75911/87

COMMONWEALTH of AUSTRALIA

PATENTS ACT 1952

APPLICATION FOR A STANDARD PATENT

596436

We SANDOZ LTD., of

CH-4002 Basle, Switzerland.

APPLICATION ACCEPTED AND AMENDMENTS ALLOWED 19-2-90

hereby apply for the grant of a Standard Patent for an invention entitled:

~~"IMPROVEMENTS IN OR RELATING TO ORGANIC COMPOUNDS"~~
Novel Fungicidal Compositions

which is described in the accompanying ~~provisional~~ complete specification.

Details of basic application(s):—

Number	Convention Country	Date
8617780	United Kingdom	21st July 1986

LODGED AT SUB-OFFICE
20 JUL 1987
Melbourne

The address for service is care of DAVIES & COLLISON, Patent Attorneys, of 1 Little Collins Street, Melbourne, in the State of Victoria, Commonwealth of Australia.

Dated this 20th day of July 1987

K. M. Rimington

To: THE COMMISSIONER OF PATENTS

(a member of the firm of DAVIES & COLLISON for and on behalf of the Applicant).

AUSTRALIAN PATENT OFFICE

Davies & Collison, Melbourne and Canberra.

COMMONWEALTH OF AUSTRALIA

PATENTS ACT 1952

DECLARATION IN SUPPORT OF CONVENTION OR NON-CONVENTION APPLICATION FOR A PATENT

In support of the Application made for a patent for an invention

Insert title of invention.

entitled: IMPROVEMENTS IN OR RELATING TO FUNGICIDES

Insert full name(s) and address(es) of declarant(s) being the applicant(s) or person(s) authorized to sign on behalf of an applicant company.

We JEAN KRAMER and HANS RUDOLF HAUS, both of SANDOZ LTD., 35 Lichtstrasse, CH-4002 Basle, Switzerland

do solemnly and sincerely declare as follows :-

Cross out whichever of paragraphs 1(a) or 1(b) does not apply

1(a) relates to application made by individual(s)
1(b) relates to application made by company; insert name of applicant company.

1. (a) We are the applicant for the patent

or (b) authorized by SANDOZ LTD.

the applicant..... for the patent to make this declaration on its behalf.

Cross out whichever of paragraphs 2(a) or 2(b) does not apply

2(a) relates to application made by inventor(s)
2(b) relates to application made by company(s) or person(s) who are not inventor(s); insert full name(s) and address(es) of inventors.

2. (a) We are the actual inventor of the invention

or (b)

Hans Wiedmer, Baumgartenweg 15, CH-4106 Therwil, Switzerland

is the actual inventor..... of the invention and the facts upon which the applicant..... is entitled to make the application are as follows :-

State manner in which applicant(s) derive title from inventor(s)

the inventor has assigned the invention to the applicant

Cross out paragraphs 3 and 4 for non-convention applications. For convention applications, insert basic country(s) followed by date(s) and basic applicant(s).

3. The basic application..... as defined by Section 141 of the Act was made

in Great Britain on the 21st July 1986

by SANDOZ LTD.

in ..... on the .....

by.....

in ..... on the .....

by.....

4. The basic application..... referred to in paragraph 3 of this Declaration was the first application..... made in a Convention country in respect of the invention the subject of the application.

Insert place and date of signature.

Declared at Basle this 1st day of July 1987

Signature of declarant(s) (no attestation required)

SANDOZ Ltd.

.....

duly authorized Officer

Note: Initial all alterations.

