

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 2012800-0069	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/US2021/012333	International filing date (<i>day/month/year</i>) 6 January 2021 (06-01-2021)	(Earliest) Priority Date (<i>day/month/year</i>) 7 January 2020 (07-01-2020)
Applicant DISARM THERAPEUTICS, INC.		

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 11 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

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Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.: 21, 22, 24-26(completely); 1-20, 23, 27-32(partially)
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.

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A. CLASSIFICATION OF SUBJECT MATTER INV. C07D401/04 C07D401/14 C07D405/04 C07D409/14 C07D413/14 C07D417/04 C07D471/04 C07D491/04 A61P25/00 A61K31/4439 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	WO 2004/096797 A1 (NOVARTIS AG [CH]; NOVARTIS PHARMA GMBH [AT] ET AL.) 11 November 2004 (2004-11-11) Abstract; claims; examples. -& DATABASE Reaxys [Online] Elsevier Life Sciences IP Limited; 11 November 2004 (2004-11-11), Bruce Ian et al.: "INHIBITORS OF PHOSPHATIDYLINOSITOL 3-KINASE", XP055778791, Database accession no. W02004/96797 abstract -----	1,2, 7-12,14, 18-20, 23,27,29
X	WO 2009/080705 A2 (NOVARTIS AG [CH]; FAIRHURST ROBIN ALEC [GB]; IMBACH PATRICIA [CH]) 2 July 2009 (2009-07-02) Abstract; claims; examples. ----- -/-	1,2,9, 11,12, 14,29
☒ 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 24 February 2021		Date of mailing of the international search report 10/03/2021
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016		Authorized officer Weisbrod, Thomas

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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	US 2009/163469 A1 (CARAVATTI GIORGIO [CH] ET AL) 25 June 2009 (2009-06-25) Abstract; claims; examples. -& DATABASE Reaxys [Online] Elsevier Life Sciences IP Limited; 25 June 2009 (2009-06-25), Caravatti Giorgio et al.: "ORGANIC COMPOUNDS", XP055778810, Database accession no. US2009/163469 abstract	1,2,9, 11,12, 14,18, 19,29
X	US 2004/157861 A1 (SCARBOROUGH ROBERT M [US] ET AL) 12 August 2004 (2004-08-12) Abstract; claims; tables 1 and 2. -& DATABASE Reaxys [Online] Elsevier Life Sciences IP Limited; 12 August 2004 (2004-08-12), Scarborough Robert et al.: "COMPOSITIONS AND METHODS FOR INHIBITING TGF-BETA", XP055778823, Database accession no. US2004/157861 abstract	1,2,6-8, 10-12, 14,17, 27,29
X	WO 2010/093849 A2 (AMGEN INC [US]; DU XIAOHUI [US] ET AL.) 19 August 2010 (2010-08-19) Abstract; claims; page 36: compounds 2.E and 2.	1,2,6-8, 10,11, 14,17, 27-29
X	WO 02/088113 A1 (PROCTER & GAMBLE [US]) 7 November 2002 (2002-11-07) Abstract; claims; pages 32-33: examples 42-50; pages 36-37: examples 54-64; page 40: examples 66-70.	1-3,9, 14,17, 27-29
X	WO 2008/034600 A1 (NOVARTIS AG [CH]; HENG RICHARD [FR] ET AL.) 27 March 2008 (2008-03-27) Abstract; claims; examples, inter alia pages 35-36: examples 5-7; page 98: example 98; pages 125-126: examples 138-140.	1,2,4,5, 10,14, 16,29
X	US 2004/122016 A1 (CAO JINGRONG [US] ET AL) 24 June 2004 (2004-06-24) Abstract; claims; examples. -/--	1,2, 7-14,17, 27-29

