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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 January 2002 (24.01.2002)

PCT

(10) International Publication Number
WO 02/06276 A1

(51) International Patent Classification[7]: C07D 409/12, 401/12, 413/12, 213/82, 405/12, 407/12, 409/14, 417/12, A61K 31/44 // (C07D 409/12, 333:00, 213:00) (C07D 405/12, 303:00, 231:00)

(21) International Application Number: PCT/US01/16519

(22) International Filing Date: 9 July 2001 (09.07.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/217,965	13 July 2000 (13.07.2000)	US
60/241,614	19 October 2000 (19.10.2000)	US
60/292,988	23 May 2001 (23.05.2001)	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)*: **EVERS, Britta** [DE/DE]; Essener Strasse 93, 22419 Hamburg (DE). **JESUDASON, Cynthia, Darshini** [US/US]; 1090 Fleetwood Drive, Indianapolis, IN 46228 (US). **KARANJAWALA, Rushad, Eruch** [US/US]; 9732 Autumn Way, Zionsville, IN 46077 (US). **REMICK, David, Michael** [US/US]; 12261 Quarry Court, Fishers, IN 46038 (US). **RUEHTER, Gerd** [DE/DE]; Essener Strasse 93, 22419 Hamburg (DE). **SALL, Daniel, Jon** [US/US]; 3601 Ostrom Court, Greenwood, IN 46143 (US). **SCHOTTEN, Theo** [DE/DE]; Essener Strasse 93, 22419 Hamburg (DE). **SIEGEL, Miles, Goodman** [US/US]; 1708 West 74th Place, Indianapolis, IN 46260 (US). **STENZEL, Wolfgang** [DE/DE]; Essener Strasse 93, 22419 Hamburg (DE). **STUCKY, Russell, Dean** [US/US]; 6045 Barth Avenue, Indianapolis, IN 46227 (US). **WERNER, John, Arnold** [US/US]; 806 Chapelwood Boulevard, Indianapolis, IN 46214 (US).

(74) Agents: **VOY, Gilbert, T.** et al.; Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285 (US).

(81) Designated States *(national)*: 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, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

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

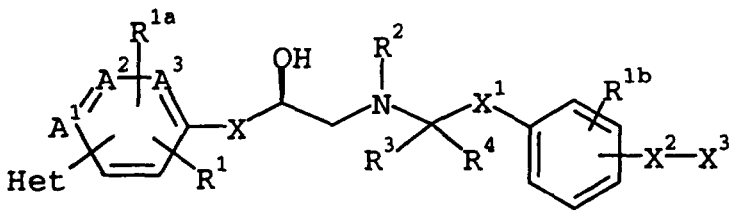
Published:

— *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: BETA3 ADRENERGIC AGONISTS



(I)

(57) Abstract: The present invention relates to a β_3 adrenergic receptor agonist of formula (I) or a pharmaceutical salt thereof; which is capable of increasing lipolysis and energy expenditure in cells and, therefore, is useful for treating Type II diabetes and/or obesity. The compound can also be used to lower triglyceride levels and cholesterol levels or raise high density lipoprotein levels or to decrease gut motility. In addition, the compound can be used to reduced neurogenic inflammation or as an antidepressant agent. Compositions and methods for the use of the compounds in the treatment of diabetes and obesity and for lowering triglyceride levels and cholesterol levels or raising high density lipoprotein levels or for decreasing gut motility are also disclosed.

WO 02/06276 A1