DAVIES & COLLISON, MELBOURNE and CANBERRA

duly authorized Officer

(12) PATENT ABRIDGMENT (11) Document No. AU-B-75911/87
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 596436

(54) Title
FUNGICIDAL COMPOSITION OF A CYCLOPROPANE - 124 TRIAZOLE DERIVATIVE AND IMINOCTADINE

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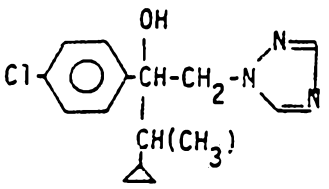
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(56) Prior Art Documents
GB 2136423

(57) Claim

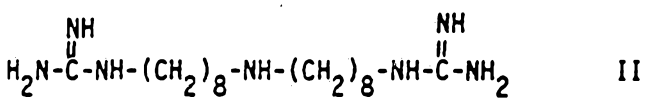
1. A method of combatting fungal diseases in plants, which comprises applying to the plants, as an admixture or substantially simultaneously,

a) the compound of formula I



I

and b) the compound of formula II



II

in free base form or agriculturally acceptable acid addition salt form in a fungicidally effective aggregate amount.

6. A fungicidal composition comprising a fungicidally effective amount of the compounds of formula I and II, stated in claim 1, in free base form or agriculturally acceptable acid addition salt form.

COMMONWEALTH OF AUSTRALIA

596436

PATENT ACT 1952

COMPLETE SPECIFICATION

(ORIGINAL)

FOR OFFICE USE

CLASS INT. CLASS

Application Number:
Lodged:

Complete Specification Lodged:
Accepted:
Published:

Priority:

Related Art:

This document contains the amendments made under Section 49 and is correct for printing.

NAME OF APPLICANT: SANDOZ LTD

ADDRESS OF APPLICANT: CH-4002 Basle, Switzerland.

NAME(S) OF INVENTOR(S) Hans Wiedmer

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1 Little Collins Street, Melbourne, 3000.

COMPLETE SPECIFICATION FOR THE INVENTION ENTITLED:

~~"IMPROVEMENTS IN OR RELATING TO ORGANIC COMPOUNDS"~~
Novel Fungicidal Compositions.

The following statement is a full description of this invention, including the best method of performing it known to us :-

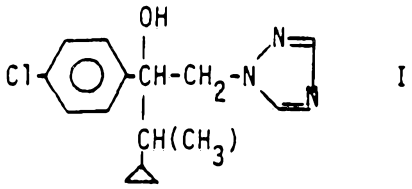
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NOVEL FUNGICIDAL COMPOSITIONS

The present invention relates to fungicides.

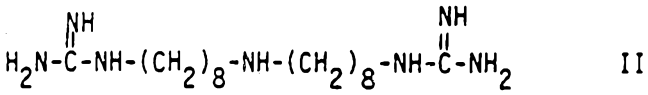
The invention provides a method of combatting fungal diseases in plants, with the aid of

a) the compound of formula I



I

and b) the compound of formula II



II

The compounds of formula I and II may be in free base form or in fungicidally acceptable acid addition salt form. Said salt forms exhibit the same order of activity as the free base forms.

The compound of formula I is a known fungicide, effective in the combatting of fungi in crops such as cereals including rice, especially in wheat and barley; it has excellent activity against rusts (such as Puccinia spp.), good activity against powdery mildews (such as Erysiphe), and interesting suppressive activities against Septoria, Pyrenophora, Rhynchosporium and Pseudocercosporella.

The compound of formula I is usually employed in free base form.

The compound of formula II ks known to be effective against plant fungi and used i.a. for cereal seed treatment and, in foliar spray form, against phytopathogenic fungi such as Pyricularia oryzae (in rice) and Septoria spp. (in wheat). The triacetate salt of the compound of formula II is known under the common name iminoctadine. Said triacetate salt is also an active ingredient of the plant fungicidal mixture known under the common name guazatine.

It has now been found that the use of the compound of formula I in combination with the compound of formula II (combination of the invention) is surprisingly effective in the combatting of various fungi. Thus, more than additive effect is i.a. observed in tests against Erysiphe (barley, wheat), Pyrenophora, Septoria and Pseudocercosporella.

