto No. V-113,

7.08 (1H, d), 7.61 (1H, t), 7.71 (1H, t), 7.77 (1H, d), 8.04 (1H, d), 8.30 (1H, d) 342

Compuesto No. V-117,

2.84 (3H, s), 6.98 (1H, d), 7.62 (1H, t), 7.74 (1H, t), 8.30 (1H, d)

Compuesto No. 7-1190

3.91 (3H, s), 6.90 (1H, d), 7.67 (1H, t), 7.79 (1H, t), 8.31 (1H, d)

Compuesto No. V-152,

6.74 (1H, d), 7.64 (2H, m), 8.33 (1H, d), 8.85 (2H, s), 8.93 (1H, s), 13.32 (1H, bs)

Compuesto No. V-154,

3.33-3.38 (2H, m), 4.74(2H, t), 2.37-2.44 (1H, m), 2.90-2.92 (2H, m), 6.98 (1H, d), 7.03 (2H, s), 7.12 (1H, s), 7.55 (1H, t), 7.65 (1H, t), 8.29 (1H, d)

Compuesto No. V-156,

6.89 (1H, d), 7.09 (2H, m), 7.37 (1H, d), 7.59 (1H, t), 7.68 (1H, t), 8.32 (1H, d)

Compuesto No. V-158,

4.35-4.39 (4H, m), 6.78 (1H, dd), 6.85 (1H, d), 6.99 (1H, dd), 7.14 (1H, d), 7.55 (1H, m), 7.66 (1H, d), 8.29 (1H, dd), 13.97 (1H, brs)

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Compuesto No. V-160

1.78-1.82 (1H, m), 1.96-2.03 (2H, m), 1.29-2.22 (1H, m), 3.76 (1H, q), 3.90 (1H, q), 4.37-4.48 (2H, m), 4.59 (1H, dd), 4.56 (1H, t), 7.72 (1H, d), 7.81 (1H, t), 8.24 (1H, d), 14.05 (1H, bs)

Compuesto No. V-162,

2.28 (3H, s), 5.66 (2H, s), 7.62 (1H, t), 7.71 (1H, d), 7.87 (1H, t), 8.28 (1H, d)

Compuesto No. V-179,

3.34 (3H, s), 3.81 (2H, t), 5.20 (2H, t), 7.49 (1H, t), 7.84 (1H, dd), 8.20 (1H, t), 13.96 (1H, bs) 343

Compuesto No. V-186,

3.67 (3H, s), 3.96 (3H, s), 7.05-7.11 (2H, m), 7.82 (1H, d), 13.88 (1H, br)

Compuesto No. V-197,

3.82 (3H, m), 7.58 (1H, d), 8.53 (1H, d), 8.88 (1H, s)

Compuesto No. V-205

6.68 (1H, d), 7.20 (1H, d), 7.48-7.64 (5H, m), 7.74 (1H, t), 8.06 (1H,

d), 8.17 (1H, d), 8.37 (1H, d)

Compuesto No. V-211,

6.98 (1H, s), 7.51 (1H, t), 7.64 (1H, t), 7.95 (1H, s), 9.32 (1H, s)

Compuesto No. V-216,

1.20-1.31 (9H, m), 3.44 (1H, m), 3.55 (1H, m), 4.12 (1H, m), 5.31 (2H, br), 7.13 (1H, br), 7.56 (1H, br), 7.71 (1H, br), 8.25 (1H,

br)

Compuesto No. V-217,

3.36 (3H, s), 4.77 (2H, s), 6.95(3H, m), 7.27(1H, d), 7.58(1H, t), 7.67(1H, t), 8.31 (1H, d)

Compuesto No. V-218,

3.70 (3H, s), 3.91 (3H, s), 6.61 (1H, d), 6.92 (1H, d), 7.08 (1H, d),7.25 (2H, s), 7.51-7.61 (4H, m), 8.30 (1H, d)

Compuesto No. V-226,

2.55 (3H, s), 6.77 (1H, d), 7.37 (1H, d), 7.50 (1H, d), 7.93 (1H, d), 8.22 (1H, d), 8.65 (1H, s)

Compuesto No. V-310,

4.06 (3H, s), 6.84 (1H, d), 7.05 (1H, d), 7.52-7.66 (m, 3H), 8.15 (1H, s)

Compuesto No. V-319,

3.75 (3H, s), 6.97 (2H, t), 7.58 (1H, t), 7.66-7.72 (2H, m), 8.30 (1H, d)

Compuesto No. VI-1,

6.87 (1H, d), 7.34 (2H, d), 7.54-7.74 (5H, m), 8.31 (1H, d)

Compuesto No. VI-6,

6.87 (1H, d), 7.27 (1H, m), 7.38 (1H, s), 7.56-7.70 (4H, m), 8.31 (1H, d), 13.33 (1H, brs)

Compuesto No. VI-7,

6.88 (1H, dd), 7.30-7.33 (2H. m), 7.57 (1H, m), 7.58-7.70 (3H, m), 8.30 (1H, dd), 13.71 (1H, brs)

Compuesto No. VI-13,

902

2.52 (3H, s), 6.92 (1H, dd), 7.21-7.24 (2H, m), 7.47-7.67 (4H, m), 8.29 (1H, dd), 13.91 (1H, brs)

Compuesto No. VI-21,

1.32 (6H, d), 3.05 (1H, m), 6.87 (1H, dd), 7.13-7.18 (2H, m), 7.52-7.66 (4H, m), 8.31 (1H, dd), 13.99 (1H, brs)

Compuesto No. VI-27,

6.81 (1H, d), 7.58-7.62 (2H, m), 7.66-7.71 (2H, m), 7.88 (1H, dd), 7.95 (1H, d), 8.32 (1H, d), 13.58 (1H, brs)

Compuesto No. VI-31,

6.89 (1H, d), 7.05-7.13 (4H, m), 7.47 (1H, t), 7.58 (1H, t), 8.09 (1H, d)

Compuesto No. VI-33,

3.88 (3H, s), 6.85 (1H, dd), 6.89-6.94 (2H, m), 7.19 (1H, dd), 7.54-7.68 (3H, m), 8.31 (1H, dd), 13.76 (1H, brs)

Compuesto No. VI-36,

1.45 (3H, t), 4.09 (2H, m), 6.83-6.94 (3H, m), 7.17 (1H, dd), 7.53-7.67 (3H, m), 8.30 (1H, dd)

Compuesto No. VI-37,

1.50 (3H, t), 4.15 (2H, q), 6.94 (1H, d), 7.14-7.28 (4H, m), 7.53-7.66 (2H, m), 8.30 (1H, dd), 13.98 (1H, brs)

Compuesto No. VI-49,

4.65 (2H, d), 5.37 (1H, d), 5.48 (1H, d), 6.06-6.15 (1H, m), 6.93 (1H, d), 7.17-7.26 (4H, m), 7.56 (1H, t), 7.64 (1H, t), 8.30 (1H, d).

Compuesto No. VI-54,

902

6.62 (1H, t), 6.86 (1H, m), 7.15 (1H, m), 7.21 (1H, d), 7.44 (1H, d), 7.67 (3H, m), 8.32 (1H, d)

Compuesto No. VI-55,

6.82 (1H, t), 6.84 (1H, d), 7.30-7.44 (4H, m), 7.59 (1H, t), 7.61 (1H, t), 8.17 (1H, d)

Compuesto No. VI-57,

6.85 (1H, dd), 7.32 (1H, d), 7.53-7.62 (2H, m), 7.68 (1H, m), 7.77 (1H, dd), 8.33 (1H, dd)

Compuesto No. VI-88,

2.73 (3H, s), 6.83 (1H, d), 7.48 (1H, d), 7.59 (1H, t), 7.64 (1H, t), 8.27-8.34 (3H, m)

Compuesto No. VI-94,

4.01 (3H, s), 6.81 (1H, d), 7.43-7.65 (4H, m), 8.31-8.43 (3H, m)

Compuesto No. VI-115,

3.94 (3H, s), 6.87-6.93 (3H, m), 7.58 (1H, dd), 7.65-7.70 (2H, m), 8.31 (1H, m)

Compuesto No. VI-116,

2.35 (3H, s), 3.85 (3H, s), 6.72 (1H, d), 6.82 (1H, dd), 6.95 (1H, dd), 7.42 (1H, d), 7.56 (1H, m), 7.65 (1H, m), 8.30 (1H, dd)

Compuesto No. VI-117,

3.90 (3H, s), 4.00 (3H, s), 6.79 (1H, d), 6.89-6.97 (2H, m), 7.13 (1H, d), 7.57 (1H, m), 7.66 (1H, m), 8.30 (1H, d), 13.76 (1H, brs)

Compuesto No. VI-121,

904

3.84 (6H, s), 6.43-6.45 (2H, m), 6.70 (1H, dd), 6.98 (1H, d), 7.56 (1H, m), 7.66 (1H, m), 8.30 (1H, m)

Compuesto No. VI-122,

3.90 (3H, s), 6.92-6.99 (2H, m), 7.08 (1H, d), 7.25 (1H, d), 7.57 (1H, t), 7.68 (1H, t), 8.30 (1H, d)

Compuesto No. VI-123,

3.93 (3H, s), 6.81 (1H, d), 7.08 (1H, d), 7.23-7.30 (2H, m), 7.57 (1H, t), 7.66 (1H, t), 8.32 (1H, d)

Compuesto No. VI-125,

3.74(1H, s), 3.92(1H, s), 6.72 (2H, m), 6.91 (1H, d), 7.16 (1H, m), 7.53 (1H, t), 7.63 (1H, t), 8.29(1H, d)

Compuesto No. VI-133,

3.88 (6H, s), 3.97 (3H, s), 6.54 (2H, s), 6.97 (1H, d), 7.58 (1H, m), 7.69 (1H, m), 8.30 (1H, d), 13.60 (1H, brs)

Compuesto No. VI-134,

3.93 (s, 3H), 6.71 (d, 1H), 7.16-7.29 (m, 5H), 7.58 (m, 1H)

Compuesto No. VI-144,

3.94 (3H, s), 6.89 (1H, s), 7.18-7.24 (4H, m), 7.52 (1H, d), 8.22 (1H, d)

Compuesto No. VI-150,

0.39-0.43 (2H, m), 0.69-0.74 (2H, m), 1.31-1.37 (1H, m), 3.91 (2H, d), 6.93 (1H, d), 7.16-7.24 (2H, m), 7.57 (1H, t), 7.65 (1H, t), 8.29 (1H, 347 d)

Compuesto No. VI-152,

3.08 (6H, s), 6.88 (2H, d), 6.90 (1H, d), 7.13 (2H, d),

905

7.53(1H, t), 7.61 (1H, t), 8.28 (1H, d)

Compuesto No. VI-153,

2.38 (3H, s), 2.41 (3H, s), 6.91 (1H, d), 7.01-7.08 (2H, m), 7.44 (1H, d), 7.55 (1H, t), 7.61 (1H, t), 8.29 (1H, d)

Compuesto No. VI-156,

2.40 (3H, d), 6.88 (1H, d), 7.15 (2H, m), 7.33 (1H, t), 7.57 (1H, t), 7.66 (1H, t), 8.30 (1H ,d)

Compuesto No. VI-157,

2.51 (3H, s), 6.78 (1H, d), 7.25 (1H, d), 7.39 (1H, d), 7.55-7.68 (3H, m), 8.32 (1H, d)

Compuesto No. VI-159,

1.49 (3H, t), 3.99 (3H, s), 4.12 (2H, m), 6.77 (1H, d), 6.88 (1H, m), 6.95 (1H, d), 7.11 (1H, d), 7.56 (1H, t), 7.65 (1H, t), 8.30 (1H, d)

Compuesto No. VI-160,

3.81 (3H, s), 3.97 (6H, d), 6.88-6.96 (3H, m), 7.57 (1H, t), 7.65 (1H, t), 8.29 (1H, d)

Compuesto No. VI-161,

4.0 (3H, s), 6.95 (1H, d), 7.05 (1H, m), 7.12 (1H, m), 7.58 (1H, t), 7.70 (1H, m), 8.32 (1H, m)

Compuesto No. VI-162,

4.16 (3H, s), 6.97 (3H, m), 7.60 (1H, t), 7.70 (1H, t), 8.31 (1H, d)

Compuesto No. VI-165,

1.68-1.81 (4H, m), 2.10-2.16 (1H, m), 2.37-2.44 (1H, m),

906

2.90-2.92 (2H, m), 6.99-7.02 (1H, m), 7.37 (2H, t), 7.56-7.68 (2H, m), 8.31 (1H, d)

Compuesto No. VI-170,

2.30 (2H, m), 4.30 (2H, m), 4.43 (2H, m), 6.86 (1H, m), 6.93 (2H, m), 7.22 (2H, d), 7.55 (1H, t), 7.65 (1H, t), 8.29 (1H, d)

Compuesto No. VI-173,

4.16 (3H, s), 6.70 (1H, d), 6.95 (2H, m), 7.25 (1H, t), 7.65 (1H, m) Compuesto No. VI-185,

2.43 (3H, s), 3.94 (3H, s), 6.67 (1H, s), 7.22 (4H, m), 7.35 (1H, s), 8.16 (1H, s), 13.99 (1H, s)

Compuesto No. VI-189,

3.91 (3H, s), 3.92 (3H, s), 6.86 (1H, d), 7.20 (5H, m), 7.71 (1H, s)

Compuesto No. VI-195,

3.45 (3H, s), 3.90 (3H, s), 7.05 (2H, d), 7.19 (3H, d), 7.48 (1H, t), 7.92 (1H, d)

Compuesto No. VI-198,

6.67 (1H, dd), 7.32 (2H, d), 7.68-7.76 (3H, m), 8.12 (1H, dd)

Compuesto No. VI-242,

3.80 (3H, s), 6.17 (1H, d), 7.13 (1H, m), 7.35 (4H, m), 8.20 (1H, d)

Compuesto No. VI-243,

3.81 (3H, s), 6.18 (1H, d), 6.66 (1H, t), 7.14 (1H, m), 7.35 (2H, d), 7.44 (2H, d), 8.22 (1H, d)

Compuesto No. VI-248,

907

6.64 (1H, d), 7.28-7.43 (5H, m), 7.62 (1H, m)

Compuesto No. VII-59,

3.56 (3H, s), 3.86 (2H, t), 4.91 (2H, t), 7.55 - 7.59 (1H, m), 8.58 (1H, dd), 8.84 (1H, dd), 14.01 (1H, bs)

Compuesto No. VII-67,

1.32 (3H, t), 2.28 (2H, q), 5.38 (2H, s), 7.56-7.61 (1H, m), 8.60 (1H, d), 8.79 (1H, d)

