

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
13 August 2020 (13.08.2020)



(10) International Publication Number
WO 2020/161675 A1

(51) International Patent Classification:

A61P 29/00 (2006.01) A61P 35/00 (2006.01)

A61K 31/69 (2006.01) C07F 5/02 (2006.01)

(21) International Application Number:

PCT/IB2020/050982

(22) International Filing Date:

07 February 2020 (07.02.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/802,765 08 February 2019 (08.02.2019) US

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

(72) Inventors: **MLYNARSKI, Scott Nathan**; AstraZeneca
Pharmaceuticals LP, 35 Gatehouse Drive, Waltham, Mass-
achusetts 02451 (US). **GREBE, Tyler**; AstraZeneca Phar-
maceuticals LP, 35 Gatehouse Drive, Waltham, Massachu-
setts 02451 (US). **KAWATKAR, Sameer**; AstraZeneca
Pharmaceuticals LP, 35 Gatehouse Drive, Waltham, Mass-
achusetts 02451 (US). **FINLAY, Maurice Raymond Ver-
schoye**; AstraZeneca UK Limited, 1 Francis Crick Ave-
nue, Cambridge Biomedical Campus, Cambridge CB2
0AA (GB). **SIMPSON, Iain**; AstraZeneca UK Limited,
1 Francis Crick Avenue, Cambridge Biomedical Campus,
Cambridge CB2 0AA (GB).

(74) Agent: **NESS, Mark**; AstraZeneca Intellectual Property,
Milstein Building, Grant Park, Cambridge 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, 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).

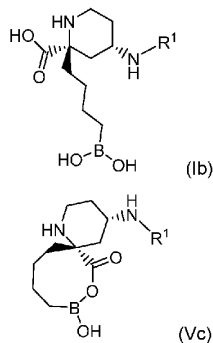
Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a
patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii))

Published:

- with international search report (Art. 21(3))
- in black and white; the international application as filed
contained color or greyscale and is available for download
from PATENTSCOPE

(54) Title: ARGINASE INHIBITORS AND METHODS OF USE THEREOF



(57) Abstract: Disclosed are compounds of formula (Ib) or (Vc), or a pharmaceutically acceptable salt thereof, pharmaceutical com-
positions comprising compounds of formula (Ib) or (Vc) and methods of using the same for treating cancer, respiratory inflammatory
disease, and inhibiting arginase; wherein R¹ is -H or -C(O)CH(R^{1a})NHR^{1b}; and R^{1a} is selected from -H, -(C₁-C₄) alkyl and CH₂OR^{1c};
R^{1b} is -H; or alternatively, R^{1a} and R^{1b}, together with the atom to which they are attached, form a 5-membered heterocyclic ring; and
R^{1c} is H or -CH₃.



WO 2020/161675 A1