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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



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Señor

Superintendente Delegado para la Propiedad Industrial

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

E. _____ S. _____ D. _____

Referencia: Expediente No.04.033.908

Solicitud de Patente de Invención titulada: "Composición fungicida basada en pirimetanilo e iprodiona y utilización de esta composición para la lucha contra enfermedades de plantas".

Solicitante: Bayer CropScience S.A

RECURSO DE REPOSICIÓN

ÁLVARO CORREA ORDÓÑEZ, mayor de edad y vecino de Bogotá D.C., identificado según aparece al pie de mi firma, en mi condición de apoderado de la sociedad Bayer CropScience S.A, domiciliada en Lyon, Francia, presento oportunamente el siguiente recurso de reposición en contra de la Resolución 38926 de fecha 14 de octubre de 2008, notificada por edicto desfijado el 6 de noviembre de 2008, con el propósito de que se revoque la mencionada resolución.

1. OBJETO DEL RECURSO

Que se revoque la Resolución 38926 de fecha 14 de octubre de 2008, y en su lugar, se conceda el privilegio de patente de invención para la solicitud de patente titulada:

“Composición fungicida basada en pirimetanilo e iprodiona y utilización de esta composición para la lucha contra enfermedades de plantas”.

2. ANTECEDENTES

2.1. La solicitud de patente fase nacional tramitada en este expediente contiene dos (2) reivindicaciones. En la Resolución impugnada, el Despacho niega el derecho de patente para las reivindicaciones 1 y 2 con fundamento en la falta de nivel inventivo.

2.2. Esta solicitud fue presentada ante ese Despacho el día 13 de abril de 2004 y se le adjudicó el número de radicación 04033908. La presente solicitud fase nacional corresponde a la solicitud internacional No.PCT/EP02/11125 del 17 de septiembre de 2002.

2.3. Mediante auto de fecha 23 de abril de 2004, la División de Nuevas Creaciones requirió al solicitante para que se pronunciara con respecto al primer examen de forma contenido en el oficio No.04231. Dicho requerimiento fue atendido mediante el memorial radicado el día 13 de agosto de 2004.

2.4. La presente solicitud fue publicada en la gaceta oficial No.557 el día 31 de Octubre de 2005 sin que se hubieran presentado oposiciones por parte de terceros.

2.5. Siguiendo con el trámite normal, ese Despacho emitió el examen de fondo el día 28 de febrero de 2008, en el cual objetó la claridad de la solicitud, unidad de invención y el nivel inventivo de las reivindicaciones 1 a 10 con fundamento en las enseñanzas contenidas en los documentos **D1**(FR2692108) y **D2**(JP5112408). Este requerimiento fue oportunamente atendido el 30 de mayo de 2008.

2.6. Por medio de la Resolución 38926 de fecha 14 de Octubre de 2008, notificada por edicto desfijado el día 6 de noviembre de 2008, el Despacho niega las reivindicaciones 1 y 2 por cuanto considera que carecen de nivel inventivo a pesar de los argumentos presentados por la solicitante en la respuesta al examen de fondo.

2.7. Ese Despacho menciona que la falta de nivel inventivo se debe a las enseñanzas contenidas en los documentos **D1**(FR2692108) y **D2**(JP5112408). En forma resumida, el Examinador establece que:

“Las reivindicaciones 1 y 2 se reclama una composición fungicida, que comprende pirimetanilo e iprodiona en proporciones respectivas de 1/3 a 3, preferentemente de 1/2 a 2, y un soporte sólido o líquido”.

“D1 divulgó combinaciones sinérgicas, que comprenden pirimetanilo y otro fungicida, donde dicho fungicida puede ser entre otros iprodiona. En este documento se enseña la mezcla específica y además se indican las dosis de aplicación en campo”.

“D2 enseña combinaciones sinérgicas gemicidas que comprenden pirimetanilo e iprodiona. Además se indica que es una composición fungicida útil en agricultura y horticultura...y su acción sinergística resulta extremadamente efectiva aún en dosis bajas, que podrían ser no efectivas individualmente”

“Por lo tanto, la solicitud en estudio se deriva de lo divulgado en D1 y en D2...por lo demás, las pruebas de laboratorio y de invernadero que se realizan son el paso obligado para poder llegar a composiciones aplicables en condiciones de campo, lo cual para una persona del nivel medio resulta derivable del estado de la técnica”.

“D1, específicamente en el ejemplo, corresponde realmente a una proporción de 1 a 5 de pirimetanil e iprodiona respectivamente, se muestra una mayor efectividad de los compuestos cuando se encuentran en mezcla, respecto a los compuestos activos cuando actúan separadamente”.

“...una vez se conoce la mezcla y sus propiedades, la formulación se desarrolla mediante ensayos rutinarios, lo cual no constituye un salto cualitativo en el estado de la técnica que proporcione nivel inventivo”.

“...No obstante existan numerosas mezclas en D2, se muestra un ejemplo específico de la mezcla de pirimetanil e iprodiona contra botrytis cinérea, de donde se puede establecer claramente que es posible la combinación específica de dichos principios activos y que además se mejora la efectividad de los compuestos...”

3. FUNDAMENTO DEL RECURSO

3.1. Objeto de la invención

Antes de entrar a discutir la patentabilidad de la presente invención, considero importante mencionar que uno de los objetivos de la presente solicitud es la de proporcionar

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composiciones fungicidas que contribuyen a luchar eficazmente contra las cepas de hongos resistentes a las composiciones fungicidas conocidas, en particular la iprodiona.

Los inventores de la presente invención de forma inesperada encontraron la solución al problema planteado mediante una composición fungicida que comprende pirimetanilo e iprodiona en proporciones respectivas de 1/3 a 3, preferentemente de 1/2 a 2 y un soporte sólido o líquido.

Es importante también aclarar que las reivindicaciones de la presente invención se limitaron a dos (2) reivindicaciones dirigidas a composiciones fungicidas, y que el requisito de novedad fue reconocido por su Despacho.

Por lo tanto, los argumentos que se presentan a continuación son para demostrar el nivel inventivo de la presente invención.

3.2. El requisito de nivel inventivo

El nivel inventivo de una solicitud se encuentra definido en el Artículo 18 de la Decisión 486 y se establece “*si para una persona del oficio normalmente versada en la materia técnica correspondiente, esa invención no hubiese resultado obvia ni se hubiese derivado de manera evidente del estado de la técnica*”.

Los documentos FR2692108 (D1) y JP-A-05 112408 (D2) no afectan el nivel inventivo de la presente invención por las siguientes razones:

Como se mencionó anteriormente uno de los objetivos de la presente solicitud es la de proporcionar composiciones fungicidas que contribuyen a luchar eficazmente contra las cepas de hongos resistentes a las composiciones fungicidas conocidas, en particular la iprodiona. Por favor, ver página 3, líneas 17 a 21 de la descripción de la presente invención.

Estas cepas son principalmente del género *Alternaria*. Por favor, ver página 2 de la memoria descriptiva de la presente invención que establece:

“Igualmente ha resultado esencial poder disponer de medios de tratamiento antifúngicos que limiten o eviten los fenómenos de resistencia de los organismos fitopatógenos,

particularmente la aparición o diseminación de cepas del género *Alternaria* que sean resistentes a la iprodiona”.

Mi representada afirma que la mayoría de los ejemplos de la presente invención muestran la eficacia de la composición de la presente invención contra las cepas *Alternaria*.

Mi representada, respetuosamente hace notar a su Despacho que ninguno de los documentos D1 y D2 relacionan el tratamiento contra la *Alternaria*.

Se enfatiza además que la presente invención proporciona propiedades sinérgicas inesperadas como se evidencia en los ejemplos presentados en la descripción. Estos ejemplos reflejan la eficacia de la composición de acuerdo con la invención en condiciones de campo.

Anexamos nuevamente ejemplos adicionales que evidencian la eficacia de la composición de acuerdo con la invención en el documento titulado: “Patent support – Iprodiona + Pyrimethanil combinations. Examples of biological performance.”

Este efecto inesperado es el que le otorga el nivel inventivo a las composiciones fungicidas de las reivindicaciones 1 y 2 de la presente invención.