Compuesto No. VII-91,

3.86 (3H, s), 5.87 (2H, s), 6.78 (2H, q), 6.92 (1H, d), 7.29 (1H, s), 7.55 (1H, q), 8.60 (1H, d), 8.80 (1H, d)

Compuesto No. VII-97,

2.08 (3H, d), 7.32 (4H, m), 7.44 (2H, m), 7.57 (1H, m), 8.57 (1H, m), 8.82 (1H, d)

Compuesto No. VII-102,

7.12 (1H, d), 7.43-7.47 (1H, m), 7.55-7.58 (2H, m), 8.31 (H, d), 8.56 (1H, d)

Compuesto No. VII-153,

6.13 (1H, s), 6.77 (2H, m), 7.04 (1H, m), 7.57 (1H. m), 8.62 (1H, m), 8.73 (1H, d)

Compuesto No. VII-154,

7.05 (2H, m), 7.32 (1H, d), 7.60 (1H, q), 8.68 (2H, m)

Compuesto No. VII-156,

4.35 (4H, m), 6.77 (1H, q), 6.85 (1H, d), 7.11 (1H, d), 7.56 (1H, q), 8.62 (1H, q), 8.74 (1H, q)

Compuesto No. VI1-171,

1.57 (3H, s), 1.67 (3H, s), 2.51 (2H, q), 4.63 (2H, t), 5.22 (1H, t), 7.54 - 7.58 (1H, m), 8.56 (1H, d), 8.85 (1H, d), 14.12 (1H, bs)

Compuesto No. VII-173,

1.74 (3H, t), 2.52 (2H, t), 4.75 (2H, t), 7.56 - 7.67 (1H, m), 8.58 (1H, d), 8.84 (1H, d), 14.00 (1H, bs)

Compuesto No. VII-176,

3.10 (2H, t), 4.86 (2H, t), 7.24-7.31 (5H, m), 7.56 (1H, q), 8.57 (1H, d), 8.84 (1H, d)

Compuesto No. VII-177,

5.99 (2H, s), 6.95 (1H, q), 7.25 (1H, q), 7.36 (1H, d), 7.60 (1H, q), 8.57 (1H, dd), 8.93 (1H, dd)

Compuesto No. VII-178,

6.54 (1H, d), 6.98 (2H, s), 7.64 (1H, q), 8.05 (1H, d), 8.58 (1H, dd), 8.92 (1H, dd)

Compuesto No. VII-180,

2.37 (3H, s), 5.75 (2H, s), 6.07 (1H, s), 7.47 (1H, q), 8.34 (1H, dd), 8.71 (1H, dd)

Compuesto No. VII-181,

5.81 (2H, s), 7.30 (1H, d), 7.62 (1H, q), 7.95 (1H, dd), 8.59 (1H, dd), 8.72 (1H, d), 8.89 (1H, dd)

Compuesto No. VII-185,

2.86 (3H, s), 5.82 (2H, s), 7.28-7.33 (3H, m), 7.39 (1H, d), 7.54 (2H, t), 8.69 (1H, d)

Compuesto No. VII-186,

908

2.54 (3H, s), 5.82 (2H, s), 7.28-7.33 (3H, m), 7.55 (2H, t), 8.34 (1H, d), 8.72 (1H, d)

Compuesto No. VII-187,

2.81 (3H, s), 5.83 (2H, s), 7.29-7.36 {3H, m), 7.41 (1H, d), 7.58 (2H, t), 8.42 (1H, d)

Compuesto No. VII-188,

4.14 (3H, s), 5.76 (2H, s), 6.96 (1H, d), 7.32-7.37 (3H, m), 7.49 (2H, dd), 8.36 (1H, d)

Compuesto No. VIII-2,

7.36-7.46 (3H, m), 7.56-7.67 (2H, m), 8.63-8.70 (2H, m), 13.36 (1H, br)

Compuesto No. VIII-3,

7.08-7.15 (2H, m), 7.31-7.38 (1H, m), 7.57-7.68 (2H, m), 8.63-8.71 (2H, m), 13.32 (1H, br)

Compuesto No. VIII-4,

7.27-7.38 (4H, m), 7.58 (1H, dd), 8.63-8.71 (2H, m), 13.57 (1H, br)

Compuesto No. VIII-5,

7.36-7.40 (1H, m), 7.55-7.72 (4H, m), 8.64-8.69 (2H, m), 13.08 (1H, br)

Compuesto No. VIII-6,

7.22-7.26 (1H, m), 7.36 (1H, s), 7.57-7.61 (3H, m), 8.64 (1H, dd), 8.70 (1H, dd), 13.29 (1H, br)

Compuesto No. VIII-11,

2.07 (3H, s), 7.18 (1H, d), 7.44-7.59 (4H, m), 8.62 (1H, d),

8.70-8.72 (1H, m), 13.40 (1H, br)

Compuesto No. VIII-12,

2.48 (3H, s), 7.10-7.13 (2H, m), 7.44 (1H, d), 7.53-7.58 (2H, m), 8.64 (1H, dd), 8.71 (1H, dd), 13.71 (1H, br)

Compuesto No. VIII-15,

1.31 (3H, t), 2.79 (2H, q), 7.13 (2H, m), 7.46 (1H, d), 7.55 (2H, m), 8.63 (1H, m), 8.71(1H, m)

Compuesto No. VIII-16,

1.39 (3H, t), 2.80 (2H, q), 7.24 (2H, d), 7.48 (2H, d), 7.55 (1H, q), 8.63 (1H, m), 8 . 72 (1H, m)

Compuesto No. VIII-22,

1.35 (6H, d), 3.06 (1H, m), 7.25 (2H, d), 7.54 (3H, m), 8.63 (1H, m), 8.71 (1H, m)

Compuesto No. VIII-28,

7.49 (2H, d), 7.60 (1H, q), 7.93 (2H, d), 8.63-8.69 (2H, m), 13.25 (1H, br)

Compuesto No. VIII-53,

6.40(1H, t), 7.55(5H, m), 8.66(2H, m)

Compuesto No. VIII-54,

6.60 (1H, t), 7.13 (1H, s), 7.20 (1H, d), 7.39 (1H, d), 7.58 (1H, q), 7.67 (1H, t), 8.69 (2H, m)

Compuesto No. VIII-55,

6.65 (1H, t), 7.36 (4H, m), 7.58 (1H, m), 8.67 (2H, m)

Compuesto No. VIII-56,

7.43 (1H, d), 7.53-7.64 (3H, m), 7.68 (1H, d), 8.63-8.68 (2H,

910

m)

Compuesto No. VIII-57,

7.24-7.32 (2H, m), 7.49 (2H, d), 7.59 (1H, q), 7.70 (1H, t), 8.63-8.70 (2H, m), 13.12 (1H, br)

Compuesto No. VIII-58,

7.37-7.41 (2H, m), 7.49 (2H, d), 7.58-7.61 (1H, m), 8.64-8.70 (2H, m), 13.48 (1H, br)

Compuesto No. VIII-76,

7.40 (2H, d), 7.59 (1H, q), 7.93 (2H, d), 8.65 (2H, m)

Compuesto No. VIII-84,

7.61 (2H, q), 7.67 (1H, s), 7.79 (1H, t), 7.91 (1H, d), 8.66 (2H, q)

Compuesto No. VIII-98,

7.15-7.18 (1H, m), 7.37-7.41 (1H, m), 7.43-7.50 (1H, m), 7.59-7.62 (1H, m), 8.64-8.70 (2H, m), 13.20 (1H, br)

Compuesto No. VIII-99,

7.13-7.18 (1H, m), 7.36-7.41 (1H, m), 7.58-7.61 (1H, m), 8.59-8,70 (2H, m), 13.27 (1H, br)

Compuesto No. VIII-100,

7.13-7.18 (1H, m), 7.30-7.39 (2H, m), 7.59-7.62 (1H, m), 8.63-8.70 (2H, m), 10.81 (1H, br)

Compuesto No. VIII-101,

7.18-7.27 (2H, m), 7.57-7.63 (2H, m), 8.63-8.70 (1H, m), 12.55 (1H, br)

Compuesto No. VIII-102,

7.10-7.15 (1H, m), 7.20-7.27 (1H, m), 7.41-7.50 (1H, m), 7.58-7.62 (1H, m), 8.63-8.71 (2H, m), 12.80 (1H, br)

Compuesto No. VIII-103,

6.91-6.95 (2H, m), 7.06-7.13 (1H, m), 7.58-7.62 (1H, m), 8.63-8.71 (2H, m), 13.20 (1H, br)

Compuesto No. VIII-104,

7.32 (1H, dd), 7.43-7.49 (2H, m), 7.69 (1H, dd), 8.53 (1H, dd)

Compuesto No. VIII-106,

7.42-7.52 (3H, m), 7.59 (1H, d), 8.39 (1H, dd), 8.54 (1H, dd)

Compuesto No. VIII-107,

7.52-7.62 (4H, m), 8.65-8.68 (2H, m)

Compuesto No. VIII-108,

7.20 (1H, dd), 7.47 (1H, d), 7.60 (1H, q), 7.73 (1H, d), 8.64 (1H, dd), 8.70 (1H, dd)

Compuesto No. VIII-109,

7.28 (1H, s), 7.40 (1H, s), 7.46 (1H, q), 7.54 (1H, t), 8.38 (1H, dd), 8.60 (1H, dd)

Compuesto No. VIII-113,

3.69 (3H, s), 3.95 (3H, s), 6.84 (1H, dd), 7.15 (1H, dd), 7.25 (1H, t),7.44 (1H, q), 8.39 (1H, dd), 8.56 (1H, dd)

Compuesto No. VIII-114,

3.91 (1H, s), 6.84-6.92 (2H, m), 7.34 (1H, dd), 7.58 (1H, dd), 8.64 (1H, dd), 8.72 (1H, dd), 13.55 (1H, br)

Compuesto No. VIII-116,

912

2.29 (3H, s), 3.81 (3H, s), 6.78 (1H, s), 6.80 (1H, dd), 7.33 (1H, d), 7.44 (1H, q), 8.37 (1H, dd), 8.58 (1H, dd)

Compuesto No. VIII-117,

3.88 (3H, s), 3.97 (3H, s), 6.80 (1H, d), 6.89 (1H, dd), 7.09 (1H, d), 7.51 (1H, q), 8.53 (1H, dd), 8.68 (1H, dd)

Compuesto No. VIII-118,

3.86 (3H, s), 6.64-6.68 (2H, m), 6.87 (1H, dt), 7.59 (1H, q), 8.64 (1H, dd), 8.72 (1H, dd)

Compuesto No. VIII-121,

3.82 (6H, s), 6.44 (1H, d), 6.65 (1H, d), 7.50 (1H, q), 8.51 (1H, dd), 8.67 (1H, dd)

Compuesto No. VIII-126,

7.09 (2H, m), 7.21 (1H, t), 7.58 (1H, q), 8.63 (1H, m), 8.72 (1H, m)

Compuesto No. VIII-127,

4.01 (3H, s), 7.21 (2H, m), 7.38 (1H, d), 7.58 (1H, q), 8.62 (1H, m), 8.71 (1H, m)

Compuesto No. VIII-128,

2.30 (3H, s), 3.93 (3H, s), 7.04-7.15 (3H, m), 7.56 (1H, q), 8.63 (1H, dd), 8.74 (1H, dd)

Compuesto No. VIII-132,

3.70 (3H, s), 3.82 (3H, s), 6.83 (1H, s), 7.11 (2H, s), 7.54 (1H, q), 8.62 (1H, d), 8.70 (1H, dd)

Compuesto No. VIII-133,

3.87 (6H, s), 3.97 (3H, s), 6.5 (2H, s), 7.56 (1H, q), 8.63

913

(1H, m), 8.76 (1H, m)

Compuesto No. VIII-134,

7.16 (1H, dd), 7.30 (2H, m), 7.61-7.69 (3H, m), 8.71 (1H, q)

Compuesto No. VIII-135,

3.91 (3H, s), 7.12-7.24 (5H, m), 8.70 (1H, q)

Compuesto No. VIII-136,

7.29-7.32 (2H, m), 7.53 (1H, d), 7.59-7.69 (3H, m), 8.54 (1H, d)

Compuesto No. VIII-137,

3.92 (3H, s), 7.13 (2H, d), 7.21 (2H, d), 7.52 (1H, d), 8.53 (1H, d)

Compuesto No. VIII-139,

3.73 (3H, s), 3.91 (3H, s), 6.93 (1H, d), 7.10 (2H, d), 7.23 (2H, d),

8.39 (1H, d)

Compuesto No. VIII-142,

7.52 (1H, t), 7.61 (1H, m), 7.70 (1H, d), 7.91 (1H, m), 8.67 (2H, m)

Compuesto No. VIII-143,

7.56 (4H, m), 8.67 (2H, m)

Compuesto No. VIII-144,

7.59 (3H, m), 7.90 (1H, t), 8.67 (2H, m)

Compuesto No. VIII-145,

7.31 (1H, d), 7.44 (1H, s), 7.61 (2H, m), 8.65 (2H, m)

Compuesto No. VIII-150,

914

2.37 (3H, s), 2.39 (3H, s), 7.07 (2H, m), 7.42 (1H, d), 7.55 (1H, q), 8.63 (1H, m), 8.72 (1H, m)

Compuesto No. VIII-152,

7.62 (1H, q), 7.85 (2H, s), 8.12 (1H, s), 8.65-8.68 (2H, m)

Compuesto No. VIII-153,

3.73 (6H, s), 6.80 (2H, d), 7.47-7.53 (2H, m), 8.54 (1H, dd), 8.64 (1H, dd)

Compuesto No. VIII-154,

7.29-7.45 (2H, m), 7.54-7.69 (2H, m), 8.60-8.68 (2H, m)

Compuesto No. VIII-155,

7.30 (1H, t), 7.42 (2H, d), 7.59 <1H, q), 8.64 (1H, d), 8.68 (1H, d)

Compuesto No. VIII-156,

7.30-7.46 (2H, m), 7.56-7.66 (2H, m), 8.64 (1H, dd), 8.69 (1H, d)

Compuesto No. VIII-157,

7.11 (1H, d), 7.19 (1H, dd), 7.60 (1H, q), 7.69 (1H, t), 8.65 (1H, d), 8.69 (1H, d)

Compuesto No. VIII-158,

7.28-7.37 (1H, m), 7.38-7.45 (2H, m), 7.59 (1H, m), 8.62-8.68 (2H, m)

