

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
23 December 2021 (23.12.2021)



(10) International Publication Number
WO 2021/255279 A1

(51) International Patent Classification:

C07D 263/28 (2006.01) C07D 417/04 (2006.01)
C07C 335/16 (2006.01) A61K 31/421 (2006.01)
C07D 413/04 (2006.01) A61P 9/00 (2006.01)
C07D 233/64 (2006.01) A61P 19/00 (2006.01)
C07D 213/64 (2006.01) A61P 25/00 (2006.01)
C07D 213/55 (2006.01) A61P 29/00 (2006.01)
C07D 309/06 (2006.01) A61P 37/00 (2006.01)
C07D 261/08 (2006.01)

(21) International Application Number:

PCT/EP2021/066695

(22) International Filing Date:

18 June 2021 (18.06.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

20 18 1221.1 19 June 2020 (19.06.2020) EP
21 16 4097.4 22 March 2021 (22.03.2021) EP

(71) Applicant: AC IMMUNE SA [CH/CH]; EPFL Innovation
Park, Building B, 1015 Lausanne (CH).

(72) Inventors: GABELLIERE, Emanuele; Chemin de
Fontanney 39, 1052 Le mont sur Lausanne (CH), MO-
LETTE, Jérôme; 37 La Ruelle Brétigny, 01280 Prévessin-
Moëns (FR), DEHLINGER, Véronique; Chemin de
Joulens, 1, 1110 Morges (CH).

(74) Agent: VOSSIUS & PARTNER; Patentanwälte Rechtsan-
wälte mbB, Siebertstrasse 3, 81675 München (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,

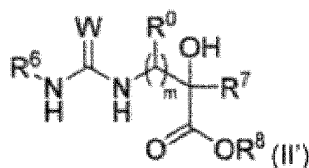
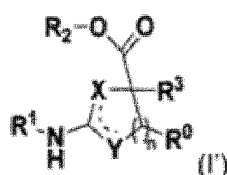
HR, HU, ID, IL, IN, IR, IS, IT, JO, JP, KE, KG, KH, KN,
KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO,
NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW,
SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, 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).

Published:

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

(54) Title: D I H YD ROOXAZO LE AND THIOUREA DERIVATIVES MODULATING THE NLRP3 INFLAMMASOME PATH-
WAY



(57) Abstract: The invention relates to novel compounds of formulae (I') and (II') for the treatment, alleviation or prevention of diseases, disorders and abnormalities which are responsive to the modulation or inhibition of the activation of a component of the NLRP3 inflammasome pathway. In particular, the component of the inflammasome pathway is a NOD-like receptor (NLR) family, pyrin domain-containing protein 3 (NLRP3) inflammasome. More particularly, the compounds of the present invention have the capability to modulate the NLRP3 inflammasome pathway. Further, the compounds of the present invention are suitable for the treatment, alleviation or prevention of diseases, disorders and abnormalities which are responsive to the modulation, in particular decrease, of IL-1 beta and/or IL-18 levels.

WO 2021/255279 A1