



(51) International Patent Classification:

C07D 275/04 (2006.01) **C07D 403/04** (2006.01)
C07D 417/04 (2006.01) **A61K 31/428** (2006.01)
C07D 471/08 (2006.01) **A61K 31/429** (2006.01)
C07D 513/04 (2006.01) **A61K 31/437** (2006.01)
C07D 487/10 (2006.01) **A61K 31/517** (2006.01)
C07D 487/04 (2006.01) **A61K 31/519** (2006.01)
C07D 239/80 (2006.01) **A61K 31/498** (2006.01)
C07D 471/04 (2006.01) **A61K 31/4985** (2006.01)
C07D 401/04 (2006.01) **A61P 35/00** (2006.01)

(21) International Application Number:

PCT/US2017/067801

(22) International Filing Date:

21 December 2017 (21.12.2017)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/438,334 22 December 2016 (22.12.2016) US

(71) **Applicant:** AMGEN INC. [US/US]; One Amgen Center Drive, Thousand Oaks, California 91320-1799 (US).

(72) **Inventors:** LANMAN, Brian Alan; 6000 De Soto Avenue #305, Woodland Hills, California 91367 (US). CEE, Victor J.; 2881 Capella Way, Thousand Oaks, California 91362 (US). PICKRELL, Alexander J.; 30948 Minute Man Way, Westlake Village, California 91361 (US). REED, Anthony B.; 3031 Charlotte Street, Newbury Park, California 91320 (US). YANG, Kevin C.; 8871 Camino Real Avenue, San Gabriel, California 91775 (US). KOPECKY, David John; 2420 14th Street, NW, Apt. 533, Washington, District of Columbia 20009 (US). WANG, Hui-Ling; 822 Lynnmere Drive, Thousand Oaks, California 91360 (US). LOPEZ, Patricia; 5614 Le Sage Avenue, Woodland Hills, California 91367 (US). ASHTON, Kate; 30948 Minute Man Way, Westlake Village, California 91361 (US). BOOKER, Shon; 15243 Camarillo Street, Sherman Oaks, California 91403 (US). TEGLEY, Christopher M.; 478 Thunderhead Street, Thousand Oaks, California 91360 (US).

(74) **Agent:** REIDY, Joseph F.; M/S 35-1-B, Amgen Inc., One Amgen Center Drive, Thousand Oaks, California 91320-1799 (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).

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))
- 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))

(88) **Date of publication of the international search report:**

23 August 2018 (23.08.2018)

(54) **Title:** BENZISOTHIAZOLE, ISOTHIAZOLO[3,4-B]PYRIDINE, QUINAZOLINE, PHTHALAZINE, PYRIDO[2,3-D]PYRIDAZINE AND PYRIDO[2,3-D]PYRIMIDINE DERIVATIVES AS KRAS G12C INHIBITORS FOR TREATING LUNG, PANCREATIC OR COLORECTAL CANCER

(57) **Abstract:** Provided herein are benzisothiazol, isothiazolo[3,4-b]pyridine, quinazoline, phthalazine, pyrido[2,3-d]pyridazine and pyrido[2,3-d]pyrimidine derivatives and related compounds as KRAS G12C inhibitors for treating e.g. lung cancer, pancreatic cancer or colorectal cancer.