

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
28 November 2024 (28.11.2024)



(10) International Publication Number
WO 2024/240241 A3

(51) International Patent Classification:

C07D 213/78 (2006.01) C07D 471/04 (2006.01)
C07D 231/14 (2006.01) C07D 471/08 (2006.01)
C07D 277/56 (2006.01) C07D 487/04 (2006.01)
C07D 401/06 (2006.01) C07D 491/08 (2006.01)
C07D 401/12 (2006.01) A61P 35/00 (2006.01)
C07D 401/14 (2006.01) A61P 35/04 (2006.01)
C07D 405/06 (2006.01) A61K 31/444 (2006.01)
C07D 405/14 (2006.01) A61K 31/4439 (2006.01)
C07D 407/12 (2006.01) A61K 31/427 (2006.01)
C07D 409/12 (2006.01) A61K 31/4188 (2006.01)
C07D 417/14 (2006.01) A61K 31/404 (2006.01)
C07D 451/02 (2006.01) A61K 31/4184 (2006.01)
C07D 453/02 (2006.01)

(21) International Application Number:

PCT/CN2024/095073

(22) International Filing Date:

24 May 2024 (24.05.2024)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

PCT/CN2023/096312
25 May 2023 (25.05.2023) CN
PCT/CN2024/073002
18 January 2024 (18.01.2024) CN
PCT/CN2024/091147
06 May 2024 (06.05.2024) CN

(71) Applicant: **ALLORION THERAPEUTICS INC**
[US/US]; 22 Strathmore Road, Natick, MA 0176 (US).

(72) Inventor; and

(71) Applicant (for UG only): **CHENG, Dai** [US/CN]; Room
901, Bldg 2, 188 Kaiyuan Avenue, Laidi Innovation Incu-
bator, Guangzhou, Guangdong 510530 (CN).

(72) Inventors: **YU, Ming**; 22 Strathmore Road, Natick, MA
0176 (US). **LU, Yipin**; 22 Strathmore Road, Natick, MA
0176 (US). **LI, Fang**; 22 Strathmore Road, Natick, MA
0176 (US). **GU, Lina**; 22 Strathmore Road, Natick, MA
0176 (US). **WANG, Tiansheng**; 22 Strathmore Road, Nat-
ick, MA 0176 (US).

(74) Agent: **SINO-CREATIVITY INTELLECTUAL PROP-
ERTY LAW FIRM**; Room 23A03, Block 4, the Place
Tower, No. 9 Guanghai Road, Chaoyang District, Beijing
100020 (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, 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).

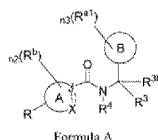
Published:

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

26 December 2024 (26.12.2024)

(54) Title: N-(1H-INDOL-2-YL)METHYL-4-ETHYNYL-PICOLINAMIDE DERIVATIVES AS EGFR INHIBITORS FOR THE
TREATMENT OF CANCER



(57) Abstract: Provided herein are compounds of formula A having an amide-based backbone that is connected to multiple ring structures, pharmaceutically acceptable salts of the compounds, pharmaceutical compositions thereof, and the compounds for use in methods of medical treatment as selective allosteric inhibitors of EGFR mutants, for the treatment of cancer, such as e.g. lung cancer, colon cancer, breast cancer, endometrial cancer, thyroid cancer, glioma, squamous cell carcinoma, prostate cancer, non-small cell lung cancer (NSCLC), or cancer with brain metastasis, wherein the cancer is characterized as having at least one EGFR mutation selected from L858R, T790M, and C797S.

WO 2024/240241 A3