Compuesto No. VIII-159,

7.22-7.26 (1H, m), 7.40-7.45 (2H, m), 7.59 (1H, dd), 8.62-8.71 (1H, m)

Compuesto No. VIII-160,

912

2.41 (3H, d), 7.18 (1H, t), 7.32 (1H, t), 7.47 (1H, t), 7.58 (1H, q), 8.64 (1H, dd), 8.70 (1H, dd)

Compuesto No. VIII-161,

2.51 (3H, s), 7.18-7.29 (3H, m), 7.55-7.60 (1H, m), 8.63 (1H, d), 8.71 (1H, d), 13.35 (1H, br)

Compuesto No. VII1-162,

2.45 (3H, s), 7.16 (1H, dd), 7.27 (1H, t), 7.38-7.43 (1H, m), 7.58 (1H, q), 8.64 (1H, dd), 8.71 (1H, dd)

Compuesto No. VIII-163,

1.94 (3H, d), 7.01 (1H, d), 7.31 (1H, t), 7.43 (1H, q), 7.59 (1H, q), 8.67 (1H, dd), 8.70 (1H, dd)

Compuesto No. VIII-164,

2.41 (3H, d), 7.02 (2H, d), 7.48 (1H, t), 7.57 (1H, q), 8.63 (1H, m), 8.70 (1H,m)

Compuesto No. VIII-165,

2.48 (3H, s), 6.88 (1H, d), 6.94 (1H, s), 7.15 (1H, d), 7.58 (1H, q), 8.64 (1H, dd), 8.72 (1H, dd)

Compuesto No. VIII-166,

7.12-7.18 (3H, m), 7.59 (1H, q), 8.64-8.72 (2H, m), 11.85 (1H, br)

Compuesto No. VIII-167,

7.11-7.19 (2H, m), 7.26-7.31 (1H, m), 7.58 (1H, dd), 8.64 (1H, dd), 8.72 (1H, dd), 13.22 (1H, br)

Compuesto No. VIII-168,

1.99 (3H, s), 6.95 (1H, dd), 7.22-7.28 (1H, m), 7.46 (1H, q),

916

7.59 (1H, q), 8.66 (1H, dd), 8.71 (1H, dd)

Compuesto No. VIII-169,

7.59-7.72 (4H, m), 8.62-8.68 (2H, m), 9.57 (1H, br)

Compuesto No. VIII-170,

7.26-7.30 (2H, d), 7.62 (1H, dd), 7.91 (1H, t), 8.64-8.70 (2H, m), 12.16 (1H, br)

Compuesto No. VIII-171,

7.37-7.41 (1H, m), 7.52-7.60 (2H, m), 7.65-7.69 (1H, m), 8.62-8.65 (2H, m), 13.20 (1H, br)

Compuesto No. VIII-172,

3.87 (3H, d), 7.05 (1H, d), 7.22-7.28 (1H, m), 7.35-7.40 (1H, m), 7.57 (1H, q), 8.64 (1H, dd), 8.69 (1H, dd)

Compuesto No. VIII-173,

3.75 (1H, s), 6.88-6.95 (2H, m), 7.21-7.27 (1H, m), 7.56 (1H, dd), 8.63 (1H, dd), 8.69 (1H, dd), 13.67 (1H, br)

Compuesto No. VIII-174,

3.73 (3H, s), 7.05 (1H, dd), 7.12 (1H, dd), 7.28-7.34 (1H, m), 7.56 (1H, q), 8.62 (1H, dd), 8.68 (1H, dd)

Compuesto No. VIII-175,

6.65 (1H, t), 7.20 (2H, m), 7.39 (1H, t), 7.59 (1H, q), 8.68 (2H, m)

Compuesto No. VIII-176,

6.69 (1H, t), 7.14 (1H, m), 7.22 (1H, m), 7.52 (1H, t), 7.59 (1H, q), 8.63 (1H, m), 8.69 (1H, m)

Compuesto No. VIII-177,

917

6.42 (1H, t), 7.18-7.25 (2H, m), 7.37-7.42 (1H, m), 7.59 (1H, m), 8.62-8.68 (2H, m), 12.34 (1H, br)

Compuesto No. VIII-178,

7.51 (1H, t), 7.60-7.67 (3H, m), 8.65 (2H, d)

Compuesto No. VIII-179,

2.49 (3H, s), 7.23 (1H, d), 7.36 (1H, m), 7.52 (1H, s), 7.57 (1H, q), 8.66 (2H, m)

Compuesto No. VIII-180,

2.51 (3H, s), 7.14 (1H, d), 7.34 (1H, s), 7.56 (2H, m), 8.63 (1H, d), 8.70 (1H, m)

Compuesto No. VIII-181,

6.67 (1H, t), 7.25 (2H, m), 7.50 (2H, m), 7.59 (1H, q), 8.68 (2H, m)

Compuesto No. VIII-182,

2.64 (3H, s), 7.42 (1H, d), 7.60 (3H, t), 865 (1H, d), 8.70 (1H, t)

Compuesto No. VIII-183,

2.49 (3H, s), 3.73(3H, s), 6.98 (1H, s), 7.02 (1H, d), 7.13 (1H, d), 7.53 (1H, q), 8.62 (1H, dd), 8.70 (1H, dd)

Compuesto No. VIII-184,

2.68 (3H, s), 7.29 (1H, dd), 7.33 (1H, s), 7.60 (1H, q), 7.89 (1H, d), 8.65 (1H, dd), 8.68 (1H, dd)

Compuesto No. VIII-185,

2.70 (3H, s), 7.47 (1H, dd), 7.58-7.63 (3H, m), 8.65 (1H, dd), 8.68 (1H, dd)

Compuesto No. VIII-187,

6.96 (6H, m), 7.24 (1H, m), 7.62 (1H, q), 8.66 (2H, m)

Compuesto No. VIII-190,

2.45 (3H, s), 7.04 (1H, t), 7.22 (1H, t), 7.59 (1H, q), 8.63 (1H, m), 8.69 (1H, m)

Compuesto No. VIII-192,

4.14 (3H, s), 6.93 (2H, m), 7.59 (1H, q), 8.63 (1H, m), 8.70 (1H, m)

Compuesto No. VIII-193,

2.36 (3H, s), 7.20 (1H, d), 7.36 (1H, d), 7.59 (1H, q), 8.63 (1H, m), 8.69 (1H, m)

Compuesto No. VIII-194,

7.30 (2H, dd), 7.60-7.71 (3H, m), 8.61 (2H, q)

Compuesto No. VIII-195,

2.56 (3H, s), 7.30 (2H, d), 7.40 (1H, d), 7.58-7.66 (3H, m), 8.47 (1H, d)

Compuesto No. VIII-196,

2.53 (3H, s), 7.31 (2H, m), 7.65 (3H, m), 8.40 (1H, s), 8.52 (1H, s)

Compuesto No. VIII-197,

2.92 (3H, s), 7.30 (2H, d), 7.38 (1H, d), 7.59-7.68 (3H, m), 8.51 (1H, d)

Compuesto No. VIII -198,

2.48 (3H, s), 2.92 (3H, s), 7.10 (2H, d), 7.40 (2H, q), 7.54 (1H, t), 8.53 (1H, d)

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Compuesto No. VIII-199,

2.91 (3H, s), 7.40 (1H, d), 7.52 (1H, d), 7.60 (1H, s), 7.79 (1H, t), 7.87 (1H, d), 8.49 (1H, d)

Compuesto No. VIII-200,

2.91 (3H, s), 3.90 (3H, s), 7.14 (2H, d), 7.22 (2H, d), 7.37 (1H, d), 8.54 (1H, d)

Compuesto No. VIII-201,

2.40 (3H, d), 2.91 (3H, s), 7.00 (2H, d), 7.39 (1H, d), 7.46 (1H, d), 8.52

Compuesto No. VIII-202,

2.91 (3H, s), 3.99 (3H, s), 7.04-7.09 (2H, m), 7.17 (1H, t), 7.39 (1H, d), 8.53 (1H, d)

Compuesto No. VIII-203,

4.17 (3H, s), 6.95 (1H, d), 7.28-7.32 (2H, m), 7.58-7.69 (3H, m), 8.51 (1H, d)

Compuesto No. VIII-204,

4.18 (3H, s), 6.97 (1H, d), 7.52 (1H, d), 7.60 (1H, s), 7.81 (1H, t), 7.87 (1H, d), 8.48 (1H, d)

Compuesto No. VIII-208,

2.41 (3H, d), 2.53 (3H, s), 7.02 (2H, d), 7.47 (1H, t), 8.40 (1H, q), 8.53 (1H, d)

Compuesto No. VIII-209,

2.42 (3H, d), 2.59 (3H, s), 7.00 (2H, d), 7.43 (2H, q), 8.46 (1H, d)

Compuesto No. VIII-210,

7.30 (2H, d), 7.61-7.70 (4H, m), 8.53 (1H, d)

Compuesto No. VIII-211,

2.41 (3H, s), 3.74 (3H, s), 6.94 (1H, d), 7.02 (2H, m), 7.43 (1H, t), 8.40 (1H, d)

Compuesto No. VIII-212,

1.44 (3H, t), 4.08 (2H, q), 6.82 (1H, s), 6.87 (1H, d), 7.13 (1H, d), 7.53-7.58 (2H, m), 8.63 (1H, d), 8.72 (1H, d)

Compuesto No. VIII-213,

1.48 (3H, t), 4.13 (2H, q), 7.15 (2H, d), 7.23 (2H, d), 7.56 (1H, m), 8.63 (1H, d), 8.72 (1H, d)

Compuesto No. VII1-214,

3.91 (3H, s), 7.12 (2H, d), 7.21 (2H, d), 7.59 (1H, d), 8.55 (1H, d) Compuesto No. VIII-215,

2.15 (3H, s), 7.31-7.36 (3H, m), 7.59-7.66 (3H, m), 8.27 (1H, d)

Compuesto No. VIII-216,

3.77 (3H, s), 4.35 (4H, s), 6.77 (1H, dd), 6.85 (1H, d), 6.93 (1H, d), 7.05 (1H, d), 8.39 (1H, d)

Compuesto No. VIII-218,

6.13 (2H, s), 6.72-6.77 (2H, m), 7.03 (1H, d), 7.61 (1H, d), 8.57 (1H, d).

Para la producción de intermediaries obtenidos en el Ejemplo 7 y Ejemplo 8 así como intermediaries producidos de la misma manea, el 1H-NMR datos ($CDCl_3$/TMS δ (ppm) valor) se presenta a continuación.

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Compuesto No. X-1,

6.77 (1H, d), 7.29-7.67 (7H, m), 8.06 (d, 1H)

Compuesto No. X-6,

6.78 (1H, d), 7.21-7.62 (6H, m), 8.06 (1H, d)

Compuesto No. X-7,

6.77 (1H, d), 7.26 (2H, d), 7.45 (1H, t), 7.55-7.63 (3H, m), 8.09 (1H, d)

Compuesto No. X-9,

2.48 (1H, s), 6.80 (1H, d), 7.17 (2H, d), 7.39-7.45 (3H, m), 7.54 (1H, t), 8.04 (1H, d)

Compuesto No. X-12,

1.29 (d, 6H), 3.00 (1H, m), 6.77 (1H, d), 7.09-7.14 (2H, m), 7.40-7.46 (2H, m), 7.52-7.57 (2H, m), 8.05 (1H, d)

Compuesto No. X-14,

6.71 (1H, d), 7.45-7.62 (4H, m), 7.78-7.89 (2H, m), 8.09 (1H, d)

Compuesto No. X-17,

3.74 (3H, s), 6.74 (1H, d), 7.14-7.24 (3H, m), 7.41 (1H, t), 7.51-7.58 (2H, m), 8.05 (1H, d)

Compuesto No. X-18,

3.84 (3H, s), 6.80-6.89 (3H, m), 7.12 (1H, d), 7.43 (1H, t), 7.49-7.61 (2H, m), 8.05 (1H, d)

Compuesto No. X-20,

1.43 (3H, t), 4.06 (2H, m), 6.79 (3H, m), 7.11 (1H, d), 7.40-7.58 (3H, m), 8.04 (1H, d)

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Compuesto No. X-21,

1.48 (3H, t), 4.12 (2H, q), 6.83 (1H, d), 7.10 (2H, d), 7.19 (2H, d), 7.44 (1H, t), 7.54 (1H, t), 8.04 (1H, d),

Compuesto No. X-29,

6.75 (1H, d), 7.22-7.29 (2H, m), 7.56-7.72 (4H, m), 8.08 (1H, d)

Compuesto No. X-43,

3.91 (3H, s), 6.80-6.88 (3H, m), 7.46 (1H, t), 7.55-7.64 (2H, m), 8.07 (1H, d)

Compuesto No. X-44,

2.31 (3H, s), 3.82 (3H, s), 6.70 (3H, s), 6.76-6.85 (2H, m), 7.35-7.57 (3H, m), 8.04 (1H, d)

Compuesto No. X-45,

3.87 (3H, s), 3.98 (3H, s), 6.76 (1H, d), 6.85 (1H, dd), 7.07 (1H, d), 7.43 (1H, t), 7.56 (1H, t), 8.05 (1H, d)

Compuesto No. X-46,

3.82 (6H, s), 6.42 (2H, s), 6.64 (1H, s), 6.87 (1H, d), 7.43 (1H, t), 7.57 (1H, t), 8.04 (1H, d)

Compuesto No. X-53,

3.85 (6H, s), 3.94 (3H, s), 6.50 (2H, s), 6.86 (1H, d), 7.45 (1H, t), 7.59 (1H, t), 8.05 (1H, d)

Compuesto No. IX-6,

1.01 (3H, t), 1.47 (2H, m), 1.78 (2H, m), 4.32 (2H, m), 7.39-7.53 (2H, m), 7.76 (1H, t), 8.03 (1H, d)

Compuesto No. IX-9,

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0.90 (3H, t), 1.30-1.51 (6H, m), 1.78 (2H, m), 4.29 (2H, t), 7.40-7.47 (2H, m), 7.75 (1H, t), 8.04 (1H, d)

Compuesto No. IX-28,

2.28 (3H, s), 2.85 (2H, t), 4.51 (2H, t), 7.41-7.70 (2H, m), 7.79 (1H, t), 8.12 (1H, d)

Compuesto No. IX-29,

3.09 (3H, s), 3.49 (2H, t), 4.77 (2H, t), 7.50-7.58 (2H, m), 7.84 (1H, t), 8.08 (1H, d)

Compuesto No. IX-73,

6.12 (2H, d), 6.74-6.76 (2H, m), 6.88 (1H, d), 7.01 (1H, d), 7.43 (1H, t), 7.57 (1H, t), 8.04 (1H, d)

Compuesto No. IX-75

4.33 (4H, s), 6.74-6.89 (3H, m), 7.08 (1H, d), 7.44 (1H, t), 7.55 (1H, t), 8.02 (1H, d)

A continuación, se describirán los métodos de preparación con detalle, con referencia a los Ejemplos de Preparación representativos. El tipo de los compuestos y aditivos, y las proporciones de incorporación pueden alterarse en un amplio rango, sin limitarse a los que se proporcionan a continuación. En la descripción que se encuentra a continuación, el término "parte" significa partes en peso.

