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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
19 March 2020 (19.03.2020)

WIPO | PCT

(10) International Publication Number
WO 2020/056249 A1

(51) International Patent Classification:
A61K 38/07 (2006.01) *C07K 7/02* (2006.01)
A61K 38/08 (2019.01)

(21) International Application Number:
PCT/US2019/051002

(22) International Filing Date:
13 September 2019 (13.09.2019)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
62/731,802 14 September 2018 (14.09.2018) US

(71) Applicant *(for US only)*: **CARA THERAPEUTICS, INC.** [US/US]; 4 Stamford Plaza, 107 Elm Street, Stamford, CT 06902 (US).

(72) Inventors; and
(71) Applicants *(for all designated States except US)*: **WILSON, Bryan, R.** [US/US]; 112 Carriage Hill Road, Brewster, NY 10509 (US). **O'CONNOR, Stephen, J.** [US/US]; 0977 Little Meadow Road, Guilford, CT 06437 (US).

(74) Agent: **ANILIONIS, Algis**; Anilionis IP Law, LLC, 25 Whistler Hill Lane, Huntington, NY 11743 (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, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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,

(54) Title: ORAL FORMULATIONS OF KAPPA OPIOID RECEPTOR AGONISTS

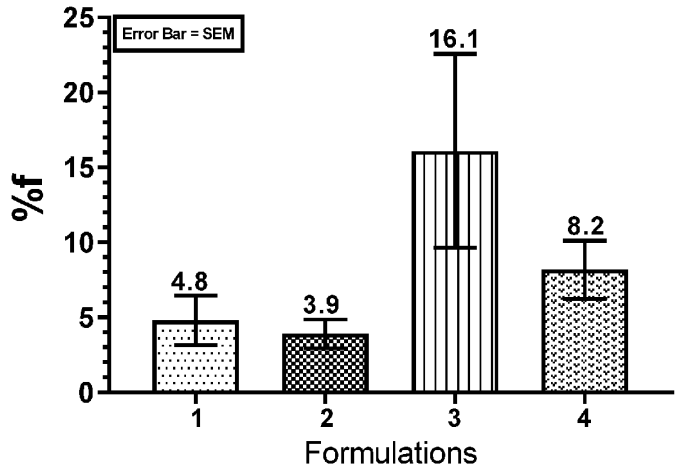


Fig. 1

(57) Abstract: The invention provides formulations for oral delivery of a therapeutic agent wherein the formulation comprises a kappa opioid receptor agonist and an absorption enhancer, the absorption enhancer includes a medium chain fatty acid or a salt of a medium chain fatty acid; and a medium chain fatty acid glyceride. The kappa opioid receptor agonist may be embedded in an oligosaccharide, such as trehalose. Also provided are capsules containing the oral formulations of the kappa opioid receptor agonists and the absorption enhancer of the invention and methods use of these formulations for the prophylaxis and treatment of variety of kappa opioid receptor-associated diseases and conditions such as pain, pruritus and inflammation; the method comprising administering to the mammal the formulation comprising the kappa opioid receptor agonist and an absorption enhancer.

WO 2020/056249 A1

[Continued on next page]

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:

— *of inventorship (Rule 4.17(iv))*

Published:

— *with international search report (Art. 21(3))*

— *with amended claims (Art. 19(1))*