

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 10275-0161-00304	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/US2020/046116	International filing date (<i>day/month/year</i>) 13 August 2020 (13-08-2020)	(Earliest) Priority Date (<i>day/month/year</i>) 14 August 2019 (14-08-2019)
Applicant VERTEX PHARMACEUTICALS INCORPORATED		

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 5 sheets.

☒

It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the report**

a. With regard to the **language**, the international search was carried out 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))

b. ☐

This international search report has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 43.6**bis**(a)).

c. ☐

With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐

Certain claims were found unsearchable (See Box No. II)

3. ☐

Unity of invention is lacking (see Box No III)

4. With regard to the **title**,

☒

the text is approved as submitted by the applicant

☐

the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

☒

the text is approved as submitted by the applicant

☐

the text has been established, according to Rule 38.2, by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority

6. With regard to the **drawings**,

a. the figure of the **drawings** to be published with the abstract is Figure No. _____

☐

as suggested by the applicant

☐

as selected by this Authority, because the applicant failed to suggest a figure

☐

as selected by this Authority, because this figure better characterizes the invention

b. ☐

none of the figures is to be published with the abstract

INTERNATIONAL SEARCH REPORT

International application No

PCT/US2020/046116

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D207/08 C07D207/09 C07D213/61 C07D213/62 C07D213/70
 C07D213/74 C07D231/20 C07D401/04 C07D401/14 C07D513/22

ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	NEWKOME G.R. ET AL: "Nicotinic Acid Crown Ethers. Synthesis, Reactions, and Complexation of Nicotinonitrile macrocycles", JOURNAL OF ORGANIC CHEMISTRY, vol. 44, no. 15, 1 January 1979 (1979-01-01), pages 2639-2697, XP55732460, compound 6 ----- -/-	37



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

29 September 2020

Date of mailing of the international search report

16/10/2020

Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No
PCT/US2020/046116

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	REWCASTLE G W ET AL: "TYROSINE KINASE INHIBITORS. 10. ISOMERIC 4-Ū(3-BROMOPHENYL)AMINO3?4 PYRIDOŪD3?4-PYRIMIDINES ARE POTENT ATP BINDING SITE INHIBITORS OF THETYROSINE KINASE FUNCTION OF THE EPIDERMAL GROWTH FACTOR RECEPTOR", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 39, no. 9, 26 April 1996 (1996-04-26), pages 1823-1835, XP000671261, ISSN: 0022-2623, DOI: 10.1021/JM9508651 compound 31 -----	37
X	ARTEM YU. CHEREPAKHA ET AL: "Hetaryl Bromides Bearing the SO 2 F Group - Versatile Substrates for Palladium-Catalyzed C-C Coupling Reactions", EUROPEAN JOURNAL OF ORGANIC CHEMISTRY, vol. 2018, no. 47, 19 December 2018 (2018-12-19), pages 6682-6692, XP0055733124, DE ISSN: 1434-193X, DOI: 10.1002/ejoc.201801270 compound 12 -----	37
X	NISHIDA HARUYUKI ET AL: "Exploration of pyrrole derivatives to find an effective potassium-competitive acid blocker with moderately long-lasting suppression of gastric acid secretion", BIOORGANIC & MEDICINAL CHEMISTRY, ELSEVIER, NL, vol. 25, no. 13, 27 April 2017 (2017-04-27), pages 3447-3460, XP085037327, ISSN: 0968-0896, DOI: 10.1016/J.BMC.2017.04.034 compound 5b ----- -/--	37

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2020/046116

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	PRASHANTHA GUNAGA ET AL: "Selective I Kur Inhibitors for the Potential Treatment of Atrial Fibrillation: Optimization of the Phenyl Quinazoline Series Leading to Clinical Candidate 5-[5-Phenyl-4-(pyridin-2-ylmethylamino)quinazolin-2-yl]pyridine-3-sulfonamide", JOURNAL OF MEDICINAL CHEMISTRY, vol. 60, no. 9, 28 April 2017 (2017-04-28), pages 3795-3803, XP055733118, US ISSN: 0022-2623, DOI: 10.1021/acs.jmedchem.6b01889 Supplemental information page S40 synthesis compound 28 product second step -----	37
X,P	WO 2019/195739 A1 (ABELA ALEXANDER RUSSELL [US]; CLEMENS JEREMY J [US] ET AL.) 10 October 2019 (2019-10-10) page 148 the product of step 1 -----	37
X,P	WO 2019/200246 A1 (ABELA ALEXANDER RUSSELL [US]; CLEMENS JEREMY J [US] ET AL.) 17 October 2019 (2019-10-17) page 124 the product of step 1 -----	37
A	US 2018/162839 A1 (ABELA ALEXANDER RUSSELL [US] ET AL) 14 June 2018 (2018-06-14) abstract -----	1
A,P	WO 2019/161078 A1 (VERTEX PHARMA [US]) 22 August 2019 (2019-08-22) example 53 -----	1-37
A,P	WO 2020/102346 A1 (VERTEX PHARMA [US]) 22 May 2020 (2020-05-22) example 1 -----	1-37

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2020/046116

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2019195739	A1	10-10-2019	NONE
WO 2019200246	A1	17-10-2019	NONE
US 2018162839	A1	14-06-2018	AR 110346 A1 20-03-2019 AU 2017371200 A1 11-07-2019 BR 112019011626 A2 22-10-2019 CA 3046086 A1 14-06-2018 CL 2019001553 A1 03-04-2020 CN 110267948 A 20-09-2019 CO 2019007129 A2 31-07-2019 EA 201991403 A1 30-12-2019 EC SP19048759 A 31-07-2019 EP 3551622 A1 16-10-2019 JP 2020500906 A 16-01-2020 KR 20190101993 A 02-09-2019 PE 20191304 A1 23-09-2019 SG 10201913606V A 27-02-2020 TW 201835065 A 01-10-2018 US 2018162839 A1 14-06-2018 UY 37513 A 31-07-2018 WO 2018107100 A1 14-06-2018
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