Ejemplo de Preparación 1 - Formulación de polvo humectable

Compuesto de Compuesto No. I-12	10 partes
Éter octil fenílico de polioxietileno	0.5 partes
Sal de sodio de condensado de formalina-ácido β-naftalensulfónico	0.5 partes
Tierra diatomácea	20 partes

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Los componentes antes mencionados se mezclaron de manera uniforme y se pulverizaron para obtener una formulación en polvo humectable. Además, las formulaciones en forma humectable se pueden obtener en la misma forma, utilizando los compuestos respectivos descritos en la tabla 1 a tabla 45 en lugar del compuesto del compuesto No. I-12.

Ejemplo de Preparación 2 - Formulación fluible

Compuesto de Compuesto No. I-13	20 partes
Agua	69 partes
Sulfato de éter fenílico estirenado de polioxietileno	4 partes
Etilenglicol	7 partes

A los componentes antes mencionados, se les agregóó silicona AF-118N (fabricado por Asahi Kasei Corp.) en una cantidad de 200 ppm con base en la cantidad total, y la mezcla se mezcló durante 30 minutos en un agitador de alta velocidad, y se pulverizó en un pulverizador tipo humedad, para obtener una formulación fluible. Además, las formulaciones fluibles se pueden obtener en la misma forma, utilizando los compuestos respectivos descritos en la tabla 1 a tabla 45 en lugar del compuesto del Compuesto No. I -13.

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<Ejemplo de Preparación 3> Concentrado Emulsificable

Compuesto de Compuesto No. I-59	30 partes
Mezcla de cantidades iguales de xileno e isoforon	60 partes
Alquilato de sorbitano de polioxietileno	4 partes
Éter polialquilarílico de polioxietileno	4 partes
Sulfonato de alquilarilo	2 partes

Los componentes antes mencionados se disolvieron de manera uniforme para obtener un concentrado emulsificable. Además, las emulsiones se pueden obtener en la misma forma, utilizando los compuestos respectivos descritos en la tabla 1 a tabla 45 en lugar del compuesto del compuesto No. I-59.

<Ejemplo de Preparación 4> Formulación en Gránulos

Compuesto de Compuesto No. I-58	10 partes
Mezcla de talco y bentonita (1:3)	80 partes
Carbón blanco	5 partes
Alquilato de sorbitano de polioxietileno	2 partes
Éter polialquilarílico de polioxietileno	2 partes
Sulfonato de alquilarilo	1 parte

Los componentes antes mencionados se mezclaron de manera uniforme y se pulverizaron. A esta mezcla, se le agregó agua en una cantidad equivalente a 10 partes, y se amasó la mezcla resultante. La mezcla resultante se extruyó a través de un orificio que tiene un diámetro de 0.7 mm utilizando un granulador tipo extrusión, se secó y posteriormente se cortó en longitudes de

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0.5 a 1 mm, para obtener la formulación granular. Además, las formulaciones granulares pueden obtenerse en la misma forma, utilizando los compuestos respectivos descritos en la tabla 1 a 45, en lugar del compuesto del Compuesto No. I-58.

Los compuestos descritos en las tablas 1 a 45, se pueden formular en diversas formulaciones en la misma forma de acuerdo con los métodos descritos en los Ejemplos de Preparación 1 a 4.

Posteriormente, la actividad herbicida del compuesto de la presente invención se describirá con referencia a los Ejemplos de prueba.

<Ejemplo de Prueba 1> Prueba para los efectos herbicidas en tratamiento de tierra de un campo de arroz

Se llenó un tarro de plástico de 100-cm^2, con tierra de campo de arroz. Después del cinglado y nivelación, se sembraron semillas de Echinochloa oryzicola Vasing, Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub., y Scirpus juncoides Roxb. var. ohwianus. T. Koyama, y la tierra se saturó se agua, hasta una profundidad de 3 cm. Al siguiente día, la formulación en polvo humectable preparada de acuerdo con el Ejemplo de Preparación 1, se diluyó con agua, y se agregó en forma de gotas en la superficie del agua. La cantidad de aplicación fue una cantidad equivalente a 1000 g del ingrediente activo por hectárea. Posteriormente, las plantas se crecieron en un invernadero, y el 21° día después del tratamiento, los efectos herbicidas se investigaron de acuerdo con los criterios descritos

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en la tabla 138.

Tabla 138

Índice No.	Efectos herbicidas (del grado de supresión de crecimiento) y fitotoxicidad
5	90% o más de efectos herbicidas de supresión, fototoxicidad
4	70% o más y menos del 90% de efectos herbicidas, fitotoxicidad
3	50% o más y menos del 70% de efectos herbicidas, fitotoxicidad
2	30% o más y menos del 50% de efectos herbicidas, fitotoxicidad
1	10% o más y menos del 30% de efectos herbicidas, fitotoxicidad
0	0% o más y menos del 10% de efectos herbicidas, fitotoxicidad

Los resultados se presentan en las siguientes tablas de la 139 a la 146.

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[Tabla 139]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
I-2	4	5	5
I-7	5	5	5
I-12	5	5	5
I-13	5	5	5
I-14	4	5	5
I-15	5	5	5
I-22	5	5	5
I-30	5	5	5
I-35	5	5	5
I-40	5	5	5
I-49	5	5	5
I-50	5	5	5
I-57	4	5	5
I-58	5	5	5
I-59	5	5	5
I-62	5	5	5
I-65	4	5	5
I-67	4	5	5
I-68	4	5	5
I-70	5	5	5
I-72	5	5	5
I-82	5	5	5
I-88	5	5	5
I-90	5	5	5
I-91	5	5	5
I-92	4	5	5
I-93	5	5	5
I-94	5	5	5
I-99	5	5	5
I-102	5	4	5
I-103	5	5	5
I-107	4	5	5
I-111	5	5	5
I-112	5	5	5
I-113	5	5	5
I-120	4	5	5
I-125	4	5	5
I-126	4	5	5
I-131	5	5	5
I-137	5	5	5
I-139	5	5	5
I-144	5	5	5
I-145	5	5	5
I-148	5	5	5
I-149	5	5	4
I-156	5	5	5
I-158	5	5	5
I-159	5	5	5
I-160	5	5	5
I-163	4	5	5

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[Tabla 140]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
I-165	5	5	5
I-166	4	5	5
I-171	5	5	5
I-173	5	5	5
I-176	5	5	5
I-177	5	5	5
I-178	4	5	5
I-179	5	5	5
I-180	4	5	5
I-182	5	5	5
I-185	5	5	5
I-189	5	5	5
I-197	5	5	5
I-199	5	5	5
I-201	5	5	5
I-202	5	5	5
I-207	4	5	5
I-209	4	5	5
I-211	4	5	5
I-212	5	5	5
I-213	4	5	5
I-220	5	5	5
I-221	5	5	5
I-223	4	5	5
I-225	5	5	4
I-227	5	5	5
I-229	4	5	5
I-230	5	5	5
I-238	5	4	5
I-263	5	5	5
I-264	4	5	5
I-265	4	5	5
I-266	5	5	5
I-267	5	5	5
I-268	5	5	5
I-269	5	5	5
I-270	5	5	5
I-271	5	5	5
I-272	5	5	5
I-273	5	5	5
I-274	5	5	4
I-275	4	5	5
I-276	5	5	5
I-277	5	5	5
I-278	4	5	5
I-279	4	5	5
I-280	5	5	5
I-281	5	5	5
I-283	4	5	5
I-363	5	5	5
I-364	4	5	5
I-368	4	5	5
I-371	5	5	5
I-372	5	5	5
I-373	5	5	5
I-379	5	5	5
I-380	4	5	5

930-

[Tabla 141]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
II-1	5	5	5
II-4	5	5	5
II-5	5	5	5
II-6	5	5	5
II-7	5	5	5
II-8	5	5	5
II-9	5	5	5
II-11	5	5	5
II-13	5	5	5
II-14	5	5	5
II-15	5	5	5
II-20	5	5	5
II-21	5	5	5
II-23	5	5	5
II-24	5	5	5
II-29	5	5	5
II-33	5	5	5
II-39	5	5	5
II-44	5	5	5
II-51	5	5	5
II-52	5	5	5
II-57	5	5	5
II-62	5	5	5
II-63	5	5	5
II-64	5	5	4
II-68	5	5	5
II-69	5	5	5
II-71	4	5	5
II-74	5	5	5
II-75	5	5	5
II-81	5	5	5
II-84	5	5	5
II-90	5	5	5
II-95	5	5	5
II-101	5	5	5
II-116	5	5	5
II-121	4	5	5
II-122	5	5	5
II-124	4	5	5
II-125	5	5	5
II-129	5	5	5
II-130	5	5	5
II-131	5	5	5
II-136	5	5	5
II-137	5	5	5
II-140	5	5	4
II-149	5	5	5
II-167	5	5	5
II-168	5	5	5
II-169	5	5	5

931

[Tabla 142]

Compound No.	Echinochloa oryzicola Vasing.	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
II-173	5	5	5
II-174	5	5	5
II-175	4	5	5
II-177	4	5	5
II-178	4	5	5
II-179	4	5	5
II-180	5	5	5
II-185	4	5	5
II-186	4	5	5
II-187	5	5	5
II-188	5	5	5
II-189	5	5	5
II-190	5	5	5
II-193	5	5	5
II-194	4	5	5
II-195	5	5	5
II-196	4	5	5
II-197	5	5	5
II-208	5	5	5
II-209	5	5	5
II-210	5	5	5
II-211	5	5	5
II-212	5	5	5
II-213	5	5	5
II-214	5	5	5
II-215	5	5	5
II-216	5	5	5
II-217	4	5	5
II-218	4	5	5
II-219	5	5	5
II-220	5	5	5
II-221	4	5	5
II-222	5	5	5
II-223	5	5	5
II-224	4	5	5
II-225	5	5	5
II-226	5	5	5
II-227	5	5	5
II-228	5	5	5
II-229	5	5	5
II-230	4	5	5
II-231	5	5	5
II-232	4	5	5
II-233	5	5	5
II-235	4	5	5
II-236	4	5	5
II-237	5	5	5
II-238	5	5	5
II-239	5	5	5

932

[Tabla 143]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
II-240	4	5	5
II-241	5	5	5
II-242	5	5	5
II-243	5	5	5
II-244	5	5	5
II-245	5	5	5
II-246	4	5	5
II-247	4	5	5
II-248	5	5	5
II-249	5	5	5
II-252	5	5	5
II-253	5	5	5
II-254	5	5	5
II-255	5	5	5
II-256	5	5	5
II-257	5	5	5
II-258	4	5	5
II-259	4	5	5
II-260	5	5	5
II-261	5	5	5
II-263	5	5	5
II-301	5	5	5
II-303	5	5	5
II-304	5	5	5
II-305	5	5	5
II-306	5	5	5
III-2	5	5	5
III-5	5	5	5
III-7	5	5	5
III-12	5	5	5
III-13	5	5	5
III-15	5	5	5
III-30	4	5	5
III-35	4	5	5
III-40	5	5	5
III-45	4	5	5
III-59	5	5	5
III-70	4	5	5
III-88	5	5	4
III-90	5	5	5
III-96	5	5	5
III-99	5	5	5
III-107	5	5	5
III-108	5	5	5
III-111	5	5	5
III-117	5	5	5
III-118	5	5	5
III-120	5	5	5
III-130	5	5	5
III-139	5	5	5
III-158	5	5	5
III-173	5	5	5
III-189	5	5	5
III-201	5	5	5

933

[Tabla 144]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
III-202	5	5	5
III-207	5	5	5
III-209	5	5	5
III-212	4	5	5
III-213	4	5	5
III-220	5	5	5
III-221	4	5	5
III-229	5	5	5
III-230	5	5	5
III-231	5	5	5
III-232	5	5	5
III-234	5	5	5
III-235	5	5	5
III-236	5	5	5
III-237	5	5	5
III-238	4	5	5
III-239	4	5	5
III-240	4	5	5
III-241	5	5	5
III-242	5	5	5
III-243	5	5	5
III-244	4	5	5
III-245	4	5	5
III-246	5	5	5
III-247	5	5	5
IV-1	5	5	5
IV-2	5	5	5
IV-3	5	5	5
IV-4	5	5	5
IV-6	4	5	5
IV-7	5	5	5
IV-8	5	5	5
IV-9	5	5	5
IV-11	5	5	5
IV-13	5	5	5
IV-17	5	5	5
IV-18	5	5	5
IV-19	5	5	5
IV-20	5	5	5
IV-21	5	5	5
IV-23	5	5	5
IV-24	5	5	5
IV-30	5	5	5
IV-33	5	5	5
IV-34	5	5	5
IV-39	5	5	5
IV-40	5	5	5
IV-41	5	5	5
IV-44	5	5	5

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[Tabla 145]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
IV-52	5	5	5
IV-57	4	5	5
IV-62	5	5	5
IV-85	5	5	5
IV-90	5	5	5
IV-95	5	5	5
IV-100	5	5	5
IV-101	5	5	5
IV-106	5	5	5
IV-128	5	5	5
IV-136	5	5	5
IV-150	5	5	5
IV-151	5	5	5
IV-152	5	5	5
IV-153	5	5	5
IV-154	5	5	5
IV-155	5	5	5
IV-156	5	5	5
IV-157	5	5	5
IV-158	5	5	5
IV-159	5	5	5
IV-160	5	5	5
IV-161	5	5	5
IV-165	4	5	5
IV-166	5	5	5
IV-168	5	5	5
IV-169	4	5	5
IV-170	5	5	5
IV-173	4	5	5
IV-174	5	5	5
IV-177	5	5	5
IV-178	5	5	5
IV-179	5	5	5
IV-180	5	5	5
IV-184	5	5	5
IV-185	5	5	5
IV-186	5	5	5
IV-187	5	5	5
IV-188	5	5	5
IV-189	5	5	5
IV-200	5	5	5
IV-201	5	5	5
IV-202	5	5	5
IV-203	5	5	5
IV-206	5	5	5
IV-208	5	5	5
IV-209	5	5	5
IV-210	5	5	5
IV-212	5	5	5
IV-213	5	5	5
IV-214	5	5	5

