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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
25 November 2021 (25.11.2021)

WIPO | PCT

(10) International Publication Number
WO 2021/234391 A1

(51) International Patent Classification:
A61K 47/64 (2017.01) *A61K 39/395* (2006.01)
A61K 47/65 (2017.01) *A61K 47/18* (2017.01)
A61K 9/00 (2006.01) *A61K 47/26* (2006.01)
A61K 9/19 (2006.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/GB2021/051220

(22) International Filing Date:
20 May 2021 (20.05.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
63/027,536 20 May 2020 (20.05.2020) US

(71) Applicant: **BICYCLETX LIMITED** [GB/GB]; Building 900, Babraham Research Campus, Cambridge CB22 3AT (GB).

(72) Inventors: **DICKSON, Amy Katherine**; c/o BicycleTx Limited, Babraham Research Campus, Building 900, Cambridge CB22 3AT (GB). **LIMB, Darren**; c/o BicycleTx Limited, Babraham Research Campus, Building 900, Cambridge CB22 3AT (GB). **MAHNKE, Lisa**; c/o BicycleTx Limited, Babraham Research Campus, Building 900, Cambridge CB22 3AT (GB). **RIGBY, Michael**; c/o BicycleTx Limited, Babraham Research Campus, Building 900, Cambridge CB22 3AT (GB). **WEST, Terrence Allen**; c/o BicycleTx Limited, Babraham Research Campus, Building 900, Cambridge CB22 3AT (GB). **WITTY, David**; c/o BicycleTx Limited, Babraham Research Campus, Building 900, Cambridge CB22 3AT (GB).

(74) Agent: **GIBSON, Mark** et al.; Sagittarius IP, Marlow International, Parkway, Marlow Buckinghamshire SL7 1YL (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, 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, IT, 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).

Published:
— *with international search report (Art. 21(3))*
— *with sequence listing part of description (Rule 5.2(a))*

WO 2021/234391 A1

(54) Title: BICYCLIC PEPTIDE LIGANDS SPECIFIC FOR NECTIN-4 AND USES THEREOF

(57) Abstract: The present invention relates to a Bicycle toxin conjugate BT8009, or pharmaceutically acceptable salts thereof, or pharmaceutical compositions thereof, and uses thereof. In one embodiment, the pharmaceutical composition comprises BT8009, histidine, sucrose and Polysorbate 20. In another embodiment, the pharmaceutical composition is for use in treating an advanced solid tumor malignancy associated with Nectin-4-expression in a patient, preferably in combination with Nivolumab.