Though the efficacy of the combination of the invention will depend on the particular fungi (disease) to be combatted, the crop involved,

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the desired treatment (foliar, soil or seed treatment), the weight ratio of the compound of formula I : compound of formula II and other parameters, the test results indicate that the combination of the invention is surprisingly effective against powdery mildews, foot diseases and ear diseases, and is accordingly particularly indicated for use in crops sensitive to such diseases. Such crops comprise cereals (including including herein - by definition - rice) and vines, particularly wheat, barley and rice, more particularly wheat and barley.

Accordingly, the invention provides an improved method of combatting fungal diseases in plants, especially in cereals, which comprises, applying to the plants, as an admixture or substantially simultaneously, the compounds of the formulae I and II in free base form or agriculturally acceptable salt form, in a fungicidally effective aggregate amount.

For control of air-borne fungi, the compounds are conveniently employed as foliar sprays.

Where the compound of formula II is employed in triacetate form, i.e. as iminoctadine, satisfactory results may, in general, be obtained when applying 50 to 100g, particularly 60 to 80g of the compound of formula I and 100 to 500g, particularly 200 to 400g, e.g. 250 of iminoctadine per hectare of crop locus.

Suitable weight ratios of the compound of formula I : iminoctadine lie in the range of 4 : 1 to 1 : 8, particularly of 3 : 1 to 1 : 6, more particularly of 3 : 1 to 1 : 4, most particularly of 1 : 2 to 1 : 4. Thus the experimental data given hereinafter show for example synergism for several crop/ fungus diseases for the weight ratios 2 : 1, 1: 1 and 1 : 2 (i.e. for the range 2 : 1 to 1 : 2).

Where the compound of formula II is in base form, or in a salt form other than the triacetate form it will in general be appropriate to adapt the amount of compound of formula II to be employed in the method and compositions of the invention by a factor equivalent to the molecular weight ratios of the base form or particular salt form to that of the triacetate salt form.

A similar consideration applies also to the compound of formula I when employed in salt form.

Thus, for example, the amount of the compound of formula II to be applied when in base form would be a factor of about 0.66 lower, and be in

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the range of from 66 to 330g, particularly from 132 to 264, e.g. 165.

Similar adaptation is appropriate with respect to the weight ratios; where, for example, both compounds are applied in base form the weight ratio of the compound of formula I : compound of formula II are conveniently in the range of 6 : 1 to 1 : 5.3, particularly of 4.5 : 1 to 1 : 2.6, more-particularly of from 4.5 : 1 to 1 : 2.6, most particularly of from 3 : 1 to 1 : 2.6, e.g. of from 3 : 1 to 1 : 1.3.

The invention also provides fungicidal compositions comprising the compounds of formula I and II, preferably in a weight ratio within the range specified herein above.

Such compositions of the invention may be formulated in any conventional form, for example in the form of a twin packet, or of an emulsifiable concentrate, wettable powder or water dispersible granule. Such compositions may be produced in conventional manner, e.g. by mixing the compounds of formula I and II with appropriate adjuvants such as diluents and optionally other formulating ingredients such as surfactants.

The term diluent as used herein means any liquid or solid agriculturally acceptable material - including carriers - which may be added to the active constituents to bring them in an application or commercial form, respectively, to a usable or desirable strength of activity. It can for example be talc, kaolin, diatomaceous earth, mineral oil, or water.

Particularly formulations to be applied in spraying forms such as water dispersible concentrates or wettable powders may contain surfactants such as wetting and dispersing agents, e.g. the condensation product of formaldehyde with naphthalene sulphonate, an alkylarylsulphonate, a lignin sulphonate, a fatty alkyl sulphate, an ethoxylated alkylphenol and an ethoxylated fatty alcohol.

In general, the formulations include from 0.01 to 90% by weight of active agent, from 0 to 20% agriculturally acceptable surfactant and 10 to 99.99% of diluent, the active agent consisting of the compounds of formula I and II and optionally other active agents.