925

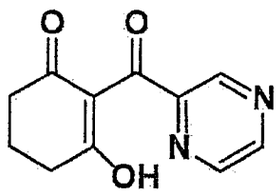
[Tabla 146]

Compound No.	Echinochloa oryzicola Vasing	Monochoria vaginalis (Burm. f.) Presl var. plantaginea (Roxb.) Solms-Laub.	Scirpus juncoides Roxb. var. ohwianus. T. Koyama
IV-215	5	5	5
IV-216	5	5	5
IV-217	5	5	5
IV-218	5	5	5
IV-219	5	5	5
IV-220	5	5	5
IV-221	5	5	5
IV-222	5	5	5
IV-223	5	5	5
IV-224	5	5	5
IV-225	5	5	5
IV-226	5	5	5
IV-227	5	5	5
IV-228	5	5	5
IV-229	5	5	5
IV-230	5	5	5
IV-231	5	5	5
IV-232	5	5	5
IV-233	5	5	5
IV-234	5	5	5
IV-235	5	5	5
IV-236	5	5	5
IV-237	5	5	5
IV-238	5	5	5
IV-239	5	5	5
IV-240	5	5	5
IV-241	5	5	5
IV-242	4	5	5
IV-243	5	5	5
IV-244	5	5	5
IV-245	5	5	5
IV-247	5	5	5
IV-248	5	5	5
IV-249	5	5	5
IV-250	3	5	5
IV-251	5	5	5
IV-252	5	5	5
IV-253	5	5	5
IV-254	5	5	5
IV-255	5	5	5
IV-256	5	5	5
IV-257	5	5	5
IV-258	5	5	5
IV-259	5	5	5
IV-260	5	5	5
IV-262	4	5	5
IV-265	5	5	5
IV-266	5	5	5
IV-267	5	5	5
IV-268	5	5	5
IV-269	5	5	5
IV-270	5	5	5
IV-271	5	5	5
Comparative Compound A	2	0	0
Comparative Compound B	0	0	0
Comparative Compound C	1	2	1
Comparative Compound D	1	4	2

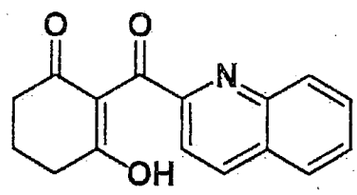
926

Además, un compuesto comparativo A, un compuesto comparativo B, un compuesto comparativo C y un compuesto comparativo D en las tablas son el compuesto No. 70, Compuesto No. 34, Compuesto No. 32 y el Compuesto No. 31 descrito en la Publicación EP 283261, respectivamente. Las estructuras de las fórmulas de estos compuestos se muestran a continuación.

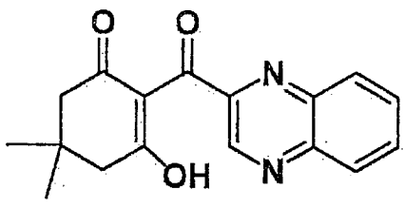
[Fórmula Química 35]



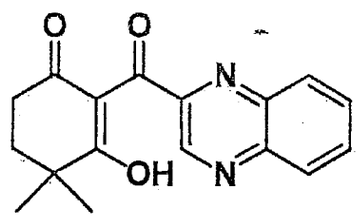
Compuesto Comparativo A



Compuesto Comparativo B



Compuesto Comparativo C



Compuesto Comparativo D

<Ejemplo de Prueba 2> Prueba en efectos herbicidas en tratamientos en campos de cultivo.

Se llenó una basija de plástico 80-cm2 con

B, compuesto comparativo C y compuesto comparativo D en las tablas son los Compuestos Nos. 70, 34, 32 y 31 descritos en la Publicación de EP-283261, respectivamente.

A partir de los resultados anteriores, se ha demostrado que

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el compuesto de la presente invención tiene una excelente actividad herbicida.

La formulación se preparó de acuerdo al Ejemplo de Preparación 1 se diluyó con agua, y se rocío de manera uniforme en la superficie de lodo con un rociador pequeño, en una cantidad equivalente a 1000 litros por hectárea, de modo que 1000 g del ingrediente activo se aplicó por hectárea. Por lo tanto, las plantas que crecieron en el jardín, y en el 21ª día después del tratamiento, los efectos herbicidas se investigaron de acuerdo al criterio descrito en la Tabla 138 mostrada más adelante. Los resultados se presentan de Tabla 147 a la Tabla 154 a continuación.

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[Tabla 147]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
I-2	5	5
I-5	5	5
I-7	5	5
I-12	5	5
I-13	5	5
I-14	5	5
I-15	5	5
I-18	5	5
I-21	5	5
I-30	5	5
I-40	5	5
I-49	5	5
I-50	5	5
I-57	4	5
I-58	5	5
I-59	5	5
I-62	5	5
I-65	5	5
I-68	5	5
I-70	5	5
I-71	5	5
I-72	5	5
I-80	5	5
I-82	5	5
I-88	5	5
I-90	5	5
I-93	4	5
I-94	5	4
I-99	5	5
I-102	5	5
I-103	5	5
I-107	5	5
I-131	5	5
I-139	5	5
I-144	5	5
I-145	5	5
I-148	5	5
I-149	5	5
I-156	5	5
I-158	5	5
I-159	5	5
I-160	5	5
I-163	5	5
I-165	5	5
I-171	5	5
I-173	5	5
I-176	5	5
I-177	5	5
I-178	5	5
I-179	5	5

[Tabla 148]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
I-180	5	5
I-182	5	5
I-185	5	5
I-189	5	5
I-195	5	5
I-197	5	5
I-199	5	5
I-201	4	5
I-202	4	5
I-207	5	5
I-209	4	5
I-211	5	5
I-212	5	5
I-213	5	5
I-220	5	5
I-221	5	5
I-223	5	5
I-225	5	5
I-227	5	5
I-229	5	5
I-230	4	5
I-238	5	4
I-256	5	5
I-263	5	5
I-264	4	5
I-265	4	5
I-266	5	5
I-267	5	5
I-268	5	5
I-269	5	5
I-270	5	5
I-271	5	5
I-272	5	5
I-273	5	5
I-275	4	5
I-277	4	5
I-278	5	5
I-279	5	5
I-281	5	5
I-283	5	5
I-363	5	5
I-364	5	5
I-368	5	5
I-371	5	5
I-372	5	5
I-373	5	5
I-379	5	5
I-380	5	5
II-1	5	5
II-4	4	5
II-5	5	5
II-6	5	5
II-7	5	5
II-8	5	5
II-9	5	4
II-11	5	5

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[Tabla 149]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
II-13	5	5
II-14	5	5
II-15	5	5
II-20	5	5
II-21	5	5
II-23	5	5
II-24	5	5
II-29	4	5
II-33	4	5
II-39	5	5
II-44	5	5
II-52	5	5
II-57	5	5
II-62	5	5
II-63	5	5
II-64	4	5
II-68	5	5
II-69	4	5
II-75	4	5
II-81	5	5
II-90	5	5
II-95	5	5
II-101	5	5
II-122	5	5
II-125	5	5
II-129	5	5
II-130	5	5
II-131	5	5
II-136	5	5
II-137	5	5
II-146	4	5
II-149	4	5
II-167	5	5
II-168	5	5
II-173	4	5
II-174	4	5
II-180	4	5
II-185	5	5
II-186	5	5
II-188	5	5
II-189	5	5
II-190	5	4
II-193	5	5
II-194	4	5
II-196	4	5
II-208	5	5
II-211	5	5
II-212	5	5
II-213	5	5

941

[Tabla 150]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
II-215	5	5
II-216	4	5
II-217	5	5
II-218	5	5
II-219	5	5
II-220	5	5
II-221	4	5
II-222	5	5
II-223	4	5
II-224	5	5
II-225	5	5
II-226	5	5
II-227	5	5
II-228	5	5
II-229	4	5
II-230	4	5
II-231	4	5
II-232	4	5
II-233	5	5
II-234	5	5
II-235	4	5
II-236	4	5
II-237	5	5
II-238	5	5
II-239	5	5
II-240	5	5
II-241	5	5
II-242	4	5
II-243	5	5
II-244	5	5
II-245	5	5
II-247	5	5
II-249	5	5
II-250	5	5
II-252	5	5
II-254	4	5
II-259	5	5
II-260	5	5
II-261	4	5
II-262	4	5
II-263	4	5
II-301	5	5
II-302	4	5
II-303	5	5
II-304	5	5
II-305	5	5
II-306	5	5
III-2	5	5
III-5	5	4
III-7	5	5
III-12	4	5
III-13	5	5
III-15	5	5
III-30	5	5

[Tabla 151]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
III-35	5	5
III-40	5	5
III-45	4	5
III-59	5	5
III-70	5	5
III-88	5	5
III-90	5	5
III-96	5	5
III-99	5	5
III-107	4	5
III-108	5	5
III-111	5	5
III-117	5	5
III-118	5	5
III-120	4	5
III-130	5	5
III-139	5	5
III-158	5	5
III-173	5	5
III-189	5	5
III-201	5	5
III-202	5	5
III-207	5	5
III-209	5	5
III-212	4	5
III-213	5	5
III-220	5	4
III-221	4	5
III-229	5	5
III-231	5	5
III-232	5	5
III-233	5	5
III-234	5	5
III-236	5	5
III-237	5	5
III-238	5	5
III-239	5	5
III-240	5	5
III-242	4	5
III-243	5	5
III-244	5	5
III-245	5	5
III-246	4	5
III-247	4	5
IV-1	5	5
IV-2	5	5
IV-3	5	5
IV-4	5	5

943

[Tabla 152]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-6	5	5
IV-7	5	5
IV-8	5	5
IV-9	5	5
IV-11	5	5
IV-13	5	5
IV-17	5	5
IV-18	5	5
IV-19	5	5
IV-20	5	5
IV-21	5	5
IV-23	5	5
IV-24	5	5
IV-30	4	5
IV-33	5	5
IV-34	5	5
IV-39	5	5
IV-40	5	5
IV-41	5	5
IV-44	5	5
IV-52	5	4
IV-57	5	5
IV-62	5	5
IV-85	5	5
IV-90	5	5
IV-95	5	5
IV-100	5	5
IV-101	5	5
IV-106	5	5
IV-128	5	5
IV-136	5	5
IV-150	5	5
IV-151	5	5
IV-152	5	5
IV-153	5	5
IV-154	5	5
IV-155	5	5
IV-156	5	5
IV-157	5	5
IV-158	5	5
IV-159	5	5
IV-160	5	5
IV-161	5	5
IV-165	5	5
IV-166	5	5
IV-168	5	5
IV-169	5	5
IV-170	5	5

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[Tabla 153]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-173	5	5
IV-177	5	5
IV-178	5	5
IV-179	5	5
IV-180	4	5
IV-184	5	5
IV-186	5	5
IV-187	5	5
IV-188	5	5
IV-189	5	4
IV-200	5	5
IV-201	5	5
IV-202	5	5
IV-203	5	5
IV-206	5	5
IV-208	5	5
IV-209	5	5
IV-210	5	5
IV-212	5	5
IV-213	5	5
IV-214	5	5
IV-215	5	5
IV-216	5	5
IV-217	5	5
IV-218	5	5
IV-219	5	5
IV-220	5	5
IV-221	5	5
IV-222	5	5
IV-223	5	5
IV-224	5	5
IV-225	5	5
IV-226	5	5
IV-227	5	5
IV-228	5	5
IV-229	5	5
IV-230	5	5
IV-231	5	5
IV-232	5	5
IV-233	5	5
IV-234	5	5
IV-235	5	5
IV-236	5	5
IV-237	5	5
IV-238	5	5
IV-239	4	5
IV-240	5	5
IV-241	4	5
IV-242	5	5
IV-243	5	5

[Tabla 154]

Compound No.	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-244	5	5
IV-245	5	5
IV-247	5	5
IV-248	5	5
IV-249	4	5
IV-250	4	5
IV-251	4	5
IV-252	5	5
IV-253	5	5
IV-254	5	5
IV-255	5	5
IV-256	5	5
IV-257	5	5
IV-258	5	5
IV-259	5	5
IV-260	5	5
IV-262	5	5
IV-266	5	5
IV-267	5	5
IV-268	5	5
IV-269	5	5
IV-271	4	5
Comparative Compound A	1	0
Comparative Compound B	2	2
Comparative Compound C	0	3
Comparative Compound D	0	3

Además, un compuesto comparativo A, un compuesto comparativo B, un compuesto comparativo C y un compuesto comparativo D en las tablas son el compuesto No. 70, Compuesto No. 34, Compuesto No. 32 y el Compuesto No. 31 descrito en la Publicación EP 283261, respectivamente. Las estructuras de las fórmulas de estos compuestos se muestran a continuación.

<Ejemplo de Prueba 3> Prueba en efectos herbicidas en tratamientos en campos de cultivo.

Se llenó una basija de plástico 80-cm^2 con B, compuesto comparativo C y compuesto comparativo D en las tablas son los

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Compuestos Nos. 70, 34, 32 y 31 descritos en la Publicación de EP-283261, respectivamente.

A partir de los resultados anteriores, se ha demostrado que el compuesto de la presente invención tiene una excelente actividad herbicida.

La formulación se preparó de acuerdo al Ejemplo de Preparación 1 se diluyó con agua, y se rocío de manera uniforme en la superficie de lodo con un rociador pequeño, en una cantidad equivalente a 1000 litros por hectárea, de modo que 1000 g del ingrediente activo se aplicó por hectárea. Por lo tanto, las plantas que crecieron en el jardín, y en el 21ª día después del tratamiento, los efectos herbicidas se investigaron de acuerdo al criterio descrito en la Tabla 138 mostrada más adelante. Los resultados se presentan de Tabla 155 a la Tabla 162 a continuación.

