

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
28 September 2023 (28.09.2023)



(10) International Publication Number
WO 2023/180489 A1

(51) International Patent Classification:
A61K 47/68 (2017.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/EP2023/057565

(22) International Filing Date:
23 March 2023 (23.03.2023)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
22163928.9 23 March 2022 (23.03.2022) EP

(71) Applicant: **SYNAFFIX B.V.** [NL/NL]; Kloosterstraat 9,
5349 AB Oss (NL).

(72) Inventors: **LELIEVELDT, Lianne**; Biezenstraat 180,
6541 ZZ Nijmegen (NL). **VAN GEEL, Remon**; Margriet-
straat 17, 5396 CM Lithoijen (NL). **VAN BERKEL, San-
der Sebastiaan**; Kloosterstraat 9, 5349 AB Oss (NL). **VAN
DELFT, Floris Louis**; Van Goorstraat 81, 6512 EC Ni-
jmegen (NL).

(74) Agent: **NEDERLANDSCH OCTROOIBUREAU**;
P.O.Box 29720, 2502 LS The Hague (NL).

(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).

Published:

- with international search report (Art. 21(3))
- with sequence listing part of description (Rule 5.2(a))

(54) Title: ANTIBODY-CONJUGATES FOR TARGETING OF TUMOURS EXPRESSING CARCINOEMBRYONIC ANTIGEN

(57) Abstract: The present invention concerns antibody-conjugates which are especially suitable for the targeting of CEA-expressing cells, in particular tumour cells. The antibody-conjugates according to the invention have structure (I): $AB-[(L^6)_b-\{Z-L-D\}_x]_y$ (I) Herein, AB is an antibody capable of targeting CEA-expressing tumours; L is a linker that links Z to D; Z is a connecting group; L^6 is $-GlcNAc(Fuc)_w-(G)_j-S-(L^7)_w-$, wherein G is a monosaccharide, j is an integer in the range of 0 - 10, S is a sugar or a sugar derivative, GlcNAc is N-acetylglucosamine and Fuc is fucose, w is 0 or 1, w' is 0, 1 or 2 and L7 is $-N(H)C(O)CH_2-$, $-N(H)C(O)CF_2-$ or $-CH_2-$; D is selected from the group consisting of anthracyclines, camptothecins, tubulysins, enediynes, amanitins, duocarmycins, maytansinoids, auristatins, eribulins, BCL-XL inhibitors, hemiasterlins, KSP inhibitors, TLR agonists, indolinobenzodiazepine dimers or pyrrolobenzodiazepine dimers (PBDs), and analogues or prodrugs thereof; b is 0 or 1; x is 1 or 2; and y is 1, 2, 3 or 4. The invention further concerns a method for preparing the antibody-conjugates of structure (I) and application of the antibody-conjugates of structure (I).



WO 2023/180489 A1