



(51) International Patent Classification:

A61K 47/68 (2017.01) C07J 43/00 (2006.01)

A61K 47/65 (2017.01) C07J 71/00 (2006.01)

A61K 47/61 (2017.01) C08B 37/16 (2006.01)

C07J 41/00 (2006.01)

(21) International Application Number:

PCT/US2020/012798

(22) International Filing Date:

08 January 2020 (08.01.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

16/243,020 08 January 2019 (08.01.2019) US

PCT/US2019/012786

62/872,229 08 January 2019 (08.01.2019) US

62/937,721 09 July 2019 (09.07.2019) US

62/937,721 19 November 2019 (19.11.2019) US

(71) Applicant: **REGENERON PHARMACEUTICALS, INC.** [US/US]; 777 Old Saw Mill River Road, Tarrytown, New York 10591-6707 (US).

(72) Inventor: **HAN, Amy**; c/o Regeneron Pharmaceuticals, Inc., 777 Old Saw Mill River Road, Tarrytown, New York 10591-670 (US).

(74) Agent: **NOSON, Kevin et al.**; Squire Patton Boggs (US) LLP, 275 Battery Street, Suite 2600, San Francisco, California 94111 (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, 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).

Declarations under Rule 4.17:

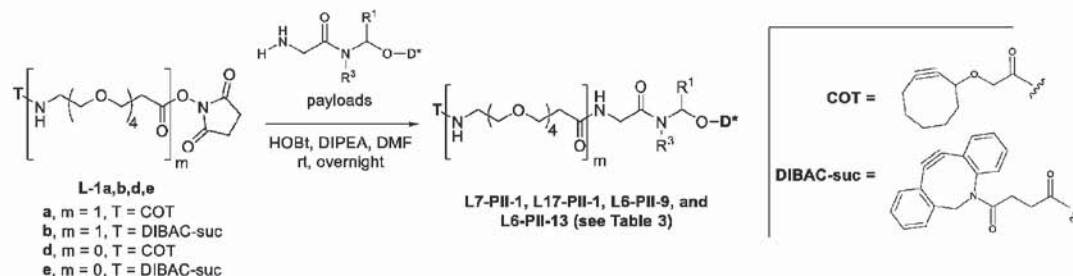
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

- without international search report and to be republished upon receipt of that report (Rule 48.2(g))

(54) Title: TRACELESS LINKERS AND PROTEIN-CONJUGATES THEREOF

FIG. 7



(57) Abstract: Provided herein are compounds, compounds including traceless linkers, protein conjugates thereof, and compositions thereof. Also provided herein are methods for the treatment of diseases, disorders, and conditions, and/or the management of the symptoms thereof, associated with inflammatory diseases and autoimmune disorders further associated with the glucocorticoid receptor, glucocorticoid binding, and/or glucocorticoid receptor signalling, including administration of the compounds or payloads via traceless linker-payloads, and protein conjugates thereof.