



(51) International Patent Classification:

C07K 4/00 (2006.01) C07K 16/28 (2006.01)
C07K 7/50 (2006.01) A61K 47/68 (2017.01)
A61K 51/08 (2006.01)

(21) International Application Number:

PCT/US2024/032857

(22) International Filing Date:

06 June 2024 (06.06.2024)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/506,721 07 June 2023 (07.06.2023) US

(71) Applicant: RAYZEBIO, INC. [US/US]; 5505 Morehouse Dr, Suite 300, San Diego, California 92121 (US).

(72) Inventors: NONCOVICH, Alain; 5505 Morehouse Dr, Suite 300, San Diego, California 92121 (US). BHAT, Abhijit; 5505 Morehouse Dr, Suite 300, San Diego, California 92121 (US). HE, Rongjun; 5505 Morehouse Dr, Suite 300, San Diego, California 92121 (US). EHARA, Takeru; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP). KOMURA, Ric; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821

(JP). MIZUKOSHI, Yoshihide; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP). MORIMOTO, Kouki; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP). TAKUWA, Masatoshi; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP). YANAGIDA, Hayato; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP). OHUCHI, Masaki; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP). NAGASAWA, Takayuki; c/o PeptiDream, Inc., 3-25-23, Tonomachi, Kawasaki-ku, Kawasaki-shi, Kanagawa 2100821 (JP).

(74) Agent: SCARR, Rebecca B. et al.; McNeill PLLC, 125 Cambridge Park Drive, Suite 301, Cambridge, Massachusetts 02140 (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,

(54) Title: RADIOPHARMACEUTICAL COMPOSITIONS TARGETING GLYPICAN-3 AND USES THEREOF

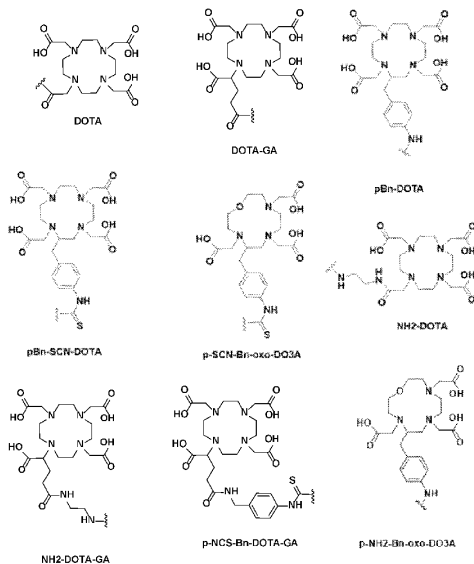


FIG. 4A

(57) Abstract: Provided herein are radiopharmaceuticals and conjugates, and compositions thereof targeting GPC3 and uses thereof. In one aspect, provided herein are conjugates that comprise a peptide with avidity to GPC3 and a metal chelator configured to bind with a radionuclide. The conjugates described herein can further comprise a linker connecting the chelator and the peptide. The conjugates described herein can further comprise a linker connecting the chelator and the peptide. Further provided herein are methods of treating cancer by administering the described radiopharmaceuticals, conjugates, compositions thereof.

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).

Declarations under Rule 4.17:

— *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))*
— *with sequence listing part of description (Rule 5.2(a))*

(88) Date of publication of the international search report:

06 February 2025 (06.02.2025)