

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
10 October 2002 (10.10.2002)

PCT

(10) International Publication Number
WO 02/079122 A3

(51) International Patent Classification⁷: **A61K 31/17**,
31/275, C07C 255/60, 273/18, 275/34

(21) International Application Number: PCT/US02/10038

(22) International Filing Date: 27 March 2002 (27.03.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/280,411 30 March 2001 (30.03.2001) US

(71) Applicant (for all designated States except US):
SMITHKLINE BEECHAM CORPORATION
[US/US]; UW2220, 709 Swedeland Road, King of
Prussia, PA 19406 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PALOVICH,**
Michael, R. [US/US]; 1250 Collegeville Road, Col-
legeville, PA 19426 (US). **WIDDOWSON, Katherine,**
L. [CA/US]; 709 Swedeland Road, King of Prussia, PA
19406 (US).

(74) Agent: **VENETIANER, Stephen**; UW2220, 709 Swede-
land Road, King Of Prussia, PA 10406 (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, OM, PH, PL, PT, RO, RU, SD, SE, SG,
SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, 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, GQ, 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

(88) Date of publication of the international search report:
28 November 2002

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: METHODS OF SYNTHESIZING PHENOL-CONTAINING COMPOUNDS

(57) Abstract: This invention relates to the method of placing a sulfone or sulfonamide group ortho to a phenol in a drug substance in order to increase the metabolic stability and the half-life of the compound, while maintaining the acidity of the phenol. Methods of synthesizing phenol-containing compounds are also disclosed.



WO 02/079122 A3