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- (81) **Designated States** (*unless otherwise indicated, for every
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AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY,
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DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KN, KP, KR,
KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ,
OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC,
SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN,
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(54) **Title:** TETRAHYDROPYRAZOLOPYRIMIDINE COMPOUNDS

(57) **Abstract:** Embodiments of the disclosure relate to tetrahydropyrazolopyrimidine compounds that act as antagonists or inhibi-
tors for Toll-like receptors 7 and/or 8, and their use in pharmaceutical compositions effective for treatment of systemic lupus eryth-
ematosus (SLE) and lupus nephritis



INTERNATIONAL SEARCH REPORT

International application No
PCT/US2013/043679

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D471/04 A61K31/519 A61P37/00
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 A61K A61P

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, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DALINGER IGOR L ET AL: "Liquid-phase synthesis of combinatorial libraries based on 7-trifluoromethyl-substituted pyrazolo[1,5-a]pyrimidine scaffold", JOURNAL OF COMBINATORIAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 7, no. 2, 1 March 2005 (2005-03-01), pages 236-245, XP002494988, ISSN: 1520-4766, DOI: 10.1021/CC0498550 [retrieved on 2005-02-11]	1
A	see scheme 4, page 240, synthesis of amide libraries (R= 3,4-dimethoxyphenyl; see table 1, page 239)	2-48
A	----- WO 2009/076512 A1 (EPIX DELAWARE INC [US]; BEN-ZEEV EFRAT [IL]; CHEN DONGLI [US]; FICHMAN) 18 June 2009 (2009-06-18) See the examples ----- -/--	1-48



Further documents are listed in the continuation of Box C.



See patent family annex.

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"&" document member of the same patent family

Date of the actual completion of the international search

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INTERNATIONAL SEARCH REPORT

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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	WO 2009/064274 A1 (EISAI R&D MAN CO LTD [JP]; SPYVEE MARK [US]; SHAFFER CHRISTINA J [US];) 22 May 2009 (2009-05-22) the whole document -----	1-48

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2013/043679

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2009076512 A1	18-06-2009	AU 2008335135 A1	18-06-2009
		CA 2708364 A1	18-06-2009
		CN 101925383 A	22-12-2010
		EP 2280766 A1	09-02-2011
		JP 2011506466 A	03-03-2011
		KR 20100102646 A	24-09-2010
		RU 2010128543 A	20-01-2012
		US 2010324035 A1	23-12-2010
		WO 2009076512 A1	18-06-2009

WO 2009064274 A1	22-05-2009	AU 2007360955 A1	22-05-2009
		CA 2704454 A1	22-05-2009
		CN 101889014 A	17-11-2010
		EP 2220087 A1	25-08-2010
		JP 2011503179 A	27-01-2011
		KR 20100088695 A	10-08-2010
		WO 2009064274 A1	22-05-2009