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PCT/US2021/012333

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	-& DATABASE Reaxys [Online] Elsevier Life Sciences IP Limited; 24 June 2004 (2004-06-24), Cao Jingrong et al.: "COMPOSITIONS USEFUL AS INHIBITORS OF ROCK AND OTHER PROTEIN KINASES", XP055778905, Database accession no. US2004/122016 abstract ----- US 2005/272779 A1 (EDWARDS LOUISE [CA] ET AL) 8 December 2005 (2005-12-08) Abstract; claim 1: e.g. compounds 969, 971, 975, 978-981, 984, 987, 988; claims 2, 10. -& DATABASE Reaxys [Online] Elsevier Life Sciences IP Limited; 8 December 2005 (2005-12-08), Edwards Louise et al.: "ADDITIONAL HETEROPOLYCYCLIC COMPOUNDS AND THEIR USE AS METABOTROPIC GLUTAMATE RECEPTOR ANTAGONISTS", XP055778978, Database accession no. US2005/272779 abstract -----	1,3,7-9, 15,18, 19,29,30
X	WO 2018/107056 A1 (VERTEX PHARMA [US]) 14 June 2018 (2018-06-14) Abstract; claims; examples. -----	1,2, 7-14, 17-20, 27-29
X	GREEN ET AL.: "Design, Synthesis, and Structure-Activity Relationships of Pyridine-Based Rho Kinase (ROCK) Inhibitors", J. MED. CHEM., vol. 58, no. 12, 12 June 2015 (2015-06-12) , pages 5028-5037, XP055613567, US ISSN: 0022-2623, DOI: 10.1021/acs.jmedchem.5b00424 Compounds 4-18, 20-41. -----	1,2,6-9, 11-14, 17,27-29
X	EP 2 036 905 A1 (ASKA PHARM CO LTD [JP]) 18 March 2009 (2009-03-18) Abstract; claims; page 6, paragraph 33, lines 49-52. -----	1,2,7-9, 11-14, 17,27-30
X	US 2006/019956 A1 (GREEN JEREMY [US]) 26 January 2006 (2006-01-26) Abstract; claims; examples. -----	1,2,6-9, 11-14, 17,27-30
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PCT/US2021/012333

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	-& DATABASE Reaxys [Online] Elsevier Life Sciences IP Limited; 26 January 2006 (2006-01-26), Green Jeremy: "COMPOSITIONS USEFUL AS INHIBITORS OF ROCK AND OTHER PROTEIN KINASES", XP055779340, Database accession no. US2006/19956 abstract -----	
X	DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; ALEKSEEVA ET AL.: "Preparation of 3-acylaminopyridine derivatives as serine-threonine protein kinase GSK3B inhibitors for the treatment of type II diabetes", XP055779402, retrieved from STN Database accession no. 2015:2051338 Abstract; RN 893434-37-2. & RU 2 570 907 C2 (ALEKSEEVA ET AL.) 20 December 2015 (2015-12-20) -----	1,2,6-9, 11-14, 17,27-29
X	WO 2006/065946 A1 (VERTEX PHARMA [US]; CHARRIER JEAN-DAMIEN [GB] ET AL.) 22 June 2006 (2006-06-22) Abstract; claims 1, 47, 49. -----	1,2,6-9, 11-14, 17,27-29
X	WO 2019/236890 A1 (DISARM THERAPEUTICS INC [US]) 12 December 2019 (2019-12-12) Abstract; claims; examples, e.g. page 129, example no. 152. -----	1-20,23, 27-32

