

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
03 December 2020 (03.12.2020)



(10) International Publication Number
WO 2020/243155 A1

(51) International Patent Classification:

A61K 31/395 (2006.01) C07D 245/04 (2006.01)
A61P 31/04 (2006.01)

(21) International Application Number:

PCT/US2020/034670

(22) International Filing Date:

27 May 2020 (27.05.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/853,457 28 May 2019 (28.05.2019) US

(71) Applicant (for US only): **GENENTECH, INC.** [US/US];
1 DNA Way, South San Francisco, California 94080 (US).

(71) Applicant (for all designated States except US): **F. HOFF-
MANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse
124, 4070 Basel (CH).

(72) Inventors: **KOEHLER, Michael Friedrich Thomas**; c/o
Genentech, Inc., 1 DNA Way, South San Francisco,
California 94080 (US). **SMITH, Peter Andrew**; c/o
Genentech, Inc., 1 DNA Way, South San Francisco, Cal-
ifornia 94080 (US). **WINTER, Dana**; c/o Paraza Phar-
ma, Inc., 2525 Marie-Curie Avenue, Montreal, Québec
H4S 2E1 (CA). **SOW, Boubacar**; c/o Paraza Pharma,
Inc., 2525 Marie-Curie Avenue, Montreal, Québec H4S
2E1 (CA). **STURINO, Claudio**; c/o Paraza Pharma, Inc.,
2525 Marie-Curie Avenue, Montreal, Québec H4S 2E1
(CA). **PELLETIER, Guillaume**; 2030 rue Sainte-Marie,
Saint-Lazare, Québec J7T 2V4 (CA). **BOUDREAULT,
Jonathan**; 227 rue du Boisé, L'Ile-Perrot, Québec J7V 8T7
(CA).

(74) Agent: **SANDERS, Marisa B.** et al.; Alston & Bird LLP,
Bank of America Plaza, 101 South Tryon Street, Suite 4000,
Charlotte, North Carolina 28280-4000 (US).

(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 the identity of the inventor (Rule 4.17(i))

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))

(54) Title: MACROCYCLIC BROAD SPECTRUM ANTIBIOTICS

(57) Abstract: Provided herein are antibacterial compounds, wherein the compounds in some embodiments have broad spectrum bioactivity. In various embodiments, the compounds act by inhibition of bacterial type I signal peptidases SpsB and/or LepB, an essential protein in bacteria. Pharmaceutical compositions and methods for treatment using the compounds described herein are also provided.

WO 2020/243155 A1