

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/EP2023/063798

International filing date (day/month/year)
23.05.2023

Priority date (day/month/year)
30.05.2022

International Patent Classification (IPC) or both national classification and IPC
INV. C07D261/12 A01N43/80

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
P.B. 5818 Patentlaan 2
NL-2280 HV Rijswijk - Pays Bas
Tel. +31 70 340 - 2040
Fax: +31 70 340 - 3016

Date of completion of
this opinion

see form
PCT/ISA/210

Authorized Officer

Beyss-Kahana, Ellen

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 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. 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>1-10, 12, 14, 18, 19</u>
	No: Claims	<u>11, 13, 15-17</u>
Inventive step (IS)	Yes: Claims	
	No: Claims	<u>1-19</u>
Industrial applicability (IA)	Yes: Claims	<u>1-19</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

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 DE 24 08 324 A1 (TAKEDA CHEMICAL INDUSTRIES LTD) 29 August 1974 (1974-08-29)
- D2 DENOËL THIBAUT ET AL: "Stereoselective synthesis of lanthionine derivatives in aqueous solution and their incorporation into the peptidoglycan of Escherichia coli", BIOORGANIC & MEDICINAL CHEMISTRY, vol. 22, no. 17, 1 September 2014 (2014-09-01), pages 4621-4628, XP055976942, AMSTERDAM, NL
ISSN: 0968-0896, DOI: 10.1016/j.bmc.2014.07.023
- D3 WO 2015/166094 A1 (SYNGENTA PARTICIPATIONS AG [CH]) 5 November 2015 (2015-11-05)
- D4 WO 2011/067272 A1 (SYNGENTA PARTICIPATIONS AG [CH]; CASSAYRE JEROME YVES [CH] ET AL.) 9 June 2011 (2011-06-09)

1. Novelty

D1 (page 11) discloses the process for the preparation of the compound of formula (III). The subject-matter of claims 11, 13 is not novel.

D2 (page 4622, right-hand column) discloses the compound of formula (Ia). The subject-matter of claim 15 is not novel.

D3 (claims; examples) discloses processes for the preparation of compounds of formulae (VI), (VIII). The subject-matter of claims 16, 17 is not novel.

D4 (claims; examples) discloses similar processes for the preparation of compounds of formulae (VI), (VIII), (XI), (XII).

2. Inventive Step

The present application addresses the subjective problem of providing improved processes for the preparation of 4-substituted 2-oxazolidinones (page 1)

D1 is considered to represent the closest prior art since it provides processes for the preparation of 4-substituted 2-oxazolidinones (page 1).

The process of present claim 1 differs from the processes of D1 in that a different base is used to prepare the compound of formula (II).

The application as filed does not contain any evidence that this distinguishing feature is responsible for a technical advantage with respect to D1.

Consequently the objective problem underlying the present application should be seen as the provision of further processes for the preparation of the compounds of formula (II).

The claimed solution to the above mentioned objective problem is the replacement of sodium or lithium hydroxide by alkoxide salts as base in the process as known from D1.

For a skilled worker, looking for further processes for the preparation of 4-substituted 2-oxazolidinones, the replacement of sodium hydroxide by an alkoxide salt is a routine measure which belongs to the common practice in the field of chemistry. In the absence of any unexpected effect the process according to claim 1 is considered to be an obvious solution to the problem of the present applicant, which therefore lack inventive step. The subject-matter of claims 1-14 is therefore not considered inventive.

Moreover it is stressed that the application as filed does not contain any experimental data showing that the processes of claims 16-19 have actually solved the problem above mentioned. At the present stage, the only objective technical problem which appears to be solved in view of the examples can thus only be seen in the provision of further processes, which represents an arbitrary selection from all possible processes. This does not involve an inventive step since it is obvious for a skilled person using his organic chemist's common general knowledge how to prepare these compounds. It is also stressed that the burden of proof of a fact rests on the person alleging it. Consequently the subject-matter of claims 1-19 does not meet the requirements of Article 33(3) PCT.

The Applicant is invited to submit a new set of claims the subject-matter of which is clearly distinguished from the prior art D1 to D4 and for which the presence of an inventive step within the meaning of Article 33(3) PCT may be substantiated.

It should be made clear which features distinguish the subject-matter of these new claims from each cited documents D1 to D4 and which objective technical problem is solved by these features in an unexpected manner.

In this respect the Applicant is reminded that according to the established case law of the Boards of Appeal, for a comparative test to demonstrate an inventive step based on an improved effect over a claimed area, the nature of the comparison with the closest

state of the art must be such that the effect is convincingly shown to have its origin (solely) in the distinguishing feature of the invention (see T 197/86, O.J. EPO, 1989, 371, point 6.1.3).

Furthermore, in order to fulfil the requirements of Rule 13(1) PCT, the distinguishing feature in the sense of Rule 13(2) PCT responsible for an unexpected effect should be shared by all the claimed processes.

Re Item VIII

Certain observations on the international application

The present claims 16-19 broadly relate to processes for the preparation of compounds of formulae (VI), (VIII), (XI), (XII).

Claims 16-19 are drawn to processes of indeterminate size. The claims do not contain any technical features that a lack of both clarity and conciseness under Article 6 PCT arises. Any attempt to interpret what claims 16-19 cover is not possible because of the vast number of possible processes. There are only hypothetical routes suggested for the synthesis of the compounds of formulae (VI), (VIII), (XI), (XII). Not a single specific embodiment or worked-out example is however given in the description.

No teaching what so ever is given as to how to obtain the compounds of formulae (VI), (VIII), (XI), (XII).

It would therefore appear that the patent application does not disclose the subject-matter claimed in a sufficiently clear and complete manner that the skilled person could reproduce it over the whole breadth of the claims. The requirements of Article 5 PCT are not met by the subject-matter of claims 16-19.

The expression "enriched" used in claim 19 is vague since for this term no unequivocal generally accepted meaning exists in the art, and claims comprising such features with no commonly accepted meaning cannot be considered clear.