

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/IB2015/056325

International filing date (day/month/year)
20.08.2015

Priority date (day/month/year)
22.08.2014

International Patent Classification (IPC) or both national classification and IPC
INV. A61K31/4375 A61K31/4985 A61K31/538 A61K31/5383 A61K31/5415 A61K31/542 A61K31/554 A61P31/04

Applicant
GLAXOSMITHKLINE INTELLECTUAL PROPERTY...

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

Authorized Officer

Hoff, Philippe

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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>1-18</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	<u>1-18</u>
Industrial applicability (IA)	Yes: Claims	<u>1-18</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 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 2008/128942 A1 (GLAXO GROUP LTD [GB]; DAVIES DAVID EVAN [GB]; DAVIES DAVID THOMAS [GB]) 30 October 2008 (2008-10-30)
- D2 J. E. ROSS ET AL: "Determination of Disk Diffusion and MIC Quality Control Guidelines for GSK2140944, a Novel Bacterial Type II Topoisomerase Inhibitor Antimicrobial Agent", JOURNAL OF CLINICAL MICROBIOLOGY, vol. 52, no. 7, 1 July 2014 (2014-07-01), pages 2629-2632, XP055223778, US
ISSN: 0095-1137, DOI: 10.1128/JCM.00656-14
- D3 WO 2010/043714 A1 (GLAXO GROUP LTD [GB]; GIORDANO ILARIA [GB]; HENNESSY ALAN JOSEPH [GB]) 22 April 2010 (2010-04-22)

The patentability can be dependent upon the formulation of the claims. The EPO, for example, does not recognise as patentable claims to the use of a compound in medical treatment, but may allow claims to a product, in particular substances or compositions for use in a first or further medical treatment.

1. The subject-matter of claims 1-18 is new and meets therefore the requirements of Article 33(2) PCT. None of the available prior art documents discloses the use of a compound of formula (I) for treating *Neisseria gonorrhoea* infection.

2. However, the present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-18 does not involve an inventive step in the sense of Article 33(3) PCT.

In view of the available prior art, the problem to be solved can be regarded as the provision of a new medicament for treating *Neisseria gonorrhoea* infection. The solution proposed by the present application, namely the use of a compound of formula (I) cannot be considered as inventive for the following reasons.

The compounds of formula (I) are well known antibiotic agents which display potent broad-spectrum antibacterial activity against Gram-positive and Gram-negative organisms (see D1: claims; examples and more particular example 39; page 125 - page 126). *Neisseria gonorrhoea* is a Gram-negative bacteria. Consequently, it was obvious for a skilled person to try the compounds of formula (I) against *Neisseria gonorrhoea* with a reasonable expectation of success.

Furthermore, structurally closely related compounds have been already used in the treatment of *Neisseria gonorrhoea* infection (see D3: page 31, line 33 - page 32, line 13; claims; examples). As already mentioned, the compounds of formula (I) are well known antibiotic agents which display potent broad-spectrum antibacterial activity (D1, D2). A skilled person would thus have expected the antibiotics of formula (I) to exhibit identical or at least similar beneficial properties to those exhibited by the compounds disclosed in D3 when used in the treatment of *Neisseria gonorrhoea* infection.

Re Item VI

The examination has been carried out assuming that the priority of the application is valid. However, attention is drawn to the fact that the document which has been cited in the search report as "P" document may become relevant in the national/regional examination phase.

Re Item VIII

Certain observations on the international application

The subject-matter of claims 11-12 of the present application does not satisfy the requirements of Article 5 PCT.

In a second medical use claim, the claimed therapeutic effect is a functional technical feature of the claim. Consequently, a claim lacks sufficiency of disclosure if the application does not provide evidence that the compounds are indeed suitable for the claimed use. In the present case, the compounds of formulae IIIA, IIIb and 8 of claims 11-12 are intermediates and it is very doubtful that said compounds possess antibacterial activity against *Neisseria gonorrhoea* infection.

It is therefore considered that the subject-matter of claims 11-12 of the present application is insufficiently disclosed according to Article 5 PCT.