

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
01 July 2021 (01.07.2021)



(10) International Publication Number
WO 2021/133839 A1

(51) International Patent Classification:

C07C 247/04 (2006.01) C07C 269/04 (2006.01)

(21) International Application Number:

PCT/US2020/066692

(22) International Filing Date:

22 December 2020 (22.12.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/953,089 23 December 2019 (23.12.2019) US

(71) Applicant: **SYNTHORX, INC.** [US/US]; 11099 North Torrey Pines Road, Suite 190, LA JOLLA, California 92037 (US).

(72) Inventors: **SHIROKOV, Alexander V.**; 3100 Central Expressway, Santa Clara, California 95051 (US). **SEPETOV, Nikolai F.**; 3100 Central Expressway, Santa Clara, California 95051 (US). **SCHEUERMAN, Randall**; 3100 Central Expressway, Santa Clara, California 95051 (US). **AERNI, Hans**; 11099 North Torrey Pines Road, Suite 190, La Jolla, California 92037 (US).

(74) Agent: **BREIER, Adam M.** et al.; MCNEILL BAUR 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, 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).

(54) Title: METHODS OF PREPARING N⁶-((2-AZIDOETHOXY)CARBONYL)LYSINE

(57) Abstract: Disclosed herein are methods of preparing N⁶-((2-azidoethoxy)carbonyl)lysine, N⁶-((2-azidoethoxy)carbonyl)-L-lysine, and N⁶-((2-azidoethoxy)carbonyl)-D-lysine. Also disclosed herein are the compounds tert-butyl N²-(tert-butoxycarbonyl)-N⁶-((2-chloroethoxy)carbonyl)-L-lysinate and tertbutyl N⁶-((2-azidoethoxy)carbonyl)-N²-(tert-butoxycarbonyl)-L-lysinate, and uses thereof.

WO 2021/133839 A1