



(51) International Patent Classification:

A61K 31/485 (2006.01) A61P 25/36 (2006.01)

(21) International Application Number:

PCT/US2015/062637

(22) International Filing Date:

25 November 2015 (25.11.2015)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/084,246 25 November 2014 (25.11.2014) US
62/084,216 25 November 2014 (25.11.2014) US

(71) Applicant: KEMPHARM, INC. [US/US]; 1170 Celebration Blvd., Suite 103, Celebration, Florida 34747 (US).

(72) Inventors: MICKLE, Travis; 904 Westpark Dr., Celebration, Florida 34747 (US). GUENTHER, Sven; 913 Forest Edge Circle, Coralville, Iowa 52241 (US). BERA, Sanjib; 400 Algonquin Court, Blacksburg, Virginia 24060 (US). BERA, Bindu; 400 Algonquin Court, Blacksburg, Virginia 24060 (US).

ia 24060 (US). KANSKI, Jaroslaw; 209 Heartwood Crossing, Blacksburg, Virginia 24060 (US). MARTIN, Andrea K.; 2235 Shavers Farm Road, Fincastle, Virginia 24090 (US).

(74) Agent: GAO, Frank; McAndrews, Held & Malloy, Ltd., 500 W. Madison, 34th Floor, Chicago, Illinois 60661 (US).

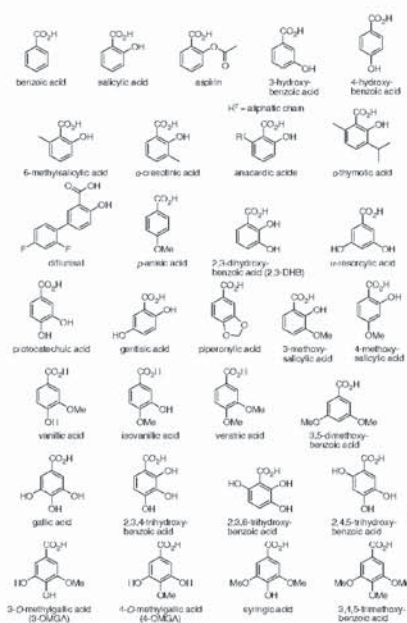
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, 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,

[Continued on next page]

(54) Title: BENZOIC ACID, BENZOIC ACID DERIVATIVES AND HETEROARYL CARBOXYLIC ACID CONJUGATES OF OXYCODONE

FIGURE 1



(57) Abstract: The presently described technology provides compositions comprising aryl carboxylic acids and, for example NSAIDs, chemically conjugated to oxycodone (4,5- α -epoxy-14-hydroxy-17-methylmorphinan-6-one) to form novel prodrugs/compositions of oxycodone, including benzoates, salicylates, propionates, fenamates, and acetates, which have a decreased potential for abuse of oxycodone. The present technology also provides methods of treating patients, pharmaceutical kits and methods of synthesizing conjugates of the present technology.



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).

Published:

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