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(54) Title: COMPOUNDS AND COMPOSITIONS FOR TREATING CONDITIONS ASSOCIATED WITH STING ACTIVITY

(57) Abstract: This disclosure features chemical entities (e.g., a compound or a pharmaceutically acceptable salt, and/or hydrate, and/or cocrystal, and/or drug combination of the compound) that inhibit (e.g., antagonize) Stimulator of Interferon Genes (STING). Said chemical entities are useful, e.g., for treating a condition, disease or disorder in which increased (e.g., excessive) STING activation (e.g., STING signaling) contributes to the pathology and/or symptoms and/or progression of the condition, disease or disorder (e.g., cancer) in a subject (e.g., a human). This disclosure also features compositions containing the same as well as methods of using and making the same.



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A. CLASSIFICATION OF SUBJECT MATTER		
INV. C07D403/12	A61K31/4184	A61K31/4439
C07D403/14	A61P25/00	A61P29/00
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		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 03/082272 A1 (CHIRON CORP [US]; RENHOWE PAUL A [US] ET AL.) 9 October 2003 (2003-10-09) see examples 265, 273, 317 and 351 and anticancer activity -----	8-11, 14, 15, 20, 22
X	WO 2008/153701 A1 (SCHERING CORP [US]; SHIPPS GERALD W JR [US] ET AL.) 18 December 2008 (2008-12-18) see compounds 71, 73, 76 and 80 in table 1 and anticancer activity -----	8-11, 14, 20, 22
X	WO 2004/085425 A1 (AMGEN INC [US]) 7 October 2004 (2004-10-07) see compounds 52, 57, and 58 in table 5 and the isondolyl 156 derivative in table 6 and anticancer activity -----	8-11, 14, 15, 20, 22
	-/--	
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> 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		Date of mailing of the international search report
30 May 2022		14/06/2022
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 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	US 2004/122237 A1 (AMIRI PAYMAN [US] ET AL) 24 June 2004 (2004-06-24) see compounds 265, 273 and 351 and anticancer activity -----	8-11, 14, 15, 20, 22
X	WO 2020/055953 A1 (SCRIPPS RESEARCH INST [US]) 19 March 2020 (2020-03-19) see compound LD-1063 on p. 33 -----	8-10, 12-14, 20
X	CN 105 294 737 A (GUANGDONG HEC PHARMACEUTICAL) 3 February 2016 (2016-02-03) see compounds 87 and 90 on p. 17 and anticancer activity -----	8-10, 14, 15, 20, 22
X	SUDAKOW ALEX ET AL: "Water compatible photoarylation of amino acids and peptides", CHEMISTRY - A EUROPEAN JOURNAL, JOHN WILEY & SONS, INC, DE, vol. 20, no. 33, 11 August 2014 (2014-08-11), pages 10223-10226, XP009536105, ISSN: 0947-6539, DOI: 10.1002/CHEM.201402959 [retrieved on 2014-07-14] see compound 16 in scheme 4 -----	8-11, 14
X	FENG WU ET AL: "Exploring the selectivity of PI3K α ; and mTOR inhibitors by 3D-QSAR, molecular dynamics simulations and MM/GBSA binding free energy decomposition", MEDCHEMCOMM, vol. 4, no. 11, 1 January 2013 (2013-01-01), page 1482, XP055309871, United Kingdom ISSN: 2040-2503, DOI: 10.1039/c3md00157a see compounds 14 and 15 in table 1 and anticancer activity -----	8-11, 14, 15, 20, 22
X	WO 2012/013716 A1 (NOVARTIS AG [CH]; BARNES DAVID WENINGER [US] ET AL.) 2 February 2012 (2012-02-02) example 527 on p. 298 -----	8-11, 20
X	WO 01/25220 A1 (KINETIX PHARMACEUTICALS INC [US]; ARMISTEAD DAVID M [US] ET AL.) 12 April 2001 (2001-04-12) inter alia compounds 404, 406, 1254, 1258, 1264 and 1268 ----- -/--	8-11, 14, 15, 20

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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.
A	<p>WO 2020/243519 A1 (IFM DUE INC [US]; VENKATRAMAN SHANKAR [US] ET AL.) 3 December 2020 (2020-12-03) see structure of the benzimidazole derivative of claim 1 as stimulators of of interferon genes inhibition -----</p>	8-23

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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.: **1-7**
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.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

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FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box II.2

Claims Nos.: 1-7

1. Present claims 1 to 7 relate to an extremely large number of possible compounds. This is primarily due to the very large scope of formula (I) with regard to the very broad definition of residues X 1, X2, X3, Y1, Y2, Y3, ring A, Rr and R2 to R5. Support and disclosure in the sense of Article 5 and 6 PCT is to be found however for only a very small proportion of the compounds claimed, see e.g. the examples. However, a first pre-search already showed that it is impossible to search those claims completely, resulting in incomplete, due to these very broad definitions. It is, by the way, brought to the Applicant's attention that all compounds falling under the scope of present claims 1 to 7, with the exception of the examples, just solve the problem of providing further compounds, the solution of which is completely obvious for the skilled person.

2. The Applicant has been confronted with a corresponding clarification request and invited to restrict the scope of claims 1 to 7 as to result with a reasonable scope with regard to the examples (see item 1, above), but did not do so. He was informed that otherwise the search of the claims will be restricted to claim 8 with regard to the compounds of the examples.

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.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

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