Como bien lo menciona el Manual preparado por la OMPI¹ en la página 78:

“Una composición novedosa es inventiva si existe un efecto inesperado....”.

o como también se menciona en la página 83 del mismo manual:

“Las composiciones suelen presentar nivel inventivo por la presencia de un efecto sinérgico.....”

Dicho efecto inesperado sinérgico fue reconocido por la oficina encargada del Examen Preliminar Internacional, cuando examinó la solicitud internacional PCT/EP02/11125

¹ Manual para el examen de solicitudes de patentes de invención en las oficinas de propiedad industrial de los países de la Comunidad Andina, OMPI, 2004

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equivalente a la presente invención y comparó las enseñanzas de FR2692108 (D1) y JP-A-05 112408 (D2), que también cita el examinador colombiano, con lo revelado por la presente invención, dicha oficina cita lo siguiente:

En vista de la argumentación comparativa de la solicitud como se reclama con ambos contenidos de los dos documentos citados, se reconoce el nivel inventivo de la presente invención. Anexamos copia del examen preliminar internacional para su información.

El nivel inventivo también fue reconocido por la Oficina de Patentes Europea, la cual también había citado como anterioridades a FR2692108 (D1) y JP-A-05 112408 (D2) y después de analizar los argumentos presentados otorgó la patente EP 1427 288 equivalente a la presente solicitud de patente.

Así mismo, otros **17 países** han otorgado patente a la solicitud equivalente a la Colombiana. Anexamos copia de la carátula de la patente Europea y el listado de los otros países con el número de patente y fecha de otorgamiento.

Mal hace su Despacho el restarle altura inventiva a la presente invención, que comprenden composiciones fungicidas novedosas y en donde se demuestra claramente el efecto sinérgico sorprendente y muestra **su efectividad en campo** específicamente en contra de las cepas *Alternaria*. Se reitera que ninguno de los documentos D1 y D2 contemplo el tratamiento para *Alternaria*.

Además de que D1 no trata de ninguna manera tratamiento contra la *Alternaria*, solamente proporciona un ejemplo para la lucha contra *Botrytis cinerea* en condiciones de laboratorio, **no se proporciona ningún resultado en condiciones de campo abierto.**

Los ejemplos presentes en la descripción muestran que de acuerdo con la presente invención es posible lograr muy buenos resultados con tales proporciones que varían de 0,33 a 3, en particular estos ejemplos muestran que la composición reivindicada permite lograr tales resultados en condiciones de campo.

Se reitera que D1 proporciona una prueba sobre *Botrytis cinerea* en condiciones de laboratorio (invernadero) donde se diseñan condiciones de crecimiento específicas para

evitar parámetros encontrados en las condiciones de campo. Es decir, un experto sabe que no es predecible que actividades o condiciones de laboratorio se repliquen o sean iguales a las condiciones de campo.

De esta manera, a partir de D1 no era obvio ni se deriva de manera evidente para una persona experta enfrentar el problema de encontrar composiciones fungicidas particularmente eficientes, muy principalmente en condiciones de campo, específicamente composiciones que luchen en contra de las cepas *Alternaria*, que comprendan pirimetanil e iprodiona en una proporción de 0,33 a 3.

No es de ninguna manera obvio adaptar las enseñanzas de este documento con el fin de preparar la composición de acuerdo con la invención.

Como bien se menciona en la descripción de la presente invención con relación a FR 2.692.108 este documento se relaciona con mezclas basadas en pirimetanilo con otros numerosos compuestos, proporciona una lista de más de once tipos de grupos de compuestos fungicidas y más de 70 compuestos candidatos a la mezcla, entre esta lista sistemática de compuestos, solo 23 mezclas han dado lugar a experimentación en laboratorio y ninguna en condiciones de campo abierto, lo cual es de gran importancia en razón a que nunca es predecible para el experto que una actividad o propiedad presente en condiciones de laboratorio pueda ser igual a las condiciones de campo.

En consecuencia y aún si la proporción de 5 de pirimetanil a iprodiona se describe en D1 en relación con una prueba conducida sobre cepas de hongos de *Bothrytis cinerea* en condiciones de laboratorio, no existe ningún resultado ni sugerencia en este documento para obtener una mezcla con una proporción como la que aparece en la reivindicación 1 con eficiencia en condiciones de campo.

Mi representada argumenta que un efecto sinérgico no se espera sistemáticamente cuando se utilizan composiciones fungicidas tal como se describen de manera general en D1.

Además, los únicos ejemplos que se describen en este documento se refieren a pruebas in vitro. Esto significa que estas pruebas se condujeron sobre material biológico que no son plantas ni aún partes de plantas. Este aspecto se subraya en la página 9 significando que es

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de primordial importancia notar que estos experimentos fueron conducidos en condiciones de laboratorio sobre organismos seleccionados. El experto en la técnica conoce perfectamente que los resultados que se pueden obtener con tales pruebas in vitro no son reproducibles cuando se realizan en ensayos de campo. Predecir los resultados de los ensayos en planta partiendo de los ensayos in vitro de ninguna manera es posible.

Además, del ejemplo 8 de D1 parece que la mezcla probada podría permitir una ganancia de eficacia del 51% al 76%. Esto podría representar una ganancia del 25% en términos de incremento en la eficacia, haciendo notar, de nuevo, que la ganancia se ha obtenido cuando se producen las condiciones in vitro.

Los resultados obtenidos con la composición de acuerdo con la invención son mucho mejores. De hecho, el incremento promedio obtenido con la composición de acuerdo con la invención es de casi el 34%. La ganancia de la eficacia que se ha obtenido cuando se aplica la composición de acuerdo con la invención ha alcanzado un valor de hasta el 65,7%.

El efecto sinérgico es de hecho mucho mayor que la ganancia que se reporta en D1 pero, además, este efecto sinérgico mayor se ha obtenido en condiciones de campo para la composición de acuerdo con la invención.

Dicho nivel de sinergia superior no era predecible para una persona experta que conociera D1.

Adicionalmente, tal como se citó en la memoria descriptiva de la presente invención con relación al documento D2 JP-5.112.408 dicho documento menciona numerosas composiciones basadas en derivados de pirimidina mezclados particularmente con iprodiona. Este documento describe intervalos muy amplios de relaciones de materias activas y contiene una información muy general en cuanto a las propiedades de estas composiciones sin aportar resultados.

Adicionalmente, en los ejemplos de la presente solicitud, en particular en los ejemplos 1, 2 y 4, se demuestra que la composición de acuerdo con la invención una muy alta y sorprendente persistencia de acción. De hecho, a lo largo de todos los períodos de tratamiento que se reportaron en estos ejemplos, se demuestra que la eficacia se incrementa.

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Al experto no se le enseñó ni sugirió en D2 que la composición que comprende pirimetanil e iprodiona pudiera permitir tal persistencia de acción. Se anexa copia en inglés de la patente japonesa.

Como se mencionó anteriormente D2 no trata de ninguna manera tratamiento contra la *Alternaria*.

De conformidad con las pruebas aportadas y con los argumentos arriba citados, se concluye que la presente invención es novedosa tal como lo ha reconocido su Despacho y también presenta altura inventiva con respecto a las enseñanzas de los documentos D1 y D2 considerados separadamente o en conjunto; y por lo tanto, la presente invención cumple con los requisitos de patentabilidad establecidos en el artículo 14 de la Decisión 486. Es decir, es una invención de producto (composición fungicida) novedosa, que posee altura inventiva y que es susceptible de aplicación industrial.

Respetuosamente solicitamos a su Despacho que analice nuevamente los argumentos y pruebas aportadas como sustento del nivel inventivo de la presente solicitud de patente.

