

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

To:

see form PCT/ISA/220

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/EP2016/081167

International filing date (day/month/year)
15.12.2016

Priority date (day/month/year)
22.12.2015

International Patent Classification (IPC) or both national classification and IPC
INV. A01N43/56 A01N53/14 A01N25/00 A01P7/02 A01P7/04 A01N53/00

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

Hateley, Martin

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>1-14</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	<u>1-14</u>
Industrial applicability (IA)	Yes: Claims	<u>1-14</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-D4**) and to the International Search Report wherein the most relevant passages thereof are cited; the numbering will be adhered to during the rest of the procedure:

- | | |
|-----------|--|
| D1 | WO 2014/122083 A1 (Bayer Cropscience AG [DE]) 14 August 2014 |
| D2 | WO 2015/150442 A1 (Bayer Cropscience AG [DE]) 8 October 2015 |
| D3 | WO 2010/051926 A2 (Bayer Cropscience AG [DE]) 14 May 2010 |
| D4 | WO 2012/107434 A1 (Syngenta Participations AG [CH]) 16 August 2012 |

The patent application relates to pyrazole derivatives of formula (I) and to pesticidal compositions comprising these pyrazole derivatives as an active ingredient in addition to at least one auxiliary. Furthermore the application also relates to methods for controlling pests and for protecting plant propagation material using the pesticidal composition as well as to such coated plant propagation material.

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

The patent application meets the criteria of Article 33(1) PCT, because the subject-matter of claims 1-14 appears to be novel in the sense of Article 33(2) PCT.

1.1 Document **D1** discloses pesticidal pyrazole derivatives for agricultural and veterinary use having an almost identical chemical structure to those of formula (I) of the patent application. In fact comparison of pyrazoles Ic-2 or Ic-4 of table 3 of D1 with the first two pyrazoles of claim 9 (examples 1-2; page 126) reveals the sole difference to lie in the nature of the 3-substituent on the pyrazole ring. Whereas in the patent application the Z¹- group is connected to the pyrazole ring via a group '-U-' defined as being a heteroatom (-O-, -S-, -SO-, -SO₂-, -NR-), in D1 the Z¹- group is connected directly to the pyrazole ring.

1.2 Document **D2** discloses pesticidal pyrazole derivatives for agricultural and veterinary use having a very similar chemical structure to those of formula (I) of the patent application. The main differences lie in (i) the 3-substituent on the pyrazole ring not being linked to the pyrazole ring by a heteroatom group '-U-' (-O-, -S-, -SO-, -SO₂-, -NR-) and (ii) the group T not being 1-N-pyrazolyl.

1.3 Document **D3** discloses certain pesticidal heterocyclic compounds for agricultural and veterinary use. Most notably table 6 discloses pyrazole derivatives possessing a broadly similar chemical structure to those of formula (I) of the patent application. These compounds possess a heteroatom substituent at the 3-pyrazole position (ik-236 - ik-247) which falls within the definition of Z₁-U- of the pyrazole derivatives of formula (I) of the patent application. In addition with respect to ik-242 from D3, the end portion is identical to the compounds of examples 2, 6, 8 of the patent application (*i.e.* an N-cyclopropyl-2-chlorobenzamide moiety). The compounds of D3 however differ from those of the patent application through the connection of the pyrazole ring to the rest of the molecule. In D3 this connection is a simple carbonyl group (U = -CO-) whereas in formula (I) of the patent application it is a heterocyclic group T.

1.4 Document **D4** discloses pesticidal pyrazole derivatives possessing a very similar chemical structure to those of formula (I) of the patent application (table A). In addition the 1,2,3-triazole group of the compounds of D4 also falls under the definition of the group T of formula (I) of the patent application and is explicitly disclosed in embodiment 77 of the patent application (page 55). As for D1-D2 above, the difference to the pyrazoles of the patent application appears to lie in the nature of the 3-substituent on the pyrazole ring. In D4 this 3-pyrazole substituent is a perfluoroethyl group, whereas in the patent application the Z¹- group also encompasses such a group, but is connected to the pyrazole ring via the group -U- defined as being a heteroatom (-O-, -S-, -SO-, -SO₂-, -NR-).

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

The patent application does not currently meet the requirements of Article 33(1) PCT, since the subject-matter of claims 1-14 is not considered to involve an inventive step within the meaning of Article 33(3) PCT.

