

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
31 October 2024 (31.10.2024)



(10) International Publication Number
WO 2024/226448 A1

(51) International Patent Classification:

A61K 47/55 (2017.01) C07K 1/10 (2006.01)
A61K 38/08 (2019.01) C07K 1/107 (2006.01)
A61K 38/12 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/US2024/025746

(22) International Filing Date:

23 April 2024 (23.04.2024)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/497,733 23 April 2023 (23.04.2023) US
63/503,264 19 May 2023 (19.05.2023) US
63/504,889 30 May 2023 (30.05.2023) US

(71) Applicant: **BIOHAVEN THERAPEUTICS LTD.**
[US/GB]; Ritter House, P.O. Box 173, Road Town, Tortola,
VG1110 (VG).

(72) Inventor: **DUBOWCHIK, Gene M.**; Biohaven Pharma-
ceuticals, Inc., 215 Church Street, New Haven, Connecticut
06510 (US).

(74) Agent: **ZUEV, Dmitry**; Biohaven Pharmaceuticals, Inc.,
New Haven, Connecticut 06510 (US).

(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,

(54) Title: ANTIBODY-DRUG CONJUGATES FOR DELIVERING CYTOTOXIC AGENTS

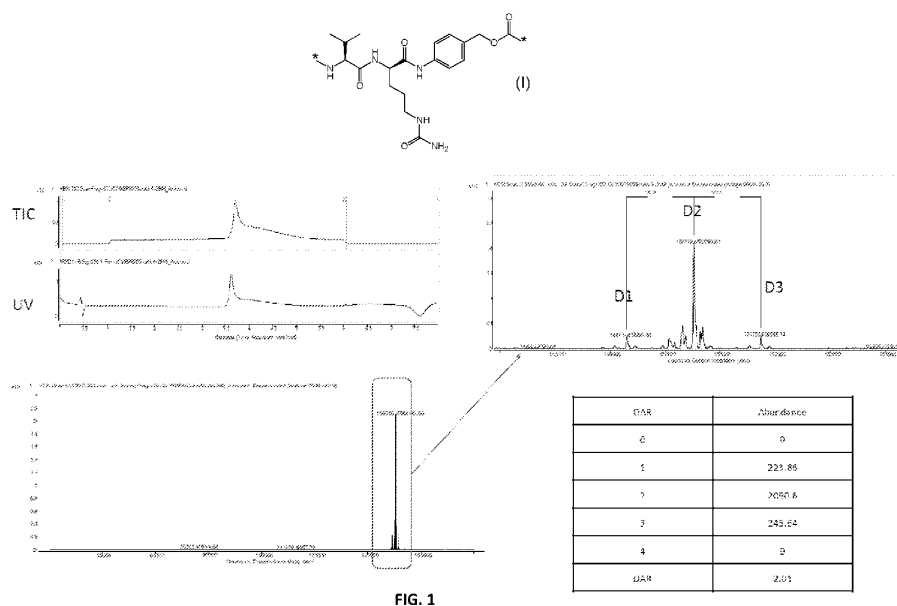


FIG. 1

(57) Abstract: Provided is a compound having the structure of formula (R-I): LG-RG-L^{RM}-MOI, or a salt thereof, wherein: LG is a group including a target binding moiety that binds to brentuximab or a biosimilar analog thereof, L^{RM} is a linking group including Formula (I); and MOI is moiety of interest including monomethyl auristatin D (MMAD), monomethyl auristatin E (MMAE), or monomethyl auristatin F (MMAF). Also provided is a conjugate a compound having the structure of formula (R-I) with brentuximab or a biosimilar analog thereof and methods of treating various cancerous conditions using the same.

[Continued on next page]

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).

Declarations under Rule 4.17:

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:

- *with international search report (Art. 21(3))*