

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
23 May 2019 (23.05.2019)



(10) International Publication Number
WO 2019/099582 A1

(51) International Patent Classification:

C07F 5/04 (2006.01) A61P 9/00 (2006.01)
A61K 31/69 (2006.01) A61P 37/00 (2006.01)

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

(21) International Application Number:

PCT/US2018/061140

(22) International Filing Date:

14 November 2018 (14.11.2018)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/587,376 16 November 2017 (16.11.2017) US

(71) Applicant: **PRINCIPIA BIOPHARMA INC.** [US/US];
400 E. Jamie Court, Suite 302, South San Francisco, Cali-
fornia 94080 (US).

(72) Inventors: **LOU, Yan**; c/o Principia Biopharma Inc., 400
E. Jamie Court, Suite 302, South San Francisco, Califor-
nia 94080 (US). **OWENS, Timothy Duncan**; c/o Princip-
ia Biopharma Inc., 400 E. Jamie Court, Suite 302, South
San Francisco, California 94080 (US). **BRAMELD, Ken-
neth Albert**; c/o Principia Biopharma Inc., 400 E. Jamie
Court, Suite 302, South San Francisco, California 94080
(US). **GOLDSTEIN, David Michael**; c/o Principia Bio-
pharma Inc., 400 E. Jamie Court, Suite 302, South San Fran-
cisco, California 94080 (US).

(74) Agent: **MALLON, Joseph, J.**; Knobbe, Martens, Olson &
Bear, LLP, 2040 Main Street, 14th Floor, Irvine, California
92614 (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, 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,

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))*

WO 2019/099582 A1

(54) Title: IMMUNOPROTEASOME INHIBITORS

(57) Abstract: Provided herein are compounds, such as a compound of Formula (I), or a pharmaceutically acceptable salt thereof, that are immunoproteasome (such as LMP2 and LMP7) inhibitors. The compounds described herein can be useful for the treatment of diseases treatable by inhibition of immunoproteasomes. Also provided herein are pharmaceutical compositions containing such compounds and processes for preparing such compounds.