4. PETICIÓN

Solicito a su Despacho que con fundamento en los hechos y normas citadas :

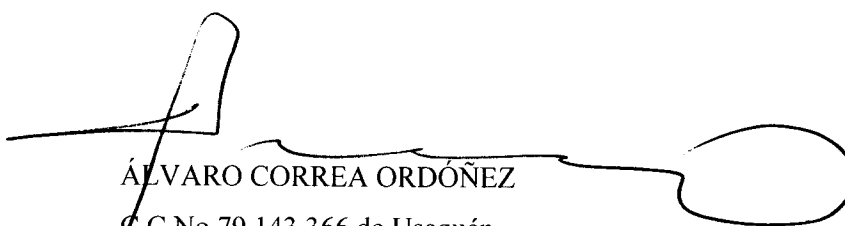
1. Se revoque la resolución No. 38926 del 14 de octubre de 2008 en cuanto a que la solicitud de patente de invención contenida en el expediente de la referencia, si tiene nivel inventivo, es decir cumple con el artículo 18 de la Decisión 486.
2. Que como consecuencia de la revocación, se otorgue el privilegio de patente a la invención titulada: **“Composición fungicida basada en pirimetanilo e iprodiona y utilización de esta composición para la lucha contra enfermedades de plantas”**

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5. NOTIFICACIONES

Recibiré notificaciones en mis oficinas ubicadas en la Avenida 82 No. 10-62 Piso 6 de la ciudad de Bogotá, D.C.

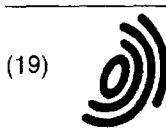
Atentamente,



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

Anexos:

- Carátula de la patente Europea 1 427 288
- Copia del Examen Preliminar Internacional
- Ejemplos adicionales que sustentan la eficacia de la composición fungicida de la presente invención.
- Copia en inglés de la referencia Japonesa 5.112.408
- Lista de las 17 patentes otorgadas en otros países de la solicitud equivalente a la solicitud Colombiana.



Europäisches Patentamt
European Patent Office
Office européen des brevets



(11) **EP 1 427 288 B1**

(12)

EUROPEAN PATENT SPECIFICATION

(45) Date of publication and mention
of the grant of the patent:
29.12.2004 Bulletin 2004/53

(51) Int Cl.7: **A01N 47/38**

(86) International application number:
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WO 2003/024228 (27.03.2003 Gazette 2003/13)

(22) Date of filing: **17.09.2002**

(54) FUNGICIDE COMPOSITION COMPRISING PYRIMETHANIL AND IPRODIONE

FUNGIZIDE ZUSAMMENSETZUNG ENTHALTEND PYRIMETHANIL UND IPRODIONE

COMPOSITION FONGICIDE COMPRENANT PYRIMETHANIL ET IPRODIONE

(84) Designated Contracting States:
**AT BE BG CH CY CZ DE DK EE ES FI FR GB GR
IE IT LI LU MC NL PT SE SK TR**
Designated Extension States:
AL LT LV MK RO SI

(56) References cited:
FR-A- 2 692 108

(30) Priority: **18.09.2001 FR 0112033**

- **DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MAENO, SHINICHIRO ET AL: "Synergistic agrochemical fungicides containing 2-anilino-4-methylpyrimidines." retrieved from STN Database accession no. 119:111281 XP002197609 & JP 05 112408 A (KUMIAI CHEMICAL INDUSTRY CO, JAPAN) 7 May 1993 (1993-05-07) cited in the application**

(43) Date of publication of application:
16.06.2004 Bulletin 2004/25

(73) Proprietor: **Bayer CropScience S.A.**
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Remarks:

The file contains technical information submitted after the application was filed and not included in this specification

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EP 1 427 288 B1

Note: Within nine months from the publication of the mention of the grant of the European patent, any person may give notice to the European Patent Office of opposition to the European patent granted. Notice of opposition shall be filed in a written reasoned statement. It shall not be deemed to have been filed until the opposition fee has been paid. (Art. 99(1) European Patent Convention).

Description

[0001] The present invention relates to a novel fungicidal composition comprising pyrimethanil and iprodione in a ratio ranging from 1/3 to 3, and the use of such a composition for controlling particular plant diseases or for controlling specific phytopathogenic fungi present or capable of appearing on plants.

[0002] Pyrimethanil is described in the book entitled The Electronic Pesticide Manual version 1.1 (by British Crop Protection Council, published by Clive Tomlin); this book also describes iprodione for its fungicidal properties.

[0003] French Patent Application No. 2 692 108 which essentially relates to mixtures based on pyrimethanil and numerous other compounds gives a list of more than eleven types of families of fungicidal compounds and of more than 70 candidate compounds for the mixture; among this systematic list of compounds, only 23 mixtures have led to laboratory experimentation, none under open field conditions. Thus, even if the pyrimethanil and iprodione mixture in a ratio of 5 to 1 is given as an example for controlling *Botrytis cinerea* under laboratory conditions, no result under open field conditions is given.

[0004] Moreover, a summary of Japanese patent application JP-5 112 408 mentions fairly numerous compositions based on particular pyrimidine derivatives mixed with iprodione in particular. However, this document describes very broad ranges of ratios of active substances present and remains very general regarding the properties of these compositions without providing results.

[0005] However, it is still desirable to improve the products which can be used by the farmer for controlling fungicidal diseases of plants and in particular of crops.

[0006] It is also still desirable to improve the fungicidal products used for controlling plant diseases caused by fungi of the genera which are *Alternaria*, *Sclerotinia*, *Monilinia*, *Wilsonomyces*, *Ascochyta* and *Mycosphaerella*.

[0007] It is also still desirable to reduce the doses of chemical products applied to plants or fruits, in particular by reducing the applied doses of the products.

[0008] It is finally still desirable to increase the range of available antifungal products in order to find among them those best suited to specific uses.

[0009] It has also become essential to be able to have antifungal means of treatment which limit or avoid phenomena of resistance of phytopathogenic organisms, in particular the appearance or the spread of strains of the genus *Alternaria* which are resistant to iprodione.

[0010] It is also advantageous to have such products which have the broadest possible activity spectrum.

[0011] It is also very advantageous to be able to provide the user a solution in the form of an antifungal agent which makes it possible to offer better protection by redistribution through the treated plant, in particular the foliage.

[0012] To the numerous problems which have just been disclosed, there are added most often those linked to the protection of the environment, environmental problems to which the users of fungicidal active ingredients, as well as consumers of products obtained from these crops, are increasingly sensitive.

[0013] Another difficulty relating to the use of numerous fungicidal substances lies in the accumulation of several of the problems which have just been disclosed. It is indeed even more difficult to solve the problems posed when they accumulate because the solutions which can be envisaged are sometimes antinomial or even antagonistic.

[0014] A first object of the present invention consists in providing fungicidal compositions possessing a broad activity spectrum, that is to say possessing a substantial activity on a larger number of phytopathogenic fungi than the number of phytopathogenic fungi treated with known compositions.

[0015] A second object of the invention consists in providing fungicidal compositions possessing both a preventive effect and a curative effect, in particular an improved curative effect compared with known fungicidal compositions.

[0016] Another object of the present invention consists in providing fungicidal compositions which can effectively control fungal strains resistant to known fungicidal compositions, in particular to iprodione.

[0017] Another aim of the present invention is to provide fungicidal compositions which are effective at significantly lower doses compared with the doses currently applied.

[0018] Another object of the present invention consists in providing a fungicidal composition possessing preventive, curative, eradicator and antispore properties.

[0019] Other objects of the invention will appear in the disclosure of the invention which is presented in the remainder of the present description.

[0020] Surprisingly, it has been discovered that all of these objects may be achieved completely or in part using the fungicidal compositions which are the subject of the present invention.

[0021] The present invention also proposes achieving all or some of the objectives which have just been mentioned.

[0022] The present invention relates to a composition comprising pyrimethanil and iprodione in respective proportions ranging from 1/3 to 3, preferably ranging from 1/2 to 2.

[0023] References to methods for preparing pyrimethanil and iprodione will be found in the book cited above.

