

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
19 June 2003 (19.06.2003)

PCT

(10) International Publication Number
WO 03/050095 A1

(51) International Patent Classification⁷: **C07D 263/57**,
277/66, 235/18, A61K 31/4184, 31/423, 31/428, A61P
5/30 // (C07D 413/04, 417/04)

(74) Agents: **MILOWSKY, Arnold, S.**; Wyeth, Patent Law
Department, Five Giralda Farms, Madison, NJ 07940-0874
et al. (US).

(21) International Application Number: PCT/US02/38513

(22) International Filing Date: 3 December 2002 (03.12.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/336,663 5 December 2001 (05.12.2001) US

(71) Applicant: **WYETH** [US/US]; Five Giralda Farms, Madi-
son, NJ 07940-0874 (US).

(72) Inventors: **MALAMAS, Michael, Sotirios**; 2443 Ole-
ander Circle, Jamison, PA 18929 (US). **MCDEVITT,**
Robert, Emmett; 232 Haworth Place, Somerset, NJ
08873 (US). **GUNAWAN, Iwan**; 29 Rue Chagall, Somer-
set, NJ 08873 (US). **MANAS, Eric, Steven**; 19 Viburnum
Court, Lafayette Hill, PA 19444 (US). **COLLINI,**
Michael, David; 251 Davis Avenue, Clifton Heights, PA
19460 (US). **HARRIS, Heather, Anne**; 210 Pine Drive,
Phoenixville, PA 19460 (US). **KEITH, James, Carl, Jr.**;
28 Vine Street, Andover, MA 01810 (US). **ALBERT,**
Leo, Massillamoney; 17 Freeport Drive, Burlington, MA
01803 (US). **LYTTLE, Cecil, Richard**; 120 Edgehill
Road, Bala Cynwyd, PA 19004 (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,
SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN,
YU, ZA, ZM, ZW.

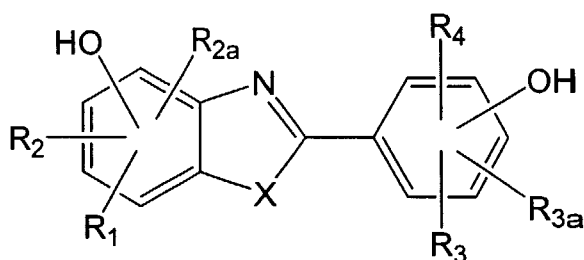
(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK,
TR), OAPI patent (BF, BJ, CF, CG, 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

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: SUBSTITUTED BENZOXAZOLES AND ANALOGUES AS ESTROGENIC AGENTS



(57) Abstract: This invention provides estrogen receptor
modulators of formula (I), having the structure of formula
(I) wherein R₁, R₂, R_{2a}, R₃, R_{3a}, and R₄, and X as defined
in the specification, or a pharmaceutically acceptable salt
thereof.