

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

(19) World Intellectual Property
Organization
International Bureau



(10) International Publication Number
WO 2024/033537 A1

(43) International Publication Date
15 February 2024 (15.02.2024)

(51) International Patent Classification:

A61K 31/517 (2006.01) *A61K 39/39* (2006.01)
A61K 31/436 (2006.01) *A61K 45/06* (2006.01)
A61K 31/497 (2006.01) *A61P 35/00* (2006.01)
A61K 31/519 (2006.01)

(21) International Application Number:

PCT/EP2023/072324

(22) International Filing Date:

11 August 2023 (11.08.2023)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

22190139.0 12 August 2022 (12.08.2022) EP

(71) Applicant: **ASTELLAS PHARMA INC.** [JP/JP]; 2-5-1,
Nihonbashi-Honcho, Chuo-ku, Tokyo, 103-8411 (JP).

(72) Inventors: **NAGASHIMA, Takeyuki**; 2-5-1, Nihon-
bashi-Honcho, Chuo-ku, Tokyo, 103-8411 (JP). **TASAKI,**
Mamoru; 2-5-1, Nihonbashi-Honcho, Chuo-ku, Tokyo,
103-8411 (JP).

(74) Agent: **PROCTOR, Anthony James**; Potter Clarkson,
Chapel Quarter, Mount Street, Nottingham NG1 6HQ (GB).

(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).

Published:

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

(54) Title: COMBINATION OF ANTICANCER AGENTS COMPRISING A BIFUNCTIONAL COMPOUND WITH G12D MU-
TANT KRAS INHIBITORY ACTIVITY

(57) Abstract: There is provided herein a combination of compound of formula (I), which is a bifunctional compound with G12D
mutant KRAS inhibitory activity, or a pharmaceutically acceptable salt thereof, and an anti-cancer agent for use in the treatment of
cancer, wherein the compound of formula (I) and the anti-cancer agent are as described in the description.

WO 2024/033537 A1