

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
09 April 2020 (09.04.2020)



(10) International Publication Number
WO 2020/070651 A1

(51) International Patent Classification:

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

A61P 29/00 (2006.01)

(21) International Application Number:

PCT/IB2019/058355

(22) International Filing Date:

01 October 2019 (01.10.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/741,868 05 October 2018 (05.10.2018) US

62/889,599 21 August 2019 (21.08.2019) US

(71) Applicant: **PFIZER INC.** [US/US]; 235 East 42nd Street,
New York, New York 10017 (US).

(72) Inventors: **STROHBACH, Joseph Walter**; c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US). **BLAKEMORE, David Clive**; c/o Pfizer Inc., 445 Eastern Point Road, Bldg. 98, Groton, Connecticut 06340 (US). **JONES, Peter**; 10 Pine Road, Sharon, Massachusetts 02067 (US). **LIMBURG, David Christopher**; c/o Pfizer Inc., 445 Eastern Point Road, Bldg. 98, Groton, Connecticut 06340 (US). **ODERINDE, Martins Sunday**; 1221 Wheldon Shivers Drive, Ewing, New Jersey 08628 (US). **TORELLA, Rubben Federico**; c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US). **YEOH, Thean Yeow**; c/o Pfizer Inc., 445 Eastern Point Road, Bldg. 98, Groton, Connecticut 06340 (US). **AKAMA, Tsutomu**; 1-4-28-204 Ikenohata, Taito-ku, Tokyo 110-0008 (JP). **JACOBS, Robert Toms**; 1849 Old College Circle, Wake Forest, North Carolina 27587 (US). **PERRY, Matthew Alexander**; c/o Pfizer Inc., 445 Eastern Point Road, Bldg. 98, Groton, Connecticut 06340 (US). **PLATTNER, Jacob John**; 2873 NW Perlette Lane, Bend, Oregon 97703 (US). **ZHOU, Yashen**; 62 Sanders Ranch Road, Moraga, California 94556 (US).

(74) Agent: **ZIELINSKI, Bryan C.**; PFIZER INC., 235 East 42nd Street, MS 235/9/S20, New York, New York 10017 (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, 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: BORON CONTAINING PDE4 INHIBITORS

(57) Abstract: The present invention relates to boron containing compounds of Formula (I) X-Y-Z Formula (I) that inhibit phosphodiesterase 4 (PDE4). The invention also encompasses pharmaceutical compositions containing these compounds and methods for treating diseases, conditions, or disorders ameliorated by inhibition of PDE4.

WO 2020/070651 A1