In addition to the usual diluents and surfactants, the compositions of the invention may comprise further additives with special purposes, such as anti-foaming agents. Moreover, further fungicides with similar or complementary fungicidal activity, e.g. tridemorph, fenpropimorph,

fenpropidin, pyrazophos, prochloraz, mancozeb, sulphur and carbendazim, or other beneficially-acting materials, such as insecticides may be present in the formulation.

Concentrate forms of compositions in general contain between about 2 and 80%, preferably between about 5 and 70% by weight of active agent. Application forms of formulation may for example contain from 0.01 to 45% by weight.

The invention is illustrated by the following examples, wherein parts and percentages are by weight.

FORMULATION EXAMPLE

Wettable Powder

	Parts
Compound of formula I	40
Iminoctadine	40
Na dodecylsulphate	1
Na lignin sulphonate	5
Kaolin	14

The compounds are mixed and milled until the mean particle size is about 5 microns.

Greenhouse Test

In vivo employing Erysiphe graminis fs. tritici on wheat

Wheat is cultivated in a mixture of peat and sand in plastic pots of 6 cm diameter for x days. The plants are sprayed with aqueous spray liquors containing the compound of formula I, iminoctadine or mixtures thereof (hereinafter a.i.) in various concentrations. The treatment comprises foliar spraying to near run-off. After drying, the plants are inoculated by dusting them with freshly collected conidia and are then incubated in an incubation chamber at 60-80% relative humitiy, 16 hours daylight and 20-25°C. The efficacy of the a.i. is determined by the degree of fungal attack with that on untreated, similarly inoculated check plants, and is expressed in % control for a given test concentration. Each a.i. is tested in different concentrations. This allows for the determination of the EC 90 exp. value, i.e. the concentration of each a.i. allowing 90% disease control. The experimental result (EC 90 exp.) for a given weight ratio of the compounds of formula I and iminoctadine is compared with the corresponding EC 90 theor. value, i.e. the concentration of that particular mixture allowing 90% disease control calculated according to Wadley

$$EC_{(ab)}\ 90\ \text{theor.} = \frac{a + b}{\frac{a}{EC_{(a)}\ 90\ \text{exp.}} + \frac{b}{EC_{(b)}\ 90\ \text{exp.}}}$$

wherein a and b are the weight ratios of the compounds of formula I and

iminoctadine resp. and the indexes (I), (II) and (I+II) refer to the EC 90 values of the compound of formula I, iminoctadine and the a : b mixture of the compound of formula I with iminoctadine resp. In the case of synergism EC(I+II)90 theor. is greater than EC(I+II)90 exp., or the level or interaction, i.e. the synergy factor (SF)

$$SF = \frac{EC_{(I+II)}\,90 \text{ theor.}}{EC_{(I+II)}\,90 \text{ exp.}} > 1$$

Analogous tests are run with

Erys. gram. f. sp. hordei on barley

Pyrenophora on barley

Septoria on wheat

and Pseudocercosporella on wheat

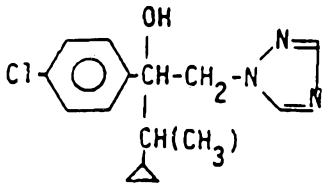
The results in which EC 90 values are expressed in ppm or mg/litre are as follows:

