

PATENT COOPERATION TREATY

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INTERNATIONAL SEARCHING AUTHORITY

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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/EP2022/058721	International filing date (day/month/year) 31.03.2022	Priority date (day/month/year) 31.03.2021
International Patent Classification (IPC) or both national classification and IPC INV. A01N43/80 A01N25/02 A01N25/08 A01P7/00		
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 Habermann, Jörg 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>6-11, 18-20</u>
	No: Claims	<u>1-5, 12-17</u>
Inventive step (IS)	Yes: Claims	
	No: Claims	<u>1-20</u>
Industrial applicability (IA)	Yes: Claims	<u>1-20</u>
	No: Claims	

2. Citations and explanations

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

Reference is made to the following documents:

- D1 WO 2011/067272 A1 (SYNGENTA PARTICIPATIONS AG [CH]; CASSAYRE JEROME YVES [CH] ET AL.) 9 June 2011 (2011-06-09) cited in the application
- D2 WO 2020/126649 A1 (BAYER AG [DE]) 25 June 2020 (2020-06-25)
- D3 WO 2018/234478 A1 (BASF SE [DE]) 27 December 2018 (2018-12-27)
- D4 WO 2017/140563 A1 (BASF SE [DE]) 24 August 2017 (2017-08-24)
- D5 WO 2016/166252 A1 (BASF AGROCHEMICAL PRODUCTS BV [NL]) 20 October 2016 (2016-10-20)
- D6 SPARKS THOMAS C ET AL: "Insecticides, biologics and nematocides: Updates to IRAC's mode of action classification - a tool for resistance management", PESTICIDE BIOCHEMISTRY AND PHYSIOLOGY, ACADEMIC PRESS, US, vol. 167, 5 May 2020 (2020-05-05), XP086173743, ISSN: 0048-3575, DOI: 10.1016/J.PESTBP.2020.104587 [retrieved on 2020-05-05]

Re Item V

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

1 Novelty

The present application is directed to a bait composition comprising isocycloseram (CAS-No. 1309959-62-3 resp. 2061933-85-3) and a bait material comprising an insect food attractant and/or an insect food flavorant.

The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-5 and 12-17 is not new in the sense of Article 33(2) PCT.

Document D1 discloses the synthesis of isocycloseram (p. 54, l. 34 - p. 55, l. 6; cpd. C3; table C) and its insecticidal effect (p. 105, l. 2 - p. 107, l. 11).

D1 does not disclose bait formulations.

Document D2 discloses the melting points and water solubility of broflanilide and isocycloseram (table 1). Both compounds were formulated with an indene-cumarone resin (Novares CA 100) as matrix material. DSC heating/cooling cycles have demonstrated that both compounds may be formulated successfully in the resin (table 2; p. 19, l. 20-22). Long-term efficacy of both insecticides has been studied (examples 11 and 12).

D2 does not disclose a bait formulation comprising an insect food attractant and/or an insect food flavorant.

Document D3 discloses pesticidal compositions comprising a pyrazole carboxamide compound (A) and isocycloseram (cpd. B1b) in a weight ratio of 1000:1 to 1:1000 (claim 4; preferred mixtures A-4 to A-6). The composition may be used in form of a bait formulation. The bait formulation is a liquid, a solid or a semi-solid preparation, such as a gel. It has to be sufficiently attractive to insects (such as ants, termites, wasps, flies, mosquitoes, crickets or cockroaches) to incite them to eat it. The attractiveness is modulated using feeding stimulants, flavors and sex pheromones. The bait compositions comprise 0.0001 to 15 wt.-%, such as 0.001 to 5 wt.-%, of the active compound. The bait may comprise bittering agents (p. 25, l. 11-19 and l. 40-44).

Since document D3 defines specific mixtures comprising isocycloseram, there is only to be made a single selection in the list of formulation types, namely the selection of using the mixture in form of a bait. Hence, D3 anticipates the subject-matter of present claims 1-4 and 12-17.

Document D4 discloses pesticidal mixtures comprising isocycloseram, such as the preferred mixtures comprising cyclaniliprole and isocycloseram (M2.13), tetraniliprole and isocycloseram (M3.13), cyananthraniliprole and isocycloseram (M4.13) or chloranthraniliprole and isocycloseram (M4.44). The mixtures can be used as bait composition. The bait is a liquid, a solid or a semi-solid preparation, such as a gel. It has to be sufficiently attractive to insects (such as ants, termites, wasps, flies, mosquitoes, crickets or cockroaches) to incite them to eat it. The attractiveness is modulated using feeding stimulants, food stimulants and sex pheromones. Suitable components encompass polysaccharides, proteins and decaying parts of plants or insects. The bait compositions comprise 0.001 to 15 wt.-%, such as 0.001 to 5 wt.-%, of the active ingredient (p. 37, l. 32 - p. 35, l. 9).

