

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference BHC143015WO1	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/EP2015/079587	International filing date (<i>day/month/year</i>) 14 December 2015 (14-12-2015)	(Earliest) Priority Date (<i>day/month/year</i>) 19 December 2014 (19-12-2014)
Applicant BAYER PHARMA AKTIENGESELLSCHAFT		

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 6 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:

PYRAZOLOPYRIDINAMINES AS MKNK1 AND MKNK2 INHIBITORS

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

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Box No. I Nucleotide and/or amino acid sequence(s) (Continuation of item 1.c of the first sheet)

1. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out 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 13~~ter~~.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 13~~ter~~.1(a)).
- ☐ on paper or in the form of an image file (Rule 13~~ter~~.1(b) and Administrative Instructions, Section 713).
2. ☐ 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.
3. Additional comments:

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A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D495/04 A61K31/519
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, BEILSTEIN 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	SHESTOPALOV, ANATOLIY M. ET AL: "Microwave-assisted synthesis of substituted fluoroazines using KF.2H2O", TETRAHEDRON LETTERS, vol. 50, no. 37, 16 September 2009 (2009-09-16), pages 5727-5259, XP002741589, ISSN: 0040-4039, DOI: 10.1016/J.TETLET.2009.07.015 see compounds 1f and 3f in table 1 ----- -/--	25



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

4 February 2016

Date of mailing of the international search report

12/02/2016

Name and mailing address of the ISA/

European Patent Office, P.B. 5818 Patentlaan 2
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Traegler-Goeldel, M

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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	AZAB, MOHAMMAD EMAD: "Utility of the enamionitrile moiety in the synthesis of some biologically active thienopyrimidine derivatives", PHOSPHORUS, SULFUR AND SILICON AND THE RELATED ELEMENTS, vol. 183, no. 7, 24 June 2008 (2008-06-24) , pages 1766-1782, XP002741590, ISSN: 1042-6507, DOI: 10.1080/10426500701734802 see compound 7 in scheme 2 on p. 1768 -----	25
X	MIYASHITA, AKIRA ET AL: "Aroylation of fused pyrimidines; synthesis of 4-aroylefuro[2,3-d]-, 4-aroylethieno[2,3-d]-, and 4-aroyleisoxazolo[5,4-d]pyrimidines", HETEROCYCLES, vol. 45, no. 11, 1997, pages 2159-2173, XP001525986, DOI: 10.3987/COM-97-7914 see compound 6 in scheme 4 -----	25
X	PATIL, C. D. ET AL: "Synthesis of 7-methyl-4-substituted-5,6,7,8-tetrahydrobenzo[b]thieno[2,3-d]pyrimidines as antimicrobial agents", JOURNAL OF THE INDIAN CHEMICAL SOCIETY, vol. 68, no. 3, 1991, pages 169-171, XP008077314, ISSN: 0019-4522 see compound (3) in the first scheme -----	25
X	MERTENS, M. D. ET AL.: "Regioselective Sulfonylation and N- to 10-Sulfonyl Migration of Quinazolin-4(3H)-ones and Analogous Thienopyrimidin-4(3H)-ones", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 78, no. 18, 24 August 2013 (2013-08-24), pages 8966-8979, XP002741592, DOI: 10.1021/jo4010876 see entry 8 in table 1 yielding compound 14c -----	25
X	WO 2005/010008 A1 (BAYER PHARMACEUTICALS CORPORATION, USA) 3 February 2005 (2005-02-03) see e.g. step 3 of example 14, step 6 of example 63 and step 3 of example 242 ----- -/--	25

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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 2006/023843 A2 (BAYER PHARMACEUTICALS CORPORATION, USA) 2 March 2006 (2006-03-02) see compound (5) in reaction scheme 1 on p. 20 -----	25
X	WO 2012/097013 A1 (NIMBUS IRIS, INC., USA) 19 July 2012 (2012-07-19) see compound C on page 130 -----	25
X	WO 2013/174735 A1 (BAYER PHARMA AKTIENGESELLSCHAFT, GERMANY) 28 November 2013 (2013-11-28) -----	25
A	see compounds of claim 1 as inhibitors of MNKN and e.g. starting materials of examples 1, 16, 17, 30, and examples 31 and 85 to 93 -----	1-24,26
X	WO 2013/174744 A1 (BAYER PHARMA AG, GERMANY) 28 November 2013 (2013-11-28) -----	25
A	see compounds of claim 1 as MNKN inhibitors and e.g. compound 2a, starting materials of examples 60, 63, 80-169, 184-205, 238, 254 and 280 -----	1-24,26
X	WO 2014/118229 A1 (BAYER PHARMA AG [DE]) 7 August 2014 (2014-08-07) -----	25
A	see e.g. starting materials for examples 1a, 2, 3, 17a, 24, 25-32, 35-37, 49b, 50b, 50c, 50d, 50g, 51b and c -----	1-24,26

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Information on patent family members

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2005010008 A1	03-02-2005	CA 2530281 A1 DE 602004003952 T2 EP 1651652 A1 ES 2280040 T3 JP 4712702 B2 JP 2006528634 A US 2006293322 A1 WO 2005010008 A1	03-02-2005 08-11-2007 03-05-2006 01-09-2007 29-06-2011 21-12-2006 28-12-2006 03-02-2005
WO 2006023843 A2	02-03-2006	CA 2577664 A1 EP 1799653 A2 JP 5070053 B2 JP 2008510725 A US 2011172224 A1 WO 2006023843 A2	02-03-2006 27-06-2007 07-11-2012 10-04-2008 14-07-2011 02-03-2006
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WO 2013174735 A1	28-11-2013	CA 2873967 A1 CN 104470931 A EP 2852595 A1 HK 1206724 A1 JP 2015520761 A US 2015152121 A1 WO 2013174735 A1	28-11-2013 25-03-2015 01-04-2015 15-01-2016 23-07-2015 04-06-2015 28-11-2013
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WO 2014118229 A1	07-08-2014	CA 2899665 A1 CN 105189518 A EP 2951187 A1 WO 2014118229 A1	07-08-2014 23-12-2015 09-12-2015 07-08-2014