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(72) Inventors; and

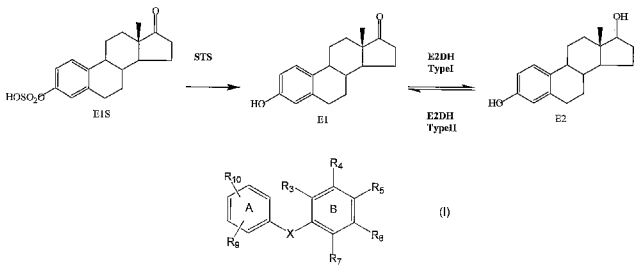
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[Continued on next page]

(54) Title: DIARYL COMPOUNDS AS NON-STEROIDAL INHIBITORS OF 17-BETA HYDROXYSTEROID DEHYDROGENASE AND/OR STEROID SULPHATASE FOR THE TREATMENT OF OESTROGEN-RELATED DISEASES SUCH AS HORMONE DEPENDENT BREAST CANCER



(57) Abstract: There is provided a compound of Formula (I): wherein R_3, R_4, R_5, R_6, R_7, R_9, and R_{10}, are independently selected from -H, -OH, hydrocarbyl groups, oxyhydrocarbyl groups, cyano (-CN), nitro (-NO_2), and halogens; wherein ring A is optionally further substituted wherein X is a bond or a linker group wherein (A) (i) R_9 is selected from alkyl and halogen groups; and (ii) R10 is selected from -OH, oxyhydrocarbyl and -$OSO_2NR_1R_2$; wherein R_1 and R_2 are independently selected from H and hydrocarbyl or (B) at least one of R_3, R_4, R_5, R_6 and R_7 is the group -C(=0)-CR_{11} R_{12}-R_8 wherein R_8 is a selected from (i) an alkyloxyalkyl group (ii) a nitrile group, (iii) alkylaryl group, wherein the aryl group is substituted by other than a C1-10 group (iv) alkenylaryl group wherein the aryl group is substituted (v) alkylheteroaryl group, wherein when heteroaryl group comprises only C and N in the ring, the aryl group is substituted by other than a methyl group (vi) alkenylheteroaryl group (vii) =N-O-alkyl or =N-O-H group (viii) branched alkenyl (ix) alkyl-alcohol group or alkenyl-alcohol group (x) amide or alkylamide wherein (a) the alkyl of the alkylamide is -CH_2- or - CH_2CH_2-, (b) the amide is di-substituted and/or (c) the amide is substituted with at least one of alkylheterocycle group, alkenylheterocycle group, alkylheteroaryl group, alkenylheteroaryl group, heteroaryl group, alkylamine group, alkyloxyalkyl group, alkylaryl group, straight or branched alkyl group, (xi) -CHO, or together with another of R_3, R_4, R_5, R_6 and R_7 the enol tautomer thereof wherein R_{11} and R_{12} are independently selected from H and hydrocarbyl; or (C) at least one of R_3, R_4, R_5, R_6 and R_7 together with another of R_3, R_4, R_5, R_6 and R_7 forms a ring containing -C(=O)-; or (D) at least one of R_3, R_4, R_5, R_6 and R_7 is selected from alkylheterocycle group, alkenylheterocycle group, alkylheteroaryl group, alkenylheteroaryl group, and heteroaryl groups or (E) at least one of R_3, R_4, R_5, R_6 and R_7 is selected from -CN, -C(R_{13})=N-O-alkyl group, - C(R_{14})=N-O-H group, optionally substituted pyrazole, optionally substituted thiazole, optionally substituted oxazole, optionally substituted isoxazole, optionally substituted pyridine, and optionally substituted pyrimidine, or together with another of R_3, R_4, R_5, R_6 and R_7 forms a nitrogen containing ring; wherein R_{13} and R_{14} are independently selected from H and hydrocarbyl.

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MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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, LV, 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).

