

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
27 February 2020 (27.02.2020)



(10) International Publication Number
WO 2020/038983 A1

(51) International Patent Classification:

A61P 29/00 (2006.01) A61K 31/69 (2006.01)
C07F 5/02 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/EP2019/072341

(22) International Filing Date:

21 August 2019 (21.08.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/721,113 22 August 2018 (22.08.2018) US

(71) Applicant: **ASTRAZENECA AB** [SE/SE]; SE-151 85
Södertälje (SE).

(72) Inventor: **WU, Dedong**; AstraZeneca R&D Boston 35
Gatehouse Drive, Waltham, MA 02451 (US).

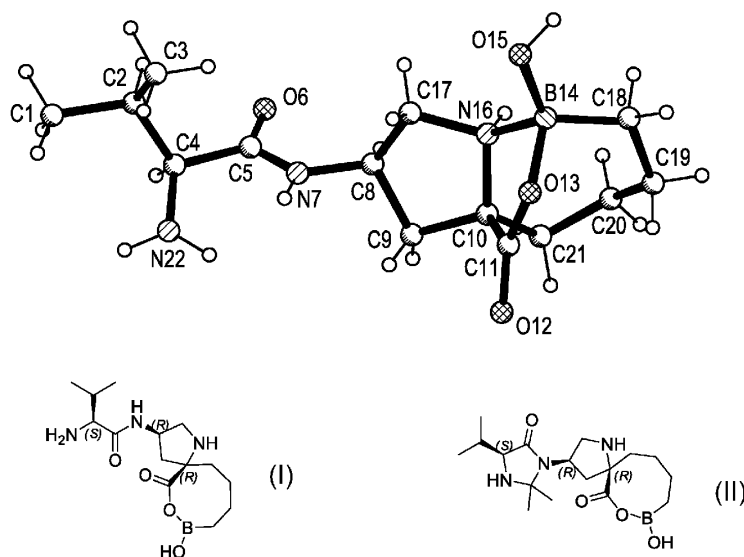
(74) Agent: **NESS, Mark, David** et al.; AstraZeneca Intellectual
Property, Milstein Building, Granta Park, Cambridge Cam-
bridgeshire CB21 6GH (GB).

(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, 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, SM, ST, SV, SY, TH, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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: ARGINASE INHIBITORS AND METHODS OF USE THEREOF

FIG. 2



(57) Abstract: Disclosed include crystalline (S)-2-amino-N-((3R,5R)-8-hydroxy-6-oxo-7-oxa-1-aza-8-boraspiro[4.7]dodecan-3-yl)-3-methylbutanamide in Form D and in Form E: Formula (I); (3R,5R)-8-hydroxy-3-((S)-4-isopropyl-2,2-dimethyl-5-oxoimidazolidin-1-yl)-7-oxa-1-aza-8-boraspiro[4.7]dodecan-6-one or a pharmaceutically acceptable salt thereof or the crystalline Form 1 thereof: Formula (II); and pharmaceutical compositions and methods of using the same.

[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))*