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2021/012333

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004096797	A1	11-11-2004	AR 044519 A1 14-09-2005
		AT 445614 T 15-10-2009	
		AU 2004234068 A1 11-11-2004	
		AU 2008255157 A1 08-01-2009	
		BR PI0410037 A 25-04-2006	
		CA 2524401 A1 11-11-2004	
		CL 2004000917 A1 11-02-2005	
		CN 1816549 A 09-08-2006	
		CN 101648949 A 17-02-2010	
		EC SP056127 A 01-03-2006	
		EP 1622897 A1 08-02-2006	
		EP 2157091 A1 24-02-2010	
		ES 2331883 T3 19-01-2010	
		ES 2546851 T3 29-09-2015	
		HK 1091810 A1 26-01-2007	
		IL 171536 A 28-02-2013	
		IS 8152 A 25-11-2005	
		JP 4510807 B2 28-07-2010	
		JP 2006525266 A 09-11-2006	
		KR 20060009884 A 01-02-2006	
		MA 27774 A1 01-02-2006	
		MX PA05011740 A 26-01-2006	
		PE 20050147 A1 05-05-2005	
		PL 1622897 T3 31-03-2010	
		PT 1622897 E 10-12-2009	
		RU 2384580 C2 20-03-2010	
		RU 2009134044 A 20-03-2011	
		TN SN05278 A1 10-07-2007	
		TW 200519106 A 16-06-2005	
		US 2007032487 A1 08-02-2007	
		US 2011105535 A1 05-05-2011	
		US 2013172349 A1 04-07-2013	
		WO 2004096797 A1 11-11-2004	
		ZA 200508603 B 27-12-2006	
WO 2009080705	A2	02-07-2009	CN 101939319 A 05-01-2011
			EP 2238134 A2 13-10-2010
			JP 2011506563 A 03-03-2011
			US 2010298286 A1 25-11-2010
			WO 2009080705 A2 02-07-2009
US 2009163469	A1	25-06-2009	AR 069798 A1 17-02-2010
			AU 2008340053 A1 02-07-2009
			BR PI0820722 A2 16-06-2015
			CA 2710122 A1 02-07-2009
			CL 2008003822 A1 26-06-2009
			CN 101952282 A 19-01-2011
			CO 6321276 A2 20-09-2011
			CR 11491 A 05-08-2010
			DO P2010000183 A 31-07-2010
			EA 201000947 A1 28-02-2011
			EC SP10010271 A 30-07-2010
			EP 2240475 A1 20-10-2010
			ES 2437595 T3 13-01-2014
			JP 2011506560 A 03-03-2011
			KR 20100093129 A 24-08-2010
			MA 31903 B1 01-12-2010
			NI 201000107 A 15-03-2011

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2021/012333

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		PA 8809001 A1	23-07-2009
		PE 20091523 A1	29-10-2009
		SM AP201000096 A	10-09-2010
		SV 2010003598 A	23-03-2011
		TN 2010000293 A1	11-11-2011
		TW 200930364 A	16-07-2009
		US 2009163469 A1	25-06-2009
		UY 31545 A1	03-08-2009
		WO 2009080694 A1	02-07-2009
		ZA 201003928 B	28-04-2011
US 2004157861	A1	12-08-2004	
		AU 2003300099 A1	29-07-2004
		EP 1581222 A2	05-10-2005
		US 2004157861 A1	12-08-2004
		WO 2004060362 A2	22-07-2004
WO 2010093849	A2	19-08-2010	
		US 2012115811 A1	10-05-2012
		WO 2010093849 A2	19-08-2010
WO 02088113	A1	07-11-2002	
		AU 2002338522 B2	15-12-2005
		CA 2444500 A1	07-11-2002
		CN 1505628 A	16-06-2004
		EP 1392681 A1	03-03-2004
		JP 2004532235 A	21-10-2004
		PE 20021059 A1	27-01-2003
		US 2003100558 A1	29-05-2003
		WO 02088113 A1	07-11-2002
WO 2008034600	A1	27-03-2008	
		AU 2007299261 A1	27-03-2008
		BR PI0717097 A2	26-11-2013
		CA 2662359 A1	27-03-2008
		CN 101516874 A	26-08-2009
		EP 2069333 A1	17-06-2009
		JP 2010504295 A	12-02-2010
		KR 20090057032 A	03-06-2009
		RU 2009114747 A	27-10-2010
		US 2010105664 A1	29-04-2010
		WO 2008034600 A1	27-03-2008
US 2004122016	A1	24-06-2004	
		AR 043057 A1	13-07-2005
		AT 466855 T	15-05-2010
		AU 2003288956 A1	07-06-2004
		CA 2504320 A1	21-05-2004
		EP 1558607 A1	03-08-2005
		JP 2006514684 A	11-05-2006
		KR 20050088283 A	05-09-2005
		MX PA05004689 A	05-12-2005
		NZ 540161 A	28-03-2008
		TW 200416221 A	01-09-2004
		US 2004122016 A1	24-06-2004
		WO 2004041813 A1	21-05-2004
US 2005272779	A1	08-12-2005	
		AT 483706 T	15-10-2010
		AU 2005270208 A1	09-02-2006
		BR PI0507497 A	10-07-2007
		CA 2555566 A1	09-02-2006
		CN 1984907 A	20-06-2007
		CN 101096368 A	02-01-2008

