(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
7 February 2002 (07.02.2002)

PCT

(10) International Publication Number
WO 02/10188 A1

(51) International Patent Classification[7]: C07J 1/00, A61K 31/565, A61P 5/30, C07J 51/00, 71/00

(21) International Application Number: PCT/EP01/08535

(22) International Filing Date: 23 July 2001 (23.07.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
00202697.9 28 July 2000 (28.07.2000) EP

(71) Applicant *(for all designated States except US)*: **AKZO NOBEL N.V.** [NL/NL]; Velperweg 76, NL-6824 BM Arnheim (NL).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **MESTRES, Jordi** [ES/GB]; c/o Organon Laboratories Ltd., New Edinburgh Road, Newhouse, Lanarkshire, ML1 5SH, Scotland (GB). **LOOZEN, Hubert, Jan, Jozef** [NL/NL]; Meerhoek 602, NL-5403 AC Uden (NL). **VEENEMAN, Gerrit, Herman** [NL/NL]; Smidse 6, NL-5374 AJ Schaijk (NL).

(74) Agent: **KRAAK, H.**; P.O. Box 20, NL-5340 BH Oss (NL).

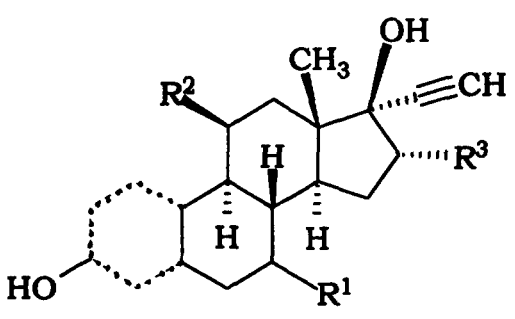
(81) Designated States *(national)*: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA.

(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 "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 16ALPHA-METHYL OR ETHYL SUBSTITUTED ESTROGENS



(1)

(57) Abstract: The invention makes a 16α-substituted steroidal compound available having formula 1, wherein the dotted ring is a fully saturated, a fully aromatic or a saturated ring with a Δ5-10 double bond; R^1 is (C_1-C_3)alkyl or (C_2-C_3)alkenyl, and each of these groups can be substituted with one or more halogens; R^2 is (C_1-C_4)alkyl, (C_2-C_4)alkenyl or methylene, and each of these groups can be substituted with one or more halogens; R^3 is methyl or ethyl; or a prodrug thereof, which compound can be used for an estrogen receptor α selective treatment.

WO 02/10188 A1