

02 DEC 2002

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

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
3 January 2002 (03.01.2002)

PCT

(10) International Publication Number
WO 02/00682 A1

- (51) International Patent Classification⁷: C07J 53/00, A61K 31/565, A61P 5/30, 5/36 (74) Agent: KRAAK, Hajo; P.O. Box 20, NL-5340 BH Oss (NL).
- (21) International Application Number: PCT/EP01/04868 (81) Designated States (*national*): AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, 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.
- (22) International Filing Date: 1 May 2001 (01.05.2001)
- (25) Filing Language: English
- (26) Publication Language: English (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, GW, ML, MR, NE, SN, TD, TG).
- (30) Priority Data: 00201986.7 6 June 2000 (06.06.2000) EP
- (71) Applicant (*for all designated States except US*): AKZO NOBEL N.V. [NL/NL]; Velperweg 76, NL-6824 BM Arnhem (NL).
- (72) Inventor; and
(75) Inventor/Applicant (*for US only*): LOOZEN, Hubert, Jan, Jozef [NL/NL]; Meerhoek 602, NL-5403 AC Uden (NL).

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.

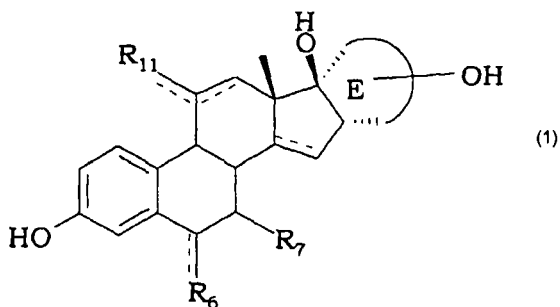


162162



ACTION

(54) Title: 16, 17-CARBOCYCLIC CONDENSED STEROID COMPOUNDS HAVING SELECTIVE ESTROGENIC ACTIVITY



(57) Abstract: The invention discloses a steroid compound having the formula (1), wherein dotted bonds represent optional double bonds; R₆ is H, =CH₂, or -CH₃, or -CH₂-CH₃; R₇ is H, C₁₋₄-alkyl, C₂₋₅ alkenyl or C₂₋₅-alkynyl, wherein the alkyl, alkenyl or alkynyl group may be substituted with 1 to 3 halogen atoms independently chosen from the group of fluorine or chlorine atoms; R₁₁ is H, C₁₋₄-alkyl, C₂₋₄-alkenyl, C₂₋₄-alkynyl or C₁₋₄-alkylidene, wherein the alkyl, alkenyl, alkynyl or alkylidene group may be substituted with 1 to 3 halogen atoms independently chosen from the group of fluorine or chlorine atoms; E represents together with carbon atoms 16 and 17 of the steroid skeleton a four to seven-membered ring, said ring being α and in *cis*-configuration with respect to the steroid skeleton, optionally comprising one or

two endocyclic bonds; or a prodrug thereof. Such compounds can be used in therapy and for methods for selective modification of the activity of estrogen receptors.

WO 02/00682 A1