

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

(19) World Intellectual Property  
Organization

International Bureau

(43) International Publication Date  
17 February 2022 (17.02.2022)



(10) International Publication Number  
**WO 2022/036030 A1**

(51) International Patent Classification:  
C07D 487/04 (2006.01)

TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
KM, ML, MR, NE, SN, TD, TG).

(21) International Application Number:  
PCT/US2021/045652

**Declarations under Rule 4.17:**

— as to applicant's entitlement to apply for and be granted a  
patent (Rule 4.17(ii))

(22) International Filing Date:  
12 August 2021 (12.08.2021)

**Published:**

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

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
63/064,695 12 August 2020 (12.08.2020) US

(71) Applicant: **CONCERT PHARMACEUTICALS, INC.**  
[US/US]; 65 Hayden Avenue, Suite 3000n, Lexington, MA  
02421 (US).

(72) Inventors: **WIEDEMANN, Sean**; 11 Cutting Lane,  
Burlington, MA 01803 (US). **COWDEN, Cameron, J.**;  
51 Baskin Road, Lexington, MA 02421 (US). **BAZINET,**  
**Patrick**; 34 Alpine Street, Somerville, MA 02144 (US).  
**KAVOURIS, Kathryn, E.**; 525 Willard Drive, Apt.324,  
Folsom, CA 95630 (US). **WU, Kuo-Ming**; c/o CoNcERT  
Pharmaceuticals, Inc., 65 Hayden Avenue, Suite 3000N,  
Lexington, MA 02421 (US). **LEWIS, Robert, S.**; c/o CoN-  
CERT Pharmaceuticals, Inc., 65 Hayden Avenue, Suite  
3000N, Lexington, MA 02421 (US).

(74) Agent: **ABELLEIRA, Susan, M.** et al.; Foley Hoag LLP,  
155 Seaport Boulevard, Boston, MA 02210-2600 (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,

(54) Title: PROCESS FOR PREPARING ENANTIOMERICALLY ENRICHED JAK INHIBITORS

(57) Abstract: Certain aspects of the present invention are directed to improved processes for preparing enantiomerically enriched intermediates for the synthesis of ruxolitinib and deuterated forms of ruxolitinib. Certain aspects are also directed to deuterated intermediates useful in the synthesis of deuterated forms of ruxolitinib. Certain aspects are also directed to reaction mixtures for preparing enantiomerically enriched intermediates useful in the synthesis of ruxolitinib and deuterated forms of ruxolitinib.

WO 2022/036030 A1