(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 December 2013 (05.12.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/181579 A2

(51) International Patent Classification:
C07D 471/04 (2006.01)

(21) International Application Number:
PCT/US2013/043679

(22) International Filing Date:
31 May 2013 (31.05.2013)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/654,023 31 May 2012 (31.05.2012) US

(71) Applicant: **EISAI R & D MANAGEMENT CO., LTD.** [JP/JP]; 6-10, Koishikawa 4-Chome, Bunkyo-ku, Tokyo 112-8088 (JP).

(72) Inventor; and
(71) Applicant *(for US only)*: **HAWKINS, Lynn D.** [US/US]; 963 Lowell Road, Concord, Massachusetts 01742 (US).

(72) Inventors: **BOIVIN, Roch**; 18 Strawberry Hill road, North Chelmsford, Massachusetts 01863 (US). **CARLSON, Eric**; 14 Blair Road, Merrimack, New Hampshire 03054 (US). **ENDO, Atsushi**; Apt. 1123, 311 Lowell Street, Andover, Massachusetts 01810 (US). **HANSEN, Hans**; Apt. 3, 18 Sanborn Avenue, Somerville, Massachusetts 02143 (US). **ISHIZAKA, Sally**; 110 Mountvale Road, Weston, Massachusetts 02493 (US). **MACKEY, Matthew**; 52 Henry Avenue, Melrose, Massachusetts 02176 (US). **NARAYAN, Sridhar**; 22 Thomas Street, Belmont, Massachusetts 02478 (US). **SATOH, Takashi**; 21 Paulornette Circle, Andover, Massachusetts 01810 (US). **SCHILLER, Shawn**; 614 Hilldale Avenue, Haverhill, Massachusetts 01832 (US).

(74) Agents: **WALTERS, Todd R.** et al.; Buchanan Ingersoll & Rooney PC, (customer no. 21839), P.O. Box 1404, Alexandria, Virginia 22313-1404 (US).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, 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, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Published:

— *without international search report and to be republished upon receipt of that report (Rule 48.2(g))*

— *with sequence listing part of description (Rule 5.2(a))*

WO 2013/181579 A2

(54) Title: TETRAHYDROPYRAZOLOPYRIMIDINE COMPOUNDS

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