[0024] Usually, the compositions according to the invention comprise between 0.00001 and 100%, preferably between 0.001 and 80%, of active compounds, whether these compounds are combined, or whether they are in the form

ANEXO 2

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

REC'D 28 JUL 2003

WIPO

PCT

Applicant's or agent's file reference PM01041	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/EP02/11125	International filing date (day/month/year) 17.09.2002	Priority date (day/month/year) 18.09.2001
International Patent Classification (IPC) or both national classification and IPC A01N47/38		
Applicant BAYER CROPSOURCE S.A. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 4 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 18.03.2003	Date of completion of this report 23.07.2003
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Boletti-Cremers, K Telephone No. +49 89 2399-8541 

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/EP02/1125**

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-18 as originally filed

Claims, Numbers

1-10 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP02/11125

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-10
	No: Claims	
Inventive step (IS)	Yes: Claims	1-10
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-10
	No: Claims	

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP02/11125

POINT V.

The following documents , quoted in the I.S.R., have been considered as relevant for the examination of the present application . Their numbering will be adhered to for the rest of the procedure.

- (1) FR-A-2 692 108 , cited in the application
- (2) The English translation of JP-A-05 112408, cited in the application.

The IPEA is grateful to the Applicant for the provision of (2), which is the translation of the original JP document , quoted as an CA abstract by the ISA.

1. Novelty.

1.1 In view of the fact that (1) encompasses one example of composition of pyrimethanil and iprodione (see example 8 of (1) where the ratio of pyrimethanil to iprodione is 5 to 1 , as evidenced by the Applicant, the claimed matter on file is regarded as novel with respect to the content of (1).

1.2 In view of the fact that (2) encompasses of composition of pyrimethanil and iprodione (see working example 8 of (2) where the ratio of pyrimethanil to iprodione is 0,14 , as evidenced by the Applicant, the claimed matter on file is also regarded as novel with respect to the content of (2).

2. Inventive step.

In view of the comparative argumentation of the application as claimed with both contents of the 2 documents quoted above and as submitted by the Applicant on 04.07.03, the inventiveness of the claimed matter with respect to (1) and (2) can also be acknowledged.

Patent support – Iprodione + Pyrimethanil combinations
Examples of biological performance

S. Tafforeau, 2006

Example n°	Disease	Crop	Trial reference
6	<i>Botrytis cinerea</i>	Field tomato	FD00ESP77XAM02
7	<i>Botrytis cinerea</i>	Bean	FD00FRAL52JAC1
8	<i>Botrytis cinerea</i>	Greenhouse tomato	FD00FRAL53LAU1
9	<i>Botrytis cinerea</i>	Bean	FD00FRAL59NIO1
10	<i>Botrytis cinerea</i>	Carnation	FD02COLA37L061
11	<i>Botrytis cinerea</i>	Grapes	FD02FRAV98LAU1
12	<i>Botrytis cinerea</i>	Grapes	FD02FRAV98MAR1

Example n°6: control of *Botrytis cinerea* in Tomato in field

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* on field tomatoes.

The following fungicidal compounds are compared:

- iprodione at the dose of 750 g/ha
- pyrimethanil at the dose of 800 g/ha
- iprodione + pyrimethanil at the dose of 375 + 300 g /ha to be applied in the mixture volume of 2500 l/ha.

The products are applied 6 times at intervals of 8 to 11 days using a backpack sprayer. The variety of tomato was Genaro and was grown in field conditions. The results of this example are summarized in the table n° 6.

Table n°6: Efficacy against *Botrytis cinerea* in tomato (% Abbott, 6 days after the second application, 1,8 % damaged plants in the untreated control).

Products (dose rate in g active ingredient / ha)	% Efficacy
iprodione (750)	71,4
pyrimethanil (800)	71,4
Iprodione + pyrimethanil (375+300)	100,0

The composition according to the invention allows a perfect control of *Botrytis cinerea* on tomato plants after the second application. The efficacy provided by the mixture of the two compounds is better than each individual compound applied alone at higher dose rates than applied in the mixture.

Example n°7: Control of *Botrytis cinerea* on Beans

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* on beans.

The following fungicidal compounds are compared:

- iprodione at the dose of 750 g/ha
- pyrimethanil at the dose of 800 g/ha
- iprodione + pyrimethanil at the dose of 500 + 600 g /ha to be applied in the mixture volume of 500 l/ha.

The products are applied 3 times at intervals of 7 days using a backpack sprayer. The variety of bean was Processor and was grown in field in spring time. The results of this example are summarized in the table n° 7.

Table n°7: Efficacy against *Botrytis cinerea* on Bean (% Abbott, 5 days after the third application).

Products (dose rate in g active ingredient / ha)	% Efficacy against <i>Botrytis cinerea</i> on stems *
iprodione (750)	7.6
pyrimethanil (800)	68.9
iprodione + pyrimethanil (500+600)	78.7

* 2.7 % infected stems in untreated control

Although iprodione and pyrimethanil are well known to control *Botrytis cinerea* when applied alone, the composition according to the invention allows a better control of *Botrytis cinerea* on tomato plants after the third application than each individual compound applied alone at higher dose rates than in the mixture.

Example n°8: control of *Botrytis cinerea* in Tomato under greenhouse

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* on greenhouse tomatoes.

The following fungicidal compounds are compared:

- iprodione at the dose of 750 g/ha
- pyrimethanil at the dose of 800 g/ha
- iprodione + pyrimethanil at the dose of 375 + 300 g /ha to be applied in the mixture volume of 500 l/ha.

The products are applied 6 times at intervals of 9 to 11 days using a backpack sprayer. The variety of tomato was Marmande and was grown in greenhouse. The results of this example are summarized in the table n° 8.

Table n°8: Efficacy against *Botrytis cinerea* in tomato (% Abbott, 11 days after the sixth application, 49 % damaged fruits in the untreated control).

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Products (dose rate in g active ingredient / ha)	% Efficacy on fruits
iprodione (750)	41.5
pyrimethanil (600)	29.1
Iprodione + pyrimethanil (375+300)	63.4

The composition according to the invention allows a good protection of tomato fruits against *Botrytis cinerea*. The efficacy provided by the mixture of the two compounds is better than each individual compound applied alone at higher dose rates than applied in the mixture.

Example n°9: Control of *Botrytis cinerea* on Beans

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* on beans.

The following fungicidal compounds are compared:

- iprodione at the dose of 750 g/ha
- pyrimethanil at the dose of 800 g/ha
- iprodione + pyrimethanil at the dose of 500 + 800 g /ha to be applied in the mixture volume of 500 l/ha.

The products are applied 3 times at intervals of 8-10 days using a backpack sprayer. The variety of bean was Contender and was grown in field in summer time. The results of this example are summarized in the table n° 9.

Table n°9: Efficacy against *Botrytis cinerea* on Bean (% Abbott, 16 days after the third application).

Products (dose rate in g active ingredient / ha)	% Efficacy against <i>Botrytis cinerea</i> on beans *
iprodione (750)	48.7
pyrimethanil (800)	51.0
iprodione + pyrimethanil (500+800)	61.2

* 44 fungal lesions per 10 plants in untreated control

Although iprodione and pyrimethanil are well known to control *Botrytis cinerea* when applied alone, the composition according to the invention allows a better control of *Botrytis cinerea* on tomato plants after the third application than each individual compound applied alone.

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Example n°10: control of *Botrytis cinerea* in carnation

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* in carnation.

The following fungicidal compounds are compared:

- iprodione at the dose of 380 g/ha
- pyrimethanil at the dose of 750 g/ha
- iprodione + pyrimethanil at the dose of 380 + 750 g /ha to be applied in the mixture volume of 1500 l/ha.

The products are applied 2 times at intervals of 7 days using a high pressure sprayer. The variety of carnation was Dino color amarillo and was grown in greenhouse. The results of this example are summarized in the table n° 10.

Table n°10: Efficacy against *Botrytis cinerea* on carnation flowers (% Abbott, 20 days after the second application, 53 % damaged flowers in the untreated control).

Products (dose rate in g active ingredient / ha)	% Efficacy on flowers
iprodione (380)	56.3
pyrimethanil (750)	43.7
Iprodione + pyrimethanil (380+750)	75.0

The composition according to the invention allows a good protection of flowers of carnation against *Botrytis cinerea*. The efficacy provided by the mixture of the two compounds is clearly better than each individual compound applied at equivalent dose rates.

Example n°11: Control of *Botrytis cinerea* on grapes

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* on grapes.

