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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
09 December 2021 (09.12.2021)

WIPO | PCT

(10) International Publication Number
WO 2021/245070 A1

(51) International Patent Classification:
C07D 413/14 (2006.01) *A61K 31/506* (2006.01)
C07D 417/14 (2006.01) *A61P 29/00* (2006.01)
C07D 471/04 (2006.01) *A61P 31/16* (2006.01)

(21) International Application Number:
PCT/EP2021/064657

(22) International Filing Date:
01 June 2021 (01.06.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
20315292.1 02 June 2020 (02.06.2020) EP

(71) Applicant: **SANOFI** [FR/FR]; 54 rue La Boétie, 75008 Paris (FR).

(72) Inventors: **DEFOSSA, Elisabeth**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **GLOMBIK, Heiner**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **HEINELT, Uwe**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **MATTER, Hans**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **MENDEZ-PEREZ, Maria**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **RACKELMANN, Nils**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **RITTER, Kurt**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **SCHWINK, Lothar**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **SZILLAT, Hauke**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **ZECH, Gernot**; c/o Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE).

(74) Agent: **SANOFI-AVENTIS DEUTSCHLAND GMBH**; Global Intellectual Property Department, Industriepark Höchst, Geb. K 703, 65926 Frankfurt am Main (DE).

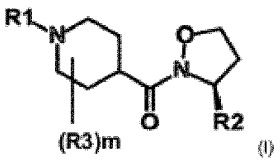
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS,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

Declarations under Rule 4.17:
— *of inventorship (Rule 4.17(iv))*

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

(54) Title: ISOXAZOLIDINES AS RIPK1 INHIBITORS AND USE THEREOF



(I)

(57) Abstract: The present invention relates to isoxazolidines of formula I and their use as receptor-interacting protein kinase 1 inhibitors, for example in the treatment of diseases and disorders mediated by RIP kinase (1) such as rheumatoid arthritis (RA), psoriasis, inflammatory bowel disease (IBD), Crohn's disease or ulcerative colitis.

WO 2021/245070 A1