

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/EP2024/075982

International filing date (day/month/year)
17.09.2024

Priority date (day/month/year)
19.09.2023

International Patent Classification (IPC) or both national classification and IPC
INV. C07D213/81 C07D471/04 C07D513/04

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

Date of completion of
this opinion

see form
PCT/ISA/210

Authorized Officer

Sarakinos, Georgios

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>1-21</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	<u>1-21</u>
	No: Claims	
Industrial applicability (IA)	Yes: Claims	<u>1-21</u>
	No: Claims	

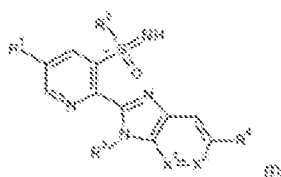
2. Citations and explanations

see separate sheet

Re Item V

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

1. The present application discloses an enantioselective synthesis of sulfoximines of formula (I) comprising a benzimidazole moiety. Such compounds are useful as active ingredients, which have pesticidal activity, in particular insecticidal activity and are used for controlling animal pests, including arthropods and in particular insects.



2. Reference is made to the following documents:

- | | |
|----|---|
| D1 | WO 2022/253841 A1 (SYNGENTA CROP PROTECTION AG [CH]) 8 December 2022 cited in the application |
| D2 | WO 2020/084075 A1 (SYNGENTA CROP PROTECTION AG [CH]) 30 April 2020 cited in the application |
| D3 | WO 2019/229089 A1 (SYNGENTA PARTICIPATIONS AG [CH]) 5 December 2019 cited in the application |
| D4 | WO 2015/071180 A1 (SYNGENTA PARTICIPATIONS AG [CH]) 21 May 2015 cited in the application |

3. Novelty [Art 33(2) PCT]

The intermediates of formula (V) and (VI) of claim 1 are novel and hence claims 1-18 meet the requirements of Novelty [Art 33(2) PCT].

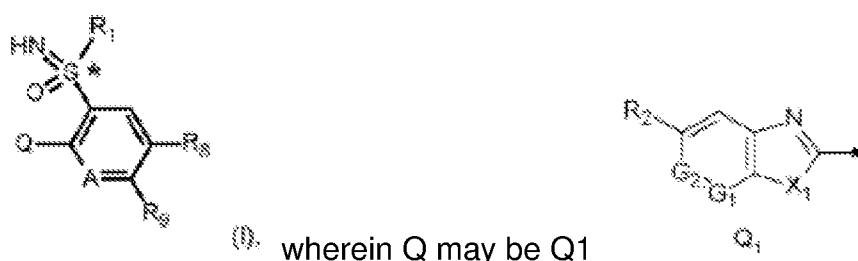
The intermediates of formula (IV) of claim 19 are novel and hence claim 19 meets the requirements of Novelty [Art 33(2) PCT].

The intermediates of formula (VIII) of claim 20 are novel and hence claim 20 meets the requirements of Novelty [Art 33(2) PCT].

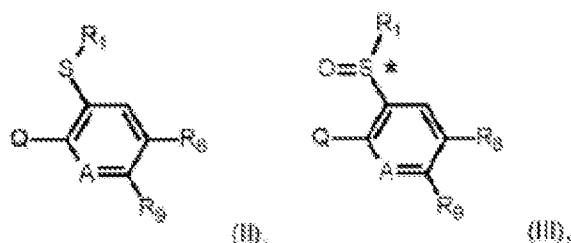
The intermediates of formula (VII) of claim 21 are novel and hence claim 21 meets the requirements of Novelty [Art 33(2) PCT].

Document D1

It discloses a method to synthesize compounds of formula (I) of present claim 1. In document D1, the compounds of formula (I) have the following general formula (I):



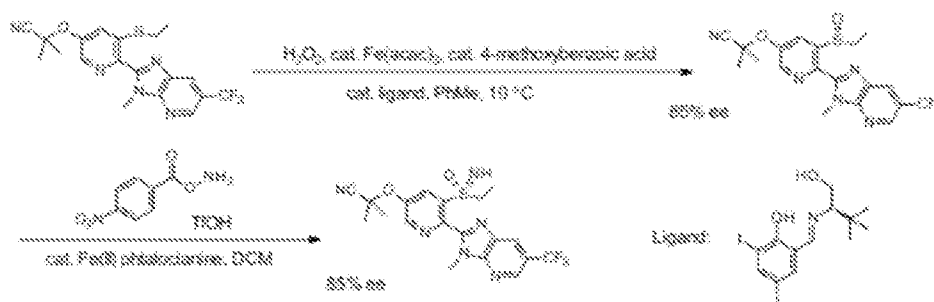
The process involves a stereoselective oxidation of sulfanyl of formula (II) to the sulfinyl of formula (III)



and an imination of sulfinyl of formula (III) leads to the final product of formula (I).

On page 2 of the description of the present application, an example of the above process of document D1 is shown:

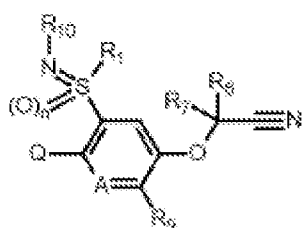
Scheme 1



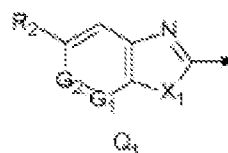
It is evident that the process of document D1 involves different intermediates than the intermediates of formulae (V), (VI), (VII), (IV) and (VIII) of the present application. Therefore, document D1 is not relevant for the Novelty of the present claims [Art 33(2) PCT].

