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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
4 August 2011 (04.08.2011)

PCT

(10) International Publication Number
WO 2011/093529 A1

(51) International Patent Classification:
C07D 211/46 (2006.01) *C07D 498/04* (2006.01)
C07D 405/12 (2006.01)

(21) International Application Number:
PCT/JP2011/052307

(22) International Filing Date:
28 January 2011 (28.01.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2010-019289 29 January 2010 (29.01.2010) JP

(71) Applicant *(for all designated States except US)*: **OTSUKA PHARMACEUTICAL CO., LTD.** [JP/JP]; 9, Kanda-Tsukasamachi 2-chome, Chiyoda-ku, Tokyo, 1018535 (JP).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **YAMAMOTO, Akihiro** [JP/JP]; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **SHINHAMA, Koichi** [JP/JP]; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **FUJITA, Nobuhisa** [JP/JP]; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **AKI, Shinji** [JP/JP]; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **OGASAWARA, Shin** [JP/JP]; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **UTSUMI, Naoto** [JP/JP]; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP).

(74) Agent: **Saegusa & Partners**; Kitahama TNK Building, 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP).

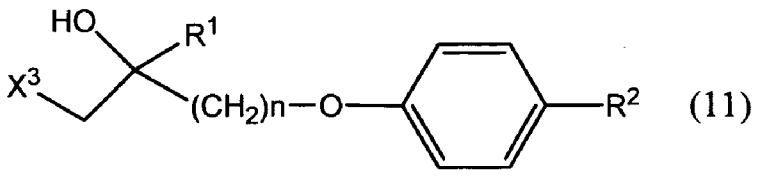
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, 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, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— *with international search report (Art. 21(3))*

(54) **Title**: SYNTHETIC INTERMEDIATE OF OXAZOLE COMPOUND AND METHOD FOR PRODUCING THE SAME



(11)

(57) **Abstract**: An object of the present invention is to provide a method for producing an oxazole compound in a high yield. The object can be achieved by a compound represented by Formula (11): wherein R^1 is a hydrogen atom or lower-alkyl group; R^2 is a 1-piperidyl group substituted at the 4-position with a substituent selected from (A1a) a phenoxy group substituted on the phenyl moiety with one or more halogen-substituted lower-alkoxy groups, (A1b) a phenoxy-substituted lower-alkyl group substituted on the phenyl moiety with one or more halogen-substituted lower-alkyl groups, (A1c) a phenyl-substituted lower-alkoxy lower-alkyl group substituted on the phenyl moiety with halogen, (A1d) a phenyl-substituted lower-alkyl group substituted on the phenyl moiety with one or more halogen-substituted lower-alkoxy groups, (A1e) an amino group substituted with a phenyl group substituted with one or more halogen-substituted lower-alkoxy groups, and a lower-alkyl group, and (A1f) a phenyl-substituted lower-alkoxy group substituted on the phenyl moiety with one or more halogen-substituted lower-alkoxy groups; n is an integer from 1 to 6; and X^3 is an organic sulfonyloxy group.

WO 2011/093529 A1