



(51) International Patent Classification:

C07D 403/14 (2006.01) A61P 35/00 (2006.01)
C07D 487/04 (2006.01) A61P 25/00 (2006.01)
C07D 491/04 (2006.01) A61P 37/00 (2006.01)
C07D 495/04 (2006.01) A61P 31/00 (2006.01)
C07D 513/04 (2006.01) A61P 9/00 (2006.01)
A61K 31/517 (2006.01) A61P 13/00 (2006.01)

(21) International Application Number:

PCT/US2015/035145

(22) International Filing Date:

10 June 2015 (10.06.2015)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/011,775 13 June 2014 (13.06.2014) US

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

(72) Inventors: EVARTS, Jerry; 4101 E. Garfield Street,
Seattle, WA 98112 (US). KAPLAN, Joshua; c/o Gilead
Sciences, Inc., 333 Lakeside Drive, Foster City, CA 94404
(US). PATEL, Leena; c/o Gilead Sciences, Inc., 333
Lakeside Drive, Foster City, CA 94404 (US). PERREAU-
LT, Stephane; c/o Gilead Sciences, Inc., 333 Lakeside
Drive, Foster City, CA 94404 (US). PHILLIPS, Barton,
W.; c/o Gilead Sciences, Inc., 333 Lakeside Drive, Foster
City, CA 94404 (US). PHILLIPS, Gary; c/o Gilead Sci-
ences, Inc., 333 Lakeside Drive, Foster City, CA 94404
(US). TREIBERG, Jennifer, A.; c/o Gilead Sciences,
Inc., 333 Lakeside Drive, Foster City, CA 94404 (US).
YEUNG, Suet, Chung; c/o Gilead Sciences, Inc., 333
Lakeside Drive, Foster City, CA 94404 (US).

(74) Agents: HARTRUM, J., Elin et al.; Gilead Sciences, Inc.,
333 Lakeside Drive, Foster City, CA 94404 (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, 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).

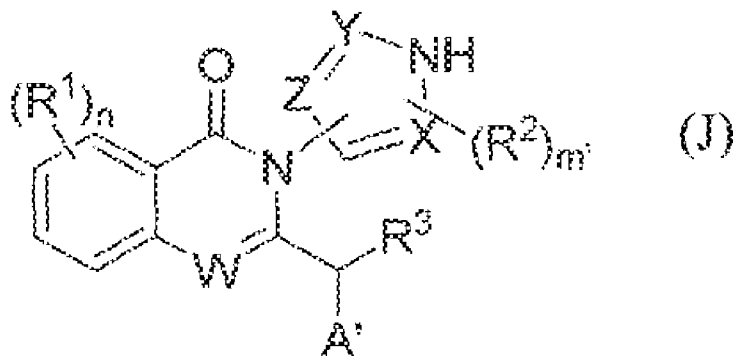
Declarations under Rule 4.17:

- 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))

Published:

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

(54) Title: QUINAZOLINONE DERIVATIVES AS PHOSPHATIDYLINOSITOL 3-KINASE INHIBITORS



(57) Abstract: The present application provides fee compounds of formula (J), or pharmaceutically acceptable salts, isomers, tautomer, or a mixture thereof, wherein X, Y, Z, n, m', A', R¹, R², and R³ are described herein. The compounds are inhibitors to the activities of phosphatidylinositol 3-kinase (PI3K) and are useful for treating conditions mediated by one or more PI3K isoforms. The present application further provides pharmaceutical compositions that include a compound of formula (I), or pharmaceutically acceptable salts, isomers, tautomer or mixture thereof, and methods of using these compounds and compositions for treating conditions mediated by one or more PI3K isoforms.