

(19) World Intellectual Property  
Organization  
International Bureau



WIPO | PCT



(10) International Publication Number

WO 2022/140293 A9

(43) International Publication Date  
30 June 2022 (30.06.2022)

## (51) International Patent Classification:

C07D 239/54 (2006.01) C07C 69/738 (2006.01)  
C07D 239/47 (2006.01) C07C 69/65 (2006.01)

Menlo Park, California 94025 (US). **TYRRELL, Nicholas, David**; c/o Corcept Therapeutics Incorporated, 149 Commonwealth Drive, Menlo Park, California 94025 (US).

## (21) International Application Number:

PCT/US2021/064428

(74) **Agent: TRIMBLE, Alexander R.**; Mintz Levin Cohn Ferris Glovsky and Popeo, P.C., One Financial Center, Boston, Massachusetts 02111 (US).

## (22) International Filing Date:

20 December 2021 (20.12.2021)

## (25) Filing Language:

English

## (26) Publication Language:

English

## (30) Priority Data:

63/128,539 21 December 2020 (21.12.2020) US

(71) **Applicant: CORCEPT THERAPEUTICS INCORPORATED** [US/US]; 149 Commonwealth Drive, Menlo Park, California 94025 (US).

(72) **Inventors: DENER, Jeffrey Mark**; c/o Corcept Therapeutics Incorporated, 149 Commonwealth Drive, Menlo Park, California 94025 (US). **HUNT, Hazel Joan**; c/o Corcept Therapeutics Incorporated, 149 Commonwealth Drive,

(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,

(54) **Title:** METHOD OF PREPARING PYRIMIDINE CYCLOHEXYL GLUCOCORTICOID RECEPTOR MODULATORS

XRPD of Formula II Monohydrate

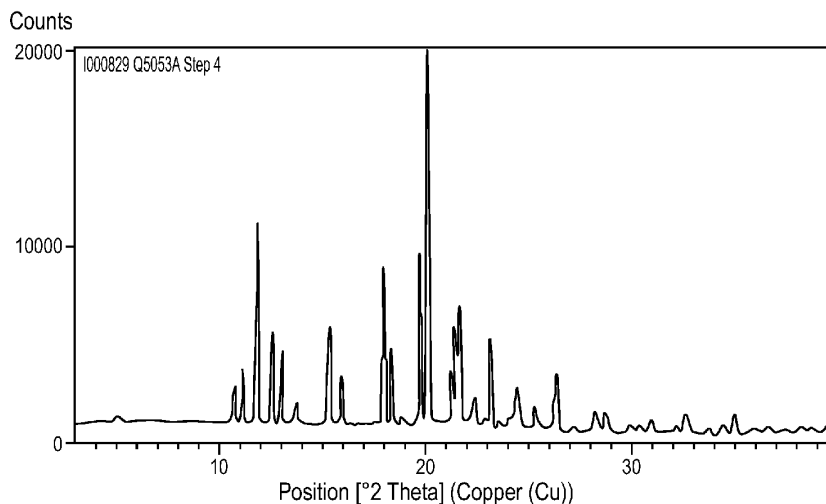


FIG. 1

(57) **Abstract:** The present invention provides methods of preparing 2-amino-6-((1r,4r)-4-phenylcyclohexyl)-5-(3-(trifluoromethyl)benzyl)pyrimidin-4(3H)-one, and 2-amino-6-((1r,4r)-4-phenylcyclohexyl)-5-(3-(trifluoromethyl)benzyl)pyrimidin-4(3H)-one monohydrate, as well as new intermediate compounds.

[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).

**Published:**

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

**(48) Date of publication of this corrected version:**

25 August 2022 (25.08.2022)

**(15) Information about Correction:**

see Notice of 25 August 2022 (25.08.2022)