Document D2

It discloses various processes to synthesize compounds of formula (I) of present claim 1, but not in optically enriched form.



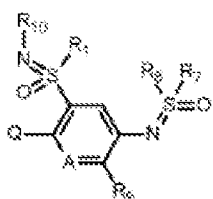
(I). wherein Q may be Q1



As in document D1, oxidation and imination are the second to the last and the last step respectively, therefore, none of the intermediates of formulae (V), (VI), (VII), (IV) and (VIII) of the present application are involved in the processes taught in document D2. Therefore, document D2 is not relevant for the Novelty of the present claims [Art 33(2) PCT].

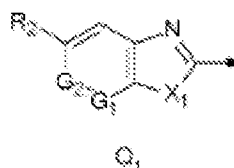
Document D3

It discloses various processes to synthesize compounds of formula (I) of present claim 1, but not in optically enriched form.



(I).

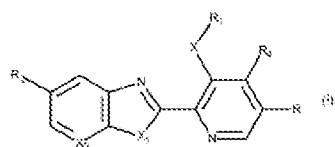
wherein Q may be Q1



As in documents D1 and D2, oxidation and imination are the second to the last and the last step respectively, therefore, none of the intermediates of formulae (V), (VI), (VII), (IV) and (VIII) of the present application are taught in document D3. Therefore, document D3 is not relevant for the Novelty of the present claims [Art 33(2)PCT].

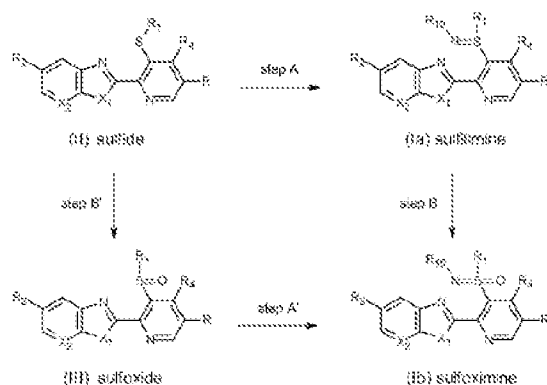
Document D4

It discloses various processes to synthesize compounds of formula (I) of present claim 1, but not in optically enriched form.



The processes taught in document D4 are similar to the processes of documents D1-D3.

Scheme 1



As in documents D1-D3, oxidation and imination are the second to the last and the last step respectively, therefore, none of the intermediates of formulae (V), (VI), (VII), (IV) and (VIII) of the present application are taught in document D4. Therefore, document D3 is not relevant for the Novelty of the present claims [Art 33(2) PCT].

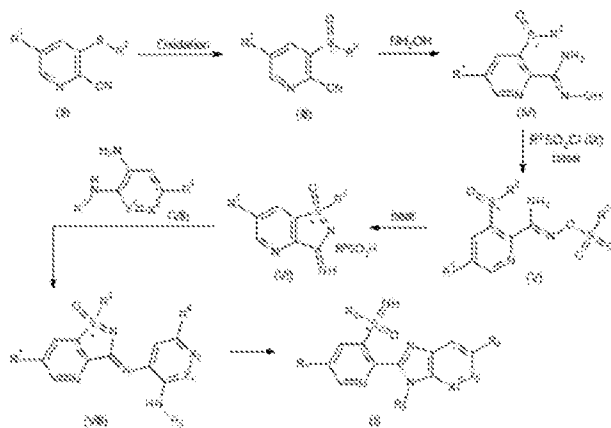
In view of the above, all claims 1-21 meet the requirements of Novelty [Art 33(2) PCT].

4. Inventive step [Art 33(3) PCT]

Document D1 is seen as the closest prior art because it discloses a process to synthesize the pesticides of formula (I) of the present application using enantioselective synthesis employing a chiral organometallic catalyst (chiral ligand + metal). Starting from document D1, the objective technical problem to be solved by the present

application is seen as the provision of a further, possibly improved process to arrive at the pesticides of formula (I). The applicant provides the process of claim 1 as a solution to the objective technical problem.

The process of the present application is summarized on page 3 of the description of the application:

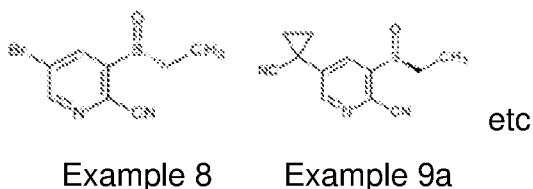


Scheme 2

On page 2 of the description, the applicant states what in their view are some disadvantages of the process of document D1:

[...] *First oxidation conditions have to be adjusted for every substrate and even then enantiomeric excess obtained is not always sufficient. Even more importantly the reagent used for imination must be synthesized separately and is difficult to use on a large scale due to safety concerns.* [...]

In the process of the present application, the applicant shows in the examples that carrying out the oxidation at an early stage, it results in intermediates which can be further elaborated to produce various compounds of formula (I), for example, the following optically active intermediates are produced in high ee and high yield:



There are many examples in the description of the application that show that the process of claim 1 provides a working solution to the objective technical problem. In fact, even in the absence of an improvement, the process of claim 1 is non-obvious because there is no suggestion to said process in the prior art. The intermediates of formulae (V) and (VI) are not suggested anywhere and neither are the intermediates of formulae (IV) (claims 3 and 19) or formula (VIII) (claims 2 and 20).

Therefore, inventive step can be acknowledged for the process of claims 1-18, the process of claim 19 and the intermediate of formula (VIII) of claim 20 and the intermediate of formula (VI) of claim 21 [Art 33(3) PCT].