

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/EP2024/066165

International filing date (day/month/year)
12.06.2024

Priority date (day/month/year)
14.06.2023

International Patent Classification (IPC) or both national classification and IPC
INV. A01N43/90 A01N43/56 A01N45/02 A01N43/54 A01N43/40 A01N43/653 A01N43/36 A01N43/82 A01P3/00

Applicant
SYNGENTA CROP PROTECTION 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:



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D-80298 Munich
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Date of completion of
this opinion

see form
PCT/ISA/210

Authorized Officer

Hateley, Martin

Telephone No. -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 43*bis*.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.
 - b. ☐ furnished subsequent to the international filing date for the purposes of international search (Rule 13*ter*.1(a)),
 - ☐ accompanied by a statement to the effect that the sequence listing does not go beyond the disclosure in the international application as filed.
4. ☐ With regard to any nucleotide and/or amino acid sequence disclosed in the international application, this opinion has been established to the extent that a meaningful opinion could be formed without a WIPO Standard ST.26 compliant sequence listing.
5. Additional comments:

Box No. II Priority

1. ☐ The validity of the priority claim has not been considered because the International Searching Authority does not have in its possession a copy of the earlier application whose priority has been claimed or, where required, a translation of that earlier application. This opinion has nevertheless been established on the assumption that the relevant date (Rules 43*bis*.1 and 64.1) is the claimed priority date.
2. ☒ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43*bis*.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.
3. Additional observations, if necessary:

see separate sheet

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>12</u>
	No: Claims	<u>1-11, 13-15</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

Box No. VII Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

Re Item II

Priority

The priority right of the patent application (EP 23 179 120) is not considered to be valid since it does not represent the first disclosure of the subject-matter of the priority document. In this respect D4 belonging to the same Applicant discloses several specific combinations comprising component (A) being a compound of formula (I) and component (B). Specific combinations are found in the description of D4 comprising (i) TX defined to be a compound chosen from (P-1) to (P-39) (page 43, lines 1-5) combined with a long list of specifically named pesticides (pages 43 - 50). As examples thereof, TX may be compound P6 or P12 (D4: Table T1, pages 100-101) and the specific component B may be bixafen (D4: page 43, line 11), prothioconazole (D4: page 46, line 39), tebuconazole (D4: page 46, line 40), picoxystrobin, pyraclostrobin (D4: page 47, line 9), benzovindiflupyr, pydiflumetofen (D4: page 47, line 23) among many others. Further it is noted that compounds P-6 and P-12 of D4 respectively correspond to compounds X.01 and X.02 of the priority and patent application (Table P). As a consequence of the invalidity of the priority, document D4 is considered to be relevant to novelty and inventive step.

Re Item V

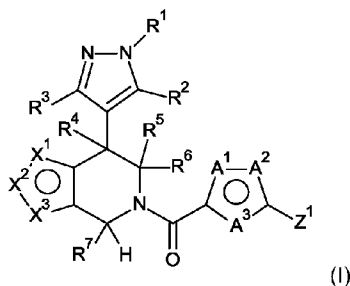
Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

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 2019/141957 A1 (Cado Biotechnology IVS [DK]) 25 July 2019
- D2** WO 2022/234470 A1 (PI Industries Ltd [IN]) 10 November 2022
- D3** "FRAC code list 2022", 1 March 2022, p. 1-17, XP093103405, Retr.: Internet
- D4** WO 2023/110869 A1 (Syngenta Crop Protection AG [CH]) 22 June 2023

The patent application relates to a fungicidal composition comprising components (A) and (B) as active ingredients, wherein component (A) is a pyrazole derivative of formula (I), a salt or N-oxide thereof, and component (B) is a further fungicidal compound

selected from a long list, especially pydiflumetofen, benzovindiflupyr, azoxystrobin, florylpicoxamid, difenoconazole, prothioconazole, mefentrifluconazole, cyprodinil, fludioxonil, acibenzolar-S-methyl.



Furthermore the patent application also relates to a method of controlling or preventing phytopathogenic diseases, especially phytopathogenic fungi, on useful plants or on propagation material thereof, by applying the fungicidal composition comprising components (A) and (B) to the useful plants, their locus or propagation material.