The following fungicidal compounds are compared:

- iprodione at the dose of 750 g/ha
- pyrimethanil at the dose of 800 g/ha
- iprodione + pyrimethanil at the dose of 400 + 400 g /ha to be applied in the mixture volume of 666 l/ha.

The products are applied one time at beginning of ripening using an atomizer. The grape cultivar was Gamay. The results of this example are summarized in the table n° 11.

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Table n°11: Efficacy against *Botrytis cinerea* on grapes (% Abbott)

Products (dose rate in g active ingredient / ha)	% Efficacy based on disease incidence, 33 days after application *	% Efficacy based on disease severity, 37 days after application **
iprodione (750)	27.2	20.7
pyrimethanil (800)	19.7	18.0
iprodione + pyrimethanil (400+400)	43.1	45.1

* 88 % disease incidence in untreated control ; ** 25 % disease severity in untreated control

Although iprodione and pyrimethanil are well known to control *Botrytis cinerea* when applied alone, the composition according to the invention allows a better control of *Botrytis cinerea* on grapes than each individual compound applied alone, and even considering higher dose rates than in the mixture.

Example n°12: Control of *Botrytis cinerea* on grapes

This example illustrates the efficacy of a composition according to the invention which comprises pyrimethanil and iprodione as active ingredients for controlling *Botrytis cinerea* on grapes.

The following fungicidal compounds are compared:

- iprodione at the dose of 750 g/ha
- pyrimethanil at the dose of 800 g/ha
- iprodione + pyrimethanil at the dose of 400 + 400 g /ha and 500 + 500 g /ha to be applied in the mixture volume of 300 l/ha.

The products are applied one time at softening of the berries using an atomizer. The grape cultivar was Chenin. The results of this example are summarized in the table n° 12.

Table n°12: Efficacy against *Botrytis cinerea* on grapes (% Abbott)

Products (dose rate in g active ingredient / ha)	% Efficacy, 54 days after application *
iprodione (750)	9.4
pyrimethanil (800)	10.8
iprodione + pyrimethanil (400+400)	36.3
iprodione + pyrimethanil (500+500)	61.9

* 38 % disease incidence in untreated control

Although iprodione and pyrimethanil are well known to control *Botrytis cinerea* when applied alone, the composition according to the invention allows a better control of *Botrytis cinerea* on grapes than each individual compound applied alone, and even considering higher dose rates than in the mixture.

Anexo 4

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(19) Japanese Patent Office (JP)
(12) LAID OPEN PATENTS GAZETTE (A)

(11) Laid open patent application no. H5-112408

(43) Laid open 7 May 1993

(51) Int.Cl. ⁵	Identification code	Internal office filing number	FI	Technical display location
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47/12	102	8930-4H		
57/12		H 7106-4H		

Examination request	Not yet requested
Number of claims	1
(No. of pages	7 [in the Japanese])

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(22) Application date	18 March 1992

(31) Priority claim no.	H3-80389
(32) Priority date	20 March 1991
(33) Country claiming priority	Japan (JP)

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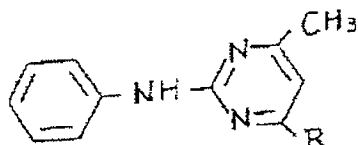
(54) [Title of the invention] Agricultural and horticultural fungicide composition

(57) [Abstract]

[Aim] The creation of a novel agricultural and horticultural fungicide composition which helps improve farming efficiency.

[Constitution] An agricultural and horticultural fungicide composition comprising as active components a pyrimidine derivative represented by the general formula

[Chemical 1]



(in the formula, R is a methyl group or the like) and at least 1 chosen from CNA, iprodione, procymidone, fosetyl and polyoxin.

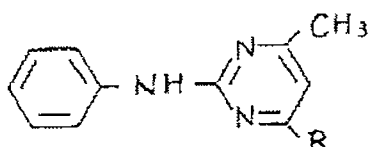
[Advantages] The agricultural and horticultural fungicide composition has a wide fungicidal spectrum and exhibits stable efficacy even when used in low doses, and its synergistic action results in extremely effective elimination even with low doses which would not be effective individually.

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[Scope of the patent claim]

[Claim 1] Agricultural and horticultural fungicide composition, characterized in that it comprises as active components a 2-anilino-4-methylpyrimidine derivative represented by the general formula

[Chemical 1]



(in the formula, R represents a methyl group, ethoxy group, halogen atom or 1-propynyl group) and at least 1 chosen from 2,6-dichloro-4-nitroaniline, 3-(3,5-dichlorophenyl)-N-isopropyl-2,4-dioxoimidazolidine-1-carboximide, N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide, aluminium tris-ethylphosphonate and polyoxin.

[Detailed description of the invention]

[0001]

[Field of industrial use] The present invention relates to an agricultural and horticultural fungicide composition, characterized in that it comprises as active components a 2-anilino-4-methylpyrimidine derivative and at least 1 chosen from 2,6-dichloro-4-nitroaniline, 3-(3,5-dichlorophenyl)-N-isopropyl-2,4-dioxoimidazolidine-1-carboximide, N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide, aluminium tris-ethylphosphonate and polyoxin.

[0002]

[Prior art] One of the active components in the inventive agricultural and horticultural fungicide composition is a 2-anilino-4-methylpyrimidine derivative, and said derivatives are widely known as agricultural and horticultural fungicides which are highly effective against rice blast, cucumber powdery mildew, grey mould of various plants, apple scab, spot-leaf drop and the like (Japanese Unexamined Patent Application Nos. S62-106084

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and S63-208581 and East German Patent No. 151404). Other active components include 2,6-dichloro-4-nitroaniline (common name CNA), 3-(3,5-dichlorophenyl)-N-isopropyl-2,4-dioxoimidazolidine-1-carboximide (common name iprodione), N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide (common name procymidone), aluminium tris-ethylphosphonate (common name fosetyl) and polyoxin, and these too are known fungicides which are widely used as pest control agents for crops.

[0003]

[Problems to be overcome by the invention] In the cultivation of agricultural and horticultural crops, many elimination agents are used against crop diseases. However, as many diseases can develop at the same time, elimination efficacy is inadequate when there is only one active component, and sometimes the emergence of an agent-resistant fungus can limit the use of a particular agent. One of the active components in the inventive agricultural and horticultural fungicide composition is a 2-anilino-4-methylpyrimidine derivative, and although said derivatives effectively eliminate grey mould, they are insufficiently effective against sclerotinia disease, which develops at the same time. Furthermore, their efficacy against other diseases becomes unstable at low doses. As for the other active component(s), CNA is effective against sclerotinia disease but has low elimination efficacy at low concentrations, and iprodione and procymidone are ineffective against grey mould, which develops the same time, and at low concentrations they have low elimination efficacy against sclerotinia disease and unstable elimination efficacy against emerging agent-resistant strains of grey mould. Furthermore, fosetyl and polyoxin are effective against apple spot-leaf drop but ineffective against scab, which must be eliminated at the same time. Consequently, there is a demand for the development of a synergistic agent which comprises both types of agent, is stably effective and has a wide fungicidal spectrum.

[0004]

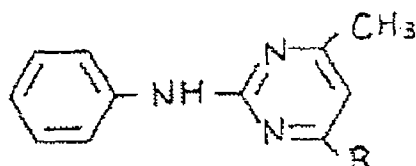
[Means of overcoming the problems] As a result of diligent research into overcoming these problems, the present inventors perfected the present invention upon discovering

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that the inventive agricultural and horticultural fungicide composition, which is obtained by compounding at least 1 active component chosen from CNA, iprodione, procymidone, fosetyl and polyoxin into a 2-anilino-4-methylpyrimidine derivative, has a wide fungicidal spectrum and exhibits stable efficacy even when used in low doses, and its synergistic action results in extremely effective elimination even with low doses which would not be effective individually. Specifically, the present invention provides an agricultural and horticultural fungicide composition, characterized in that it comprises as active components a compound chosen from 2-anilino-4-methylpyrimidine derivatives represented by the general formula

[0005]

[Chemical 2]



[0006] (in the formula, R represents a methyl group, ethoxy group, halogen atom or 1-propynyl group) and at least 1 chosen from 2,6-dichloro-4-nitroaniline, 3-(3,5-dichlorophenyl)-N-isopropyl-2,4-dioxoimidazolidine-1-carboximide, N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide, aluminium tris-ethylphosphonate and polyoxin.

