

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

To:

see form PCT/ISA/220

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/EP2019/067831

International filing date (day/month/year)
03.07.2019

Priority date (day/month/year)
05.07.2018

International Patent Classification (IPC) or both national classification and IPC
INV. C07D333/38 A01N43/10 A01N43/28 C07D413/04 A01P1/00

Applicant
BAYER AKTIENGESELLSCHAFT

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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Date of completion of
this opinion

see form
PCT/ISA/210

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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>1-13, 15</u>
	No: Claims	<u>14</u>
Inventive step (IS)	Yes: Claims	<u>1-13, 15</u>
	No: Claims	<u>14</u>
Industrial applicability (IA)	Yes: Claims	<u>1-15</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. Reference is made to the following documents:

- | | |
|----|--|
| D1 | EP 0 450 355, 9 October 1991 |
| D2 | WO 2004/024692, 25 March 2004 |
| D3 | WO 2004/06236, 29 July 2004 cited in the application |
| D4 | WO 2012/114285, 30 August 2012 |

2. Novelty

The present compounds of formula (III) differ from the compounds disclosed in D1 and D2 on account of the present oxazole.

There is overlap between present formula (III) and formula (I) of D3. However as D3 does not disclose any preferred subgroups or any specific compounds which have the same substitution pattern of the present compounds, the present formula (III) can be considered to be a novel selection from D3.

None of the documents cited discloses the intermediates of claims 11-13 and 15.

D4 discloses one gernal formula overlapping with the present formula (XXVIb). One compound of D4 (compound 34b which has been disclaimed in present claim 14) falls within the overlapping area which is therefore considered to be novelty destroying.

Hence claim 14 is not novel.

3. Inventive step

The following pertains only to the novel subject-matter:

The present application relates to oxazole derivatives of formula (II) with antibacterial activity.

D3 can be considered to represent the closest prior art as it discloses oxazole derivatives with the same activity.

Present compounds are a selection from formula (I) of D3.

This selection results, as stated by the applicant on page 1, l. 38-39 of the description, in compounds having an improved efficacy against Xanthomonas bacteria.

Therefore the problem to be solved is seen in the provision of oxazole derivatives with improved efficacy against Xanthomonas bacteria..

The application contains some comparative data wherein the activity of representative compounds of the present application has been compared to the activity of compound CMP5 of D3. The present compounds (differing only in that R³ is a methyl group or a halogen instead of hydrogen as in D3) have a much better efficacy. Therefore it is considered that the problem stated above has been solved. It is also considered that the solution proposed by the applicant involves an inventive step as there was no hint in any of the documents cited that the selection of the present compounds would result in such improved properties.

The novel intermediates of claims 11-15 are also inventive. New intermediates which take part in analogy processes for producing new and inventive products, must, in order to qualify as inventive intermediates, provide a structural contribution to the subsequent products. The structural contribution provided by the intermediate must display at least one of those feature which differentiate the product from the closest prior art. In the present case the structural contribution over the prior art is the present R³ group. As the compounds of formula (I) are considered inventive, an inventive step can therefore be acknowledged for the corresponding intermediates of claims 11-15 which contain this feature or are clear precursors thereof.