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INTERNATIONAL SEARCH REPORT

International application No
PCT/GB2007/000655

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D213/75 C07C49/757 C07D231/54 C07D213/40 C07D231/12
C07D261/08 C07D277/40 C07D307/52 C07C255/40 C07D231/14
C07D231/38 C07D231/40 C07D307/60 C07C43/205 C07C43/275
According to International Patent Classification (IPC) or to both national classification and IPC C07D317/54

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07C C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, CHEM ABS Data, BEILSTEIN Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	GUNN, DAVID ET AL: "4,5-Disubstituted cis-pyrrolidinones as inhibitors of type II 17 . beta .- hydroxysteroid dehydrogenase . Part 2. SAR" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS , 15(12), 3053-3057 CODEN: BMCLE8; ISSN: 0960-894X, 2005, XP002444432 table 1; compounds 1-17 -----	1-3,13, 20,25-34
A	US 2005/192310 A1 (GAVAI ASHVINIKUMAR V [US] ET AL) 1 September 2005 (2005-09-01) examples 55-63,76-78,82 ----- -/--	1-3,13, 20,25-34

[X] Further documents are listed in the continuation of Box C. [X] See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
7 August 2007	21/11/2007
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Panday, Narendra

Form PCT/ISA/210 (second sheet) (April 2005)

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	OKADA, MAKOTO ET AL: "Development of novel steroid sulfatase inhibitors I. Synthesis and biological evaluation of biphenyl-4-O-sulfamates" JOURNAL OF STEROID BIOCHEMISTRY AND MOLECULAR BIOLOGY , VOLUME DATE 2003, 87(2-3), 141-148 CODEN: JSBBEZ; ISSN: 0960-0760, 2004, XP002444433 table 2; compounds 29-42	1-3,13, 20,25-34
A	SAITO, TOMOYUKI ET AL: "Development of novel steroid sulfatase inhibitors II. TZS-8478 potently inhibits the growth of breast tumors in postmenopausal breast cancer model rats" JOURNAL OF STEROID BIOCHEMISTRY AND MOLECULAR BIOLOGY , 88(2), 167-173 CODEN: JSBBEZ; ISSN: 0960-0760, 2004, XP002444434 figure 1; example TZS-8478	1-3,13, 20,25-34
X	WO 03/068217 A (ENDORECH INC [CA]; LABRIE FERNAND [CA]; SINGH SHANKAR MOHAN [CA]; LUU-) 21 August 2003 (2003-08-21) examples EM-4036,EM-4246	1-3,13, 20,25-31
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444435 Beilstein Registry Number 1874829 abstract & DAVIS ET AL.: J. CHEM. SOC. PERKIN TRANS. I, 1982, pages 1499-1508, & LAMARTINE ET AL.: CAN. J. CHEM., 1972, page 2882, & MOORE ET AL.: J. ORG. CHEM., 1979, pages 925-929,	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444436 Beilstein Registry Number 6394846 abstract & KELLY ET AL.: HELV. CHIM. ACTA, 1989, pages 594-607,	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444437 Beilstein Registry Number 244113 abstract	1,20,28, 29

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	& BUU-HOI ET AL.: BULL. SOC. CHIM. FR, 1959, page 477,	
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444438 Beilstein Registry Number 1951152 abstract & HANNAH ET AL.: J. MED. CHEM, 1978, pages 1093-1100, & FR 1 522 570 A (MERCK & CO INC) 26 April 1968 (1968-04-26)	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444439 Beilstein Registry Number 261032 abstract & BUU-HOI ET AL.: J. ORG. CHEM., 1957, page 666,	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444440 Beilstein Registry Number 9999666 abstract & GFESSER ET AL.: BIOORG. MED. CHEM. LETT., 2005, pages 2559-2564,	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444441 Beilstein Registry Number 10164609 abstract & CINCINELLI ET AL.: J. MED. CHEM, 2005, pages 4931-4946,	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444442 Beilstein Registry Number 2277286 abstract & HEWGILL ET AL.: AUST. J. CHEM., 1978, pages 1070-1072,	1,20,28, 29
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002444443 Beilstein Registry Number 8990339 abstract -/--	1,20,28, 29

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	& KOELBEL ET AL.: J. AM. CHEM. SOC., 2001, pages 6809-6818,	
A	WO 2004/110459 A (SOLVAY PHARM BV [NL]) 23 December 2004 (2004-12-23) the whole document	1-3,13, 20,25-34
A	WO 2005/047303 A (SOLVAY PHARM GMBH [DE]) 26 May 2005 (2005-05-26) the whole document	1-3,13, 20,25-34