Since document D4 defines specific mixtures comprising isocycloseram, there is only to be made a single selection in the list of formulation types, namely the selection of using the mixture in form of a bait. Hence, D4 anticipates the subject-matter of present claims 1-5, 12 and 14-17.

Document D5 discloses methods for combatting insect pests using broflanilide (cpd. I) or the active compound desmethyl-broflanilide (cpd. Ia). The compounds can be formulated as bait (claims 1 and 16). The bait formulation is a liquid, a solid or a semi-solid preparation, such as a gel. It has to be sufficiently attractive to insects (such as ants, termites, wasps, flies, crickets, bed bugs or cockroaches) to incite them to eat it. The attractiveness is modulated using feeding stimulants, food stimulants and sex pheromones. Suitable components encompass polysaccharides, proteins and decaying parts of plants or insects. The bait compositions comprise 0.001 to 15 wt.-%, such as 0.001 to 5 wt.-%, of the active compound. The bait may comprise bittering agents (p. 17, l. 9-11; p. 34, l. 24 - p. 35, l. 28).

D5 does not disclose bait compositions comprising isocycloseram.

Document D6 discloses that broflanilide is a GGCC allosteric modulator as is isocycloseram. These insecticides are representatives of the IRAC mode of action group 30 (p. 4, col. 2, l. 19-26; tables 2 and 4).

D6 does not disclose bait compositions comprising isocycloseram.

2 Inventive Step

The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-20 does not involve an inventive step in the sense of Article 33(3) PCT.

Document D5 is regarded as being the prior art closest to the subject-matter of claim 1 and discloses a bait formulation comprising the GABA-gated chloride channel antagonist broflanilide and feeding stimulants or food stimulants.

The subject-matter of claim 1 therefore differs from this known insecticidal bait in that isocycloseram is used in place of broflanilide.

A direct comparison has not been provided.

The problem to be solved by the present invention may therefore be regarded as provision of a bait with an alternative GABA-gated chloride channel antagonist.

The solution proposed in claim 1 of the present application cannot be considered to involve an inventive step (Article 33(3) PCT).

The skilled artisan was widely aware of the insecticide isocycloseram (e.g. D1). He was further aware from document D6 that isocycloseram is a GABA-gated chloride channel antagonist. In order to solve the problem of providing a bait with an alternative GABA-gated chloride channel antagonist the skilled artisan would have replaced broflanilide with isocycloseram in view of the similar physicochemical data and insecticidal activity

demonstrated in D2 and expecting a comparable activity also in a bait formulation. In doing so, the skilled artisan would have provided an alternative bait formulation according to present claim 1 without any inventive activity. This is in particular so, since documents D3 and D4 already provide indications as to the use of isocycloseram in pesticide compositions that shall be employed in form of bait formulations.

None of the further claims 2-14 adds additional features to the bait that are not already evident to the skilled artisan from documents D3-D5 and hence also these claims must be regarded to lack an inventive step.

The claims 15-20 regarding the method of applying the bait are obvious to a skilled artisan in the light of document D5.

3 Industrial Applicability

The subject-matter of the present application seems to be industrially applicable.

Re Item VIII

Certain observations on the international application

The applicant should have used a single common name for the compound used in the bait composition throughout the claims and the description. On some occasions, "isocycloseram" has been written, on other occasions "isocyloseram" has been used. It is believed that "isocycloseram" is the correct version.

With regard to claim 15 it is noted that the claim is related to a method for controlling an insect population by applying the bait composition to an environment or locus. It is believed that such method intrinsically excludes a method of treating a human and/or animal, *i.e.* the environment or locus to which the bait is applied is not a human and/or animal.

The subject-matter of present claim 17 lacks clarity in the sense of Article 6 PCT. The claim has been drafted to depend from present claim 16. Claim 16 defines the insect pest against which to use the bait composition to be "a crawling insect pest selected from ants, termites, cockroaches or darkling beetles". Thus, the insect pest may not be "a flying insect pest selected from dipteran flies, mosquitoes and tephritidae flies". Claim 17 should likely depend from claim 15.

The subject-matter of present claim 20 lacks clarity in the sense of Article 6 PCT. The claim has been drafted to depend from present claim 16. Claim 16 defines the insect pest against which to use the bait composition to be "a crawling insect pest selected from ants, termites, cockroaches or darkling beetles". Thus, the insect pest may not be

an *Agrotis spp.* or cutworm. The first is a *Noctunidae* moth, while cutworms are in most cases larvae thereof (there are a few exceptions where cutworms are larvae of moths not belonging to the noctuids while on the other hand not all the noctuid species' larvae are cutworms). Claim 20 should likely depend from claim 15.

Latin names should have been written in italics.