

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference PF0147-WO-PCT	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/EP2019/066414	International filing date (<i>day/month/year</i>) 20 June 2019 (20-06-2019)	(Earliest) Priority Date (<i>day/month/year</i>) 21 June 2018 (21-06-2018)
Applicant UCB BIOPHARMA SPRL		

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 7 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

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A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D413/14 C07D333/66 C07D333/68 C07D333/78 C07D409/04
 C07D409/06 C07D409/12 C07D409/14 C07D413/04 C07D413/12
 C07D417/12 C07D487/04 C07D495/04 C07D495/10 C07D498/10

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 A61P A61K

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, WPI Data, BIOSIS, CHEM ABS Data, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2005/033102 A2 (AMPHORA DISCOVERY CORP [US]; HODGE CARL NICHOLAS [US]; JANZEN WILLIAM) 14 April 2005 (2005-04-14)	1-7, 9-20,23, 40,42, 43,45
A	abstract; claims 52,120; figure all	8,21,22, 24-39, 41,44
X	WO 01/98290 A2 (PHARMACIA & UPJOHN SPA [IT]; FANCELLI DANIELE [IT]; PEVARELLO PAOLO [I]) 27 December 2001 (2001-12-27)	1-3,7, 9-16,18, 20,23, 40,44,45
A	page 42; compounds 6,14	17,19, 21,22, 24-39, 41-43
	----- -/-	



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

21 August 2019

Date of mailing of the international search report

02/09/2019

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INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2019/066414

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	A P Mkrtchyan ET AL: "-SUBSTITUTED TETRA-HYDROBENZO[b]THIENO[2,3-d]PYRIMIDIN-4-ONES AND THEIR ANTICONVULSANT ACTIVITY", Helv. Chim. Acta. J. Am. Chem. Soc. J. Am. Chem. Soc., 1 January 1963 (1963-01-01), pages 2658-2666, XP055498334, Retrieved from the Internet: URL:https://link.springer.com/content/pdf/10.1007/BF00763695.pdf [retrieved on 2018-08-09]	1-3,7, 9-11,15, 16
A	page 763; compounds III-XVIII	4-6,8, 12-14, 17-45
X	----- WO 2005/044008 A2 (SYNGENTA PARTICIPATIONS AG [CH]; SYNGENTA LTD [GB]; SELLES PATRICE [CH] 19 May 2005 (2005-05-19)	1-3,7,9, 10,13-16
A	abstract in particular page 110, compound 252, cpage 111, compound 194, page 114, compound 43, page 129, examples 19 and 20, page 130 example 21	4-6,8, 11,12, 17-45
X	----- SHIKHAR GUPTA ET AL: "Discovery of dual binding site acetylcholinesterase inhibitors identified by pharmacophore modeling and sequential virtual screening techniques", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, AMSTERDAM, NL, vol. 21, no. 4, 28 December 2010 (2010-12-28), pages 1105-1112, XP028138651, ISSN: 0960-894X, DOI: 10.1016/J.BMCL.2010.12.131 [retrieved on 2011-01-01]	1-3,9, 10,13-16
A	abstract; table 1; compound Specs2	4-8,11, 12,17-45
X	----- HUNG THE DANG ET AL: "Syntheses and biological evaluation of 2-amino-3-acyl-tetrahydrobenzothiophene derivatives; antibacterial agents with antivirulence activity", ORGANIC & BIOMOLECULAR CHEMISTRY, vol. 12, no. 12, 1 January 2014 (2014-01-01), pages 1942-1956, XP055498237, ISSN: 1477-0520, DOI: 10.1039/C30B42478B	1-3,6,7, 9-11, 13-16, 18,20,23
A	abstract; figures 1-5; compounds 2,3,8,12,14-18	4,5,8, 12,17, 19,21, 22,24-45
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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2009/079373 A2 (UNIV CALIFORNIA [US]; VERKMAN ALAN S [US]; DE LA FUENTE GONZALEZ RICAR) 25 June 2009 (2009-06-25)	1-3,9, 10, 13-16, 23,40, 43,45
A	the whole document in particular Table 1	4-8,11, 12, 17-22, 24-39, 41,42,44
X	----- US 2015/045327 A1 (VAN DER PLAS STEVEN EMIEL [BE] ET AL) 12 February 2015 (2015-02-12)	1,2,7, 9-11,15, 18,40
A	the whole document in particular Table II, compounds 4, 6, 9, 10, 38, 39, 40, 43, 44, 46-48, 51-53, 56-60, 62, 66-70, 74, 76-79, 81-87, 89-91, 96-99, 106-110, 124, 147, 152, 153, 155-156, 159-167	3-6,8, 12-14, 16,17, 19-39, 41-45
X	----- WO 2005/023818 A2 (AXXIMA PHARMACEUTICALS AG [DE]; KOUL ANIL [BE]; KLEBL BERT [DE]; MUELL) 17 March 2005 (2005-03-17)	1-3,7, 9-11, 13-16, 18,20, 23,40-45
A	the whole document in particular compounds D37, D95, D217, B156, on pages 129 and 120 and in figure 5 and claims 7, 8 and 25	4-6,8, 12,17, 19,21, 22,24-39
X	----- JP 2001 151780 A (NIKKEN CHEMICALS CO LTD) 5 June 2001 (2001-06-05)	1,2,7, 9-11, 13-16, 18,40, 42,43,45
A	the whole document	3-6,8, 12,17, 19-39, 41,44
X	----- US 2009/018114 A1 (CARROLL WILLIAM A [US] ET AL) 15 January 2009 (2009-01-15)	1-3,6,7, 9-16,18, 23,40, 42,43,45
A	paragraph [0188]; claims 23,24 Compounds with the Registry Number 1101854-22-1, 1101854-23-2, 1101854-70-9, 1101854-68-5, 1101854-64-1	4,5,8, 17, 19-22, 24-39, 41,44
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International application No