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[Tabla 155]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
I-2	4	5	5
I-5	4	5	5
I-7	4	5	5
I-12	4	5	5
I-13	5	5	5
I-14	4	5	5
I-15	5	5	5
I-21	5	5	5
I-22	4	5	5
I-30	4	5	5
I-35	4	5	5
I-40	5	5	5
I-49	4	5	5
I-50	4	5	5
I-58	5	5	5
I-59	5	5	5
I-62	5	5	5
I-65	4	5	5
I-67	4	5	5
I-68	4	5	5
I-70	5	5	5
I-71	5	5	5
I-72	5	5	5
I-82	4	5	5
I-88	5	5	5
I-90	5	5	5
I-91	5	5	5
I-92	5	5	5
I-93	5	5	5
I-94	5	5	5
I-99	5	5	5
I-100	5	4	5
I-102	5	5	5
I-103	5	5	5
I-107	4	5	5
I-111	5	5	5
I-112	4	5	5
I-131	5	5	5
I-136	4	5	5
I-137	4	5	5
I-139	4	5	5
I-144	5	5	5
I-145	5	5	5
I-148	5	5	5
I-149	5	5	5
I-156	5	5	5
I-158	5	5	5
I-159	4	5	5
I-160	5	5	5
I-163	4	5	5

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[Tabla 156]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
I-171	5	5	5
I-173	5	5	5
I-176	5	5	5
I-177	5	5	5
I-179	5	5	5
I-180	4	5	5
I-182	5	5	5
I-185	5	5	5
I-189	4	5	5
I-195	5	5	5
I-197	5	5	5
I-199	5	5	5
I-201	5	4	5
I-202	4	5	5
I-207	5	5	5
I-211	5	5	5
I-212	5	4	5
I-213	5	5	5
I-220	5	5	5
I-221	4	5	5
I-225	4	5	5
I-227	4	5	5
I-229	4	5	5
I-230	5	5	5
I-243	5	5	5
I-247	4	5	5
I-263	5	5	5
I-266	5	5	5
I-267	5	5	5
I-268	5	5	5
I-269	5	5	5
I-270	5	5	5
I-271	5	5	5
I-272	5	5	5
I-275	5	4	5
I-277	5	5	5
I-281	5	5	5
I-283	4	5	5
I-364	5	5	5
I-371	5	5	5
I-372	4	5	5
I-373	5	5	5
I-380	4	5	5
II-1	5	5	5
II-4	4	5	5
II-5	5	5	5
II-6	5	5	5
II-7	5	5	5
II-8	5	5	5
II-9	5	5	5
II-11	5	5	5
II-13	5	5	5

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[Tabla 157]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
II-14	5	4	5
II-15	5	5	5
II-20	5	5	5
II-21	5	5	5
II-23	5	5	5
II-24	5	4	5
II-33	5	5	5
II-39	5	5	5
II-44	5	5	5
II-51	4	5	5
II-52	5	5	5
II-57	5	5	5
II-62	4	5	5
II-63	5	4	5
II-64	5	5	5
II-68	5	5	5
II-69	5	5	5
II-71	4	5	5
II-74	3	4	4
II-75	5	4	5
II-84	4	4	5
II-90	5	5	5
II-95	5	5	5
II-101	5	5	5
II-116	4	4	5
II-121	4	4	4
II-122	5	4	5
II-124	5	4	5
II-125	5	5	5
II-129	5	5	5
II-130	5	5	5
II-131	5	5	5
II-136	5	5	5
II-137	5	5	5
II-149	5	5	5
II-167	5	5	5
II-168	4	5	5
II-173	4	5	5
II-178	5	5	5
II-185	4	5	5
II-188	4	5	5
II-189	5	5	5
II-190	4	5	5
II-196	5	5	5
II-197	5	4	5
II-208	4	5	5
II-210	4	5	5
II-211	5	5	5

[Tabla 158]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
II-212	5	5	5
II-213	4	5	5
II-214	5	5	5
II-215	5	5	5
II-217	4	5	5
II-218	4	5	5
II-219	5	5	5
II-220	5	5	5
II-221	5	5	5
II-222	5	5	5
II-223	5	5	5
II-224	5	5	5
II-225	5	5	5
II-226	5	5	5
II-227	5	4	5
II-228	5	5	5
II-231	5	5	5
II-233	5	5	5
II-234	4	5	5
II-237	5	5	5
II-238	5	5	5
II-239	4	5	5
II-241	5	5	5
II-242	5	4	5
II-243	5	5	5
II-244	5	5	5
II-249	5	5	5
II-252	5	5	5
II-254	5	4	5
II-255	5	4	5
II-256	5	4	5
II-257	5	4	5
II-260	5	5	5
II-261	5	5	5
II-263	5	4	5
II-303	5	5	5
II-304	4	5	5
II-305	5	5	5
III-2	5	5	5
III-5	5	5	5
III-7	4	5	5
III-12	4	5	5
III-13	4	5	5
III-15	5	5	5
III-30	4	5	5
III-35	4	5	5
III-40	4	5	5
III-45	5	5	5
III-59	5	5	5
III-88	5	5	5

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[Tabla 159]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
III-90	5	5	5
III-96	5	5	5
III-99	4	5	5
III-107	4	5	5
III-108	5	5	5
III-111	5	5	5
III-117	5	5	5
III-118	5	5	5
III-120	5	5	5
III-130	5	5	5
III-139	4	5	5
III-158	5	5	5
III-173	5	5	5
III-189	5	5	5
III-201	5	5	5
III-202	5	5	5
III-207	5	5	5
III-209	5	5	5
III-212	5	5	5
III-213	4	5	5
III-221	4	5	5
III-229	5	5	5
III-230	5	5	5
III-231	5	5	5
III-232	5	5	5
III-234	5	5	5
III-235	5	5	5
III-236	4	5	5
III-237	5	5	5
III-238	5	5	5
III-239	4	5	5
III-240	4	5	5
III-241	4	5	5
III-242	5	5	5
III-243	5	5	5
III-246	5	5	5
III-247	5	5	5
IV-1	5	5	5
IV-2	5	5	5
IV-3	5	5	5
IV-4	5	5	5
IV-6	4	5	5
IV-7	5	5	5
IV-8	5	5	5
IV-9	5	5	5
IV-11	5	5	5
IV-13	5	5	5

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[Tabla 160]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-17	5	5	5
IV-18	5	5	5
IV-19	5	5	5
IV-20	5	5	5
IV-21	5	5	5
IV-23	5	4	5
IV-24	5	4	5
IV-30	5	4	5
IV-33	5	5	5
IV-34	5	5	5
IV-39	5	5	5
IV-40	5	5	5
IV-41	5	5	5
IV-44	5	5	5
IV-52	5	5	5
IV-57	4	5	5
IV-62	5	5	5
IV-85	5	5	5
IV-90	5	5	5
IV-95	5	5	5
IV-100	5	5	5
IV-101	5	5	5
IV-106	5	5	5
IV-128	5	5	5
IV-136	5	5	5
IV-150	5	5	5
IV-151	5	5	5
IV-152	5	5	5
IV-153	4	5	5
IV-154	5	5	5
IV-155	5	5	5
IV-156	5	5	5
IV-157	4	5	5
IV-158	5	5	5
IV-160	5	5	5
IV-161	5	5	5
IV-165	5	5	5
IV-166	5	5	5
IV-168	5	5	5
IV-169	5	5	5
IV-173	5	5	5
IV-174	4	5	5
IV-177	4	5	5
IV-178	5	5	5
IV-179	5	4	5
IV-180	5	5	5
IV-184	5	5	5

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[Tabla 161]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-185	5	4	5
IV-186	5	5	5
IV-187	5	5	5
IV-188	5	5	5
IV-189	5	5	5
IV-200	5	5	5
IV-201	5	5	5
IV-202	5	5	5
IV-203	5	5	5
IV-206	5	5	5
IV-208	5	4	5
IV-209	5	5	5
IV-210	5	5	5
IV-212	5	5	5
IV-213	5	5	5
IV-214	5	5	5
IV-215	5	5	5
IV-216	5	5	5
IV-217	5	5	5
IV-218	5	5	5
IV-219	5	5	5
IV-220	5	5	5
IV-221	5	5	5
IV-222	5	5	5
IV-223	5	5	5
IV-224	5	5	5
IV-225	5	5	5
IV-226	5	5	5
IV-227	5	5	5
IV-228	5	5	5
IV-229	5	5	5
IV-230	5	5	5
IV-231	5	5	5
IV-232	5	5	5
IV-233	5	5	5
IV-234	5	5	5
IV-235	5	5	5
IV-236	5	5	5
IV-237	5	5	5
IV-238	5	5	5
IV-239	5	5	5
IV-240	5	5	5
IV-241	5	4	5
IV-242	5	5	5
IV-243	5	5	5
IV-244	5	5	5
IV-245	5	5	5
IV-247	5	5	5
IV-248	5	5	5

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[Tabla 162]

Compound No.	Echinochloa crus-galli (L.) P. Beauv. var. crus-galli	Abutilon theophrasti medicus	Amaranthus viridis L.
IV-251	5	4	5
IV-252	5	5	5
IV-253	5	5	5
IV-254	5	5	5
IV-255	5	5	5
IV-256	5	5	5
IV-257	4	5	5
IV-258	5	5	5
IV-259	5	5	5
IV-260	4	5	5
IV-262	4	5	5
IV-266	5	5	5
IV-267	4	5	5
IV-268	5	5	5
IV-269	5	5	5
IV-271	5	5	5
Comparative Compound A	0	2	1
Comparative Compound B	0	5	1
Comparative Compound C	0	4	0
Comparative Compound D	0	4	1

Además, el compuesto comparativo A, compuesto comparativo B, compuesto comparativo C y compuesto comparativo D en las tablas son los Compuestos Nos. 70, 34, 32 y 31 descritos en la Publicación de EP-283261, respectivamente.

A partir de los resultados anteriores, se ha demostrado que el compuesto de la presente invención tiene una excelente actividad herbicida.

Aplicabilidad Industrial

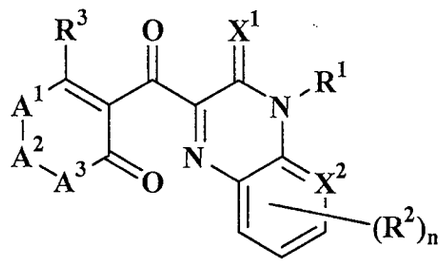
La presente invención proporciona un compuesto novedoso que tiene una excelente actividad herbicida, y por lo tanto la presente invención es útil en los campos agroquímicos y de agricultura, y tiene aplicabilidad industrial.

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REIVINDICACIONES

1. Un derivado de oxopirazina representado por la fórmula [I], o una sal agroquímicamente aceptable del mismo:

[Fórmula Química 36]



[I]

en donde X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 representa CH (el átomo de carbono puede ser substituido con R^2), esto es, CH el cual puede ser substituido con un substituyente R^2, o $N(O)_m$;

m representa un entero de 0 ó 1;

R^1 representa un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_3-C_6 haloalquenilo; un grupo C_2-C_6 haloalquinilo; un grupo C_3-C_8 halocicloalquilo; un grupo C_3-C_8 halocicloalquil-C_1-C_6 alquilo; un grupo amino-C_1-C_6 alquilo; un grupo nitro-C_1-C_6 alquilo; un grupo mono(C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo di (C_1-C_6 alquil)amino-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6

alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo hidroxi-C_1-C_6 alquilo; un grupo fenil-C_1-C_6 alcoxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes), esto es, un grupo fenil-C_1-C_8 alcoxi-C_1-C_6 alquilo en el cual el grupo fenilo puede ser substituido con uno o más R^4s idénticos o diferentes; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquiloxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes), esto es, un grupo feniloxi-C_1-C_6 alquilo en el cual el grupo fenilo puede ser substituido con uno o más idénticos o diferentes R^4s; un grupo heterocíclico-oxi-C_1-C_5 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica teniendo 2 a 10 átomos de carbono y teniendo 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede ser substituido con uno o más R^5s idénticos o diferentes), esto es, un grupo heterocíclico-oxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de

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carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^5s idénticos o diferentes; un grupo feniltio-C_1-C_6 alquilo (la porción fenilo del grupo puede ser substituida con uno o dos o más R^4s idénticos o diferentes), esto es, un grupo feniltio-C_1-C_6 alquilo en el cual el grupo fenilo puede ser substituido con uno o más R^4s idénticos o diferentes; un grupo fenilsulfinil-C_1-C_6 alquilo (un fenilo del grupo puede ser substituido con uno o más R^4s idénticos o diferentes), esto es, un grupo fenilo puede ser substituido con uno o más idénticos o diferentes R^4s; un grupo fenilsulfonil-C_1-C_6 alquilo (un grupo fenilo puede ser substituida con uno o más R^4s idénticos o diferentes), esto es, un grupo fenilo puede ser substituido con uno o más R^4s idénticos o diferentes; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica que tiene 2 a 10 átomos de carbono y que tiene 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno en el grupo, puede sustituirse con uno o más R^5s idénticos o diferentes), esto es, un grupo heterocíclico-C_1-C_6 alcoxi-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y

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puede ser substituida con uno o R^5s más idénticos o diferentes; un grupo C_1-C_6 alquiltio-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 acilamino; un grupo di(C_1-C_6 alcoxi)-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo C_1-C_6 alquilidenoaminooxi-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C alquilo en el cual el grupo arilo puede ser substituido con uno o más R^8s idénticos o diferentes; un grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno en el grupo, puede ser substituido con uno o dos o más R^9s), esto es, un grupo heterocíclico-C_1-C_6 alquilo en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^9s

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idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_1-C_6 alcoxi; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más idénticos o diferentes R^{12}s; o un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo heterocíclico el cual tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^{13}s idénticos o diferentes;

R^2 representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenil; un grupo C_2-C_6 haloalquinilo; un grupo C_3-C_8 halocicloalquilo; un grupo C_3-C_8 halocicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6

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haloalquilsulfonilo; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo C_1-C_6 acilamino; un grupo hidroxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C6 alquilsulfonil-C_1-C6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo acarbamoilo; un grupo mono (C_1-C_6 alquil)aminocarbonilo; un grupo di (C_1-C_6 alquil)aminocarbonilo; o un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno (la porción heterocíclica que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede substituirse con uno o más R^{14}s idénticos o diferentes), esto es, un grupo heterocíclico en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede ser substituido con uno o más R^{14}s idénticos o diferentes; y además, dos R^2s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales se unen directamente los R^2s, para formar un anillo carbocíclico de 4 a 8

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miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo ciano, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo oxo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), esto es, cuando X^2 es CH el cual puede ser substituido con un substituyente R^2, y n representa un entero de 0 a 3 cuando X^2 es $N(O)_m$;

R^3 representa un grupo hidroxilo; O^-M^+ (en donde M^+ es un catión de metal álcali o un catión de amonio); un grupo amino; un átomo de halógeno; un grupo C_1-C_6 alquilsulfoniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_2-C_6 alqueniltio; un grupo C_2-C_6 alquenilsulfinilo; un grupo C_2-C_6 alquenilsulfonilo; un grupo C_2-C_6 alquiniltio; un grupo C_2-C_6 alquinilsulfinilo; un grupo C_2-C_6 alquinilsulfonilo; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_2-C_6 alquenilcarboniloxi; un grupo C_2-C_6 alquinilocarboniloxi; un grupo fenoxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenoxi el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo feniltio (el grupo puede ser

