

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
03 April 2025 (03.04.2025)



(10) International Publication Number
WO 2025/068505 A1

(51) International Patent Classification:
C07D 487/04 (2006.01) C07D 487/18 (2006.01)

(21) International Application Number:
PCT/EP2024/077282

(22) International Filing Date:
27 September 2024 (27.09.2024)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
23200883.9 29 September 2023 (29.09.2023) EP

(71) Applicants: **SANOFI** [FR/FR]; 46 avenue de la Grande Armée, 75017 Paris (FR). **UCB BIOPHARMA SRL** [BE/BE]; Allée de la Recherche 60, 1070 Brussels (BE).

(72) Inventors: **BARTHES, Matthieu**; c/o Sanofi, Patent Department 46 avenue de la Grande Armée, 75017 Paris (FR). **BAYLON, Christophe**; c/o Sanofi, Patent Department 46 avenue de la Grande Armée, 75017 Paris (FR). **GRECIET, Hélène**; c/o Sanofi, Patent Department 46 avenue de la Grande Armée, 75017 Paris (FR). **CER-FONTAINE, Patrick**; c/o IPD, UCB Biopharma SRL, Allée de la Recherche 60, 1070 Brussels (BE). **GERARD, Julien**; c/o IPD, UCB Biopharma SRL, Allée de la Recherche 60, 1070 Brussels (BE). **PETIT, Sylvain**; c/o IPD, UCB Biopharma SRL, Allée de la Recherche 60, 1070 Brussels (BE).

(74) Agent: **J A KEMP LLP**; 80 Turnmill Street, London Greater London EC1M 5QU (GB).

(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, CV, CZ, DE, DJ, DK, DM,

DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IQ, IR, IS, IT, JM, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, MG, MK, MN, MU, 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, CV, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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, ME, 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).

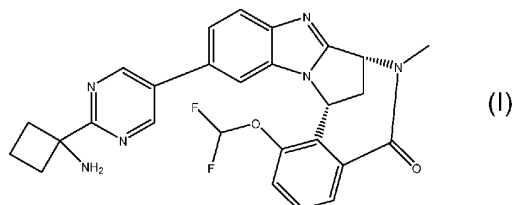
Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

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

(54) Title: PREPARATION OF BRIDGED PENTACYCLIC IMIDAZOLE DERIVATIVES AS MODULATORS OF TNF ACTIVITY, INTERMEDIATES AND THEIR PREPARATION



(57) Abstract: Provided herein is a method of preparing a compound of Formula (I): i.e. (7R,14R)-11-[2-(1-aminocyclobutyl)pyrimidin-5-yl]-1-(difluoromethoxy)-6-methyl-6,7-dihydro-7,14-methanobenzimidazo[1,2-b][2,5]benzodiazocin-5(14H)-one. Also described are intermediates, methods of manufacturing intermediates, and a crystalline form of an intermediate.



WO 2025/068505 A1