[0007] The polyoxin used as an active component in the inventive agricultural and horticultural fungicide composition is an antibiotic produced by *Streptomyces cacaoi* variant *asoensis* (Japanese Examined Patent No. S42-10941), and refers to polyoxin B, although it is also possible to use mixtures containing fractions other than polyoxin B, such as A, D, L, M and the like.

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[0008] Typical 2-anilino-4-methylpyrimidine derivatives are 2-anilino-4,6-dimethylpyrimidine (compound 1), 2-anilino-4-ethoxy-6-methylpyrimidine (compound 2), 2-anilino-4-iodo-6-methylpyrimidine (compound 3), 2-anilino-4-bromo-6-methylpyrimidine (compound 4) and 2-anilino-4-methyl-6-(1-propynyl)pyrimidine (compound 5). Note that hereinbelow these compounds are referred to by the compound numbers.

[0009] The inventive fungicide composition is of course effective against grey mould, and also against sclerotinia disease and powdery mildew, in various kinds of beans and vegetables. Furthermore, it exhibits good efficacy against apple spot-leaf drop, powdery mildew and scab, and against pear black spot and scab.

[0010] The inventive agricultural and horticultural fungicide composition may be used unaltered, without adding other components to the active components, although usually it is used as a hydrate, powder, aqueous suspension or the like obtained by compounding, according to common methods, a carrier, surfactant, dispersant, auxiliary agent or the like commonly used in agrochemical preparations. These preparations contain from 0.5% to 90.0%, preferably from 2.0% to 80.0%, of the active components. The weight ratio of the 2-anilino-4-methylpyrimidine derivative to the compound(s) chosen from CNA, iprodione, procymidone, fosetyl and polyoxin is from 1:0.05 to 1:100, preferably from 1:0.1 to 1:20.

[0011] Suitable carriers include solid carriers such as clay, talc, bentonite, kaolin, diatomaceous earth, white carbon, calcium carbonate, slaked lime, silica sand, ammonium sulphate, urea and vermiculite. Examples of surfactants and dispersants include diarylalkyl disulphonates, alcohol sulphate esters, alkylaryl sulphonates, lignin sulphonates, polyoxyethylene alkylaryl ether sulphates, polyoxyethylene glycol ether, polyoxyethylene alkylaryl ethers, polyoxyethylene sorbitan monoalkylates and the like. Examples of auxiliary agents include carboxymethyl cellulose, ethylene glycol and the like. These preparations may be used directly or dispersed by dilution to an appropriate concentration.

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[0012] The amount of inventive agricultural and horticultural fungicide used depends on the type of compounds used, the subject disease and its state of development, the extent of the damage, environmental conditions and the form in which the fungicide is used. When it is used without further modification, as a powder, an appropriate amount is from 0.1 g to 5 kg, preferably from 1 g to 1 kg, of active component per 10 are [1000 m²]. When it is ultimately used in liquid form, as a hydrate or suspension, an appropriate dispersed concentration is from 0.1 to 10,000 ppm, preferably from 10 to 3000 ppm.

[0013] The present invention will now be described specifically by means of working examples, although the proportions of active components and other components may be altered provided that this does not adversely affect the essence of the present invention. In the working examples, % indicates % by weight.

[0014] Working example 1

A powder was obtained by uniformly pulverising and mixing 2% of compound 1, 1% of polyoxin, 5% of diatomaceous earth and 92% of clay.

[0015] Working example 2

A hydrate was obtained by uniformly pulverising and mixing 10% of compound 2, 20% of procymidone, 4% of ammonium polyoxyethylene styrylphenyl ether sulphate, 1% of sodium naphthene sulphonate and 65% of clay.

[0016] Working example 3

A hydrate was obtained by uniformly pulverising and mixing 5% of compound 1, 50% of CNA, 5% of polyoxyethylene alkylaryl ether and 40% of clay.

[0017] Working example 4

A hydrate was obtained by uniformly pulverising and mixing 20% of compound 3, 8% of iprodione, 3% of polyoxyethylene nonylphenyl ether, 2% of sodium lignin sulphonate and 67% of clay.

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[0018] Working example 5

A hydrate was obtained by uniformly pulverising and mixing 5% of compound 5, 25% of fosetyl, 3% of polyoxyethylene nonylphenyl ether, 2% of sodium lignin sulphonate and 65% of clay.

[0019] Working example 6

A hydrate was obtained by uniformly pulverising and mixing 10% of compound 6, 40% of CNA, 3% of polyoxyethylene nonylphenyl ether, 2% of sodium lignin sulphonate and 45% of clay.

[0020] Working example 7

A suspension was obtained by wet-pulverising 20% of compound 5, 20% of polyoxin, 4% of polyoxyethylene alkylaryl ether sulphate, 10 % of ethylene glycol and 46% of water.

[0021] Working example 8

A suspension was obtained by wet-pulverising 5% of compound 1, 35% of iprodione, 10% of ethylene glycol and 50% of water.

[0022] Working example 9

5% of compound 2, 20% of iprodione, 2% of lauryl alcohol sulphate ester sodium salt, 5% of sodium lignin sulphonate, 2% of carboxymethyl cellulose and 66% of clay were uniformly mixed and pulverised. 20% of water was added to the mixture and the system was milled, processed to a 14 to 32 mesh powder using an extrusion-type granulator, then dried to yield a powder.

[0023] The efficacy of the inventive agricultural and horticultural fungicide composition is described specifically below by means of test examples.

Test example 1

Testing the kidney bean sclerotinia disease prevention efficacy

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Kidney bean seeds (seeds by Edogawa) were sown in 9 cm × 9 cm vinyl chloride bowls, 6 seeds per bowl, and grown in a greenhouse for 7 days. When the first leaves had developed, hydrates and sol prepared as in Working examples 4, 6 and 7 were diluted with water to the desired concentration and sprayed onto the kidney bean seedlings at 10 ml per bowl. The seedlings were air-dried, spray-inoculated with kidney bean sclerotinia disease (*Sclerotinia sclerotiorum*) hyphae milling solution, then left in a greenhouse at from 20 to 23°C. 5 days after inoculation, the extent of disease on the first leaves was investigated and a numerical index described below was assigned, then said index was used to find the extent of damage using Equation 1, and the elimination value using Equation 2. The results are shown in Table 1. It should be noted that the extent of damage on the untreated area was 70%.

[0024] Disease index 0: no disease seen

Disease index 1: less than 1/3 of area diseased

Disease index 2: from 1/3 to 2/3 of area diseased

Disease index 3: more than 2/3 of area diseased

[0025]

[Equation 1]

$$\text{Extent of damage (\%)} = \frac{\Sigma (\text{index} \times \text{number of affected leaves})}{\text{number of leaves investigated} \times 3} \times 100$$

[0026]

[Equation 2]

$$\text{Elimination value (\%)} = \left(1 - \frac{\text{Extent of damage in treated area}}{\text{Extent of damage in untreated area}} \right) \times 100$$

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[0027]

[Table 1]

Test compounds	Treatment concentration (ppm)	Elimination value (%)
Compound 1 + CNA	25 + 100	70
Compound 5 + CNA	25 + 100	74
Compound 3 + iprodione	25 + 10	85
Compound 5 + iprodione	25 + 10	85
Compound 5 + procymidone	25 + 10	87
Compound 5 + polyoxin	25 + 25	87
Compound 1 (comparison)	25	20
Compound 3 (comparison)	25	15
Compound 5 (comparison)	25	18
CNA (comparison)	100	0
Iprodione (comparison)	10	74
Procymidone (comparison)	10	70
Polyoxin (comparison)	25	56

[0028] Test example 2

Testing the cucumber powdery mildew prevention efficacy

Cucumber seeds (seeds by Sagami Nakashiro) were sown in 9 cm × 9 cm vinyl chloride bowls, 12 seeds per bowl, and grown in a greenhouse for 7 days. When the first sprouting leaves had developed, hydrates and sol prepared as in Working examples 2, 3 and 7 were diluted with water to the desired concentration and sprayed onto the cucumber seedlings at 10 ml per bowl. The seedlings were air-dried, inoculated with cucumber powdery mildew (*Sphaerotheca fuliginea*) spores, then left in a greenhouse at from 25 to 30°C. 10 days after inoculation, the extent of disease on the first sprouting leaves was investigated and a numerical index described below was assigned, then said index was used to find the extent of damage using Equation 3, and the elimination value using Equation 2. The results are shown in Table 2. It should be noted that the extent of damage on the untreated area was 98 to 100%.