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substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo feniltio el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilsulfinilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilsulfinilo el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilsulfonilo (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilsulfonilo el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilsulfoniloxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilsulfoniloxi el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo fenilcarboniloxi (el grupo puede ser substituido con uno o más R^{14}s idénticos o diferentes), esto es, un grupo fenilcarboniloxi el cual puede ser substituido con uno o más R^{14}s idénticos o diferentes; un grupo 1,2,4-triazol-1-ilo; un grupo 1,2,3-triazol-1-ilo; un grupo 1,2,3-triazol-2-ilo; un grupo imidazol-1-ilo; un grupo pirazol-1-ilo; un grupo tetrazol-1-ilo; o un grupo tetrazol-2-ilo;

R^4 representa un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6

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alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^5 representa un grupo oxo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_5 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo,

Ó R^6 y R^7 se pueden unir con el átomo de nitrógeno al cual se enlazan, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 representa un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un

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grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^9 representa un grupo oxo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo C_1-C_6 acilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^{10} y R^{11} cada uno representan independientemente un átomo de hidrógeno; un grupo C_1-C_6 alquilo; o un grupo C_1-C_6 alcoxicarbonilo, y además, R^{10} y R^{11} se pueden unir juntos con el átomo de nitrógeno a los cuales se enlazan, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de azufre y/o un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^{10} y R^{11};

R^{12} representa un átomo de halógeno; un grupo hidroxilo;

un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_3-C_8 halocicloalquilo; un grupo C_2-C_6 halocicloalquil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquiniloxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo C_1-C_6 acilamino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo hidroxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; un grupo ciano-C_1-C_6alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo carbamoilo; un grupo mono(C_1-C_6 alquil)aminocarbonilo; un grupo di (C_1-C_6 alquil)aminocarbonilo;

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un grupo heterocíclico que tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica del grupo puede ser substituida con uno o más R^{14}s idénticos o diferentes), esto es, un grupo heterocíclico el cual tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y pueden ser substituidos con uno o más R^{14}s idénticos o diferentes; o un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono (la porción heterocíclica tiene 2 a 10 átomos de carbono y tiene 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de carbono en el grupo, puede substituirse con uno o más R^{14}s idénticos o diferentes), esto es, un grupo heterocíclico-C_1-C_6 alcoxi en el cual la porción heterocíclica tiene 2 a 10 átomos de carbono y 1 a 5 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, y puede substituirse con uno o más R^{14}s idénticos o diferentes, o dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales R^{12}s se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo

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formado de esta manera puede ser substituido con un átomo de halógeno, un grupo ciano, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo oxo;

R^{13} representa un grupo oxo; un grupo tioxi; un grupo hidroxilo; un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 cicloalquil-C_1-C_6alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_3-C_8 halocicloalquilo; un grupo halocicloalquil-C_1-C_6alquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_3-C_8 cicloalquiloxi; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi; aciano-C_1-C_6 alcoxi; un grupo C_1-C_6 alquilcarboniloxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfinilo; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 haloalquilsulfinilo; un grupo C_1-C_6 haloalquilsulfonilo; un grupo amino; un grupo mono(C_1-C_6 alquil)amino; un grupo di(C_1-C_6 alquil)amino; un grupo C_1-C_6 acilamino; un grupo carboxilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo carbamoilo; un grupo mono(C_1-C_6 alquil)aminocarbonilo; un grupo di (C_1-C_6 alquil)aminocarbonilo; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxiimino-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un

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grupo C_1-C_6 alquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfinil-C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilsulfonil-C_1-C_6 alquilo; o un grupo ciano-C_1-C_6 alquilo; y además, dos R^{13}s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales R^{13}s se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede tener puede ser substituido con un átomo de halógeno, un grupo ciano, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo oxo;

R^{14} representa un átomo de halógeno; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi;

A^1 representa $C(R^{15}R^{16})$;

A^2 representa $C(R^{17}R^{18})$, o C=O;

A^3 representa $C(R^{19}R^{20})$; y

R^{15}, R^{16}, R^{17}, R^{18}, R^{19} y R^{20} cada uno representan independientemente un átomo de hidrógeno o un grupo C_1-C_6 alquilo, y R^{15} y R^{20} pueden unirse juntos para formar una cadena de C_2-C_5 alquileno, y pueden constituir un anillo junto con átomos de carbono adyacentes.

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2. El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en la reivindicación 1, en donde en la fórmula [I], X^2 es CH (el átomo de carbono puede ser substituido con R^2).

3. El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en la reivindicación 1, caracterizado porque en la fórmula [I], X^2 es $N(O)_m$.

4. El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en la reivindicación 1, caracterizado porque en la fórmula [I], R^3 es un grupo hidroxilo; o O^-M^+ (en donde M^+ es un catión de metal álcali o un catión de amonio).

5. El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en la reivindicación 1, caracterizado porque en la fórmula [I],

X^2 representa CH (el átomo de carbono puede ser substituido con R^2) o un átomo de nitrógeno;

R^1 representa un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6

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alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o dos o más R^8s idénticos o diferentes), un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o dos o más R^{13}s idénticos o diferentes),

Het^1 es tetrahidrofurano, tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofuranp o indole;

R^2 es un átomo de halógeno, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^3 es un grupo hidroxilo;

R^8 es un átomo de halógeno, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo C_1-C_6 haloalcoxi;

R^9 es un grupo C_1-C_6 alquilo, un átomo de halógeno, o un

grupo C_1-C_6 haloalquilo;

R^{10} y R^{11} son cada uno independientemente un grupo C_1-C_6 alquilo, o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} es un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_2-C_6 alqueniloxi, un grupo C_2-C_6 alquiniloxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 haloalquiltio, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi, un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi, un grupo ciano C_1-C_6 alcoxi, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo di (C_1-C_6 alquil)amino, o un grupo Het^1 C_1-C_6 alcoxi,

o dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo C_1-C_6 alquilo o un grupo oxo; y

R^{13} es un grupo oxo, un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo mono (C_1-C_6 alquil)amino.

6. El derivado de oxopirazina o la sal agroquímicamente

aceptable del mismo tal como se describe en cualesquiera de las reivindicaciones 1, 2, 4, y 5, caracterizado porque en la fórmula [I],

X^2 es CH (el grupo puede ser substituido con R^2);

R^1 es un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituido con uno dos o más R^8s idénticos o diferentes), un grupo Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o dos o más R^9s idénticos o diferentes), un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} rilo (el grupo puede ser substituido con uno o dos o más R^{12}s idénticos o diferentes), un grupo Het^1 (el grupo puede ser substituido con uno o dos o más R^{13}s idénticos o diferentes);

Het^1 es tetrahidrofurano, tetrahidrotiofeno, dióxido de

tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofurano o indole;

R^2 es un átomo de halógeno, un grupo nitro, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

R^3 es un grupo hidroxilo;

R^8 es un átomo de halógeno o un grupo C_1-C_6 alcoxi;

R^9 es un grupo C_1-C_6 alquilo;

R^{10} y R^{11} son cada uno independientemente un grupo C_1-C_6 alquilo, o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} es un átomo de halógeno, un grupo hidroxilo, un grupo nitro, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_2-C_6 alqueniloxi, un grupo C_2-C_6 alquiniloxi, un grupo C_1-C_6 haloalcoxi, un grupo C_1-C_6 alquiltio, un grupo C_1-C_6 alquilsulfonilo, un grupo C_1-C_6 haloalquiltio, un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi, un grupo C_1-C_6 haloalcoxi-C_1-C_6 alcoxi, un grupo ciano C_1-C_6 alcoxi, un grupo C_1-C_6 acilo, un grupo C_1-C_6 alcoxicarbonilo, un grupo di(C_1-C_6 alquil)amino, o un grupo Het^1 C_1-C_6 alcoxi,

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o dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno, un grupo C_1-C_6 alquilo o un grupo oxo; y

R^{13} es un grupo oxo, un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo mono (C_1-C_6 alquil)amino.

7. El derivado de oxopirazina o la sal agroquímicamente aceptable del mismo tal como se describe en cualesquiera de las reivindicaciones 1, 3, 4, y 5, caracterizado porque en la fórmula [I],

X^1 es un átomo de oxígeno;

X^2 es un átomo de nitrógeno;

R^1 es un átomo de hidrógeno; un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o dos o más R^8s idénticos o diferentes),un grupo Het^2-C_1-C_6 alquilo (el grupo

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puede ser substituido con uno o más R^9s idénticos o diferentes), esto es, un grupo Het^2-C_1-C_6 alquilo el cual puede ser substituido con uno o dos o más R^9s idénticos o diferentes; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o dos o más R^{12}s idénticos o diferentes), o un grupo Het^2 (el grupo puede ser substituido con uno o dos o más R^{13}s idénticos o diferentes);

Het^2 es 4,5-dihidroisoxazole, tiofeno, pirazole, isoxazole, piridina, 2,3-dihidrobenzofurano, 1,3-benzodioxole o benzo-1,4-dioxano;

R^2 es un átomo de halógeno, un grupo C_1-C_6 alquilo; un grupo C_1-C_6alquiltio o un grupo C_1-C_6 alcoxi;

R^3 es un grupo hidroxilo;

R^8 es un átomo de halógeno, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, o un grupo C_1-C_6 haloalcoxi;

R^9 es un grupo C_1-C_6 alquilo, un átomo de halógeno o un grupo C_1-C_6 haloalquilo;

R^{12} es un átomo de halógeno, un grupo ciano, un grupo C_1-C_6 alquilo, un grupo C_3-C_8 cicloalquilo, un grupo C_1-C_6 haloalquilo, un grupo C_1-C_6 alcoxi, un grupo C_1-C_6 haloalcoxi o un grupo C_1-C_6 haloalquiltio,

o dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tienen 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un

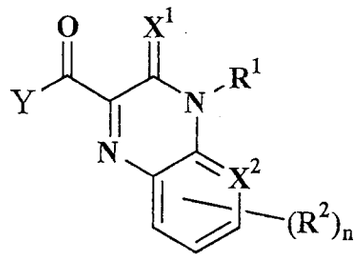
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átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno; y

R^{13} es un átomo de halógeno, un grupo C_1-C_6 alquilo, un grupo C_1-C_6 haloalquilo, o un grupo C_1-C_6 alcoxi.

8. Un compuesto representado por la fórmula [J1]:

[Fórmula Química 37]



[J1]

en donde X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 representa CH (el átomo de carbono puede ser substituido con R^2) o un átomo de nitrógeno;

R^1 representa un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6

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alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o dos o más R^8s idénticos o diferentes), un grupo Het^1-C_1-C_6 alquilo (en el cual el grupo puede ser substituido con uno o dos o más R^9s idénticos o diferentes), un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o dos o más R^{12}s idénticos o diferentes); o un grupo Het^1 (el grupo puede ser substituido con uno o dos o más idénticos o diferentes R^{13}s);

R^2 representa un átomo de halógeno; un grupo nitro; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), y n representa un entero de 0 a 3 cuando X^2 es átomo de nitrógeno;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo, y además, R^6 y R^7 se pueden unir con el átomo de nitrógeno al cual se enlazan, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 represente un át

omo de halógeno; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6

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alcoxi; o un grupo C_1-C_6 haloalcoxi;

R^9 representa un grupo C_1-C_6 alquilo; un átomo de halógeno; o un grupo C_1-C_6 haloalquilo;

R^{10} y R^{11} cada uno representan independientemente un grupo C_1-C_6 alquilo; o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquil-C_1-C_6 alquiloxi; un grupo ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo di (C_1-C_6 alquil) amino; o un grupo Het^1-C_1-C_6 alcoxi, y además, dos R^{12}s adyacentes pueden unirse con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8 miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno; un grupo C_1-C_6 alquilo; o un grupo oxo;

R^{13} representa un grupo oxo; un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo mono (C_1-C_6 alquil)amino;

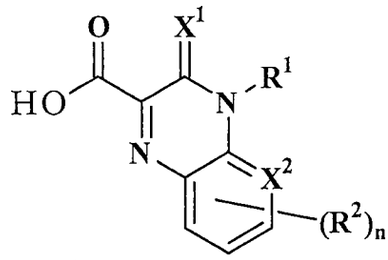
979

Y representa un átomo de halógeno o un grupo ciano; y

Het^1 representa tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofurano o indole.

