

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
12 June 2003 (12.06.2003)

PCT

(10) International Publication Number
WO 03/048130 A2(51) International Patent Classification⁷: **C07D 233/00**

(21) International Application Number: PCT/US02/36128

(22) International Filing Date:
26 November 2002 (26.11.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/334,453 30 November 2001 (30.11.2001) US(71) Applicant (for all designated States except US): **ELI LILLY AND COMPANY** [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **GIBSON, Tracey, Ann** [US/US]; 4416 Par Drive, Indianapolis, IN 46268 (US). **JOHNSTON, Richard, Duane** [US/US]; 3712 Forest Lane, Greenfield, IN 46140 (US). **MANTLO, Nathan, Bryan** [US/US]; 7325 East 800 North, Brownsburg, IN 46112 (US). **THOMPSON, Richard, Craig** [US/US]; 763 North 900 West, Frankfort, IN 46041 (US). **WANG, Xiaodong** [CN/US]; 14066 Honey Tree Drive, Carmel, IN 46032 (US). **WINNEROSKI, Leonard, Larry, Junior** [US/US]; 587 Thoroughbred Lane, Greenwood, IN 46142 (US). **XU, Yanping** [CN/US]; 13832 Barnett Place, Fishers, IN 46038 (US).(74) Agents: **VORNDRAN-JONES, MaCharri** et al.; Eli Lilly and Company, P. O. Box 6288, Indianapolis, IN 46206-6288 (US).

(81) Designated States (national): AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), 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, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK (utility model), SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

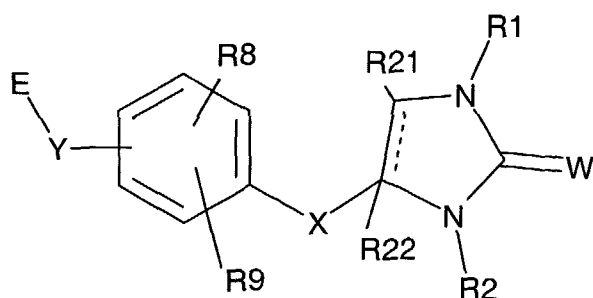
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, 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, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

[Continued on next page]

(54) Title: PEROXISOME PROLIFERATOR ACTIVATED RECEPTOR AGONISTS



(I)

(57) **Abstract:** The present invention is directed to compounds represented by the following structural Formula (I), (a) R1 is selected from the group consisting of hydrogen, substituted or unsubstituted group selected from C₁-C₈ alkyl, aryl-C₀₋₄-alkyl, heteroaryl-C₀₋₄-alkyl, C₃-C₆ cycloalkylaryl-C₀₋₂-alkyl, and -CH₂-C(O)-R17-R18, wherein R17 is O or NH and R18 is optionally substituted benzyl; (b) R2 is selected from the group consisting of C₁-C₆ alkyl, C₁-C₆ alkenyl, aryl-C₀₋₄-alkyl, heteroaryl-C₀₋₄-alkyl, C₁-C₄ alkyl sulfonamide,

C₁-C₄ alkyl amide, OR10 and C₃-C₆ cycloalkyl; (c) W is O or S; (d) X is an optionally substituted C₁-C₅ alkylene linker wherein one carbon atom of the linker may optionally be replaced with O, NH, S, and optionally two carbons together may form a double bond; (e) Y is selected from the group consisting of C, O, S, NH and a single bond; and (f) E is selected from the group consisting of C(R3) (R4) A, A, and a substituted or unsubstituted group selected from the group consisting of (CH₂)_n COOR19.



Published:

— without international search report and to be republished upon receipt of that report

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.