[0029] Disease index 0: no disease seen

Disease index 1: a few (several) spots seen

Disease index 2: 1/4 of leaf area spotted

Disease index 3: 1/4 to 1/2 of leaf area spotted

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167 32

Disease index 4: more than 1/2 of leaf area spotted

Disease index 5: plant dead

[0030]

[Equation 3]

$$\text{Extent of damage (\%)} = \frac{\Sigma (\text{index} \times \text{number of affected leaves})}{\text{number of leaves investigated} \times 5} \times 100$$

[0031]

[Table 2]

Test compounds	Treatment concentration (ppm)	Elimination value (%)
Compound 2 + procymidone	50 + 100	75
Compound 2 (comparison)	50	59
Procymidone (comparison)	100	0
Compound 5 + iprodione	50 + 100	73
Compound 5 (comparison)	50	64
Iprodione (comparison)	100	3
Compound 5 + fosetyl	50 + 500	75
Compound 5 (comparison)	50	60
Fosetyl (comparison)	500	7
Compound 5 + polyoxin	25 + 25	70
Compound 5 (comparison)	25	15
Polyoxin (comparison)	25	19

[0032] Test example 3

Testing the cucumber grey mould prevention efficacy

Cucumber seeds (seeds by Sagami Nakashiro) were sown in 9 cm × 9 cm vinyl chloride bowls, 12 seeds per bowl, and grown in a greenhouse for 7 days. When the first sprouting leaves had developed, hydrate and sol prepared as in Working examples 3 and 8 were diluted with water to the desired concentration and sprayed onto the cucumber seedlings at 10 ml per bowl. The seedlings were air-dried, spray-inoculated with cucumber grey mould (*Botrytis cinerea*) hyphae milling solution, then left in a greenhouse at from 20 to 23°C. 3 days after inoculation, the extent of disease in the whole bowl was investigated

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and a numerical index described below was assigned, then said index was used to find the extent of damage using Equation 4, and the elimination value using Equation 2. The results are shown in Table 3. It should be noted that the extent of damage on the untreated area was 98 to 100%.

- [0033] Disease index 0: no disease seen
- Disease index 1: up to 25% of area diseased
- Disease index 2: from 26 to 50% of area diseased
- Disease index 3: from 51 to 75% of area diseased
- Disease index 4: 76% or more of area diseased

[0034]

[Equation 4]

Extent of damage (%) =
$$\frac{\Sigma (\text{index} \times \text{number of affected leaves})}{\text{number of leaves investigated} \times 4} \times 100$$

100
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[0035]

[Table 3]

Compounds used	Treatment concentration (ppm)	Elimination value (%)
Compound 1 + CNA	5 + 50	71
Compound 5 + CNA	5 + 50	70
Compound 1 + iprodione	5 + 35	90
Compound 5 + iprodione	5 + 35	91
Compound 4 + procymidone	5 + 35	93
Compound 5 + procymidone	5 + 35	95
Compound 5 + fosetyl	5 + 50	89
Compound 4 + polyoxin	5 + 50	84
Compound 5 + polyoxin	5 + 50	82
Compound 1 (comparison)	5	60
Compound 4 (comparison)	5	65
Compound 5 (comparison)	5	67
CNA (comparison)	50	9
Iprodione (comparison)	35	56
Procymidone (comparison)	35	60
Fosetyl (comparison)	50	53
Polyoxin (comparison)	50	22

[0036] Test example 4

Testing the pear black spot prevention efficacy

Pear saplings (seeds by Niju Seiki) that had been planted in a garden were pruned vigorously and selected for testing. Hydrate prepared as in Working example 5 was diluted with water to the desired concentration and sprayed onto the trees at 700 ml per tree. The trees were sprayed 4 times, at 7 day intervals, and 16 days after the final spraying, the extent of disease on 10 long branch leaves per tree was investigated and a numerical index described below was assigned, then said index was used to find the extent of damage using Equation 5, and the elimination value using Equation 2. The results are shown in Table 4. It should be noted that the extent of damage on the untreated area was 21%.

[0037] Disease index 0: no spots seen

Disease index 1: no more than 3 spots

Disease index 2: from 4 to 10 spots

Disease index 3: from 11 spots to up to 25% of area spotted

170 35 35

Disease index 4: from 25% to 50% of area spotted

Disease index 5: 50% or more of area spotted

Disease index 6: leaf fell

[0038]

[Equation 5]

$$\text{Extent of damage (\%)} = \frac{\Sigma (\text{index} \times \text{number of affected leaves})}{\text{number of leaves investigated} \times 6} \times 100$$

[0039]

[Table 4]

Compounds used	Treatment concentration (ppm)	Elimination value (%)
Compound 5 + fosetyl	100 + 500	68
Compound 5 (comparison)	100	23
Fosetyl (comparison)	500	28

[0040]

[Advantages of the invention] The inventive fungicide composition contains a mixture of active components which act synergistically, with the result that highly effective elimination is achieved in practice even when the active components are used in low doses which would not be effective individually. It therefore allows the simultaneous elimination of grey mould and sclerotinia disease from various types of vegetables and beans. The inventive fungicide composition is also highly effective against powdery mildew of various vegetables, apple spot-leaf drop, powdery mildew and scab, and against pear black spot and scab.

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Translator's Report/Comments

Your ref: Pm01044

Your order of (date): 2/7/2002

In translating the above text we have noted the following apparent errors/unclear passages which we have corrected or amended:

	Comment
	Japanese proper nouns can have several possible readings: common readings have been chosen throughout. The term translated here as "fungicide" can also be translated as "microbicide", "bactericide", "sterilizer", "disinfectant" or "germicide", depending on the context.

* This identification refers to the source text. Please note that the first paragraph is taken to be, where relevant, the end portion of a paragraph starting on the preceding page. Where the paragraph is stated, the line number relates to the particular paragraph. Where no paragraph is stated, the line number refers to the page margin line number.

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Country	Filing	Publicatio.	Grant	Status
AUSTRALIA	17-SEP-2002 2002337141		19-APR-2007 2002337141	GRANT 19-APR-2007
CHINA	17-SEP-2002 02818140.9	15-DEC-2004 CN1555220A	17-JAN-2007 ZL02818140.9	GRANT 17-JAN-2007
FRANCE	17-SEP-2002 02772359.2	16-JUN-2004 1427288	29-DEC-2004 1427288	GRANT 29-DEC-2004
GERMANY	17-SEP-2002 02772359.2	16-JUN-2004 1427288	29-DEC-2004 60202486.2-08	GRANT 29-DEC-2004
GREECE	17-SEP-2002 02772359.2	16-JUN-2004 1427288	29-DEC-2004 3052899	GRANT 29-DEC-2004
HONG KONG	30-MAR-2005 05102648.7	10-JUN-2005 1069956	29-JUN-2007 HK1069956	GRANT 29-JUN-2007
IRAN	15-SEP-2002 38106092		06-MAY-2003 28508	GRANT 06-MAY-2003
ITALY	17-SEP-2002 02772359.2	16-JUN-2004 1427288	29-DEC-2004 1427288	GRANT 29-DEC-2004
MEXICO	17-SEP-2002 PA/a/2004/002466		08-AUG-2006 239347	GRANT 08-AUG-2006
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**SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
RECURSO**

Radicación No. 04-33908

Clasificación Internacional (IPC): A01N47/38

Concepto Técnico No. 604

Patente de Invención



Patente de Modelo de Utilidad



Vía Nacional



Vía PCT (fase nacional)



Cap. I



Cap. II



No. Sol. Internacional

EP02/11125

Fecha de Presentación Internal.

