



(51) International Patent Classification:

C07D 471/04 (2006.01) A61P 27/00 (2006.01)
C07D 487/04 (2006.01) A61P 19/00 (2006.01)
A61K 31/519 (2006.01) A61P 1/00 (2006.01)
A61P 35/00 (2006.01) A61P 17/00 (2006.01)
A61P 29/00 (2006.01)

(21) International Application Number:

PCT/US2012/053398

(22) International Filing Date:

31 August 2012 (31.08.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/530,866 2 September 2011 (02.09.2011) US
61/594,882 3 February 2012 (03.02.2012) US
61/677,445 30 July 2012 (30.07.2012) US

(71) Applicant (for all designated States except US): **INCYTE CORPORATION** [US/US]; Experimental Station, Route 141 & Henry Clay Road, Building E336/207, Wilmington, Delaware 19880 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **LI, Yun-Long** [US/US]; 1 Pin Oak Drive, Chadds Ford, Pennsylvania 19317 (US). **YAO, Wenqing** [US/US]; 748 Meadowbank Road, Kennett Square, Pennsylvania 19348 (US). **COMBS, Andrew, P.** [US/US]; 329 East Doe Run Road, Kennett Square, Pennsylvania 19348 (US). **YUE, Eddy, W.** [US/US]; 9 Altamus Drive, Landenberg, Pennsylvania 19350 (US). **MEI, Song** [CN/US]; 151 Monet Circle, Wilmington, Delaware 19808 (US). **ZHU, Wenyu** [US/US]; 21 Abel Place, Media, Pennsylvania 19063 (US).

GLENN, Joseph [US/US]; 103 Deer Horn Drive, Mount Royal, New Jersey 08061 (US). **MADUSKUIE, Thomas, P., Jr.** [US/US]; 613 Foulkstone Road, Wilmington, Delaware 19803 (US). **SPARKS, Richard, B.** [US/US]; 3316 Englewood Road, Wilmington, Delaware 19810 (US). **DOITY, Brent** [US/US]; 3420 Strasburg Road East, Fallowfield, Pennsylvania 19320 (US).

(74) Agent: **GOODSON, Susanne, H.**; Fish & Richardson P.C., P. O. Box 1022, Minneapolis, Minnesota 55440-1022 (US).

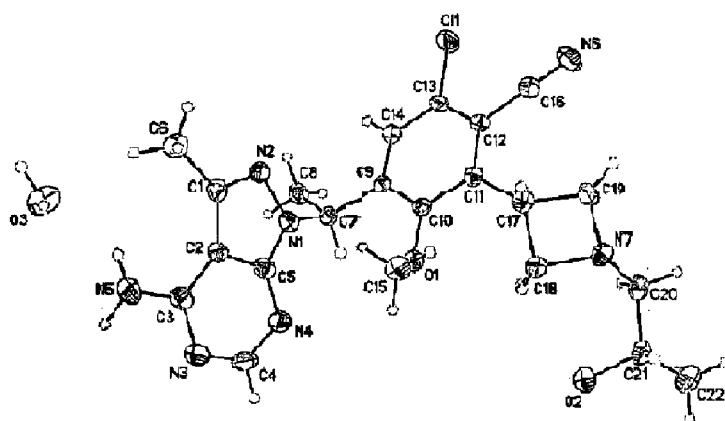
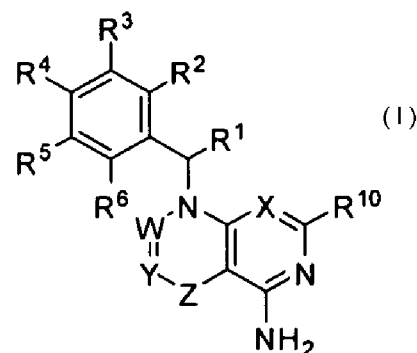
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,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

[Continued on next page]

(54) Title: HETEROCYCLYLAMINES AS PI3K INHIBITORS

FIG. 1



(57) Abstract: The present invention provides heterocyclylamine derivatives of Formula (I): wherein the variables are defined herein, that modulate the activity of phosphoinositide 3-kinases (PI3Ks) and are useful in the treatment of diseases related to the activity of PI3Ks including, for example, inflammatory disorders, immune-based disorders, cancer, and other diseases.



Published:

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

— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))