



(51) International Patent Classification:

C07D 413/04 (2006.01) *A61K 31/422* (2006.01)
C07D 413/14 (2006.01) *A61P 35/00* (2006.01)
C07D 417/14 (2006.01)

(21) International Application Number:

PCT/US2014/037344

(22) International Filing Date:

8 May 2014 (08.05.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/821,612	9 May 2013 (09.05.2013)	US
61/826,912	23 May 2013 (23.05.2013)	US
61/860,229	30 July 2013 (30.07.2013)	US
61/951,347	11 March 2014 (11.03.2014)	US

(71) Applicant: GILEAD SCIENCES, INC. [US/US]; 333 Lakeside Drive, Foster City, California 94404 (US).

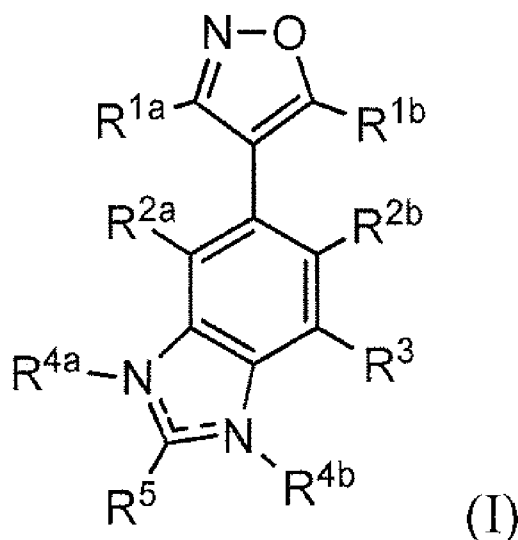
(72) Inventors: AKTOUDIANAKIS, Evangelos; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). CHIN, Gregory; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). CORKEY, Britton Kenneth; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US).

DU, Jinfa; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). ELBEL, Kristyna; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). JIANG, Robert H.; 8057 Park Villa Circle, Cupertino, California 95014 (US). KOBAYASHI, Tetsuya; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). LEE, Rick; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). MARTINEZ, Ruben; 10661 Calston Way, San Diego, California 92126 (US). METOBO, Samuel E.; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). MISH, Michael; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). MUNOZ, Manuel; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). SHEVICK, Sophie; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). SPERANDIO, David; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). YANG, Hai; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US). ZABLOCKI, Jeff; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US).

(74) Agents: ROZSNYAI, Lawrence F. et al.; Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California 94404 (US).

[Continued on next page]

(54) Title: BENZIMIDAZOLE DERIVATIVES AS BROMODOMAIN INHIBITORS



(57) Abstract: This application relates to chemical compounds which may act as inhibitors of, or which may otherwise modulate the activity of, a bromodomain-containing protein, including bromodomain-containing protein 4 (BRD4), and to compositions and formulations containing such compounds, and methods of using and making such compounds. Compounds include compounds of Formula (I) wherein R^{1a}, R^{1b}, R^{2a}, R^{2b}, R³, R^{4a}, R^{4b}, and R⁵ are described herein.



(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, IR, 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, 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, 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).

Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

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