

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
25 January 2024 (25.01.2024)



(10) International Publication Number
WO 2024/017859 A1

(51) International Patent Classification:

C07D 513/22 (2006.01) A61K 31/504 (2006.01)
A61P 35/00 (2006.01)

(21) International Application Number:

PCT/EP2023/069851

(22) International Filing Date:

18 July 2023 (18.07.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

PCT/CN2022/106792
20 July 2022 (20.07.2022) CN
PCT/CN2022/128979
01 November 2022 (01.11.2022) CN
PCT/CN2023/070766
05 January 2023 (05.01.2023) CN
PCT/CN2023/087631
11 April 2023 (11.04.2023) CN

(71) Applicant (for all designated States except US): **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, 4070 Basel (CH).

(71) Applicant (for US only): **HOFFMANN-LA ROCHE INC.** [US/US]; Overlook at Great Notch, 150 Clove Road, 8th Floor, Suite 8 - Legal Department, Little Falls, New Jersey 07424 (US).

(72) Inventors: **CHEN, Jianguo**; c/o Roche R&D Center (China) Ltd., Building No. 5, Lane 720, Cailun Road, Shanghai 201203 (CN). **GUO, Lei**; c/o Roche R&D Center (China) Ltd., Building No. 5, Lane 720, Cailun Road, Shanghai 201203 (CN). **LIU, Haixia**; c/o Roche R&D Center (China) Ltd., Building 5, No. 720, Cailun Road, Shanghai 201203 (CN). **SHEN, Hong**; c/o Roche R&D Center (China) Ltd.,

Building No. 5, Lane 720, Cailun Road, Shanghai 201203 (CN). **ZHANG, Weixing**; c/o Roche R&D Center (China) Ltd., Building No. 5, Lane 720, Cailun Road, Shanghai 201203 (CN). **ZHAO, Dan**; c/o Roche R&D Center (China) Ltd., Building No. 5, Lane 720, Cailun Road, Shanghai 201203 (CN). **ZHU, Wei**; c/o Roche R&D Center (China) Ltd., Building No. 5, Lane 720, Cailun Road, Shanghai 201203 (CN).

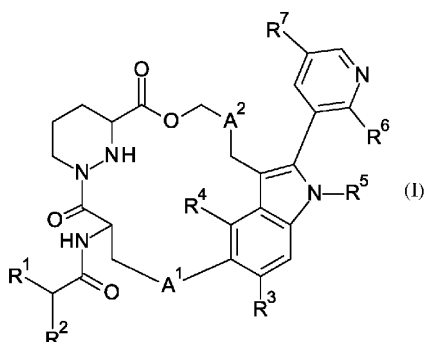
(74) Agent: **JOCHNOWITZ, Evan**; F. Hoffmann-La Roche AG, Patent Department, Grenzacherstrasse 124, 4070 Basel (CH).

(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).

(54) Title: MACROCYCLE COMPOUNDS FOR THE TREATMENT OF CANCER

(57) Abstract: The present invention relates to compounds of formula (I), wherein R¹ to R⁷, A¹ and A² are as described herein, and their pharmaceutically acceptable salt thereof, and compositions including the compounds and methods of using the compounds.



WO 2024/017859 A1

[Continued on next page]

Published:

- with international search report (Art. 21(3))
- in black and white; the international application as filed contained color or greyscale and is available for download from PATENTSCOPE