

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
18 October 2007 (18.10.2007)

PCT

(10) International Publication Number
WO 2007/118010 A1

(51) International Patent Classification:

C07C 327/22 (2006.01) C07C 323/52 (2006.01)
C07C 319/14 (2006.01)

(21) International Application Number:

PCT/US2007/065339

(22) International Filing Date: 28 March 2007 (28.03.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/743,908 29 March 2006 (29.03.2006) US

(71) Applicant (for all designated States except US): **SANOFI-AVENTIS** [FR/FR]; 174 Avenue de France, F-75013, Paris (FR).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **SLEDESKI, Adam W.** [PL/US]; 24 Fisher Farm Road, Bellemead, New Jersey 08502 (US).

(74) Agents: **KAJUBI, Robert J.** et al.; sanofi-aventis U.S. LLC, Route 202-206 P.O. Box 6800, Bridgewater, New Jersey 08822 (US).

(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.

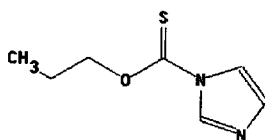
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT,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

Declarations under Rule 4.17:

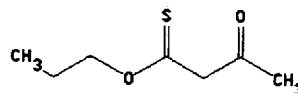
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))
- of inventorship (Rule 4.17(iv))

[Continued on next page]

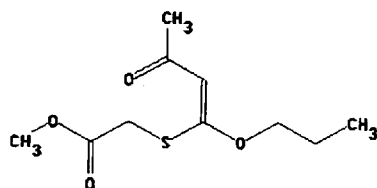
(54) Title: IMPROVEMENTS IN THE PREPARATION OF INTERMEDIATES LEADING TO [4-(5-AMINOMETHYL-2-FLUORO-PHENYL)-PIPERIDIN-1-YL]-(4-BROMO-3-METHYL-5-PROPOXY-THIOPHEN-2YL)-METHANONE HYDROCHLORIDE



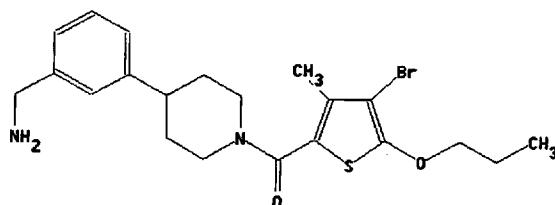
(7)



(8)



(13)



(I)

(57) Abstract: The present invention is directed (i) to a method for the preparation of a compound of formula (8) by condensing the compound of formula (7) with acetone and (ii) to a method for the preparation of a compound of formula (13) by alkylating the compound of formula (8) using methyl bromoacetate. The compounds of formula (7,8) and (13) are useful intermediates for the preparation of the compound of formula (I).

**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.