2.1 Document **D1** is considered to represent the closest prior to the subject-matter of claims 1-14 of the patent application, since it discloses pesticidal pyrazole derivatives for agricultural and veterinary use which possess an almost identical chemical structure to those of formula (I) of the patent application. This document therefore not only belongs to the same technical field and is directed to the same purpose, but also has in terms of structural elements the most technical features in common with the pyrazoles of formula (I) of the patent application. The difference between the pyrazoles of D1 and those of formula (I) of the patent application appears to lie in the nature of the 3-substituent on the pyrazole ring. In D1 the 3-pyrazole substituent (Z¹-) is an optionally substituted alkyl or cycloalkyl group which is directed attached to the pyrazole ring, whereas in the patent application the 3-pyrazole substituent, also

encompassing an alkyl or cycloalkyl group, is connected to the pyrazole ring via a group -U- defined as being a heteroatom (-O-, -S-, -SO-, -SO₂-, -NR-). The technical effect of this difference appears to be the increased activity of the compounds of the patent application (compounds 4, 6, 15, 35) compared to Ic-1 denoted Compound A (D1: page 76) and Ic-2 denoted Compound B (page 82 of D1). Consequently the objective technical problem may be regarded as the provision of pesticidal compounds for controlling pests in agricultural and veterinary uses displaying an improved activity. In addition to the comparative data on pages 177-180 of the patent application, around three quarters of the 87 compounds of formula (I) prepared in table 1 (pages 125- 142) have been shown to be effective in controlling various acari and insect pests in laboratory tests (examples on pages 175-177: *Spodoptera littoralis*, *Plutella xylostella*, *Diabrotica balteata*, *Thrips tabaci*, *Tetranychus urticae*, *Euschistus heros*, *Myzus persicae*).

2.2 Pesticidal pyrazole derivatives for agricultural and veterinary uses which possess very similar chemical structures to those of the patent application are known from D1 and D3. In this respect the pyrazoles of the patent application differ (i) from those of D1 by comprising the heteroatomic group -U- and (ii) from those of D3 by comprising a heterocyclic group T connecting the pyrazole to the rest of the molecule. Nothing in the prior art however appears to motivate the skilled person to combine the features of D1 and D3, in the expectation of providing pyrazole compounds having improving the pesticidal activity, as has been shown to be the case by the comparative experimental data provided in the patent application for the case where -U- = oxygen.

2.3 With respect to the other heteroatomic groups defined by -U-, a doubt currently exists as to whether the technical effect shown in the comparative experimental data of the patent application (-U- = O) can be generalised to these other groups (*i.e.* S-, -SO-, -SO₂-, -NR-), since heteroatoms significantly change both the conformation and reactivity of a molecule via steric and/or electronic effects.

2.4 Independently and in addition thereto, the objective technical problem can not be considered to have been solved over the whole scope of the claims. It has in no way been shown, nor is it plausible, that substantially all of the innumerable pyrazoles falling within the scope of formula (I) display improved pesticidal activity, let alone pesticidal activity at all. Whereas an innumerable number of pyrazole derivatives of vastly different structure and reactivity fall under the scope of formula (I) of the patent application, especially with respect to the groups T, A¹-A⁴ and Q, only a small number of relatively structurally homogeneous pyrazole derivatives have been shown to possess pesticidal properties (examples 1-68). Moreover these pyrazole derivatives are homogenous in their structure: (i) the group -T- is always pyrazole in the same

orientation, with the single exception of the triazoles of examples 84 and 87 for which no activity data is shown; (ii) A^1 - A^4 are always carbon, with the single exception of examples 65-66 where $A^2 = N$; (iii) the group -W- is always oxygen; and (iv) with the exception of examples 9-10 the group -Q- is always 1-cyanocyclopropyl or cyclopropyl. It is thus not plausible that *substantially all* of the pyrazoles that fall within the scope of formula (I) solve the objective technical problem by displaying improved pesticidal activity, let alone pesticidal activity at all. Consequently no inventive step can currently be acknowledged for the subject-matter of claims 1-11 defining the pyrazole compounds and composition containing them, or for the subject-matter of claims 12-13 relating to methods or for the subject-matter of claim 14 relating to coated plant propagation material (Article 33(3) PCT).

3. Industrial applicability (Art. 33(4) PCT)

Industrial applicability is acknowledged for the subject-matter of claims 1-14.