

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
17 November 2022 (17.11.2022)



(10) International Publication Number
WO 2022/238327 A1

(51) International Patent Classification:

A61P 35/00 (2006.01) C07D 498/10 (2006.01)
A61P 1/00 (2006.01) A61K 31/519 (2006.01)
A61P 9/00 (2006.01) A61K 31/5386 (2006.01)
A61P 11/00 (2006.01) A61K 31/444 (2006.01)
A61P 21/00 (2006.01) C07D 213/74 (2006.01)
A61P 25/16 (2006.01) C07D 401/04 (2006.01)
A61P 27/02 (2006.01) C07D 401/12 (2006.01)
A61P 29/00 (2006.01) C07D 413/04 (2006.01)
C07D 491/048 (2006.01) C07D 413/14 (2006.01)
C07D 491/107 (2006.01) C07D 401/14 (2006.01)
C07D 498/04 (2006.01)

(21) International Application Number:

PCT/EP2022/062480

(22) International Filing Date:

09 May 2022 (09.05.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

21173689.7 12 May 2021 (12.05.2021) EP

(71) Applicant: **BOEHRINGER INGELHEIM INTERNATIONAL GMBH** [DE/DE]; Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE).

(72) Inventors: **HEIMANN, Annekatrin Charlotte**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **GNAMM, Christian**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **GODBOUT, Cédric**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **GROSS, Patrick**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **HANDSCHUH, Sandra Ruth**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM

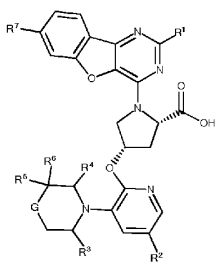
AM RHEIN (DE). **HOENKE, Christoph**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **KLEY, Joerg**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **KUTTRUFF, Christian Andreas**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **REINERT, Dirk**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE). **STUBER, Raphael**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (DE).

(74) Agent: **SIMON, Elke Anna Maria**; Boehringer Ingelheim International GmbH, Corporate Patents, Binger Strasse 173, 55216 INGELHEIM AM RHEIN (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, IQ, IR, IS, IT, JM, 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).

(54) Title: PYRIDINE DERIVATIVES WITH N-LINKED CYCLIC SUBSTITUENTS AS CGAS INHIBITORS



(57) Abstract: The invention relates to new proline derivatives of formula (I) as cGAS inhibitors, wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} and G are defined as in claim 1, and prodrugs or pharmaceutically acceptable salts of these compounds for the treatment of diseases such as systemic lupus erythematosus, systemic sclerosis (SSc), non-alcoholic steatotic hepatitis (NASH), interstitial lung disease (ILD) and idiopathic pulmonary fibrosis (IPF).

[Continued on next page]

Declarations under Rule 4.17:

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

Published:

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