



(51) International Patent Classification:

C07D 498/04 (2006.01) *A61K 31/4196* (2006.01)
A61P 35/00 (2006.01)

(21) International Application Number:

PCT/EP2014/077666

(22) International Filing Date:

15 December 2014 (15.12.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/916,657 16 December 2013 (16.12.2013) US

(71) Applicant (for all designated States except US): **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH).(71) Applicant (for US only): **GENENTECH, INC.** [US/US]; 1 DNA Way, South San Francisco, CA 94080 (US).(72) Inventor: **STULTS, Jeffrey**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, CA 94080 (US).(74) Agent: **SAUER, Frank**; Grenzacherstrasse 124, CH-4070 Basel (CH).

(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, 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))
- 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))

(54) Title: POLYMORPHS OF 2-(4-(2-(1-ISOPROPYL-3-METHYL-1H-1,2,4-TRIAZOL-5-YL)-5,6-DIHYDROBENZO[F]IMIDAZO[1,2-D][1,4]OXAZEPIN-9-YL)-1H-PYRAZOL-1-YL)-2-METHYLPROPANAMIDE, METHODS OF PRODUCTION, AND PHARMACEUTICAL USES THEREOF

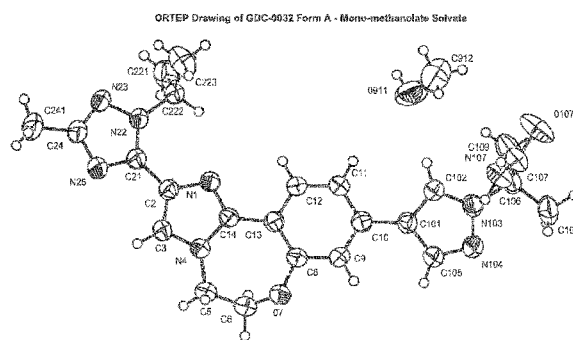


FIG. 1

(57) Abstract: The present invention relates to crystalline polymorphs of (2-(4-(2-(1-isopropyl-3-methyl-1H-1,2,4-triazol-5-yl)-5,6-dihydrobenzo[f]imidazo[1,2-d][1,4]oxazepin-9-yl)-1H-pyrazol-1-yl)-2-methylpropanamide (GDC-0032, taselisib), methods of use, and processes of preparing thereof.