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	STEVEN E. VAN DER PLAS ET AL: "Discovery of N-(3-Carbamoyl-5,5,7,7-tetramethyl-5,7-dihydro-4 H -thieno[2,3- c]pyran-2-yl)-1 H -pyrazole-5-carboxamide (GLPG1837), a Novel Potentiator Which Can Open Class III Mutant Cystic Fibrosis Transmembrane Conductance Regulator (CFTR) Channels to a High Extent", JOURNAL OF MEDICINAL CHEMISTRY, vol. 61, no. 4, 17 November 2017 (2017-11-17), pages 1425-1435, XP055498730, ISSN: 0022-2623, DOI: 10.1021/acs.jmedchem.7b01288	1,2,7,15
A	abstract; compounds 15-23	3-6, 8-14, 16-45
A	----- WO 01/47874 A1 (SMITHKLINE BEECHAM PLC [GB]; BRUTON GORDON [GB]; FALLER ANDREW [GB]; 0) 5 July 2001 (2001-07-05) the whole document	1-45
A	----- EP 0 317 295 A2 (KISSEI PHARMACEUTICAL [JP]) 24 May 1989 (1989-05-24) the whole document	1-45
X	----- WO 01/17516 A2 (NOVO NORDISK AS [DK]; ONTOGEN CORP [US]) 15 March 2001 (2001-03-15) the whole document in particular pages 9-10 and examples 1-123	1-45
X	----- ANDERSEN H S ET AL: "Discovery and SAR of a Novel Selective and Orally Bioavailable Nonpeptide Classical Competitive Inhibitor Class of Protein-Tyrosine Phosphatase 1B", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 45, 1 January 2002 (2002-01-01), pages 4443-4459, XP002318790, ISSN: 0022-2623, DOI: 10.1021/JM0209026	1-3,6,9, 10, 12-15, 23,40-45
A	the whole document in particular Table 3, Scheme 2, 3 and figure 5 -----	4,5,7,8, 11, 16-22, 24-39

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

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