

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
05 May 2022 (05.05.2022)



(10) International Publication Number
WO 2022/094327 A1

(51) International Patent Classification:

A61K 47/59 (2017.01) A61P 35/00 (2006.01)
A61K 49/12 (2006.01) C08G 83/00 (2006.01)
A61P 25/28 (2006.01)

(21) International Application Number:

PCT/US2021/057430

(22) International Filing Date:

29 October 2021 (29.10.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/108,230	30 October 2020 (30.10.2020)	US
63/187,851	12 May 2021 (12.05.2021)	US
63/253,308	07 October 2021 (07.10.2021)	US

(71) Applicant: **ASHVATTHA THERAPEUTICS, INC.**
[US/US]; 1235 Radio Road, Suite 200, Redwood City, CA 94065 (US).

(72) Inventors: **CLELAND, Jeffrey, L.**; 1235 Radio Road, Suite 200, Redwood City, CA 94065 (US). **SHARMA, Rishi**; 1235 Radio Road, Suite 200, Redwood City, CA 94065 (US). **SUN, Minghao**; 1235 Radio Road, Suite 200, Redwood City, CA 94065 (US). **APPIANI LA ROSA,**

Santiago; 1235 Radio Road, Suite 200, Redwood City, CA 94065 (US).

(74) Agent: **BOWLER, Joseph, M.** et al.; Wolf, Greenfield & Sacks, P.C., 600 Atlantic Avenue, Boston, MA 02210-2206 (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, 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,

(54) Title: RADIOLABELED ETHER DENDRIMER CONJUGATES FOR PET IMAGING AND RADIOTHERAPY

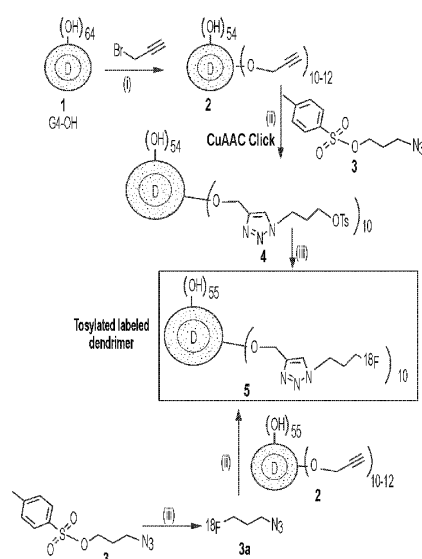


FIG. 1

(57) Abstract: Compositions and methods for detecting, monitoring and imaging inflammatory sites or tumors in a subject have been developed. Compositions of hydroxyl-terminated dendrimers conjugated to radionuclide(s) via ether linkages are provided for both imaging and radiotherapy (tumors). Methods for the non-invasive and specific positron emission tomography (PET) imaging or magnetic resonance imaging (MRI) of dendrimer conjugated to one or more imaging agents in a subject in vivo are also provided. The methods selectively deliver dendrimer conjugated to radionuclides or MRI contrast agents to reactive microglia or reactive immune cells in tumors in the recipient. In some embodiments, the dendrimers conjugated to imaging agents also deliver targeted radiotherapy agents to a tumor, and/or deliver additional diagnostic, therapeutic or prophylactic agents to reactive microglia in the recipient. Methods of making dendrimers conjugated to imaging agents are also described.

WO 2022/094327 A1

[Continued on next page]

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))*