

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
26 October 2023 (26.10.2023)



(10) International Publication Number
WO 2023/205719 A1

(51) International Patent Classification:

A61P 35/00 (2006.01) C07D 498/22 (2006.01)
C07D 498/16 (2006.01) A61K 31/553 (2006.01)

(21) International Application Number:

PCT/US2023/065991

(22) International Filing Date:

20 April 2023 (20.04.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/333,347 21 April 2022 (21.04.2022) US
63/353,726 20 June 2022 (20.06.2022) US
63/386,651 08 December 2022 (08.12.2022) US

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

(72) Inventors: DAI, Chaodi; c/o Gilead Sciences, Inc.,
333 Lakeside Drive, Foster City, California 94404 (US).
GUERRERO, Juan A.; c/o Gilead Sciences, Inc., 333
Lakeside Drive, Foster City, California 94404 (US).
GUNEY, Tezcan; c/o Gilead Sciences, Inc., 333 Lake-
side Drive, Foster City, California 94404 (US). GUO,
Hongyan; c/o Gilead Sciences, Inc., 333 Lakeside Drive,
Foster City, California 94404 (US). KATO, Darryl; c/o
Gilead Sciences, Inc., 333 Lakeside Drive, Foster City,
California 94404 (US). KIBURU, Irene N.; c/o Gilead
Sciences, Inc., 333 Lakeside Drive, Foster City, California
94404 (US). LAZERWITH, Scott E.; c/o Gilead Sciences,
Inc., 333 Lakeside Drive, Foster City, California 94404
(US). MCKINLEY, Jessica L.; 1017 Catamaran Street,
Apartment 3, Foster City, California 94404 (US). MED-
LEY, Jonathan William; c/o Gilead Sciences, Inc., 333
Lakeside Drive, Foster City, California 94404 (US). PYUN,
Hyung-Jung; c/o Gilead Sciences, Inc., 333 Lakeside Drive,
Foster City, California 94404 (US). TIAN, Maoqun; c/o
Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, California
94404 (US). TSUI, Vickie H.; c/o Gilead Sciences,
Inc., 333 Lakeside Drive, Foster City, California 94404
(US). WATKINS, William J.; c/o Gilead Sciences, Inc.,
333 Lakeside Drive, Foster City, California 94404 (US).
ZAJDLIK, Adam D.; 789 14th Street, San Francisco,
California 94114 (US). ZHANG, Jennifer R.; c/o Gilead
Sciences, Inc., 333 Lakeside Drive, Foster City, California
94404 (US).

(74) Agent: LEE, Joshua M. et al.; Gilead Sciences, Inc., 333
Lakeside Drive, Foster City, California 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, CV, CZ, DE, DJ, DK, DM,
DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IQ, IR, IS, IT, JM, JO, JP, KE, KG,
KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY,
MA, MD, MG, MK, MN, MU, 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, ST, SV, SY, TH,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS,
ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every

kind of regional protection available): ARIPO (BW, CV,
GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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, ME, 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: KRAS G12D MODULATING COMPOUNDS

(57) Abstract: Provided herein are compounds, and pharmaceutically acceptable salts thereof, useful as KRAS G12D and/or KRAS G12C inhibitors, methods of making and using the same (singly or in combination with additional agents), and pharmaceutical compositions thereof.



WO 2023/205719 A1