

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

To:
see form PCT/ISA/220

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/EP2016/072587	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 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 Panday, Narendra 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 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>1-9, 11-15</u>
	No: Claims	<u>10</u>
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

Reference is made to the following documents; the numbering will be adhered to in the rest of the procedure.

- 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)
- D4 DATABASE CA [Online]
CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US;
MAGNUSON, ERIC C. ET AL: "Theoretical study of 1,3-dipolar cycloadditions of nitron and fulminic acid with substituted ethylenes",
retrieved from STN
Database accession no. 1998:793683 ; & MAGNUSON, ERIC C. ET AL: "Theoretical study of 1,3-dipolar cycloadditions of nitron and fulminic acid with substituted ethylenes",
JOURNAL OF COMPUTATIONAL CHEMISTRY , 19(16), 1795-1804 CODEN: JCCHDD; ISSN: 0192-8651,
1998,
DOI: 10.1002/(SICI)1096-987X(199812)19:16 1795::AID-JCC13.0.CO;2-G 10.1002/(SICI)1096-987X(199812)19:16 1795::AID-JCC13.0.CO;2-G
- D5 DATABASE CA [Online]
CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US;
GOULET, MARK T. ET AL: "Preparation of 1,2-dihydroquinolin-2-one derivatives as inhibitors of fatty acid synthase for treatment of cancer",
retrieved from STN
Database accession no. 2007:873509 ; & GOULET, MARK T. ET AL: "Preparation of 1,2-dihydroquinolin-2-one derivatives as inhibitors of fatty acid synthase for treatment of cancer",
PCT INT. APPL., 49 PP. CODEN: PIXXD2,

Re Item V

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

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

It seems that compounds of formula (I) of claim 1 and of formulae Int-I, Int-II and Int-IV of claims 8, 9, 11 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 7). Any method or material involving/containing such compound is novel too (claims 12 to 15). Compounds falling under the generic formula Int-III of claim 10, however, are disclosed in **D4** and **D5**, reason for which the subject-matter of claim 10 lacks novelty.

D1 to **D3** disclose similar compounds to those in claim 1, but the subject-matter of claim 1, i.e. the "right-hand" isoxazoline, is not explicitly disclosed in any of these documents.

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

2.1

Present compounds are disclosed as insecticides.

In that any of **D1** to **D3** discloses similar compounds as insecticides with a saturated, partially unsaturated or a aromatic five membered ring in the position corresponding to present "right-hand" isoxazoline, any of these document can be taken as closest prior art. Present compounds differ, in that this "right-hand" five membered ring is an isoxazoline as here depicted.

In lack of comparative data, present compounds are to be viewed as alternative.

The objective technical problem thus would be the provision of alternative insecticidal compounds similar to those already disclosed in **D1** to **D3**.

From **D1** to **D3** (alone or in combination), it is evident that the group corresponding to present "right-hand" isoxazoline 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 "right-hand" isoxazoline would merely have to be viewed as arbitrary/non-purposive alternative. The demonstration of a surprising technical effect associated to present "right-hand" isoxazoline would be necessary to the acknowledgement of inventive step.

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 ("right-hand" isoxazoline), be inventive too. In this regard attention is drawn to the fact that this is not the case for intermediate Int-IV, which merely is a precursor that *may* lead (by a 2-3-cycloaddition) to the "right-hand isoxazoline", but it may also be used to the preparation of known compounds of the close prior art, in that the 2,3-cycloaddition can be carried out with a reagent leading to a heterocycle as already present in a compound of the close prior art. In principle, in that the compound Int-IV, would not share the same inventive structural concept ("right-hand" isoxazoline), it would have to be regarded as belonging to a separate invention.