



(51) International Patent Classification:
C12Q 1/00 (2006.01)

(21) International Application Number:
PCT/US2014/011098

(22) International Filing Date:
10 January 2014 (10.01.2014)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/751,038 10 January 2013 (10.01.2013) US

(71) Applicant: TAU THERAPEUTICS LLC [US/US]; 600
E. Water Street, Suite E, Charlottesville, VA 22902 (US).

(72) Inventors: GRAY, Lloyd, S.; 1173 Bracketts Farm Road,
Louisa, VA 23093 (US). MACDONALD, Timothy; 200
Douglas Avenue, Apt 3B, Charlottesville, VA 22902 (US).

(74) Agent: PHILLIPS, Eifion; Fish & Richardson P.C., P.O.
Box 1022, Minneapolis, MN 55440-1022 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,

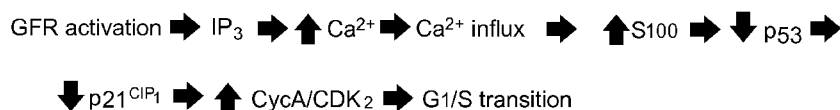
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY,
BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM,
DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR,
KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ,
OM, 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, 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).

Published:

— without international search report and to be republished
upon receipt of that report (Rule 48.2(g))

(54) Title: T-TYPE CALCIUM CHANNEL INHIBITORS FOR TREATMENT OF CANCER



(57) Abstract: Presented herein are compounds that inhibit T-type Ca²⁺ channel activity in a cell when the cell membrane potential is about -90 mV. Preferred compounds inhibit T-type Ca²⁺ channel activity with an IC₅₀ of 10 μM or less at a membrane potential of about -90 mV. Preferred compounds show selectivity for inhibiting T-type Ca²⁺ channel activity at about -90 mV, relative to inhibition of T-type Ca²⁺ channel activity at about -30 mV to -60 mV, of 10: 1 or less. Also provided are methods for identifying compounds that inhibit T-type Ca²⁺ channel activity in a cell when the cell membrane potential is about -90 mV, and compounds identified by such methods.