			EC90 exp.	EC90 theor.	SF
1.	**Erysiphe/barley**				
	Compound of formula I		3.1		
	Iminoctadine		37.0		
	Weight ratio of compounds of				
	formula I:iminoctadine	1.0:0.5	2.9	4.46	1.5
		1.0:1.0	2.8	5.72	2.0
		1.0:2.0	3.2	7.97	2.5
2.	**Erysiphe/wheat**				
	Compound of formula I		2.5		
	Iminoctadine		35.0		
	Weight ratio of compounds of				
	formula I:iminoctadine	1.0:0.5	2.9	3.62	1.3
		1.0:1.0	2.5	4.67	1.9
		1.0:2.0	2.8	6.56	2.3
3.	**Pyrenophora/barley**				
	Compound of formula I		11.00		
	Iminoctadine		18.00		
	Weight ratio of compounds of				
	formula I:iminoctadine	1.0:0.5	8.5	12.64	1.5
		1.0:1.0	6.3	13.66	2.2
		1.0:2.0	5.8	14.85	2.6
4.	**Septoria/wheat**				
	Compound of formula I		10.0		
	Iminoctadine		24.0		
	Weight ratio of compounds of				
	formula I:iminoctadine	1.0:0.5	3.2	12.41	3.9
		1.0:1.0	6.0	14.12	2.4
		1.0:2.0	7.5	16.36	2.2
5.	**Pseupocercosporella/wheat**				
	Compound of formula I		32.0		
	Iminoctadine		29.0		
	Weight ratio of compounds of				
	formula I:iminoctadine	1.0:0.5	8.5	30.93	3.6
		1.0:1.0	9.0	30.43	3.4
		1.0:2.0	11.0	29.94	2.7

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:-

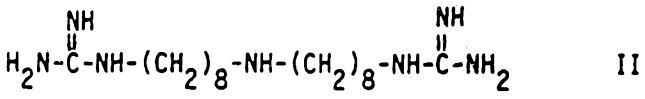
1. A method of combatting fungal diseases in plants, which comprises applying to the plants, as an admixture or substantially simultaneously,

a) the compound of formula I



I

and b) the compound of formula II



II

in free base form or agriculturally acceptable acid addition salt form in a fungicidally effective aggregate amount.

2. The method of claim 1, which comprises applying per hectare of crop locus from 50 to 100g of the compound of formula I in base form or an equivalent fungicidally effective amount of said compound in acid addition salt form, and from 100 to 500g of the triacetate of the compound of formula II or an equivalent fungicidally effective amount of said compound in another acid addition salt form or in base form.

3. The method of claim 2, wherein the applied amount per hectare of crop locus compound of formula I, in base form, is from 60 to 80g and that of the compound of formula II in triacetate form is from 200 to 400g.

4. The method of claims 1 to 3, wherein the crops are cereals or vines.

5. The method of claim 4, in controlling Erysiphe

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graminis, Pyrenophora, Septoria or Pseudocercosporella spp.

6. A fungicidal composition comprising a fungicidally effective amount of the compounds of formula I and II, stated in claim 1, in free base form or agriculturally acceptable acid addition salt form.

7. The fungicidal composition of claim 6, wherein the weight ratio of the compound of formula I : triacetate of the compound of formula II is in the range of from 4 : 1 to 1 : 8, or, where the compound of formula I is employed in acid addition salt form and/or the compound of formula II is employed in an acid addition salt form which is different from the triacetate form or in base form, in a weight ratio which is equivalent thereto.

8. The fungicidal composition of claim 7, wherein the weight ratio of the compound of formula I : the triacetate of the compound of formula II is in the range of from 3 : 1 to 1 : 4 or where the compound of formula I is in acid addition salt form and/or the compound of formula II is in a different acid addition salt form or in base form, in a weight ratio which is equivalent thereto.

9. The fungicidal composition of claim 8, wherein the weight ratio of the compound of formula I : the triacetate of the compound of formula II is in the range of from 2 : 1 to 1 : 4 or where the compound of formula I is in acid addition salt form and/or the compound of formula II is in a different acid addition salt form or in base form, in a weight ratio which is equivalent thereto.

10. The fungicidal composition of claim 9, wherein the weight ratio of the compound of formula I : the triacetate of the compound of formula II is in the range of from 2 : 1

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to 1 : 2 or where the compound of formula I is in acid addition salt form and/or the compound of formula II is in a different acid addition salt form or in base form, in a weight ratio which is equivalent thereto.

DATED this 6th day of February, 1990.
SANDOZ LTD.
By its Patent Attorneys:
DAVIES & COLLISON

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