2004060133

11 SEP 2004

*162162*

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 September 2003 (18.09.2003)

PCT

(10) International Publication Number
WO 03/075915 A1

(51) International Patent Classification[7]: A61K 31/365, 31/535, C07D 265/02, 307/88

(21) International Application Number: PCT/EP03/02441

(22) International Filing Date: 10 March 2003 (10.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

| | | |
|---|---|---|
| 02005530.7 | 11 March 2002 (11.03.2002) | EP |
| 60/363,044 | 11 March 2002 (11.03.2002) | US |

(71) Applicant *(for all designated States except US)*: SCHERING AG [DE/DE]; Müllerstrasse 178, 13353 Berlin (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: SCHMEES, Norbert [DE/DE]; Alt-Wittenau 38G, 13437 Berlin (DE). LEHMANN, Manfred [DE/DE]; Lutherstr. 13, 12305 Berlin (DE). FUHRMANN, Ulrike [DE/DE]; Charlottenburger Ufer 4, 10587 Berlin (DE). MUHN, Peter [DE/DE]; Markgrafenstr. 61, 13465 Berlin (DE). HEGELE-HARTUNG, Christa [DE/DE]; Woellenbeck 101, 45470 Mühlheim/Ruhr (DE). KLOTZBÜCHER, Michael [DE/DE]; Plantagenstr. 8, Berlin 13347 (DE).

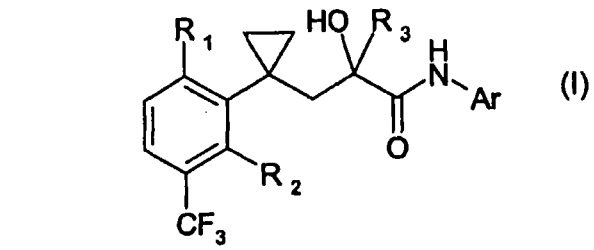
(74) Agent: DÖRRIES, FRANK-MOLNIA & POHLMAN; Triftstrasse 13, 80538 München (DE).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, 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, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

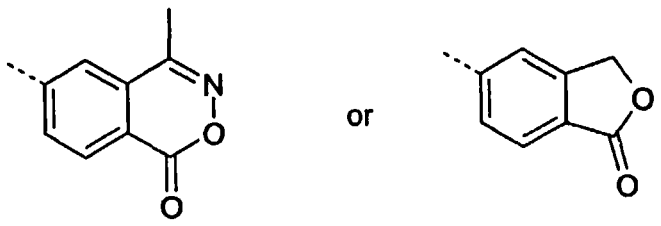
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,

*[Continued on next page]*

(54) Title: 5-{2-HYDROXY-3-'1-(3-TRIFLUOROMETHYLPHENYL)-CYCLOPROPYL!-PROPIONYLAMINO}- PHTALIDE AND RELATED COMPOUNDS WITH PROGESTERONE RECEPTOR MODULATING ACTIVITY FOR USE IN FERTILITY CONTROL AND HORMONE REPLACEMENT THERAPY




(I)




(a) (b)

(57) Abstract: The present invention relates to non-steroidal progestins of the general formula (I) wherein $R_1$ and $R_2$ are independently of each other -H or -F, $R_3$ is $-CH_3$ or $-CF_3$, and Ar is (a) or (b), or a pharmaceutically acceptable derivative or analogue thereof. These progestins are suitable for selectively modulating progesterone receptor mediated effects in different target tissues, particularly in uterine tissue versus breast tissue. Therefore, the progestins of the present invention, optionally in combination with estrogens, may be used for contraception (in particular in estrogen-free oral contraceptives), hormone replacement therapy and the treatment of gynecological disorders. The present invention furthermore relates to methods for selectively modulating progesterone receptor mediated effects in different target tissues or organs.

WO 03/075915 A1

*ACTION*

SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declaration under Rule 4.17:**

— *as to the identity of the inventor (Rule 4.17(i)) for all designations*

**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.*