

(19) World Intellectual Property
Organization
International Bureau



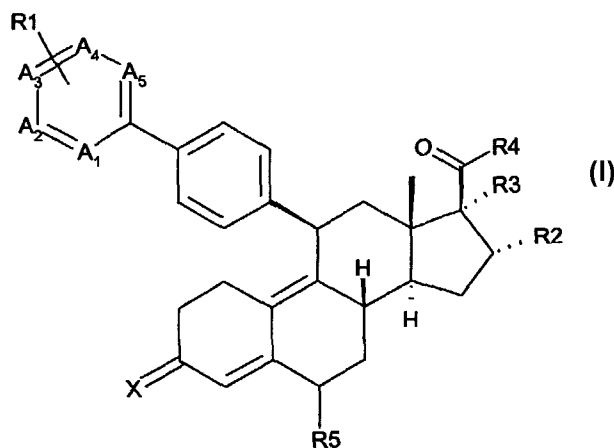
(43) International Publication Date
6 October 2005 (06.10.2005)

PCT

(10) International Publication Number
WO 2005/092912 A1

- (51) International Patent Classification⁷: **C07J 43/00**, (74) Agent: **KRAAK, H.**; P.O. Box 20, NL-5340 BH Oss (NL).
53/00, A61K 31/58, A61P 15/18
- (21) International Application Number:
PCT/EP2005/051265
- (22) International Filing Date: 18 March 2005 (18.03.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/556,210 25 March 2004 (25.03.2004) US
04101241.0 25 March 2004 (25.03.2004) EP
- (71) Applicant (for all designated States except US): **AKZO NOBEL N.V.** [NL/NL]; Akzo Nobel N.V., Velperweg 76, NL-6824 BM Arnhem (NL).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **HAMERSMA, Johannes, Antonius, Maria** [NL/NL]; N.V. Organon, P.O.Box 20, NL-5340 BH Oss (NL). **REWINKEL, Johannes, Bernardus, Maria** [NL/NL]; N.V. Organon, P.O. Box 20, NL-5340 BH Oss (NL).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, 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, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (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: PROGESTERONE RECEPTOR MODULATORS



(57) Abstract: The subject invention provides a compound according to Formula I, wherein Formula (1) is O, NOH, NO(1-4C)alkyl, NO(1-4C)acyl; A1-A5 are C, substituted with R1, or N, provided that at least one and not more than three of A1-A5 are N; or one or two of A1, A2 and A5 are N, and the others are C, substituted with R1, and A3 and A4 together represent a fused benzo ring or a fused five- or six-membered nitrogen-containing aromatic ring, both optionally substituted with one or more halogen and/or (1-4C)alkyl; R1 is H, halogen, (1-4C)alkyl, (1-4C)alkoxy; R2 is H, (1-4C)alkyl or (1-6C)alkenyl, both optionally substituted with an (6-10)aryl group, which is optionally substituted with one or more halogen and/or (1-4C)alkyl; and R3 is H or (1-4C)alkyl, optionally substituted with one or more halogen atoms; and R4 is cyclopropyl or cyclopropenyl, both optionally substituted

with one or more halogen and/or (1-4C)alkyl; or R2 together with R3 forms a 3-, 4-, 5- or 6-membered carbocyclic ring; and R4 is cyclopropyl or cyclopropenyl, both optionally substituted with one or more halogen and/or (1-4C)alkyl; or R2 is H or (1-4C)alkyl; and R3 together with R4 forms a 5-, 6- or 7-membered saturated or unsaturated carbocyclic ring; R5 is H or (1-4C)alkyl; or a pharmaceutically acceptable salt and/or hydrate form and/or prodrug thereof.

WO 2005/092912 A1