

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
02 November 2023 (02.11.2023)



(10) International Publication Number
WO 2023/209591 A1

(51) International Patent Classification:

A61K 45/06 (2006.01) A61P 35/00 (2006.01)
A61K 47/68 (2017.01)

(21) International Application Number:

PCT/IB2023/054298

(22) International Filing Date:

26 April 2023 (26.04.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/335,558 27 April 2022 (27.04.2022) US

(71) Applicants: **DAIICHI SANKYO COMPANY, LIMITED** [JP/JP]; 3-5-1, Nihonbashi Honcho, Chuo-ku, Tokyo, 103-8426 (JP). **ASTRAZENECA UK LIMITED** [GB/GB]; 1 Francis Crick Avenue, Cambridge Biomedical Campus, Cambridge CB2 0AA (GB).

(72) Inventors: **HONMA, Daisuke**; c/o Daiichi Sankyo Company, Limited, 3-5-1 Nihonbashi Honcho, Chuo-ku, Tokyo, 103-8426 (JP). **KAMAI, Yasuki**; c/o Daiichi Sankyo Com-

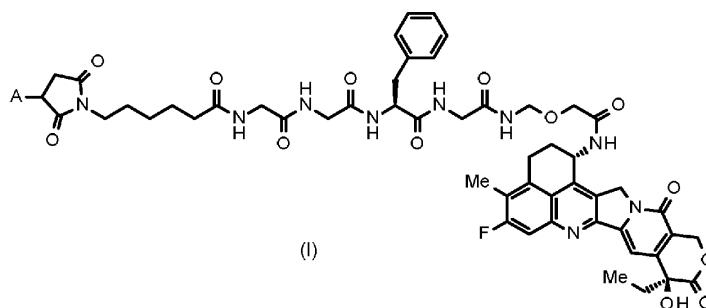
pany, Limited, 3-5-1 Nihonbashi Honcho, Chuo-ku, Tokyo, 103-8426 (JP).

(74) Agent: **WILLIAM FRANCIS, Nieuwenhuys**; Marks & Clerk LLP, 15 Fetter Lane, London EC4A 1BW (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,

(54) Title: COMBINATION OF ANTIBODY-DRUG CONJUGATE WITH EZH1 AND/OR EZH2 INHIBITOR



(57) Abstract: A pharmaceutical product, wherein an antibody-drug conjugate in which a drug-linker represented by the following formula (I) (wherein A represents a connecting position to an antibody) is conjugated to the antibody via a thioether bond, and an inhibitor selected from the group consisting of an EZH1 inhibitor, an EZH2 inhibitor and an EZH1/2 dual inhibitor are administered in combination, and/or a method of treatment, wherein the antibody-drug conjugate and the inhibitor are administrated in combination to a subject.

[Continued on next page]

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))*
- *with sequence listing part of description (Rule 5.2(a))*