

15 JUL 2004

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

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
24 July 2003 (24.07.2003)

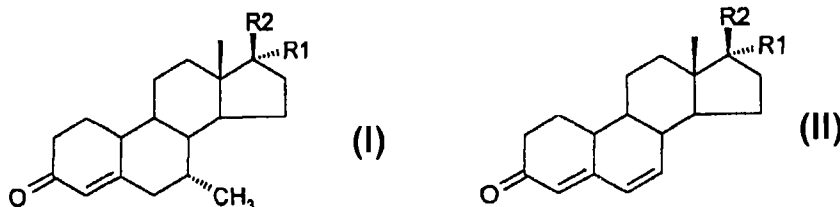
PCT

(10) International Publication Number
WO 03/059931 A1

- (51) International Patent Classification⁷: C07J 51/00, 1/00, 5/00 (74) Agent: ROVERS, A.M.A.; P.O. Box 20, NL-5340 BH Oss (NL).
- (21) International Application Number: PCT/EP03/00339 (81) Designated States (*national*): AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, TT, UA, US, UZ, VN, YU, ZA.
- (22) International Filing Date: 14 January 2003 (14.01.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 02075230.9 21 January 2002 (21.01.2002) EP (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, HU, 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).
- (71) Applicant (*for all designated States except US*): AKZO NOBEL N.V. [NL/NL]; Velperweg 76, NL-6824 BM Arnhem (NL).
- (72) Inventors; and (75) Inventors/Applicants (*for US only*): STOELWINDER, J. [NL/NL]; Diosynth B.V., P.O. Box 20, NL-5340 BH Oss (NL). OSTENDORF, M. [NL/NL]; Diosynth B.V., P.O. Box 20, NL-5340 BH Oss (NL). BUGGENUM, Van P.A.M. [NL/NL]; Diosynth B.V., P.O. Box 20, NL-5340 BH Oss (NL).
- 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 "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*



162162

(54) Title: PROCESS FOR THE PREPARATION OF 7 α -METHYLSTEROIDS

(57) **Abstract:** The invention relates to a process for the preparation of 7 α -methyl hydroxy steroids of the Formula I: (Formula I); wherein R₁ is hydrogen, methyl or C $\equiv$ CH; R₂ is (CH₂)_nOH, wherein n is 0, 1 or 2; by a copper mediated 1,6-conjugate addition of a Grignard reagent CH₃MgX, X being a halogen, to the 4,6-unsaturated 3-ketosteroid of formula II: (Formula II); wherein R₁ and R₂ are as previously defined, comprising protecting the hydroxy group of the steroid of Formula II with a trialkylsilyl group, followed by treating the hydroxy protected steroid with the Grignard reagent. The process of the invention is useful for the production of pharmacologically interesting steroids.

WO 03/059931 A1



ACTION