

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
17 October 2019 (17.10.2019)



(10) International Publication Number
WO 2019/199864 A1

(51) International Patent Classification:

C07D 403/12 (2006.01) C07D 265/36 (2006.01)
C07D 405/14 (2006.01) C07D 498/08 (2006.01)
C07D 401/04 (2006.01) C07D 295/12 (2006.01)
C07D 239/34 (2006.01) C07D 213/65 (2006.01)
C07D 405/12 (2006.01) A61P 25/00 (2006.01)
C07D 239/42 (2006.01) A61K 31/506 (2006.01)
C07D 487/04 (2006.01)

(21) International Application Number:

PCT/US2019/026634

(22) International Filing Date:

09 April 2019 (09.04.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/655,741 10 April 2018 (10.04.2018) US

(71) Applicant: **NEUROPORE THERAPIES, INC.**, [US/US];
10835 Road to the Cure, Suite 230, San Diego, California
92121 (US).

(72) Inventors: **STOCKING, Emily M.**; c/o Neuropore Thera-
pies, Inc., 10835 Road to the Cure, Suite 230, San Diego,
California 92121 (US). **WRASIDLO, Wolfgang J.**; c/o
Neuropore Therapies, Inc., 10835 Road to the Cure, Suite
230, San Diego, California 92121 (US).

(74) Agent: **CAO, Yuchen** et al.; Morrison & Foerster LLP,
12531 High Bluff Drive, Suite 100, San Diego, California
92130-2040 (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).

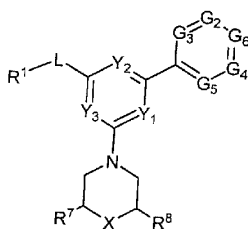
Declarations under Rule 4.17:

— as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii))

Published:

— with international search report (Art. 21(3))

(54) Title: TRI-SUBSTITUTED ARYL AND HETEROARYL DERIVATIVES AS MODULATORS OF PI3-KINASE AND AU-
TOPHAGY PATHWAYS



(57) Abstract: The present disclosure relates to tri-substituted aryl and heteroaryl derivatives, pharmaceutical compositions containing them, and methods of using them, including meth-
ods for modulating autophagy or preventing, reversing, slowing or inhibiting the PI3K-AKT-
MTOR pathway, and methods of treating diseases that are associated with autophagy or the
PI3K-AKT-MTOR pathway.

WO 2019/199864 A1