20020284O5

16 MAY 2002

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
7 June 2001 (07.06.2001)

PCT

(10) International Publication Number
WO 01/40253 A3

(51) International Patent Classification[7]: C07J 1/00, 71/00

(21) International Application Number: PCT/EP00/11787

(22) International Filing Date:
23 November 2000 (23.11.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
99204000.6 29 November 1999 (29.11.1999) EP

(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)*: **PLATE, Ralf** [NL/NL]; Kortenhortststraat 1, NL-5344 KD Oss (NL). **BAGCHUS, Wilhelmina, Maria** [NL/NL]; Van Lawick Van Pabststraat 155, NL-6814 HE Arnhem (NL).

(74) Agent: **KRAAK, Hajo**; N.V. Organon, Wethouder van Eschstraat 1, P.O. Box 20, NL-5340 BH Oss (NL).

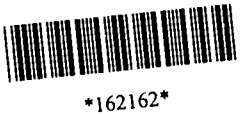
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, 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 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).

Published:
— *with international search report*

(88) Date of publication of the international search report:
2 May 2002

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.



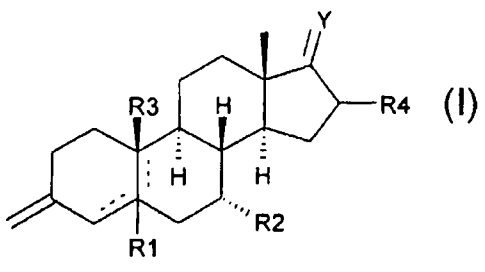
162162



ACTION

WO 01/40253 A3

(54) Title: 3-METHYLENE STEROID DERIVATIVE FOR THE TREATMENT OF AUTOIMMUNE DISEASES



(I)

(57) Abstract: The invention concerns a 3-methylene steroid derivative having general formula (I) wherein R^1 is H or together with R^3 forms a β-epoxide or R^1 is absent if there is a 5-10 or 4-5 double bond; R^2 is (C_1-C_5)alkyl or CF_3; R^3 is βH, βCH_3 or together with R^1 forms a β-epoxide or R^3 is absent if there is a 5-10 double bond; R^4 is H, lower alkyl; Y is [H, H], [OH, H], =O, [OH, lower alkyl], [OH, (C_2-C_5)alkenyl], [OH, (C_2-C_5)alkynyl] or (C_1-C_6)alkylidene, whereby said alkyl, alkenyl, alkynyl and alkylidene is optionally halogenated; $=NOR^5$, whereby R^5 is H, lower alkyl; dotted lines represent an optional double bond, or prodrugs thereof for the treatment of arthritic diseases and/or autoimmune diseases.