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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
15 July 2021 (15.07.2021)

WIPO | PCT

(10) International Publication Number
WO 2021/142124 A1

(51) International Patent Classification:
A61K 9/00 (2006.01) *A61K 47/14* (2017.01)
A61K 9/06 (2006.01)

(21) International Application Number:
PCT/US2021/012507

(22) International Filing Date:
07 January 2021 (07.01.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
62/958,616 08 January 2020 (08.01.2020) US
63/003,536 01 April 2020 (01.04.2020) US

(71) Applicant: **PRINCIPIA BIOPHARMA INC.** [US/US]; 220 East Grand Avenue, South San Francisco, California 94080 (US).

(72) Inventors: **CHU, Katherine**; c/o Principia Biopharma Inc., 220 East Grand Avenue, South San Francisco, California 94080 (US). **WADHWA, Jyoti**; c/o Principia Biopharma Inc., 220 East Grand Avenue, South San Francisco, California 94080 (US). **CARBOL, Jason**; c/o Principia Biopharma Inc., 220 East Grand Avenue, South San Francisco, California 94080 (US). **PHIASIVONGSA, Pasit**; c/o Principia Biopharma Inc., 220 East Grand Avenue, South San Francisco, California 94080 (US). **LANGRISH, Claire**; c/o Principia Biopharma Inc., 220 East Grand Avenue, South San Francisco, California 94080 (US). **THOMAS, Dolca**; c/o Principia Biopharma Inc., 220 East Grand Avenue, South San Francisco, California 94080 (US).

(74) Agent: **MADL, Amy C.** et al.; Finnegan, Henderson, Farabow, Garrett & Dunner, LLP, 901 New York Avenue, NW, Washington, District of Columbia 20001-4413 (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).

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

WO 2021/142124 A1

(54) Title: TOPICAL PHARMACEUTICAL COMPOSITIONS COMPRISING 2-[3-[4-AMINO-3-(2-FLUORO-4-PHENOXY-PHENYL)-1H-PYRAZOLO[3,4-D]PYRIMIDIN-1-YL]PIPERIDINE-1-CARBONYL]-4,4-DIMETHYLPENT-2-ENENITRILE

(57) Abstract: Disclosed herein are topical pharmaceutical compositions, e.g., for application to the skin of a subject, comprising (R)-2-(3-(4-amino-3-(2-fluoro-4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-1-yl)piperidine-1-carbonyl)-4,4-dimethylpent-2-enenitrile (Compound (I)), methods of making the same, and methods of using the same, e.g., for the treatment of various dermatological disorders.