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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
25 June 2015 (25.06.2015)

WIPO | PCT

(10) International Publication Number
WO 2015/095227 A3

(51) International Patent Classification:
A61K 47/48 (2006.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/US2014/070660

(22) International Filing Date:
16 December 2014 (16.12.2014)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/916,661 16 December 2013 (16.12.2013) US
61/916,691 16 December 2013 (16.12.2013) US

(71) Applicants: **GENENTECH, INC.** [US/US]; 1 DNA Way, South San Francisco, California 94080 (US). **THE UNIVERSITY OF AUCKLAND** [NZ/NZ]; Private Bag 92019, Auckland, 92019 (NZ).

(72) Inventors; and
(71) Applicants : **LEE, Ho Huat** [NZ/NZ]; c/o The University of Auckland, Private Bag 92019, Auckland, 92019 (NZ). **TERCEL, Moana** [NZ/NZ]; c/o The University of Auckland, Privat Bag 92019, Auckland, 92019 (NZ).

(72) Inventors: **FLYGARE, John A.**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **GUNZNER-TOSTE, Janet**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **PILLOW, Thomas H.**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **SAFINA, Brian**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **STABEN, Leanna**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **VERMA, Vishal**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **WEI, BinQing**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US). **ZHAO, Guiling**; c/o Genentech, Inc., 1 DNA Way, South San Francisco, California 94080 (US).

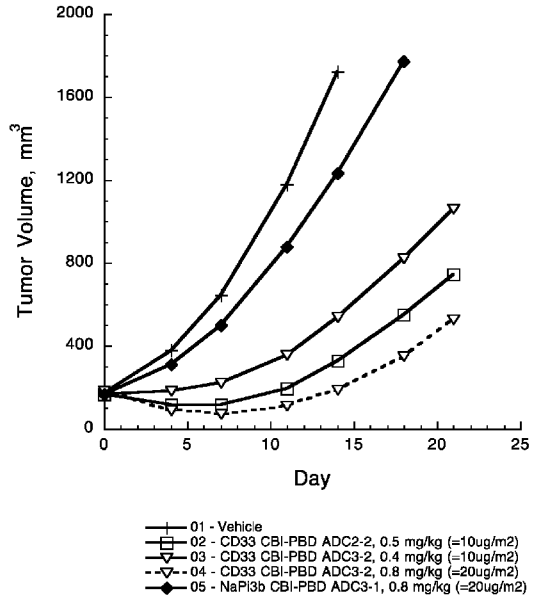
(74) Agents: **PENG, Tony W.** et al.; Genentech, Inc., 1 DNA Way, Mail Stop 49, South San Francisco, California 94080 (US).

(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, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, 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,

[Continued on next page]

(54) Title: PEPTIDOMIMETIC COMPOUNDS AND ANTIBODY-DRUG CONJUGATES THEREOF

Figure 1 (HL-60)



(57) Abstract: This invention relates to peptidomimetic linkers and anti-body drug conjugates thereof, to pharmaceutical compositions containing them, and to their use in therapy for the prevention or treatment of cancer.

WO 2015/095227 A3

SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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).

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))*

(88) **Date of publication of the international search report**:
10 December 2015