

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
22 March 2001 (22.03.2001)

PCT

(10) International Publication Number
WO 01/19839 A1

(51) International Patent Classification⁷: C07H 17/00,
15/26, A61K 31/7056, A61P 5/30, 5/32

(21) International Application Number: PCT/US00/24738

(22) International Filing Date:
11 September 2000 (11.09.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09/394,240 13 September 1999 (13.09.1999) US

(71) Applicant: AMERICAN HOME PRODUCTS COR-
PORATION [US/US]; Five Giralda Farms, Madison, NJ
07940-0874 (US).

Michael, David; 251 Davis Avenue, Clifton Heights, PA
19018 (US). TRAN, Bach, Dinh; 10 Glenshannon Court,
Apartment A, Baltimore, MD 21221 (US). CHAN, Anita,
Wai-Yin; 1600 Center Avenue, Apartment 6D, Fort Lee,
NJ 07024 (US). RUBEZHOV, Arkadiy, Zinovi; 3 Fawn
Court, West Nyack, NY 10994 (US).

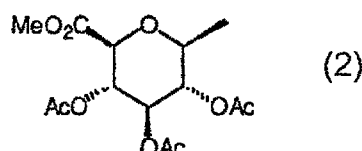
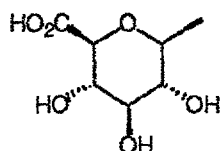
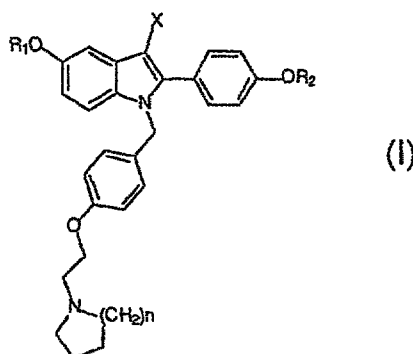
(74) Agents: MILOWSKY, Arnold, S.; American Home
Products Corporation, Patent Law Dept.-2B, One Campus
Drive, Parsippany, NJ 07054 et al. (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,
DE, DK, DM, DZ, 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, 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

[Continued on next page]

(54) Title: GLUCOPYRANOSIDES CONJUGATES OF 2-(4-HYDROXY-PHENYL)-1-[4-(2-AMINO-1-YL-ETHOXY)-BEN-
ZYL]-1H-INDOL-5-OLS



(57) Abstract: This invention provides tissue selective estrogens of formula (I) having structure (I) wherein: R₁ and R₂ are indepen-
dently, hydrogen, alkyl chain of 1-6 carbon atoms, benzyl, acyl of 2-7 carbon atoms, benzoyl, (1) or (2); X is hydrogen, alkyl of 1-6
carbon atoms, CN, halogen, trifluoromethyl, or thioalkyl of 1-6 carbon atoms; n = 1-3; with the proviso that at least one of R₁ or R₂
is (1) or (2); or a pharmaceutically acceptable salt thereof.



patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

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.

Published:

— *With international search report.*