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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 October 2007 (11.10.2007)

PCT

(10) International Publication Number
WO 2007/114770 A1

(51) International Patent Classification:
A61K 31/4465 (2006.01) *A61P 19/02* (2006.01)
A61K 31/454 (2006.01) *C07D 417/12* (2006.01)

(21) International Application Number:
PCT/SE2007/000321

(22) International Filing Date: 4 April 2007 (04.04.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/790,303 6 April 2006 (06.04.2006) US

(71) Applicant *(for all designated States except US)*: **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **CAGE, Peter** [GB/GB]; AstraZeneca R & D Charnwood, Bakewell Road, Loughborough Leicestershire LE11 5RH (GB). **FURBER, Mark** [GB/GB]; AstraZeneca R & D Charnwood, Bakewell Road, Loughborough Leicestershire LE11 5RH (GB). **KHAN, Zara** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Maccelesfield Cheshire SK10 4TG (GB). **NEEDHAM, Maurice** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield Cheshire SK10 4TG (GB). **NEWHAM, Peter** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield Cheshire SK10 4TG (GB).

(74) Agent: **ASTRAZENECA AB**; Global Intellectual Property, S-151 85 Södertälje (SE).

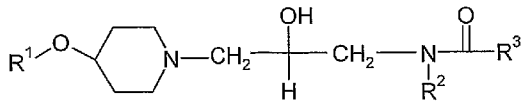
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, 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, MT, 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*

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: PIPERIDINE DERIVATIVES USEFUL FOR TREATING OSTEOARTHRITIS AND OSTEOARTROSIS



(I)

(57) Abstract: Use of a compound of formula (I): [Chemical formula should be inserted here. Please see paper copy] wherein: R^1 is phenyl optionally substituted by halogen, cyano, C_{1-4}#191 alkyl or C_{1-4}#191 haloalkyl; R^2 is hydrogen, C_{1-6}#191 alkyl or C_{3-6}#191 cycloalkyl; and R^3 is a group having an NH or OH that has a calculated or measured pKa of 1.0 to 8.0; or a pharmaceutically acceptable salt thereof; in the manufacture of a medicament for use in the treatment of osteoarthritis or osteoarthrosis. The invention also concerns the benzenesulfonate salt of *N*-{3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl}-2,3-dihydro-2-oxo-4-(trifluoromethyl)-5-thiazolecarboxamide, a process for making it, and its use in therapy (for example in treating CCR3 mediated disease states).

WO 2007/114770 A1