

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
31 March 2022 (31.03.2022)



(10) International Publication Number
WO 2022/063106 A1

(51) International Patent Classification:

C07D 239/47 (2006.01) C07D 401/14 (2006.01)
C07D 209/04 (2006.01) A61K 31/505 (2006.01)
C07D 403/12 (2006.01) A61P 35/00 (2006.01)

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).

(21) International Application Number:

PCT/CN2021/119536

(22) International Filing Date:

22 September 2021 (22.09.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

PCT/CN2020/116906
22 September 2020 (22.09.2020) CN
PCT/CN2021/113968
23 August 2021 (23.08.2021) CN

Published:

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

(71) Applicant: **BEIGENE, LTD.** [GB/GB]; c/o Mourant Governance Services (Cayman) Limited, 94 Solaris Avenue, Camana Bay, Grand Cayman, KY1-1108 (KY).

(72) Inventor; and

(71) Applicant (for SC only): **LEI, Bailin** [CN/CN]; No. 30 Science Park Road, Zhongguancun Life Science Park, Changping, Beijing 102206 (CN).

(72) Inventors: **LIU, Huaqing**; No. 30 Science Park Road, Zhongguancun Life Science Park, Changping, Beijing 102206 (CN). **HAN, Songzhe**; No. 30 Science Park Road, Zhongguancun Life Science Park, Changping, Beijing 102206 (CN). **WANG, Zhiwei**; No. 30 Science Park Road, Zhongguancun Life Science Park, Changping, Beijing 102206 (CN).

(74) Agent: **WU, FENG & ZHANG**; 3 FL, Building 2, Yard 3, FengXiuZhongLu Road, Haidian District, Beijing 100094 (CN).

(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, IT, 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, 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, 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,

(54) Title: INDOLINE COMPOUNDS AND DERIVATIVES AS EGFR INHIBITORS

(57) Abstract: Provided are novel pharmaceutically active compounds and their preparation methods. The compounds inhibit mutant EGFR and are useful in the treatment of oncological diseases.

WO 2022/063106 A1