

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
29 October 2020 (29.10.2020)



(10) International Publication Number
WO 2020/216781 A1

(51) International Patent Classification:

C07D 471/04 (2006.01) A61P 35/00 (2006.01)
A61K 31/4545 (2006.01)

(21) International Application Number:

PCT/EP2020/061176

(22) International Filing Date:

22 April 2020 (22.04.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/838,051 24 April 2019 (24.04.2019) US
62/940,036 25 November 2019 (25.11.2019) US

(71) Applicants: **BAYER AKTIENGESELLSCHAFT**

[DE/DE]; Kaiser-Wilhelm-Allee 1, 51373 Leverkusen
(DE). **THE BROAD INSTITUTE, INC.** [US/US];
415 Main Street, Cambridge, Massachusetts 02142
(US). **DANA-FARBER CANCER INSTITUTE, INC.**
[US/US]; 450 Brookline Avenue, Boston, Massachusetts
02215 (US).

(72) Inventors: **SIEGEL, Stephan**; Aschaffener Str. 6,

10779 Berlin (DE). **SIEGEL, Franziska**; Schivelbeiner
Strasse 32, 10439 Berlin (DE). **SCHULZE, Volker**;
Emil-Czekowski-Str. 5, 16562 Hohen Neuendorf, OT Bergf
(DE). **BERGER, Markus**; Albrechtstraße 83, 12167 Berlin
(DE). **GRAHAM, Keith**; Greifswalderstrasse 228, 10405
Berlin (DE). **SÜLZLE, Detlev**; Otternweg 15, 13465 Berlin
(DE). **BÖMER, Ulf**; Leipziger Str. 49, 16548 Glienicke
(DE). **KORR, Daniel**; An den Eldenaer Höfen 49, 10247

Berlin (DE). **SCHRÖDER, Jens**; Chausseestrasse 50b,
10115 Berlin (DE). **MÖNNING, Ursula**; Eichendamm 5,
15569 Woltersdorf (DE). **NIEHUES, Michael**; Hähnel-
straße 19, 12159 Berlin (DE). **MEYERSON, Matthew**; c/
o Dana-Farber Cancer Institute, Inc., 450 Brookline Av-
enue, Boston, MA 02215 (US). **GREULICH, Heidi**; c/o
The Broad Institute, Inc., 415 Main Street, Cambridge, MA
02142 (US). **KAPLAN, Bethany**; c/o The Broad Institute,
Inc., 415 Main Street, Cambridge, MA 02142 (US).

(74) Agent: **BIP PATENTS**; Alfred-Nobel-Str. 10, 40789 Mon-
heim am Rhein NRW (DE).

(81) Designated States (unless otherwise indicated, for every

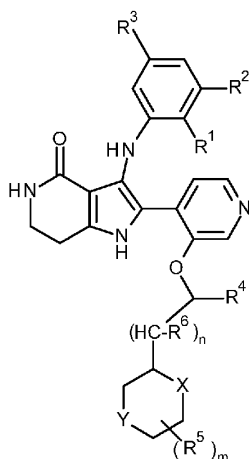
kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO,
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,
HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP,
KR, KW, KZ, LA, LC, LK, LR, LS, LU, 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: 4H-PYRROLO[3,2-C]PYRIDIN-4-ONE COMPOUNDS

(57) Abstract: Compounds of formula (I), formula (I), processes for their production and their use as pharmaceuticals.



(I)

WO 2020/216781 A1

[Continued on next page]

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

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))*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*
- *with sequence listing part of description (Rule 5.2(a))*