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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
4 October 2012 (04.10.2012)

WIPO | PCT

(10) International Publication Number
WO 2012/135113 A2

(51) International Patent Classification:
A61K 31/445 (2006.01)

(21) International Application Number:
PCT/US2012/030552

(22) International Filing Date:
26 March 2012 (26.03.2012)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

61/467,524	25 March 2011 (25.03.2011)	US
61/514,140	2 August 2011 (02.08.2011)	US
61/594,012	2 February 2012 (02.02.2012)	US

(71) Applicant *(for all designated States except US)*: **GLAXOSMITHKLINE LLC** [US/US]; One Franklin Plaza, 200 North 16th Street, Philadelphia, PA 19102 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **JOHNSON, Neil, W.** [US/US]; 1250 South Collegeville Road, Collegeville, PA 19426 (US). **KASPAREC, Jiri** [CZ/US]; 1250 South Collegeville Road, Collegeville, PA 19426 (US). **MILLER, William, Henry** [US/US]; 1250 South Collegeville Road, Collegeville, PA 19426 (US). **ROUSE, Meagan, B.** [US/US]; 1250 South Collegeville Road, Collegeville, PA 19426 (US). **SUAREZ, Dominic** [US/US]; 1250 South Collegeville Road, Collegeville, PA 19426 (US). **TIAN, Xinrong** [US/US]; 1250 South Collegeville Road, Collegeville, PA 19426 (US).

(74) Agents: **PENG, Tony, W.** et al.; GlaxoSmithKline, Corporate Intellectual Property, UW2220, 709 Swedeland Road, P.O. Box 1539, King of Prussia, PA 19406-0939 (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, 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, KM, 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, 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, MD, 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, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

— *of inventorship (Rule 4.17(iv))*

Published:

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

(54) Title: CYCLOPROPYLAMINES AS LSD1 INHIBITORS

(57) Abstract: This invention relates to the use of cyclopropylamine derivatives for the modulation, notably the inhibition of the activity of Lysine-specific demethylase 1(LSD1). Suitably, the present invention relates to the use of cyclopropylamines in the treatment of cancer.

WO 2012/135113 A2