2002-10-17

Como resultado del examen definitivo, realizado nuevamente con fundamento en el artículo 48 de la Decisión 486 de la Comisión de la Comunidad Andina, con motivo del recurso interpuesto, se le comunica:

1. Documentos estudiados

Descripción:	Folios: 34 a 50	(como se radicó inicialmente)
Reivindicaciones:	Folios: 51 a 52	(como se radicó inicialmente)
	Folios: 92	(modificación)
Rta. del Solicitante:	Folios: 84 a 89 y 93 a 123	
Prioridad:	18-10-2001, FR01/12033	
Memorial de recurso	folios 136 a 172.	

2. Objeto de la invención

- Título de la solicitud: "Composición fungicida basada en pirimetanilo e iprodiona y utilización de esta composición para la lucha contra enfermedades de plantas."
- El objeto de la solicitud (reivindicaciones 1 y 2) consiste de: "composición fungicida, que comprende pirimetanilo e iprodiona en proporciones respectivas de 1/3 a 3, preferentemente de 1/2 a 2."
- El problema planteado en esta solicitud es la necesidad de mejorar los productos utilizables para la lucha contra enfermedades fúngicas, para lo cual la solicitud proporciona una composición fungicida que comprende pirimetanilo e iprodiona .
- Clasificación Internacional de Patentes (IPC.). A01N47/38

3. Fundamento del recurso.

Con respecto a lo expresado por el recurrente sobre el nivel inventivo cabe anotar que la eficacia de la composición contra cepas de alternaria y el hecho de que en los documentos D1 y D2 no se indique el tratamiento contra dichas cepas, no proporciona nivel inventivo a la composición reivindicada, puesto que la combinación de los principios activos ya se encontraba divulgada al igual que su efecto sinérgico, el encontrar propiedades o nuevas aplicaciones no proporciona nivel inventivo por cuanto se trata de propiedades inherentes a la composición, de otra parte cabe anotar que de acuerdo con la Decisión 486, (Art-21) no se concederá nueva patente a materia ya patentada por el simple hecho de atribuírsele un uso distinto al originalmente presentado, de hecho se conoce tanto la combinación de iprodiona y pirimetanil, su efecto sinérgico y su actividad fungicida, como se desprende de los documentos citados.

Ahora bien la composición es nueva en el sentido que no se divulga en los documentos citados la misma proporción reivindicada, por lo demás la combinación reivindicada no muestra ningún efecto inesperado, como ya se indicó se conoce en el arte previo que la combinación de los mencionados principios activos presenta efecto sinérgico, ahora el que en otros países se haya reconocido dicho efecto no significa que en Colombia deba ser reconocido por cuanto existe el principio de Independencia de las patentes, de otra parte como ya se explicó antes, nuestra legislación no contempla usos o segundos usos, que sí se contemplan en otros países, por esta razón el argumento citado por el recurrente en el sentido de que D1 y D2 no contemplan el tratamiento contra la alternaria no es válido por cuanto se trata de aplicarlo en una cepa diferente que igualmente corresponde a un tipo de hongo, de otra parte se encuentra tanto en los documentos citados, como en la solicitud en estudio se contemplan otros tipos de cepas de hongos, la *Botrytis cinérea*, la *Sclerotinia*, de donde se deriva de manera evidente que la combinación de dichos principios ya se había utilizado como fungicida en estas cepas y que además presentaba efecto sinérgico, por tanto el encontrar nuevas aplicaciones para otras cepas de hongos no proporciona un salto cualitativo en la regla técnica, a lo cual se suma el hecho de que por encontrar que dicha composición es útil en otros tipos de cepas, la composición no podría ser objeto de nueva patente de acuerdo con el artículo 21 de la Decisión 486.

Ahora bien con respecto a que D1 describe una prueba sobre *Botrytis cinérea* en condiciones de laboratorio...y que un experto sabe que no es posible que actividades o condiciones de laboratorio se repliquen o sean iguales a las condiciones de campo ", es de anotar que necesariamente se requiere de la etapa previa de laboratorio y de pruebas de invernadero etc para llegar a la formulación en campo, una vez se conoce la mezcla y sus propiedades, la formulación se desarrolla mediante ensayos rutinarios, lo cual no constituye un salto cualitativo en el estado de la técnica, que proporcione nivel inventivo, por tanto de hecho se puede derivar de éste documento la composición de la solicitud en estudio, dado que la combinación de los dos principios activos se conoce, se aplica para el mismo efecto y se indica además su efecto sinérgico.

Insiste el interesado en que además D1 no enseña específicamente composiciones que luchen contra cepas de alternaria, se reitera por tanto que no se trata de ningún efecto inesperado, de hecho la actividad fungicida es la misma y lo que encontró el interesado es que además de ser una mezcla fungicida efectiva contra la *Botrytis cinérea*, se puede utilizar de manera efectiva contra otra cepa que es la alternaria, lo cual corresponde a pruebas de

nivel medio resulta obvio que dichas proporciones varían de acuerdo a la forma de presentación de la formulación, la condiciones de aplicación, tipo de plaga, cultivo etc . y son producto de ensayos rutinarios.

En relación con las proporciones cabe anotar que si en D1 se hubieran divulgado las mismas proporciones de hecho se hubiera afectado la composición por falta de novedad, justamente porque la única diferencia radica en las proporciones se afecta por falta de nivel inventivo, dado que en dicho documento se enseña combinaciones sinérgicas que comprenden 2-anilino-4,6-dimetilpirimidina (pirimetanilo) y otro fungicida donde dicho fungicida puede ser entre otros iprodiona(ver pag 15 de D1). O sea se divulga la mezcla específica y además se indica las dosis de aplicación en campo.

Con respecto a D2 y a que allí se relacionan mezclas de pirimetanil con otros numerosos ingredientes fungicidas activos; cabe anotar que no obstante existan numerosas mezclas, se muestra un ejemplo específico de la mezcla de pirimetanil e iprodiona contra botrytis cinérea, de donde se puede establecer claramente que es posible la combinación específica de dichos principios activos y que además se mejora la efectividad de los compuestos, de otra parte se indica en el documento que dicha composición es aplicable en campo y se enseñan dosis de aplicación para tal efecto, por tanto se deriva de lo divulgado en el documento la composición fungicida que se pretende proteger en la solicitud en estudio, de hecho se conoce la combinación de los compuestos específicos y su aplicación. Allí se indica, además que dichas mezclas tienen un amplio espectro, exhiben una eficacia estable aun cuando se usen en dosis bajas, y esta acción sinérgica resulta en eliminación extremadamente efectiva aún con dosis bajas, las cuales podrían no ser efectivas individualmente.

D2 hace referencia a la eficacia estable de las mezclas aún cuando se usen en dosis bajas; por tanto en dicho documento se divulga la actividad fungicida, el efecto sinérgico de la mezcla en referencia y le eficacia estable o lo que el recurrente llama persistencia de acción.

Finalmente el recurrente nuevamente argumenta para D2 que no trata de ninguna manera tratamiento contra la alternaria, al respecto se reitera lo dicho para D1, se trata simplemente de la aplicación de una mezcla conocida a una cepa que no se menciona en el arte previo, por tanto se trata de encontrar dentro de la gama de las diferentes cepas de hongos, sobre cual o cuales se obtienen mejores resultados, pero son solo nuevas aplicaciones encontradas por medio de ensayos rutinarios para una composición fungicida conocida, de hecho la solicitud en estudio no reivindica ningún método específico de tratamiento contra cepas de alternaria, simplemente ahora el recurrente pretende fundamentar el nivel inventivo de la composición en la aplicación de la combinación de iprodiona y piremetanil, ya conocida, a una cepa de hongo diferente que no se menciona en los documentos citados.

4. Conclusión:

En consecuencia y por todo lo expuesto anteriormente, se ratifica el concepto técnico 2193 y se recomienda **Negar** las reivindicaciones: 1 a 2 (folio:92)