

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
05 October 2023 (05.10.2023)



(10) International Publication Number
WO 2023/191839 A3

(51) International Patent Classification:

A61K 51/10 (2006.01) *A61K 51/08* (2006.01)
A61K 51/04 (2006.01) *C07K 7/64* (2006.01)

(21) International Application Number:

PCT/US2022/039088

(22) International Filing Date:

01 August 2022 (01.08.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/228,535	02 August 2021 (02.08.2021)	US
17/665,202	04 February 2022 (04.02.2022)	US
63/329,306	08 April 2022 (08.04.2022)	US

(63) Related by continuation (CON) or continuation-in-part (CIP) to earlier application:

US

17/665,202 (CIP)

Filed on

04 February 2022 (04.02.2022)

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

(72) Inventors: **KIM, Daniel**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **CHEN, Gang**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **SONG, Ken**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **COLE, Derek**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **BISCHOFF, Eric**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **SMITH, Nicholas D.**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **MORAN, Matthew**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **LIU, Junjie**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US). **CHARYCH, Deborah**; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US).

(54) Title: STABILIZED COMPOSITIONS OF RADIONUCLIDES AND USES THEREOF

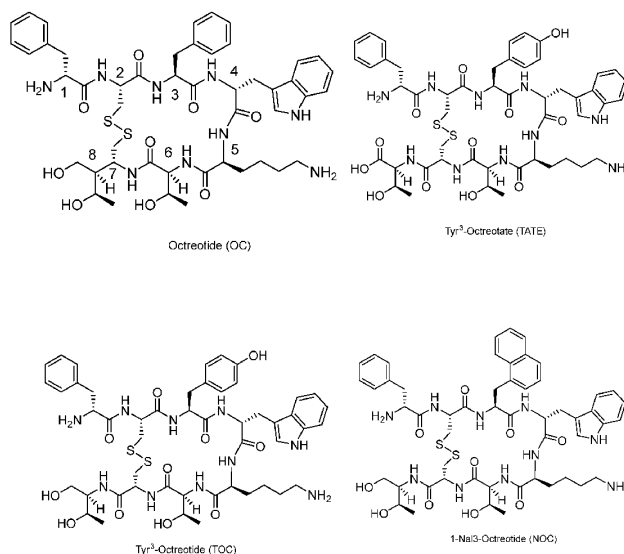


FIG. 2B

(57) Abstract: Provided herein are radiopharmaceutical compositions and uses thereof. The radiopharmaceutical compositions can comprise one or more stabilizing agents, an aqueous vehicle, and a conjugate that comprises a targeting ligand and a radionuclide bound to a metal chelator. The targeting ligand can be a small molecule compound or a peptide such as a monocyclic peptide. The targeting ligand can be configured to bind with a tumor target. The stabilizing agent can comprise a radiolysis stabilizer, a free metal chelator, and/or a pH stabilizer. Further provided herein are methods of preparing the radiopharmaceutical compositions and methods of treating cancer by administering the described radiopharmaceutical compositions.

[Continued on next page]

ARANGIO, Susan; 5505 Morehouse Drive, Suite 300, San Diego, California 92121 (US).

(74) **Agent: WORMALD, Michael**; WILSON SONSINI, 650 Page Mill Road, Palo Alto, California 94304 (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, 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, 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, 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))*
— *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:**
04 January 2024 (04.01.2024)

(15) **Information about Correction:**
see Notice of 09 November 2023 (09.11.2023)