

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
30 September 2004 (30.09.2004)

PCT

(10) International Publication Number
WO 2004/083190 A1

(51) International Patent Classification⁷: **C07D 249/08**,
249/10, A61K 31/4196, A61P 25/00

(21) International Application Number:
PCT/US2004/007830

(22) International Filing Date: 12 March 2004 (12.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/455,952 18 March 2003 (18.03.2003) US

(71) Applicant (for all designated States except US): **MERCK & CO. INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHAKRAVARTY, Prasun, K.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **FISHER, Michael, H.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **PALUCKI, Brenda** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **PARK, Min, K.** [KR/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

PARSONS, William, H. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **ZHOU, Bishan** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **CAREY, James, P.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **FRANTZ, Douglas, E.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **KRESS, Michael, H.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WEAVER, Damian** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

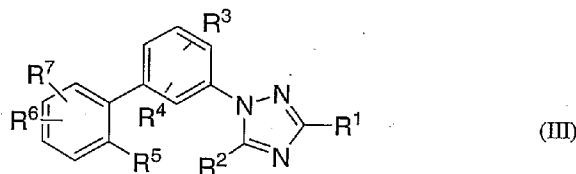
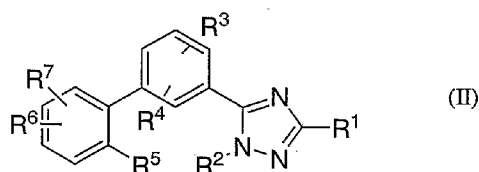
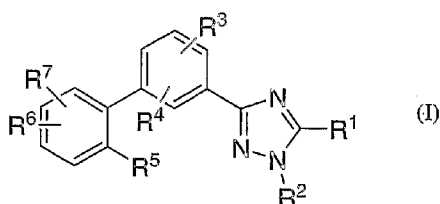
(74) Common Representative: **MERCK & CO. INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),

[Continued on next page]

(54) Title: BIARYL SUBSTITUTED TRIAZOLES AS SODIUM CHANNEL BLOCKERS



(57) Abstract: Biaryl substituted triazole compounds represented by Formula (I), (II) or (III), or pharmaceutically acceptable salts thereof, and a process for making such compounds and salts thereof. Pharmaceutical compositions comprise an effective amount of the instant compounds, either alone, or in combination with one or more other therapeutically active compounds, and a pharmaceutically acceptable carrier. Methods of treating conditions associated with, or caused by, sodium channel activity, including, for example, acute pain, chronic pain, visceral pain, inflammatory pain, neuropathic pain, epilepsy, irritable bowel syndrome, depression, anxiety, multiple sclerosis, and bipolar disorder, comprise administering an effective amount of the present compounds, either alone, or in combination with one or more other therapeutically active compounds. A method of administering local anesthesia comprises administering an effective amount of a compound of the instant invention, either alone, or in combination with one or more other therapeutically active compounds, and a pharmaceutically acceptable carrier.

WO 2004/083190 A1



Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.