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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 May 2007 (18.05.2007)

PCT

(10) International Publication Number
WO 2007/054770 A3

(51) International Patent Classification:
C07D 231/18 (2006.01) *A61K 31/415* (2006.01)
C07D 401/12 (2006.01) *A61P 15/00* (2006.01)

(21) International Application Number:
PCT/IB2006/003080

(22) International Filing Date: 26 October 2006 (26.10.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/735,091 8 November 2005 (08.11.2005) US

(71) Applicant *(for all designated States except US)*: **PFIZER LIMITED** [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BRADLEY, Paul, Anthony** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **DACK, Kevin, Neil** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **JOHNSON, Patrick, Stephen** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **SKERRATT, Sarah, Elizabeth** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agent: **DROUIN, Stéphane**; Pfizer Global Research and Development, Ramsgate Road, Kent, Sandwich CT13 9NJ (GB).

(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.

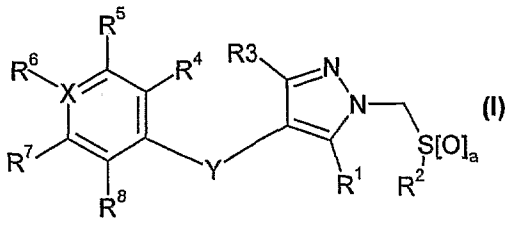
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT,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

(88) Date of publication of the international search report:
4 October 2007

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: PYRAZOLE DERIVATIVES AND THEIR MEDICAL USE



(I)

(57) Abstract: Compounds of formula (1), or a pharmaceutically acceptable derivative thereof, wherein R^1 and R^3 independently represent H, C_{1-6}alkyl, C_{3-8}cycloalkyl, or halogen; R^2 represents C_{1-6}alkyl, CF_3 or aryl; a represents 1 or 2; R^4, R^5, R^7 and R^8 independently represent H, C_{1-6}alkyl, C_{1-6}alkyloxy, CN or halogen, or R^4 and R^5, or R^7 and R^8, together with the ring to which they are attached form an aryl or heterocyclic fused ring system; X represents C or N; Y represents CH_2 or O; R^6 represents H, CN or halo, but when X represents N then R^6 represents H; may be useful for treating endometriosis, uterine fibroids (leiomyomata), menorrhagia, adenomyosis, primary and secondary dysmenorrhoea (including symptoms of dyspareunia, dyschexia and chronic pelvic pain), or chronic pelvic pain syndrome.

WO 2007/054770 A3