

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
23 November 2023 (23.11.2023)



(10) International Publication Number
WO 2023/224853 A1

(51) International Patent Classification:

C07D 211/34 (2006.01) C07D 471/04 (2006.01)
C07D 401/04 (2006.01) A61P 29/00 (2006.01)
C07D 401/06 (2006.01) A61K 31/4523 (2006.01)
C07D 405/12 (2006.01)

(21) International Application Number:

PCT/US2023/021802

(22) International Filing Date:

11 May 2023 (11.05.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/342,828 17 May 2022 (17.05.2022) US
63/413,691 06 October 2022 (06.10.2022) US

(71) Applicant: **ELI LILLY AND COMPANY** [US/US]; Lilly
Corporate Center, Indianapolis, Indiana 46285 (US).

(72) Inventors: **BEAUCHAMP, Thomas James**; c/o Eli Lilly
and Company, P.O. Box 6288, Indianapolis, Indiana
46206-6288 (US). **CHEN, Zhaogen**; c/o Eli Lilly and Com-
pany, P.O. Box 6288, Indianapolis, Indiana 46206-6288
(US). **CONNER, Scott Eugene**; c/o Eli Lilly and Com-
pany, P.O. Box 6288, Indianapolis, Indiana 46206-6288
(US). **ERICKSON, Jon Andre**; c/o Eli Lilly and Com-
pany, P.O. Box 6288, Indianapolis, Indiana 46206-6288
(US). **GARCIA PAREDES, Maria Cristina**; c/o Eli Lil-
ly and Company, P.O. Box 6288, Indianapolis, Indiana
46206-6288 (US). **LINESWALA, Jayana Pankajkumar**;
c/o Eli Lilly and Company, P.O. Box 6288, Indianapo-
lis, Indiana 46206-6288 (US). **SHER, Emanuele**; c/o Eli
Lilly and Company, P.O. Box 6288, Indianapolis, Indiana
46206-6288 (US). **THAPA, Bishnu**; c/o Eli Lilly and Com-
pany, P.O. Box 6288, Indianapolis, Indiana 46206-6288
(US). **WINNEROSKI, Leonard Larry II**; c/o Eli Lil-
ly and Company, P.O. Box 6288, Indianapolis, Indiana
46206-6288 (US).

(74) Agent: **MAGALLANES, Gabriel et al.**; Eli Lilly
and Company, P.O. Box 6288, Indianapolis, Indiana
46206-6288 (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, CV, CZ, DE, DJ, DK, DM,
DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IQ, IR, IS, IT, JM, JO, JP, KE, KG,
KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY,
MA, MD, MG, MK, MN, MU, 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, CV,
GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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, ME, 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).

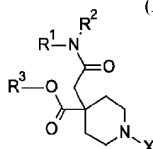
Declarations under Rule 4.17:

- 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))

(54) Title: AT2R ANTAGONISTS AND USES THEREOF



(I)

(57) Abstract: This invention provides certain piperidine compounds as AT₂R antagonists, e.g., compounds of formula (I): or pharmaceutically acceptable salts thereof, wherein R¹, R², R³, and X are as defined herein, pharmaceutical compositions thereof, and methods of using the same to treat pain associated with AT₂R activity.



WO 2023/224853 A1