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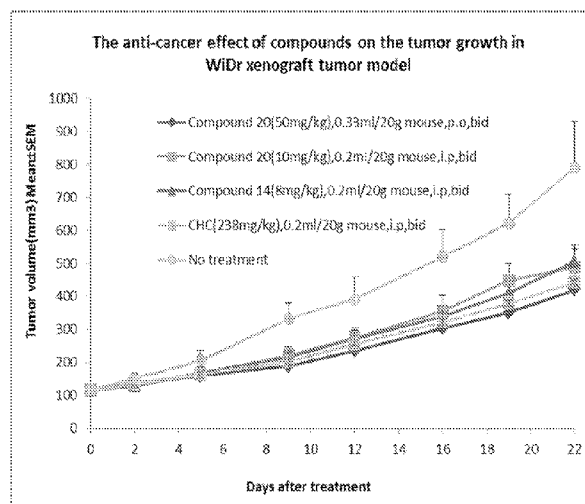
Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

[Continued on next page]

(54) Title: THERAPEUTIC COMPOUNDS

Figure 1



(57) Abstract: The invention provides compounds of formula (I) or a salt thereof as described herein. The invention also provides pharmaceutical compositions comprising a compound of formula (I), processes for preparing compounds of formula (I), intermediates useful for preparing compounds of formula (I) and therapeutic methods for treating cancer or treating autoimmune diseases or preventing transplant rejection using compounds of formula (I).





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- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2013/022275

A. CLASSIFICATION OF SUBJECT MATTER
 INV. C07C255/41 C07D295/155 C07D405/04 C07D407/14 A61K31/352
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 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)
 A61K C07C 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	C. NITSCHKE ET AL: "Arylcianoacrylamides as inhibitors of the Dengue and west Nile virus protease", BIOORGANIC & MEDICINAL CHEMISTRY., vol. 19, 2011, pages 7318-7337, XP002699944, GBELSEVIER SCIENCE LTD. ISSN: 0968-0896 abstract example 74; table 2 ----- -/--	1-3,5,6, 8-10,15

Further documents are listed in the continuation of Box C.

See patent family annex.

* Special categories of cited documents :

<p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier application or patent but published on or after the international filing date</p> <p>"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)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p>	<p>"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</p> <p>"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</p> <p>"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</p> <p>"&" document member of the same patent family</p>
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Date of the actual completion of the international search 3 July 2013	Date of mailing of the international search report 18/07/2013
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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 Voyiazoglou, D
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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2013/022275

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DANIELA SECCI ET AL: "Synthesis and selective human monoamine oxidase inhibition of 3-carbonyl, 3-acyl, and 3-carboxyhydrazido coumarin derivatives", EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, FR, vol. 46, no. 10, 9 July 2011 (2011-07-09), pages 4846-4852, XP028390938, ISSN: 0223-5234, DOI: 10.1016/J.EJMECH.2011.07.017 [retrieved on 2011-07-19] page 4848; example 45 -----	1-3,5,6, 8-10,15
X	F. POPP: "Synthesis of potential anti-cancer agents.Part I. Benzaldehyde "Nitorgen mustard" in the Knoevenagel reaction", JOURNAL OF THE CHEMICAL SOCIETY, 1960, pages 5271-5272, XP002699945, GBCHEMICAL SOCIETY. LETCHWORTH. ISSN: 1472-779X the whole document -----	1-18, 23-25
X	GAZIT A ET AL: "TYRPHOSTINS I: SYNTHESIS AND BIOLOGICAL ACTIVITY OF PROTEIN TYROSINE KINASE INHIBITORS", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 32, no. 19, 1989, pages 2344-2352, XP002048362, ISSN: 0022-2623, DOI: 10.1021/JM00130A020 abstract example 29; table II -----	1-18, 23-25
X	T. BESSON ET AL: "Synthesis and fluorescent properties of some heterobifunctional and rigidized 7-aminocoumarins", JOURNAL OF HETEROCYCLIC CHEMISTRY, vol. 28, 1991, pages 1517-1523, XP002699946, Wiley-Blackwell Publishing, Inc. ISSN: 0022-152X page 1519; example 8 -----	32
X	WO 03/074519 A1 (NOVARTIS AG [CH]; NOVARTIS PHARMA GMBH [AT]; AUBERSON YVES [CH]) 12 September 2003 (2003-09-12) page 14, last paragraph -----	32
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INTERNATIONAL SEARCH REPORT

International application No
PCT/US2013/022275

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>ROMAN&EMSP14;V. ROZHKOV ET AL: "Fluorogenic Transformations Based on Formation of C-C Bonds Catalyzed by Palladium: An Efficient Approach for High Throughput Optimizations and Kinetic Studies", ADVANCED SYNTHESIS & CATALYSIS, vol. 350, no. 1, 4 January 2008 (2008-01-04), pages 71-75, XP55018573, ISSN: 1615-4150, DOI: 10.1002/adsc.200700384 page 72; example 1</p> <p style="text-align: center;">-----</p>	32
X	<p>CHAO TENG ET AL: "Tuning the HOMO Energy Levels of Organic Dyes for Dye-Sensitized Solar Cells Based on Br-/Br3- Electrolytes", CHEMISTRY - A EUROPEAN JOURNAL, vol. 16, no. 44, 22 November 2010 (2010-11-22), pages 13127-13138, XP55013656, ISSN: 0947-6539, DOI: 10.1002/chem.201000460 Scheme 1; page 13128</p> <p style="text-align: center;">-----</p>	32
X	<p>DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 26 January 2009 (2009-01-26), XP002699947, retrieved from stn Database accession no. 1095853-58-9 abstract</p> <p style="text-align: center;">-----</p>	32
X	<p>DATABASE WPI Week 199041 Thomson Scientific, London, GB; AN 1990-309545 XP002699948, & JP H02 219692 A (MITSUBISHI PAPER MILLS LTD) 3 September 1990 (1990-09-03) abstract</p> <p style="text-align: center;">-----</p>	32
X	<p>US 5 043 243 A (YAJIMA MIKIO [JP] ET AL) 27 August 1991 (1991-08-27) claim 1</p> <p style="text-align: center;">-----</p>	32

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2013/022275

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.: **1-32(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.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box II.2

Claims Nos.: 1-32(partially)

Present claims 1-32 relate to an extremely large number of possible compounds/methods. Support and disclosure in the sense of Article 6 and 5 PCT is to be found however for only a very small proportion of the compounds/methods claimed, see pages 25 - 41

The non-compliance with the substantive provisions is to such an extent, that the search was performed taking into consideration the non-compliance in determining the extent of the search of claims 1-32 (PCT Guidelines 9.19 and 9.23).

The search of claims 1-32 was restricted to those claimed compounds/methods which appear to be supported and a generalisation of their structural formulae as indicated on pages 25-42. An effort has been made to include several documents from different categories which are related to novelty of the present application. However, for economic reasons not all of relevant documents have been included.

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) declaration be overcome.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2013/022275

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 03074519	A1	12-09-2003	
		AR 038723 A1	26-01-2005
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