

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

To:
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PCT

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION
See paragraph 2 below

International application No. PCT/EP2016/073290	International filing date (day/month/year) 29.09.2016	Priority date (day/month/year) 02.10.2015
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International Patent Classification (IPC) or both national classification and IPC
INV. C07D271/06 A01N43/82 C07D413/04

Applicant
SYNGENTA PARTICIPATIONS AG

1. This opinion contains indications relating to the following items:
- ☒ Box No. I Basis of the opinion
 - ☐ Box No. II Priority
 - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - ☐ Box No. IV Lack of unity of invention
 - ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step and industrial applicability; citations and explanations supporting such statement
 - ☐ Box No. VI Certain documents cited
 - ☐ Box No. VII Certain defects in the international application
 - ☐ Box No. VIII Certain observations on the international application

2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

Name and mailing address of the ISA:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Fax: +49 89 2399 - 4465	Date of completion of this opinion see form PCT/ISA/210	Authorized Officer Guspanová, Jana Telephone No. +49 89 2399-0 
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Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of:
 - ☒ the international application in the language in which it was filed.
 - ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1 (b)).
2. ☐ This opinion has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 43bis.1(a))
3. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, this opinion has been established on the basis of a sequence listing:
 - a. ☐ forming part of the international application as filed:
 - ☐ in the form of an Annex C/ST.25 text file.
 - ☐ on paper or in the form of an image file.
 - b. ☐ furnished together with the international application under PCT Rule 13ter.1(a) for the purposes of international search only in the form of an Annex C/ST.25 text file.
 - c. ☐ furnished subsequent to the international filing date for the purposes of international search only:
 - ☐ in the form of an Annex C/ST.25 text file (Rule 13ter.1(a)).
 - ☐ on paper or in the form of an image file (Rule 13ter.1(b) and Administrative Instructions, Section 713).
4. ☐ In addition, in the case that more than one version or copy of a sequence listing has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that forming part of the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
5. Additional comments:

Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	<u>1-15</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	<u>1-15</u>
	No: Claims	
Industrial applicability (IA)	Yes: Claims	<u>1-15</u>
	No: Claims	

2. Citations and explanations

see separate sheet

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Claim 15 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 39.1(iv)/67.1(iv) PCT since the use of compound of formula (I) as fungicide on human or animal body is not excluded from this claim.

1. Relevant documents

- D1 WO 2013/064079 A1 (SINOCHEM CORP [CN]; SHENYANG RES INST CHEMICAL IND [CN]) 10 May 2013 (2013-05-10)cited in the application
- D2 EP 0 276 432 A2 (CIBA GEIGY AG [CH]) 3 August 1988 (1988-08-03)cited in the application
- D3 CN 1 927 860 A (JIANGSU BASE NAT RES AND DEV C [CN]) 14 March 2007 (2007-03-14)cited in the application
- D4 WO 2008/037789 A1 (BAYER CROPSCIENCE SA [FR]; DESBORDES PHILIPPE [FR]; DUNKEL RALF [FR];) 3 April 2008 (2008-04-03)
- D5 WO 2013/066839 A2 (GLAXOSMITHKLINE LLC [US]; TEMPERO PHARMACEUTICALS INC [US]; GHOSH SHOM) 10 May 2013 (2013-05-10)cited in the application

2. Novelty

The present application relates to oxadiazole derivative of formula (I) (claims 1-11), to an agrochemical composition thereof (claims 12 and 13), to a method of controlling or preventing infestation of plants (claim 14) and to the use of said derivative as a fungicide (claim 15).

D1 discloses compounds of formula (I) given on page 22 which encompasses oxadiazole derivatives represented by compounds 987-991 given on page 23. The closest compound 988 differs from the present compounds through the pyrazole moiety (R^9 in the present compounds is not defined as cyclic moiety such as heteroaryl).

D2 discloses oxadiazole derivatives of formula (I) given in claim 1 which differs from the present formula (I) through the absence of the linking moiety $-(CR^5R^6)_n-$.

D3 discloses oxadiazole derivatives of generic formula given on page 12 which differs from the present formula (I) through the pyrazole moiety.

D4 discloses compounds of formula (I) which differs from the present formula (I) through the substituent A which is defined as heterocyclic moiety whereas the corresponding substituent R⁸ does not comprise any cyclic moieties. Furthermore, oxadiazole is missing in compounds of D4.

D5 discloses and an intermediate compound in Example 3 (last compound on page 31) which is excluded from the scope of present claim 1 by a proviso. Compounds of D5 are useful as histone deacetylase 9 inhibitors and not as fungicides.

None of the cited prior art discloses compounds of present claim 1.

Therefore, the compounds of present formula (I) and the subject-matter related to it are considered novel in the sense of Article 33(2) PCT.

3. Inventive step

The closest prior art can be represented by any of D1-D3 since they all disclose structurally close compounds which can be used for controlling the phytopathogenic fungi of plants or crops.

In view of D1-D3 the technical problem to be solved is defined as a provision of alternative carboxamide compounds as agricultural fungicides.

The solution to that problem is the provision of the compounds of formula (I) defined in claim 1. The data given on pages 105-107 demonstrate the fungicidal activity of the present compounds. Thus, the problem has been solved.

Starting from the closest compound of D1 (compound 988 on page 23) or from the compounds of D3 given in Table 1 on pages 12 and 13 the technical contribution of the present invention resides in the replacement of pyrazole in compounds of D1/D3 by substituent R⁹ or substituent OR¹⁰ or substituent NR¹¹R¹², respectively, defined in claim 1 which do not carry a cyclic moiety and which are thus different from pyrazole disclosed in D1/D3.

Starting from the compounds of D2 given in Table 3 on page 17 the technical contribution of the present invention resides in the introduction of the linking moiety - (CR⁵R⁶)_n-.

It appears that the cited prior art D1-D4 neither discloses nor fairly suggests that the compounds containing the combination "acyclic moiety instead of a heterocyclus " and "the presence of the linker -(CR⁵R⁶)_n- between amine and 6-membered aromatic moiety" would retain the fungicidal activity.

Therefore, the whole subject-matter claimed is considered inventive in the sense of Article 33(3) PCT.