9. Un compuesto representado por la fórmula [J2]:

[Fórmula Química 38]



[J2]

en donde X^1 representa un átomo de oxígeno o un átomo de azufre;

X^2 representa CH (el átomo de carbono puede ser substituido con R^2) o un átomo de nitrógeno;

R^1 representa un grupo C_1-C_{12} alquilo; un grupo C_2-C_6 alquenilo; un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo

feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o más R^8s idénticos o diferentes), esto es, un grupo C_6-C_{10} aril-C_1-C_6 alquilo, en el cual el grupo arilo puede substituirse con uno o más R^8s idénticos o diferentes; un grupo Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o dos o R^9s más idénticos o diferentes), esto es, un grupo Het^1-C_1-C_6 alquilo el cual puede ser substituido con uno o más R^9s idénticos o diferentes; un grupo $NR^{10}R^{11}$; un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o más R^{12}s idénticos o diferentes), esto es, un grupo C_6-C_{10} arilo el cual puede ser substituido con uno o más R^{12}s idénticos o diferentes; o un grupo Het^1 (el grupo puede ser substituido con uno o más R^{13}s idénticos o diferentes), esto es, un grupo Het^1 cual puede ser substituido con uno o más R^{13}s idénticos o diferentes;

R^2 representa un átomo de halógeno; un grupo nitro; un grupo C_1-C_6haloalquil; un grupo C_1-C_6alcoxi; un grupo C_1-C_6 alquilsulfonilo; o un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo;

n representa un entero de 0 a 4 cuando X^2 es CH (el grupo puede ser substituido con R^2), y n representa un entero de 0 a 3

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cuando X^2 es átomo de nitrógeno;

R^6 y R^7 cada uno representan independientemente un grupo C_1-C_6 alquilo, y además, R^6 y R^7 pueden unirse con el átomo de nitrógeno se unen, para formar un anillo de 5 a 6 miembros, en tanto que el anillo formado de esta manera puede tener un átomo de oxígeno interpuesto, además del átomo de nitrógeno al cual se unen R^6 y R^7;

R^8 representa un átomo de halógeno; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo C_1-C_6 haloalcoxi;

R^9 representa un grupo C_1-C_6 alquilo; un átomo de halógeno; o un grupo C_1-C_6 haloalquilo;

R^{10} y R^{11} cada uno representan independientemente un grupo C_1-C_6 alquilo; o un grupo C_1-C_6 alcoxicarbonilo;

R^{12} representa un átomo de halógeno; un grupo hidroxilo; un grupo nitro; un grupo ciano; un grupo C_1-C_6 alquilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; un grupo C_2-C_6 alqueniloxi; un grupo C_2-C_6 alquinilooxi; un grupo C_1-C_6 haloalcoxi; un grupo C_1-C_6 alquiltio; un grupo C_1-C_6 alquilsulfonilo; un grupo C_1-C_6 haloalquiltio; un grupo C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_8-C_8 cicloalquil-C_1-C_6 alquiloxi; a ciano-C_1-C_6 alcoxi; un grupo C_1-C_6 acilo; un grupo C_1-C_6 alcoxicarbonilo; un grupo di (C_1-C_6 alquil)amino; o un grupo Het^1-C_1-C_6 alcoxi, y además, dos R^{12}s adyacentes se pueden unir con los átomos de carbono respectivos a los cuales se unen directamente, para formar un anillo carbocíclico de 4 a 8

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miembros o un anillo heterocíclico de 4 a 8 miembros que tiene 1 a 4 heteroátomos seleccionados de un átomo de oxígeno, un átomo de azufre y un átomo de nitrógeno, en tanto que el anillo formado de esta manera puede ser substituido con un átomo de halógeno; un grupo C_1-C_6 alquilo; o un grupo oxo;

R^{13} representa un grupo oxo; un átomo de halógeno; un grupo C_1-C_6 alquilo; un grupo C_1-C_6 haloalquilo; un grupo C_1-C_6 alcoxi; o un grupo mono (C_1-C_6 alquil)amino;

Het^1 representa tetrahidrotiofeno, dióxido de tetrahidrotiofeno, tetrahidrotiopirano, dióxido de tetrahidrotiopirano, 4,5-dihidroisoxazole, tiofeno, pirazole, oxazole, isoxazole, tiazole, isotiazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-tiadiazole, piridina, piridazina, pirimidina, pirazina, 2,3-dihidrobenzofurano, 1,3-benzodioxole, benzo-1,4-dioxano, benzofuran o Índole.

10. El compuesto tal como se describe en la reivindicación 9, caracterizado porque en la fórmula [J2],

R^1 es un grupo C_2-C_6 alquinilo; un grupo C_3-C_8 cicloalquilo; un grupo C_1-C_6 haloalquilo; un grupo C_2-C_6 haloalquenilo; un grupo C_1-C_6 alquiltio-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxi-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo feniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 haloalcoxi-C_1-C_6 alquilo; un grupo tetrahidrofuran-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo C_1-C_6 alquilsulfonil-C_1-C_6 alcoxi-C_1-C_6 alquilo; un grupo ciano-C_1-C_6 alcoxi-C_1-C_6 alquilo; un

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grupo ciano-C_1-C_6 alquilo; un grupo C_1-C_6 alquilcarboniloxi-C_1-C_6 alquilo; un grupo C_1-C_6 acil-C_1-C_6 alquilo; un grupo C_1-C_6 alcoxicarbonil-C_1-C_6 alquilo; un grupo (R^6R^7N-C=O)-C_1-C_6 alquilo; un grupo C_6-C_{10} aril-C_1-C_6 alquilo (la porción arilo del grupo puede ser substituida con uno o dos o más R^8s idénticos o diferentes), un grupo Het^1-C_1-C_6 alquilo (el grupo puede ser substituido con uno o dos o más R^9s idénticos o diferentes); un grupo $NR^{10}R^{11}$; un grupo Het^1 (el grupo puede ser substituido con uno o dos o más R^{13}s idénticos o diferentes).

11. El compuesto tal como se describe en la reivindicación 9, caracterizado porque en la fórmula [J2],

X^2 representa un átomo de nitrógeno; y

R^1 es un grupo C_6-C_{10} arilo (el grupo puede ser substituido con uno o dos o más R^{12}s idénticos o diferentes.

12. Un herbicida que comprende el derivado de oxopirazina tal como se describe en cualesquiera de las reivindicaciones de la 1 a la 7 o una sal del mismo, como un ingrediente activo.

13. Un método para utilizar un herbicida, en donde el método comprende tratar tierra y/o plantas con una cantidad efectiva del herbicida tal como se describe en la reivindicación 12.

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RESUMEN

Se describe un derivado de oxopirazina que tiene excelente actividad herbicida, exhibiendo al mismo tiempo alta seguridad para cosechas útiles, o una sal del mismo. También se describe un herbicida que contiene dicho derivado de oxipirazina o una sal del mismo. Se describe de manera específica un derivado de oxipirazina representado por la fórmula general [I] que se encuentra más adelante o una sal del mismo. También se describe en forma específica un herbicida que contiene cualesquiera de los compuestos. (En la fórmula X^1 representa un átomo de oxígeno o un átomo de azufre; X^2 representa CH o $N(O)_m$; en donde m representa un entero de 0 ó 1; R^1 representa un átomo de hidrógeno; un grupo C_1-C_{12} alquilo o similar; R^2 es un átomo de halógeno, un grupo ciano o similar; R^3 representa un grupo hidroxilo; un átomo de halógeno o similar; A^1 representa $C(R^4R^5)$; A^2 representa $C(R^6R^7)$ o C=O; A^3 representa (CR^8R^9); y R^4-R^9 cada uno representan un átomo de hidrógeno o un grupo alquilo).

985

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2008/002055

A. CLASSIFICATION OF SUBJECT MATTER
C07D241/44(2006.01)i, *A01N43/60*(2006.01)i, *A01N43/653*(2006.01)i, *A01N43/66*(2006.01)i, *A01N43/80*(2006.01)i, *A01N43/84*(2006.01)i, *A01N43/90*(2006.01)i, *A01N47/02*(2006.01)i, *A01P13/00*(2006.01)i, *C07D471/04*(2006.01)i
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D241/44, A01N43/60, A01N43/653, A01N43/66, A01N43/80, A01N43/84, A01N43/90, A01N47/02, A01P13/00, C07D471/04

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
Jitsuyo Shinan Koho 1922-1996 Jitsuyo Shinan Toroku Koho 1996-2008
Kokai Jitsuyo Shinan Koho 1971-2008 Toroku Jitsuyo Shinan Koho 1994-2008

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAPLUS(STN), REGISTRY(STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 283261 A2 (IMPERIAL CHEMICAL INDUSTRIES PLC.), 21 September, 1988 (21.09.88), Full text & JP 1-6256 A & JP 63-264542 A & US 4912262 A & US 5041681 A & US 5098464 A & US 5426091 A & US 5563115 A & US 5744610 A & US 5210312 A & US 5250501 A & US 5958839 A & US 6291400 B1 & GB 8706557 A & GB 8712037 A & GB 8712038 A & GB 8715044 A & GB 8729108 A	1-13

[X] Further documents are listed in the continuation of Box C. [ ] See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier application or patent but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
18 August, 2008 (18.08.08)	26 August, 2008 (26.08.08)
Name and mailing address of the ISA/ Japanese Patent Office Facsimile No.	Authorized officer Telephone No.

Form PCT/ISA/210 (second sheet) (April 2007)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2008/002055

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP 50-29583 A (Surikoruguren), 25 March, 1975 (25.03.75), Particularly, pages 11 to 13, 15 (Family: none)	9,10
X	AHMAD, A.R. et al., Preparation of 3-Substituted 6,7-Dimethoxyquinoxalin-2(1H)-ones and Studies of Their Potential as Fluoroionophores, Tetrahedron, 1995, Vol.51, No.47, pp.12899-12910	8
X	AHMAD, Y. et al., Quinoxaline Derivatives. VII. The Mechanism of the Formation of 6-Chloro-1,2,3,4,2',3'-hexahydro-4,1'-dimethoxy-3,2'-dioxoquinoxaline-2-spiro-3'-indole from a Quinoxaline N-Oxide Derivative by Nucleophilic Chlorination, BULLETIN OF THE CHEMICAL SOCIETY OF JAPAN, 1965, Vol.38, No.10, pp.1659-1663	9
X	JP 4-5287 A (Kabushiki Kaisha Baio Sensa Kenkyusho), 09 January, 1992 (09.01.92), Particularly, page 12 (Family: none)	8,9
X	JP 2000-80085 A (Kyorin Pharmaceutical Co., Ltd.), 21 March, 2000 (21.03.00), Particularly, Par. No. [0342] & US 6348461 B1 & EP 1020453 A1 & WO 1999/011632 A1 & DE 69824025 D & DE 69824025 T & AU 8886498 A & NO 20001046 A & BR 9811739 A & HU 2853 A & CA 2302161 A & AU 744540 B & NZ 503076 A & AT 267176 T & CN 1269794 A	9
X	JP 2007-504127 A (Astra Zeneca AB.), 01 March, 2007 (01.03.07), Particularly, Par. Nos. [0069], [0071], [0073] & US 2006/0270666 A1 & EP 1660460 A & WO 2005/021512 A1 & SE 302324 D & CN 1845909 A	9

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2008/002055

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP 11-236375 A (Taisho Pharmaceutical Co., Ltd.), 31 August, 1999 (31.08.99), Particularly, Par. No. [0032] & US 6329525 B1 & US 2002/0049323 A1 & EP 1048655 A1 & WO 1999/028299 A1 & DE 69826034 D & DE 69826034 T & AU 1350999 A & AU 736232 B & CA 2313055 A & AT 275133 T & HK 1034074 A & ES 2227893 T & CN 1280569 A	9,10
X	US 4181724 A (The Upjohn Co.), 01 January, 1980 (01.01.80), Particularly, column 7 & US 4262123 A	9
X	SONNA, P. et al., Synthesis of substituted 2-ethoxycarbonyl- and 2-carboxyquinoxalin-3-ones for evaluation of antimicrobial and anticancer activity, Il Farmaco, 1998, Vol.53, No.7, pp.455-461	9
X	SAVELLI, F. et al., Synthesis of 1H-Pyrazino [1,2-a]pyrido[2,3-e]pyrazine and 2H-Pyrano [2,3-b]pyrido[2,3-e]pyrazine Derivatives, Journal of Heterocyclic Chemistry, 1996, Vol.33, No.6, pp.1737-1742	9
X	Database Caplus on STN, AN 1965:3098, DN 62:3098, AHMAD, Y. et al., Quinoxaline derivatives. I. Intramolecular rearrangement of certain quinoxalinecarboxanilides to spiroindoles, Journal of the Chemical Society, 1964, pp.4053-4056	9

988

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

RECIBO OFICIAL DE CAJA : 10 - 2,712
FECHA : ENERO 12 DE 2010

No. 10-010108- -00000-0000

Fecha: 2010-02-01 16:10:24 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 989

***** C O N S I G N A C I O N *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO DE BOGOTA	062754387	325671021	12/01/2010	36.330.000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-08 PRORROGA DE TERMINOS	*** N.CREACION PRORROGA DE TERMINOS D	83.000.00
		TOTAL : $	83.000.00

SON: OCHENTA Y TRES MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

C020:15798-841-002-1

989

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800174089-2

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-010108- -00000-0000
Fecha: 2010-02-01 16:10:24 Dep: 2020 DIR NUEVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 989

RECIBO OFICIAL DE CAJA : 10 - 4,259
FECHA : ENERO 18 DE 2010

***** C O N S I G N A C I O N *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	VR. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO DE BOGOTA	062754387	325671032	18/01/2010	47.164.000,00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE IN	554.000,00
		TOTAL : $	554.000,00

SON: QUINIENTOS CINCUENTA Y CUATRO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

COLO:15798-841-002-1

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-010108- -00000-0000
Fecha: 2010-02-01 16:10:24 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 989

PATENTE DE INVENCIÓN ☐ **MODELO DE UTILIDAD** ☐ **Art 33 Decisión 486/00**

- ☐ Indicación que se solicita una patente.
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Descripción de la invención
- ☐ Dibujos de ser estos pertinentes
- ☐ Comprobante de pago de las tasas establecidas (De ser el caso formato de descuento)

Completa ☐ Incompleta ☐

PATENTE DE INVENCIÓN PCT ☐ **MODELO DE UTILIDAD PCT** ☐ **Art.33 Decisión 486/00, Circular Única**

- ☐ Indicación que se solicita una PCT
- ☐ Copia de la solicitud en español, tal como fue presentada inicialmente (capítulo descriptivo, reivindicatorio, resumen)
- ☐ Dibujos de ser estos pertinentes
- ☐ Comprobante de pago de las tasas establecidas (de ser el caso formato de descuento)

Completa ☐ Incompleta ☐

DISEÑO INDUSTRIAL ☐ **(Art. 119 Decisión 486/00)**

- ☐ Indicación que se solicita Diseño industrial
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Representación gráfica y fotográfica del Diseño industrial o muestra del material que incorpora el diseño
- ☐ Comprobante de pago de las tasas establecidas

Completa ☐ Incompleta ☐

ESQUEMA DE TRAZADO ☐ **(Art. 92 Decisión 486/00)**

- ☐ Indicación que se solicita un esquema de trazado
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Representación gráfica de un esquema de trazado
- ☐ Comprobante de pago de las tasas establecidas

Completa ☐ Incompleta ☐

Industria y Comercio
SUPERINTENDENCIA

Folio 990

2020
Bogotá D.C.,

Doctor(a)
CORREA BARBOSA OSCAR
Apoderado(a) y/o Representante de
KUMIAI CHEMICAL INDUSTRY CO., LTD.

REFERENCIA	Radicación No.	10 10108
	Solicitud internacional	PCT/JP2008/002055
	Fecha inicio fase nacional	01/03/2010
	Trámite	11
	Evento	378
	Actuación	416
	Oficio No.	10153
	Folios	1

Teniendo en cuenta que la solicitud de privilegio de patente que se tramita bajo el expediente indicado en la referencia, reúne los requisitos de forma establecidos en la Decisión 486 de la Comisión de la Comunidad Andina, el Tratado de Cooperación en Materia de Patentes (PCT), el Reglamento y la Circular Única de la Superintendencia de Industria y Comercio, se envía el extracto de la solicitud a la Oficina de Comunicaciones para efecto de su publicación en la Gaceta de Propiedad Industrial.

Cúmplase
Dado en Bogotá DC, 08 MAR. 2010

ALIX CARMENZA CESPEDES DE VERGEL
Directora de Nuevas Creaciones (c)

adpa11

Al contestar favor indique el número de radicación consignado en el rótulo