

(19) World Intellectual Property Organization
International Bureau



162162

(43) International Publication Date
28 March 2002 (28.03.2002)

PCT

(10) International Publication Number
WO 02/24638 A1

(51) International Patent Classification⁷: **C07C 317/46**,
315/02, 323/62, 319/14, C07D 303/48, 301/16, C07C
25/66, 253/30, 231/08

(74) Agents: **BABCOCK, Timothy, J.** et al., Bristol-Myers
Squibb Company, P.O. Box 4000, Princeton, NJ 08543-
4000 (US).

(21) International Application Number: PCT/US01/42171

(22) International Filing Date:
17 September 2001 (17.09.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/234,121 21 September 2000 (21.09.2000) US

(71) Applicant (for all designated States except US): **BRIS-
TOL-MYERS SQUIBB COMPANY** [US/US]; P. O. Box
4000, Route 206 and Provinceline Road, Princeton, NJ
08543-4000 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHEN, Bang-Chi**
[US/US], 28 Marion Drive, Plainsboro, NJ 08536 (US).
SUNDEEN, Joseph, E. [US/US]; 1108 Pratt Drive, Yard-
ley, PA 19067 (US) **ZHAO, Rulin** [US/US], 42 Manley
Road, Pennington, NJ 08534 (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, PH, 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, GQ, GW, ML, MR, NE, SN, TD,
TG).

Published:

— with international search report

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: PROCESS FOR THE PREPARATION OF N-(SUBSTITUTED PHENYL)-3-ALKYL-, ARYL- AND HETEROARYL-
SULFONYL-2-HYDROXY-2-ALKYL- AND HALOALKYLPROPANAMIDE COMPOUNDS

(57) Abstract: The present invention provides an improved process for the preparation of N-(substituted phenyl)-3-alkyl-, aryl-
and heteroarylsulfonyl-2-hydroxy-2-alkyl- and haloalkylpropanamide compounds of formula I (I). The formula I compounds exhibit
antiandrogenic activity and are useful in the treatment of malignant or benign prostatic disease or of androgen dependent disease
conditions such as acne, hirsutism or seborrhea



G00002

WO 02/24638 A1