



(51) International Patent Classification:

C07D 403/12 (2006.01) A61K 31/416 (2006.01)
C07D 487/04 (2006.01) A61K 31/519 (2006.01)
A61P 29/00 (2006.01) A61K 31/437 (2006.01)

(21) International Application Number:

PCT/US2018/044508

(22) International Filing Date:

31 July 2018 (31.07.2018)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/539,642 01 August 2017 (01.08.2017) US

(71) Applicant: THERAVANCE BIOPHARMA R&D IP, LLC [US/US]; 901 Gateway Boulevard, South San Francisco, California 94080 (US).

(72) Inventors: FENSTER, Erik; 535 Redwood Avenue, San Bruno, California 94066 (US). LAM, Tom M.; 1280 15th Avenue, Apt. 201, San Francisco, California 94122 (US). LOO, Mandy; 2119 Imwalle Court, San Jose, California 95131 (US). MCKINNELL, Robert Murray; 321 Poplar Avenue, Millbrae, California 94030 (US). PALERMO, Anthony Francesco; 170 Scotia Avenue, San Francisco, California 94124 (US). WANG, Diana Jin; 3590 Cydonia Ct., Dublin, California 94568 (US). FRAGA, Breena; 9311 Road 29 1/2, Madera, California 93637 (US). NZEREM, Jerry; 29 Woods Circle, South San Francisco, California 94080 (US). DABROS, Marta; 888 Foster City Boulevard, Apt. Q2, Foster City, California 94404 (US). THALLADI, Venkat R.; 888 Foster City Boulevard, Apt. E3, Foster City, California 94404 (US). RAPTA, Miroslav; 1240 Highland Court, San Carlos, California 94070 (US).

(74) Agent: HAGENAH, Jeffrey A. et al.; Theravance Biopharma US, Inc., 901 Gateway Boulevard, South San Francisco, California 94080 (US).

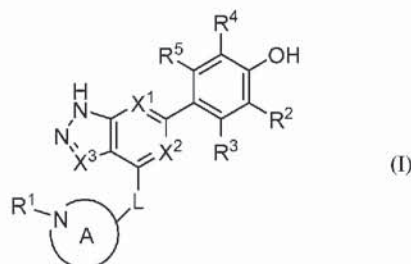
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, 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, SA, 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, ST, 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:

— with international search report (Art. 21(3))

(54) Title: PYRAZOLO AND TRIAZOLO BICYCLIC COMPOUNDS AS JAK KINASE INHIBITORS



(57) Abstract: The invention provides compounds of formula (I) or a pharmaceutically-acceptable salt thereof, wherein the variables are defined in the specification, that are inhibitors of JAK kinases, particularly JAK3. The invention also provides crystalline forms, pharmaceutical compositions comprising such compounds, methods of using such compounds to treat gastrointestinal and other inflammatory diseases, and processes and intermediates useful for preparing such compounds.