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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
21 June 2007 (21.06.2007)

PCT

(10) International Publication Number
WO 2007/070796 A1

(51) International Patent Classification:
*C07D 487/04* (2006.01) *A61P 3/00* (2006.01)
*A61K 31/407* (2006.01)

(21) International Application Number:
PCT/US2006/061928

(22) International Filing Date:
12 December 2006 (12.12.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/750,679 15 December 2005 (15.12.2005) US
60/750,634 15 December 2005 (15.12.2005) US

(71) Applicant *(for all designated States except US)*: **EXELIXIS, INC.** [US/US]; 170 Harbor Way, P.O. Box 511, South San Francisco, CA 94083-0511 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **BAIK, Taegon** [KR/US]; 124 Albacore Lane, Foster City, CA 94404 (US). **BUHR, Chris, A.** [US/US]; 355 Belmont Avenue, Redwood City, CA 94061 (US). **BUSCH, Brett, B.** [US/US]; 7523 Mona Lane, San Diego, CA 92130 (US). **CHAN, Diva, Sze-Ming** [US/US]; 1775 46th Avenue, San Francisco, CA 94122 (US). **FLATT, Brenton, T.** [US/US]; 12721 Pedriza Drive, Poway, CA 92064 (US). **GU, Xiao, Hui** [CN/US]; 7699 Palmilla Drive #3408, San Diego, CA 92122 (US). **JAMMALAMADAKA, Vasu** [US/US]; 2806 Maria Street, Pleasanton, CA 94588 (US). **KHOURY, Richard, George** [US/US]; 225 Poseidon Lane, Redwood City, CA 94065 (US). **LARA, Katherine** [US/US]; 3133 Casa de Campo #211, San Mateo, CA 94403 (US). **MA, Sunghoon** [KR/US]; 802 Spruance Lane, Foster City, CA 94404 (US). **MARTIN, Richard** [CA/US]; 4293 Cordobes Cove, San Diego, CA 92130 (US). **MOHAN, Raju** [US/US]; 3125 Caminito Ricardo, Encinitas, CA 92024 (US). **NUSS, John, M.** [US/US]; 16 Woodranch Circle, Danville, CA 94506 (US). **PARKS, Jason, Jevious** [US/US]; 3701 Saintsbury Drive, Sacramento, CA 95834 (US).

(74) Agent: **GREENFIELD, Michael, S.**; MCDONNELL BOEHNEN HULBERT & BERGHOFF L.L.P, 300 South Wacker Drive, Suite 3200, Chicago, IL 60606 (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, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

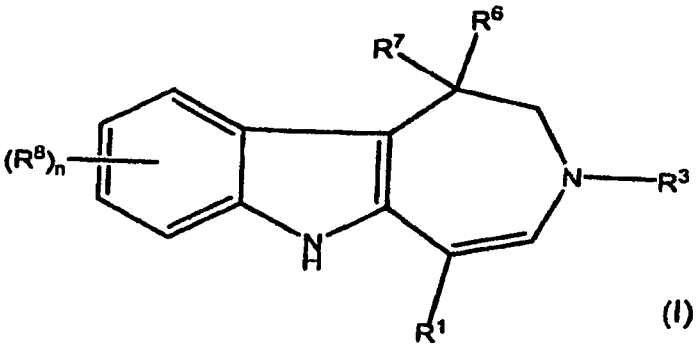
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, 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.*

(54) Title: AZEPINOINDOLE DERIVATIVES AS PHARMACEUTICAL AGENTS

(57) Abstract: The present invention relates to compounds of formula I, which exhibit affinity for the farnesoid X receptor.




(I)

WO 2007/070796 A1