

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

PCT

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43*bis*.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/EP2016/072588

International filing date (day/month/year)
22.09.2016

Priority date (day/month/year)
23.09.2015

International Patent Classification (IPC) or both national classification and IPC
INV. C07D413/14 A01N43/80

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 43*bis*.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 66.1*bis*(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

Panday, Narendra

Telephone No. +49 89 2399-0



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 43bis.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	
	No: Claims	<u>1-15</u>
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

Reference is made to the following documents:

- D1 WO 2011/067272 A1 (SYNGENTA PARTICIPATIONS AG [CH]; CASSAYRE JEROME YVES [CH]; RENOLD PET) 9 June 2011 (2011-06-09)
- D2 US 2011/144334 A1 (MITA TAKESHI [JP] ET AL) 16 June 2011 (2011-06-16)
- D3 US 2007/066617 A1 (MITA TAKESHI [JP] ET AL) 22 March 2007 (2007-03-22)

1. Novelty (A. 33(2) PCT)

It seems that compounds of formula (I) of claim 1 and of formulae Int-I to Int-III of claim 10 are not disclosed in the prior art. Novelty can therefore be acknowledged to the subject-matter of said claims as well as to the claims depending on claim 1 (claims 2 to 9). Any method or material involving/containing such compound is novel too (claims 11 to 15).

D1 to **D3** disclose similar compounds. The generic formula of **D1** (claim 1) has a significant overlap with that of present claim 1, but to arrive to present compounds a selection in various parameters needs to be carried out. **D1** is therefore not considered to be prejudicial to the novelty of claim 1.

2. Inventive step (A. 33(3) PCT)

2.1

Present compounds are proposed as insecticides.

D1 is the closest prior art. It discloses similar compounds for the same purpose (insecticides). Claim 1 of **D1** overlaps with present claim 1 (i.e. in claim 1 of **D1** the Y1 to Y4, L, R2 can be selected in a way as to arrive to compounds falling under the present scope). The region of overlap can be regarded as a selection from the broader scope of **D1**. Although such selection is usually considered to be novel, it is inventive only if it is associated to an unexpected technical effect: i.e. the selection should be associated with a technical teaching such as a common structural

difference leading responsible for e.g. a better activity. Otherwise the selection is to be viewed as a non-purposive and hence merely an arbitrary alternative. No inventive step can be acknowledged to such subject-matter. Such lack of inventive step of the area of overlap leads to a lack of inventive step of the entire scope claimed, since all entities comprised in a claim are regarded as equivalent solutions to the problem they are supposed to solve.

The above is however not meant to indicate that amendments removing the area of overlap with the subject-matter of claim 1 of **D1** would automatically lead to inventive subject-matter. The following needs to be borne in mind:

From the state of the art such as **D1** and e.g. also **D2**, **D3** (alone or in combination), it is evident that the group corresponding to present N-sulfinyl/sulfonyl isoxazolidine can vary broadly. A panoply of 5 and 6 membered aromatic and non-aromatic heterocycles are proposed in the three documents. If the objective technical problem underlying the present invention thus only would be to find alternatives, present N-sulfinyl/sulfonyl isoxazolidine still would merely have to be viewed as arbitrary/non-purposive alternatives. The demonstration of a surprising technical effect associated to present N-sulfinyl/sulfonyl isoxazolidine hence seems a key element to the acknowledgement of inventive step (with or without area of overlap over the subject-matter of claim 1 of **D1**).

2.2

Once the final products of claim 1 are rendered inventive, the intermediates, insofar they still share the same inventive structural concept, would, in that they contain the essential structural element responsible for the inventiveness of the final compounds (N-sulfinyl/sulfonyl isoxazolidine), be inventive too.

3. Rule 39.1(iv)/67.1(iv) PCT

Claim 11 relates to a subject-matter considered by this Authority to be covered by the provisions of Rule 39.1(iv)/67.1(iv) PCT because the locus needs not be a plant

The patentability can be dependent upon the formulation of the claims. The EPO, for example, does not recognise as patentable claims directed to a method of therapeutic treatment or to the use of a compound in such treatment. It may however allow claims to a product, in particular substances or compositions for use in a first or further medical treatment (as for instance in present claim ...).

Claim 11 involves subject-matter that could be considered as falling under the non-patentability criterion of A. 53(c) EPC, given that the locus needs not to be a plant (e.g. killing of parasitic insects responsible for a diseased animal). It thus should be formulated such as to exclude therapeutic/medical applications (for instance as in claim 13).