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB2007/000655

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 31 and 34 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [X] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

see annex

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-34(all in part)

   Compounds of formula I with X = bond, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen

---

2. claims: 1-3 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25 - 34(all in part)

   Compounds of formula I with X = bond, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen

---

3. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25 - 34(all in part)

   Compounds of formula I with X = bond, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

4. claims: 1-3 (all in part), 13 (in part), 23 (in part), 25 - 34(all in part)

   Compounds of formula I with X = bond, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

5. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25 - 34(all in part)

   Compounds of formula I with X = bond, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

6. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-28 (all in part), 30-34(all in part)

   Compounds of formula I with X = O, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

7. claims: 1-3 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

8. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

9. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

10. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

11. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

12. claims: 1-3 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

13. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-28 (all in part), 30-34(all in part)

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Compounds of formula I with X = S, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

14. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

15. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

16. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

17. claims: 1-3 (all in part), 13 (in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

18. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

19. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

20. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

21. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

22. claims: 1-3 (all in part), 13 (in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

23. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

24. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

25. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

---

26. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-27

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

(all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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27. claims: 1-3 (all in part), 13 (in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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28. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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29. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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30. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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31. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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32. claims: 1-3 (all in part), 13 (in part), 21 (in part), 25-27

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

(all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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33. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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34. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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35. claims: 1-3 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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36. claims: 1-3 (all in part), 13 (in part), 20 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (A) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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37. claims: 1-3 (all in part), 13 (in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (B) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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38. claims: 1-3 (all in part), 13 (in part), 14 - 16 (all in part),

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22 (in part), 25-28 (all in part),
30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (C) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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39. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (D) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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40. claims: 1-5 (all in part), 13 (in part), 24 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (E) of claim 1, ring A = benzene ring; their use for the treatment of diseases related action of oestrogen.

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41. claims: 1-12 (all in part), 13 (in part), 20 (in part), 25-34(all in part)

Compounds of formula I with X = bond, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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42. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25 - 34(all in part)

Compounds of formula I with X = bond, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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43. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25 - 34(all in part)

Compounds of formula I with X = bond, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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44. claims: 1-12 (all in part), 13 (in part), 23 (in part), 25 - 34(all in part)

Compounds of formula I with X = bond, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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45. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25 - 34(all in part)

Compounds of formula I with X = bond, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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46. claims: 1-5 (all in part), 13 (in part), 20 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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47. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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48. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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49. claims: 1-3 (all in part), 13 (in part), 23 (in part),25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = O, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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50. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-28 (all in part), 30-34(all in part)

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Compounds of formula I with X = O, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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51. claims: 1-5(all in part), 13 (in part), 20 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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52. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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53. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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54. claims: 1-12 (all in part), 13 (in part), 23 (in part),25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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55. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-28 (all in part), 30-34(all in part)

Compounds of formula I with X = S, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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56. claims: 1-5 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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57. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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58. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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59. claims: 1-12 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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60. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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61. claims: 1-5(all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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62. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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63. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in

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part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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64. claims: 1-12 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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65. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = SO2, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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66. claims: 1-5 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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67. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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68. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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69. claims: 1-12 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23CO, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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70. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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71. claims: 1-5 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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72. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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73. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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74. claims: 1-12 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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75. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = NR23SO2, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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76. claims: 1-5 (all in part), 13 (in part), 20 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (A) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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77. claims: 1-12 (all in part), 13 (in part), 17-19 (all in part), 21 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (B) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen

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78. claims: 1-12 (all in part), 13 (in part), 14 - 16 (all in part), 22 (in part), 25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (C) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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79. claims: 1-12 (all in part), 13 (in part), 23 (in part),25-27 (all in part), 30-34(all in part)

Compounds of formula I with X = CH2, R3-R10 defined according to point (D) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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80. claims: 1-12 (all in part), 13 (in part), 24 (in part), 25-27 (all in part), 30-34(all in part)

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Compounds of formula I with X = CH2, R3-R10 defined according to point (E) of claim 1, ring A = 3-pyridyl ring; their use for the treatment of diseases related action of oestrogen.

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