

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/EP2022/083647	International filing date (day/month/year) 29.11.2022	Priority date (day/month/year) 02.12.2021
International Patent Classification (IPC) or both national classification and IPC INV. A01N43/78 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:  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 Galley, Carl 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.
 - b. ☐ furnished subsequent to the international filing date for the purposes of international search (Rule 13ter.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 43bis.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 43bis.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>2-4, 6-12, 15</u>
	No: Claims	<u>1, 5, 13, 14</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. VI Certain documents cited

1. Certain published documents (Rules 43bis.1 and 70.10)

and / or

2. Non-written disclosures (Rules 43bis.1 and 70.9)

see form 210

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

- 1 The priority document EP21212093.5 (02/12/2021) of the present application appears to disclose the present claimed invention in all its relevant parts, so that its validity can be acknowledged.

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 2020/109511 A1 (SYNGENTA CROP PROTECTION AG [CH]) 4 June 2020; cited in the application
- D2* WO 2021/244951 A1 (SYNGENTA CROP PROTECTION AG [CH]) 9 December 2021
- D3* WO 2021/244952 A1 (SYNGENTA CROP PROTECTION AG [CH]) 9 December 2021

*see Item VI

- 2 D1 discloses compounds of formula (I) (claims), including 2,6-difluoro-4-pyridyl N-(2,2-dimethylcyclobutyl) 5-methylthiazole-4-carboxamides (see Tables 2 and 3), such as compounds I.b.815, I.b.599 (these two compounds actually appear to be identical in D1), and I.b.600, which are very similar to the present compounds X.05–X.09. On page 22, from line 22, D1 indicates that the compounds may be admixed with further compounds, which may, in some cases, result in unexpected synergistic activities. Following this teaching, D1 discloses a long list of these further compounds, including the 78 compounds as component (B) as in present claim 1. The reduction of the long list to 78 compounds is not considered to constitute a selection, so that the disclosure of D1 is considered to anticipate the subject-matter of at least claims 1, 5, 13 and 14 within the meaning of Article 33(2) PCT.

- 3 D1 fails to teach the specific compounds X.01 to X.11 of formula (I), the selection of compounds as component (B) in claim 6, or the weight ratios of claims 7–11. D1 also fails to disclose a ternary composition or the sequential application of the components as in claim 15. Therefore, the subject-matter of claims 2–4, 6–12 and 15 appears to be novel within the meaning of Article 33(2) PCT.
- 4 D1 is the closest prior art to the claimed subject-matter, with the subject-matter of claims 2–4 and 6 differing in either the selection of specific 2,6-difluoro-4-pyridyl *N*-(2,2-dimethylcyclobutyl) 5-methylthiazole-4-carboxamides X.01 to X.11, or the specific compounds of claim 6 as component (B).
- 5 The present application on page 3 in the final paragraph indicates that the present combinations may lead inter alia to an improved spectrum of activity, increased safety profile, etc... and, in some cases, to a greater biological activity. However, while the present examples demonstrate that certain compounds of formula (I), in particular X.01–X.11, have good fungicidal activity (Examples A1–A14), and that some specific combinations of compounds selected from X.01 to X.11 with certain fungicides, also provide good fungicidal activity (Examples B1–B9), the examples fail to demonstrate any surprising and/or unexpected effect such as synergism and the results from the examples B do not appear to be able to be directly compared with those from examples A. For example, although the combination of X.11 and azoxystrobin at 6 ppm and 0.6 ppm, respectively, is said to provide >70% control of *Alternaria solani* (Example B9), while X.11 is said to provide >80% control of *A. solani* at 200 ppm (Example A1), the experimental data are insufficient to indicate any effect over a simple juxtaposition.
- 6 Therefore, the objective problem to be solved can only be regarded as the provision of alternative fungicidal formulations, the specific combinations of claims 2–4 and 6 being considered a juxtaposition of measures known from D1 alone.
- 7 With respect to the specific ranges of claims 7–11, the ternary compositions of claim 12 and the application of the components separately as in claim 15, said measures are not considered to result in any surprising and/or unexpected effect over D1 and are considered routine measures for the skilled person.

- 8 Therefore, the entire claimed subject-matter is considered to lack an inventive step within the meaning of Article 33(3) PCT. It should be noted that even if the list of compounds as component (B) in claim 1 were considered a selection of those in D1, resulting in a novel combination, the above arguments with respect to inventive step apply *mutatis mutandis* to said subject-matter.
- 9 The industrial applicability of the claimed invention is evident from the application (Article 33(4) PCT).

Re Item VI

Certain documents cited

Certain published documents

Application No Patent No	Publication date (d/m/y)	Filing date (d/m/y)	Priority (<i>valid claim</i>) (d/m/y)
WO 2021/244951 A1	09/12/2021	27/05/2021	03/06/2020
WO 2021/244952 A1	09/12/2021	27/05/2021	03/06/2020

- 10 Documents D2 and D3 both were published after the effective filing date, but enjoy a filing date prior to that of the present application. Thus, although the present priority is considered valid and so the contents of the documents D2 and D3 are not relevant for inventive step, they may become relevant for novelty on entry into the regional European phase.
- 11 D2 discloses compounds that fall within formula (I) and their combination with compounds as component (B) that fall within the list in the present claims (claims and examples). The examples include mixtures of 2,6-difluoro-4-pyridyl N-cyclobutyl 5-methylthiazole-4-carboxamides, including alkoxyalkyl dimethylcyclobutyl compounds (e.g. X.15) with many compounds as

component (B) from the present claims. Thus, D2 is highly relevant with respect to the novelty of the subject-matter of present claims 1 and 5–15.

- 12 D3 discloses compounds of formula (I) and, like D1, indicates that they may be combined for beneficial effect with further compounds, including many of the compounds listed as component (B) in present claim 1. Thus, D3 is highly relevant with respect to the novelty of the subject-matter of present claims 1, 5, 13 and 14.

Re Item VIII

Certain observations on the international application

- 13 The terms TAEGRO and Timorex Gold, employed in the claims, appear to be registered trademarks and have no precise meaning as it is not internationally accepted as a standard descriptive term, thereby rendering the definition of the subject-matter of this claim unclear, Article 6 PCT.