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- (71) Applicant (for all designated States except US): NO-VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): FRITZE, Andreas [DE/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). CORCELLE, Karine [FR/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). GRUBESA, Melinda Enikö [RO/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH).
- (74) Agent: LEON, Susanna Iris; Novartis Pharma Ag, Patent Department, CH-4002 Basel (CH).
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(54) Title: TOPICAL PHARMACEUTICAL COMPOSITION INCLUDING REL-N- [6 - [(2R, 6S) - 2, 6 - DIMETHYL - 4 -MORPHOLINYL] - 3 - PYRIDINYL] - 2 -METHYL -41 (TRIFLUOROMETHOXY) - [1,1' -BIPHENYL] - 3 - CARBOXAMIDE

(57) Abstract: The present invention relates to topical pharmaceutical compositions of 2 -Methyl - 41 - trifluoromethoxy-biphenyl - 3 - carboxylic acid [6 - (cis - 2, 6 - dimethyl -morpholin - 4 -yl) -pyridin - 3 -yl] - amide, to the use of such compositions in therapeutic applications and to methods for manufacturing such compositions.

Relevant to claim No.

A. CLASSIFICATION OF SUBJECT MATTER INV. A61K9/00 A61K3

A61K31/5377

ADD. A61K47/10 A61K47/14

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

B. FIELDS SEARCHED

Category'

Minimum documentation searched (classification system followed by classification symbols) 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 practical, search terms used)

Citation of document, with indication, where appropriate, of the relevant passages

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

X	WO 2008/154259 A1 (IRM LLC; DIER CHRISTINE [DE]; WARMUTH MARKUS [US]) 18 December 2008 (2008-12-page 1, paragraph 15-17 page 4, paragraph 15-17 page 17, last line, paragraph 22 page 18, paragraph 28 page 28, paragraphs 47, 48 pages 29, 30, paragraph 54 page 31, paragraph 56 page 51; compound 95 claims 5-10, 14, 15	[US]; WU XU -18)	1-14			
X Further documents are listed in the continuation of Box C. X See patent family annex.						
"A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document 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		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.				
Date of the	actual completion of the international search	Date of mailing of the international sear	ch report			

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López García, Mónica

Authorized officer

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Name and mailing address of the ISA/

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NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040

Fax: (+31-70) 340-3016

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	tion). DOCUMENTS CONSIDERED TO BE RELEVANT	
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	W0 2007/131201 A2 (IRM LLC [US]; GAO WENQI [US]; JIANG JIQING [US]; WAN YONGQIN [US]; CHE) 15 November 2007 (2007-11-15) page 13, last line, paragraph 23 page 38; compound 95 paragraphs [0028], [0035], [0037], [0038] claims 5, 6, 10	1,10-14

information on patent family members

		101/212010/0001/0	
Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2008154259 A1	18-12-2008	AU 2008262056 A1 CA 2688472 A1 CN 101820950 A EA 200901610 A1 EP 2162190 A1 JP 2010529963 A KR 20100028630 A US 2010197659 A1 WO 2008154259 A1	18-12-2008 18-12-2008 01-09-2010 30-06-2010 17-03-2010 02-09-2010 12-03-2010 05-08-2010 18-12-2008
WO 2007131201 A2	15-11-2007	AR 060858 A1 AU 2007247860 A1 BR PI0711333 A2 CA 2650248 A1 CL 12692007 A1 CN 101437800 A CR 10399 A EA 200870504 A1 EC SP088860 A EP 2021328 A2 EP 2363393 A1 JP 2009536220 A KR 20080108343 A MA 30426 B1 NZ 572362 A PE 04182008 A1 RU 2008147663 A SG 172680 A1 SM AP200800056 A SV 2008003089 A TW 200811132 A US 2009203666 A1 WO 2007131201 A2 ZA 200808962 A	16-07-2008 15-11-2007 30-08-2011 15-11-2007 14-03-2008 20-05-2009 03-12-2008 28-04-2009 30-12-2008 11-02-2009 07-09-2011 08-10-2009 12-12-2008 04-05-2009 29-10-2010 09-06-2010 28-07-2011 29-10-2008 12-01-2010 01-03-2008 13-08-2009 15-11-2007 25-11-2009