INTERNATIONAL SEARCH REPORT

Information on patent family members

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
		CY 1110965 T1	11-06-2015	
		DK 1723144 T3	03-01-2011	
		EP 1723144 A1	22-11-2006	
		EP 2311830 A1	20-04-2011	
		ES 2352110 T3	15-02-2011	
		HK 1099285 A1	10-08-2007	
		HR P20100654 T1	31-01-2011	
		JP 4912157 B2	11-04-2012	
		JP 2007523168 A	16-08-2007	
		NZ 548954 A	31-07-2009	
		PL 1723144 T3	28-02-2011	
		PT 1723144 E	07-12-2010	
		RS 51516 B	30-06-2011	
		RU 2370495 C2	20-10-2009	
		SG 146657 A1	30-10-2008	
		SI 1723144 T1	31-01-2011	
		UA 84318 C2	10-10-2008	
		US 2005272779 A1	08-12-2005	
		US 2007179188 A1	02-08-2007	
		US 2007293545 A1	20-12-2007	
		US 2008015204 A1	17-01-2008	
		US 2008015234 A1	17-01-2008	
		US 2008045571 A1	21-02-2008	
		UY 28766 A1	31-08-2005	
		WO 2006014185 A1	09-02-2006	
		ZA 200606551 B	28-11-2007	

WO 2018107056	A1	14-06-2018	AR 110349 A1	20-03-2019
			TW 201833087 A	16-09-2018
			US 2018251431 A1	06-09-2018
			UY 37512 A	30-04-2019
			WO 2018107056 A1	14-06-2018

EP 2036905	A1	18-03-2009	AU 2007265965 A1	03-01-2008
			CA 2656159 A1	03-01-2008
			CN 101472921 A	01-07-2009
			EP 2036905 A1	18-03-2009
			ES 2396251 T3	20-02-2013
			JP 5244591 B2	24-07-2013
			JP WO2008001930 A1	03-12-2009
			KR 20090024273 A	06-03-2009
			US 2009306145 A1	10-12-2009
			WO 2008001930 A1	03-01-2008

US 2006019956	A1	26-01-2006	AT 464303 T	15-04-2010
			EP 1751133 A2	14-02-2007
			JP 2007535551 A	06-12-2007
			US 2006019956 A1	26-01-2006
			WO 2005105780 A2	10-11-2005

WO 2006065946	A1	22-06-2006	AU 2005316540 A1	22-06-2006
			CA 2591413 A1	22-06-2006
			EP 1831168 A1	12-09-2007
			JP 2008524233 A	10-07-2008
			JP 2009062391 A	26-03-2009
			JP 2013213046 A	17-10-2013
			KR 20070095952 A	01-10-2007
			TW 200633980 A	01-10-2006

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Information on patent family members

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PCT/US2021/012333

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		US 2006183911 A1	17-08-2006
		US 2012190699 A1	26-07-2012
		US 2013184259 A1	18-07-2013
		WO 2006065946 A1	22-06-2006

WO 2019236890	A1	12-12-2019	NONE

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box II.2

Claims Nos.: 21, 22, 24-26(completely); 1-20, 23, 27-32(partially)

The current claims 1-32 relates to an extremely large number of possible compounds (I). They contain a plethora of options, variables, open-ended values possible permutations, an nearly no fixed structural element. Moreover, the initial phase of the search revealed a very large number of documents relevant to the issue of novelty of claim 1 and dependent claims thereof (for an incomplete selection see the first nine documents cited in the search report). The search was therefore focused to subject-matter relating to a combination of the features of the current claims 1, 8, 13, and 28. However, even this limited search resulted in a large number of documents relevant to the issue of novelty (for an incomplete selection see the 10th to 15th document cited in the search report). So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT).

Including the initial phase of the search, the search corresponds with a partial search for the current claims 1-20, 23, and 27-32 and no search for the current claims 21-22 and 24-26.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guidelines C-IV, 7.2), should the problems which led to the Article 17(2) PCT declaration be overcome.