

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/IB2019/054867

International filing date (day/month/year)
11.06.2019

Priority date (day/month/year)
13.06.2018

International Patent Classification (IPC) or both national classification and IPC
INV. A61P3/10 C07D413/14 C07D405/12 A61K31/496 C07D405/14

Applicant
PFIZER INC.

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

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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>1-43</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	<u>1-43</u>
	No: Claims	
Industrial applicability (IA)	Yes: Claims	<u>1-43</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

1 Reference is made to the following documents:

D1 WO 2010/114824 A1 (TRANSTECH PHARMA INC [US]; MJALLI ADNAN M M [US] ET AL.) 7 October 2010 (2010-10-07)

D2 CA 3 038 479 A1 (CHUGAI PHARMACEUTICAL CO LTD [JP]) 29 March 2018 (2018-03-29)

2 Novelty

The present compounds of formula (I) differ from D1-D2 as they have a different core structure. Novelty is acknowledged (Art. 33(2) PCT).

3 Inventive step

The present application is directed to compounds comprising a benzimidazole and a benzodioxole ring which act as GLP-1 receptor agonists.

D2 which discloses pyrazolopyridine compounds for the same use can be considered as the closest prior art. The present compounds differ from D2 at least on account of the benzimidazole and a benzodioxole ring which are linked together by a 6-membered non-aromatic ring. As this difference does not seem to result in any additional effect, the objective technical problem to be solved can be seen in the provision of further heterocyclic derivatives as GLP-1 receptor agonists.

The problem has been solved by the compounds of formula (I) which have the desired activity as shown in table 3. D2 does not contain any hint to modify the compounds of said disclosure in order to arrive at the claimed derivatives with the expectation that the desired biological activity would be maintained. Hence an inventive step may be acknowledged for the claimed subject-matter (Art. 33(3) PCT).

4 Claims 41 and 43 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 39.1(iv)/ 67.1(iv) PCT. 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.

Re Item VIII

Certain observations on the international application

Claims 9-11, 15-17 and 20-22 should refer to the general formula (I) "as in claim 1" as they comprise all the features of claim 1 and are therefore not appropriately formulated as a claim dependent on the latter (Rule 6.4 PCT).

Although claims 23 and 33 have been drafted as separate independent claims, they appear to relate effectively to the same subject-matter as claim 1 and to differ from claim 1 only with regard to the means by which the crystal form 1 is defined. The aforementioned claims therefore do not meet the requirements of Article 6 PCT. Independent claims 23 and 33 should be made dependent on claim 1.