

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
30 December 2020 (30.12.2020)



(10) International Publication Number
WO 2020/258893 A1

(51) International Patent Classification:

A61K 47/68 (2017.01) C07D 277/56 (2006.01)
A61K 31/426 (2006.01) A61P 35/00 (2006.01)
A61K 9/08 (2006.01)

(21) International Application Number:

PCT/CN2020/075709

(22) International Filing Date:

18 February 2020 (18.02.2020)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

PCT/CN2019/092614
24 June 2019 (24.06.2019) CN
PCT/CN2019/093946
29 June 2019 (29.06.2019) CN

(71) Applicant: **HANGZHOU DAC BIOTECH CO., LTD**
[CN/CN]; 1 Fl, Building 12, 260 Sixth Street, Hangzhou,
Zhejiang 310018 (CN).

(72) Inventors: **ZHAO, Robert**; 1st, 7 Loring Road, Lexington,
Massachusetts 02421 (US). **YANG, Qingliang**; 4th Fl,
Building 12, 260 Sixth Street, Hangzhou, Zhejiang 310018
(CN). **HUANG, Yuanyuan**; 4th Fl, Building 12, 260 Sixth
Street, Hangzhou, Zhejiang 310018 (CN). **GAI, Shun**; 3rd
Fl, Building 12, 260 Sixth Street, Hangzhou, Zhejiang
310018 (CN). **YE, Hangbo**; 4th Fl, Building 12, 260 Sixth
Street, Hangzhou, Zhejiang 310018 (CN). **ZHAO, Linyao**;
4th Fl, Building 12, 260 Sixth Street, Hangzhou, Zhejiang
310018 (CN). **GUO, Huihui**; 2nd Fl, Building 12, 260
Sixth Street, Hangzhou, Zhejiang 310018 (CN). **BAI, Lu**;
3rd Fl, Building 12, 260 Sixth Street, Hangzhou, Zhejiang
310018 (CN). **LI, Wenjun**; 2nd Fl, Building 12, 260 Sixth
Street, Hangzhou, Zhejiang 310018 (CN). **JIA, Junxiang**;
2nd Fl, Building 12, 260 Sixth Street, Hangzhou, Zhejiang
310018 (CN). **GUO, Zhixiang**; 3rd Fl, Building 12, 260
Sixth Street, Hangzhou, Zhejiang 310018 (CN). **ZHENG,**
Jun; 3rd Fl, Building 12, 260 Sixth Street, Hangzhou, Zhe-
jiang 310018 (CN). **CHEN, Xiaoxiao**; 2nd Fl, Building
12, 260 Sixth Street, Hangzhou, Zhejiang 310018 (CN).
KONG, Xiangfei; 2nd Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (CN). **LIN, Chen**; 2nd Fl,
Building 12, 260 Sixth Street, Hangzhou, Zhejiang 310018
(CN). **DU, Yong**; 2nd Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (CN). **ZHANG, Yu**; 3rd Fl,
Building 12, 260 Sixth Street, Hangzhou, Zhejiang 310018
(CN). **ZHOU, Lei**; 3rd Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (CN). **ZHANG, Xiouzheng**;
3rd Fl, Building 12, 260 Sixth Street, Hangzhou, Zhe-

jiang 310018 (CN). **ZHENG, Xiouhong**; 4th Fl, Building
12, 260 Sixth Street, Hangzhou, Zhejiang 310018 (CN).
CHEN, Bingbing; 1st Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (CN). **YANG, Yanlei**; 1st Fl,
Building 12, 260 Sixth Street, Hangzhou, Zhejiang 310018
(CN). **DAI, Meng**; 1st Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (CN). **XU, Yifang**; 4th Fl,
Building 12, 260 Sixth Street, Hangzhou, Zhejiang 310018
(CN). **FANG, Zhongliang**; 3rd Fl, Building 12, 260 Sixth
Street, Hangzhou, Zhejiang 310018 (CN). **ZHOU, Xiao-**
mai; 2nd Fl, Building 12, 260 Sixth Street, Hangzhou, Zhe-
jiang 310018 (CN). **JIANG, Xingyan**; 2nd Fl, Building
12, 260 Sixth Street, Hangzhou, Zhejiang 310018 (CN).
CHEN, Miaimiao; 3rd Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (CN). **ZHANG, Lingli**; 3rd Fl,
Building 12, 260 Sixth Street, Hangzhou, Zhejiang 310018
(CN). **LI, Yanhua**; 2nd Fl, Building 12, 260 Sixth Street,
Hangzhou, Zhejiang 310018 (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, 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,
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).

Declarations under Rule 4.17:

- as to the identity of the inventor (Rule 4.17(i))
- as to applicant's entitlement to apply for and be granted a
patent (Rule 4.17(ii))

Published:

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

(54) Title: A FORMULATION OF A CONJUGATE OF A TUBULYSIN ANALOG TO A CELL-BINDING MOLECULE

(57) Abstract: A formulation of conjugates of tubulysin analogs with a cell-binding molecule having a structure represented by Formula (I), wherein T, L, m, n, ----, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R¹², and R¹³ are as defined herein, can be used for targeted treatment of cancer, autoimmune disease, and infectious disease.



WO 2020/258893 A1