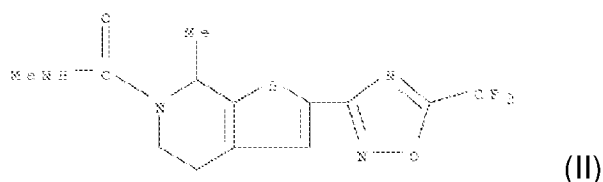
1. Novelty (Art. 33(2) PCT)

Notwithstanding the objections to a lack of clarity raised in Box VIII below, the patent application does not meet the requirements of Article 33(1) PCT, because the subject-matter of claims 1-11 and 13-15 is not considered to be novel within the meaning of Article 33(2) PCT.

1.1 Document **D1** discloses N-pyrimidinyl hydroxypyrazole derivatives to possess fungicidal activity and to be suitable for controlling or preventing phytopathogenic fungi in agriculture/horticultural crop plants, whereby these N-pyrimidinyl hydroxypyrazole derivatives may also be combined with a further fungicidal or fungistatic compound. Although the N-pyrimidinyl hydroxypyrazole derivatives of D1 possess a pyrazole ring as in formula (I) of component (A) of the patent application, they do not comprise the fused ring system, namely the 5-membered heterocyclic ring fused on one face to the piperidine-ring.

1.2 Document **D2** discloses certain thieno[3,2-c]pyridine-6(5H) carboxamide derivatives to possess fungicidal activity and to be suitable for controlling or preventing phytopathogenic fungi in agriculture/horticultural crop plants (see for example compound (II) below: 4,7-dihydro-N-7-dimethyl-2-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]thieno[2,3-c] pyridine-6(5H)-carboxamide). Moreover the heterocycles of D2 may also be combined with a further pesticidal agent, including a fungicide, to give a binary mixture which are intended to control or prevent phytopathogenic fungi in agricultural

crops. Although the heterocycles of D2 possess a similar fused ring system to the specific examples of component (A) of the patent application (namely X.01 - X.11) in which the fused ring system is furthermore substituted by a 5-membered heterocyclic ring, they do not appear to possess the methylpyrazole moiety of formula (I) of component (A) of the patent application.



1.3 Document **D3** discloses known and commercially available fungicidal compounds routinely used in crop protection, including each of the preferred fungicides of component (B) defined in claim 7 and used in the examples. The pyrazole derivatives of the patent application do not appear to be disclosed in D3.

1.4 Document **D4** discloses pyrazole derivatives falling under formula (I) of the patent application (Table T1: P-6 (X.01) and P-12 (X.02)), their fungicidal activity and use in controlling/preventing infestation of crop plants with phytopathogenic fungi. In addition and as mentioned under Box II above, specific combinations of P-6 and P-12 with many of the specific pesticides defined as component B in claim 1 are disclosed, for example pydiflumetofen, benzovindiflupyr, bixafen, picoxystrobin, pyraclostrobin, tebuconazole, prothioconazole *etc.*. In view of the loss of the priority right, D4 is considered to be prejudicial to the novelty of at least claims 1-11 and 13-15 of the patent application (Art. 33(2) PCT).

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

Notwithstanding the objections to a lack of clarity raised in Box VIII below and novelty above, the patent application does not meet the requirements of Article 33(1) PCT, because the subject-matter of claims 1-15 is not considered to involve an inventive step within the meaning of Article 33(3) PCT.

2.1 Since the subject-matter of claims 1-11 and 13-15 is not considered to be novel, it cannot be considered to involve an inventive step within the meaning of Article 33(3) PCT.

2.2 Document **D4** may be considered to represent the closest prior art to the subject-matter of the patent application, as it discloses compositions comprising pyrazole derivatives falling under formula (I) of the patent application (P-6 and P-12) and one of the components B, notably pydiflumetofen and benzovindiflupyr, as well as their use in

controlling/preventing infestation of crop plants with phytopathogenic fungi (*i.e. same technical field of crop protection, pursues the same aim of combating phytopathogenic fungi in crop thereby increasing crop yield and quality, structure features in common, not least the*).

2.3 The difference between the fungicidal compositions of D4 and those of the patent application merely appears to lie in presence of a further pesticide in addition to components (A) and (B).

2.4 In the absence of appropriate comparative experimental data, no technical effect of this difference can be discerned from the patent application. Nevertheless the examples of the patent application do appear to substantiate the fungicidal activity of binary compositions comprising (i) specific pyrazole derivatives X.01 - X.10 each combined with the preferred fungicides of component (B) as listed in claim 7 against a range of phytopathogenic fungi, including *Botrytis cinerea*, *Glomerella lagenarium* and *Septoria tritici*.

2.5 The objective technical problem to be solved may therefore be regarded as the provision of an alternative fungicidal composition and method of controlling or preventing phytopathogenic diseases in useful plants therewith.

2.6 The solution to this problem presented in the patent application is the fungicidal composition comprising the pyrazole derivatives of formula (I) as component (A), a pesticide as component (B) and one or more further pesticides as defined in dependent claim 12.

2.7 The skilled person routinely combines two or more known pesticidal compounds in the expectation of obtaining a pesticidal composition possessing a range of advantages when compared to the pesticidal compounds used individually, including increased pesticidal activity, a broader spectrum of action, reduction/prevention of formation of pesticidal resistance *etc.*. In view of the fact that binary compositions comprising a compound of formula (I) combined with many of the pesticides of component (B) are known from D4, including those used in the examples thereof, the mere addition of a one or more further pesticides to give ternary or higher order compositions is regarded as an obvious possibility for the skilled person, who routinely combines known pesticides in order to achieve the advantages listed above.

2.8 In addition and independently of the above a considerable doubt arises as to whether the objective technical problem of providing an alternative has been plausibly solved over the whole scope of the present claims. In this respect although formula (I) encompasses innumerable pyrazole derivatives having extremely heterogeneous chemical structures, not least widely differing rings and substituents leading to differing electronic and steric properties, the examples only show an effect for 10 specific

pyrazole derivatives in combination with seven specific component (B) fungicides. The breadth of the claims does not therefore appear to be in any way commensurate with that which has been shown, even whilst allowing a certain justifiable generalisation.

2.9 In summary no inventive step can be acknowledged for the subject-matter of claims 1-13 directed to the fungicidal composition; or for the subject-matter of claims 14-15 directed to the method of controlling or preventing phytopathogenic disease on useful plants or propagation material (Art. 33(3) PCT).

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

Industrial applicability is acknowledged for the subject-matter of claims 1-15.

Re Item VII

Certain defects in the international application

1. Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in at least documents D1-D4 has not been mentioned in the description, nor has this document been identified therein.

Re Item VIII

Certain observations on the international application

1. The terms '*Taegro*', '*Timorex Gold*', '*Refalia*' and '*Botristop*' used in independent claim 1 and dependent claims 5-6, 12 appear to be registered trade marks. Such trade marks do not have any internationally accepted meaning, in that the product described thereby may vary between geographical locations and may change over time. Since the skilled person is therefore unable to determine the desired scope of protection, the subject-matter of these claims, and claims dependent thereupon, are thus rendered unclear (Art. 6 PCT).

2. The term '*useful plant*' used in independent claim 14 is vague and unclear and leaves the reader in doubt as to the meaning of the technical feature to which it refers. This term is subjective since a plant that might be useful in one situation or location, might not be useful in another location or time, that is for example considered a weed. The subject-matter of claim 14, and by dependence claim 15, is thereby rendered unclear (Art. 6 PCT).

3. Dependent claim 15 defines the application of components (A) and (B) to be performed in a sequential manner in the method of controlling or preventing phytopathogenic disease of independent claim 14. Since the term '*composition*' must be construed as encompassing the presence of both components, in the absence of any definition of the time between the application of first component and the second component, a lack of clarity arises since where the time between the applications of these components is large, for example weeks, the composition is will never be formed (Art. 6 PCT).

4. References to other documents in international applications may relate directly to the disclosure of the invention. If the matter the documents refer to is essential to satisfy the requirements of Art. 5 PCT, this matter should be explicitly described and incorporated into the description since the patent specification must be self-contained with regard to the essential features of the invention, that is it should be capable of being understood without reference to any other documents. Consequently, expressions including '*.. is herein incorporated by reference..*' (page 109, lines 1-2) should not have been used (PCT Guidelines 4.26).