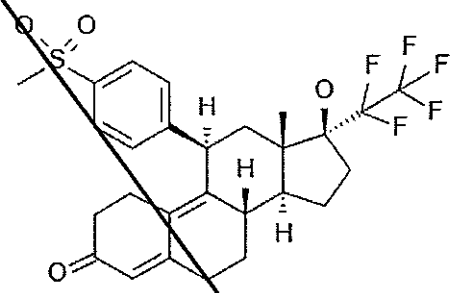
Patent claims

1. Compound
(11β,17β)-17-Hydroxy-11-[4-(methylsulphonyl)phenyl]-17-(pentafluoroethyl)estra-4,9-dien-3-one
of formula



and salts thereof.

2. The compound according to Claim 1, for use in the treatment and prophylaxis of diseases.

3. The compound according to Claim 1 or 2, for use in the treatment and prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas, hormone-dependent breast cancers and complaints associated with the menopause or for fertility control and emergency contraception.

4. Use of the compound according to Claim 1, for the production of a medicinal product for the treatment and/or prophylaxis of diseases.

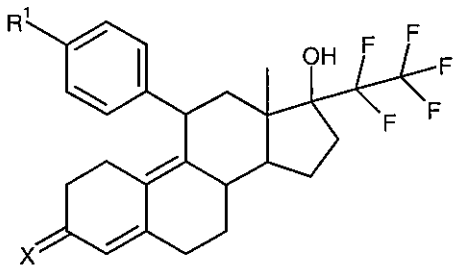
5. Use of the compound according to Claim 1, for the production of a medicinal product for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas, hormone-dependent breast cancers and complaints associated with the menopause or for fertility control and emergency contraception.

6. Medicinal product containing the compound according to Claim 1, in combination with another active substance.

7. Medicinal product containing the compound according to Claim 6, in combination with an inert, non-toxic, pharmaceutically suitable excipient.

8. Medicinal product containing the compound according to Claim 5 or 6 for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas, hormone-dependent breast cancers and complaints associated with the menopause or for fertility control and emergency contraception.

9. Compound of formula I



I,

in which

R^1 stands either for a residue Y or for a phenyl ring substituted once or twice with a residue Y,

Y is selected from the group comprising SR^2, $S(O)R^3$, $S(O)_2R^3$, $S(O)(NH)R^3$, $S(O)(NR^4)R^3$, $S(O)_2NR^9R^{10}$,

R^2 stands for hydrogen, C_1-C_6-alkyl, C_7-C_{10}-aralkyl or aryl,

R^3 stands for C_1-C_6-alkyl or aryl,

R^4 stands for a group $S(O)_2R^6$,

R^6 stands for phenyl or 4-methylphenyl

X denotes an oxygen atom, NOR^7 or $NNHSO_2R^7$,

R^7 is selected from the group comprising hydrogen, C_1-C_{10}-alkyl, aryl

R^9, R^{10} independently of one another are selected from the group comprising hydrogen, C_1-C_{10}-alkyl or aryl or alternatively represent, together with the nitrogen atom, a 3- to 8-membered, saturated or unsaturated heterocyclic ring

and their separate stereoisomers, their salts, solvates or solvates of the salts, including all crystal modifications.

10. Compound according to Claim 9, in which

R^1 stands either for a residue Y or for a phenyl ring substituted once with a residue Y,

Y is selected from the group comprising SR^2, $S(O)R^3$, $S(O)_2R^3$, $S(O)(NH)R^3$, $S(O)(NR^4)R^3$, $S(O)_2NR^9R^{10}$ and

R^2 stands for hydrogen, C_1-C_6-alkyl or S-CH_2-phenyl,

R^3 stands for C_1-C_6-alkyl and

X represents an oxygen atom,

R^6 represents phenyl or 4-methylphenyl and

R^9, R^{10} independently of one another represent hydrogen or C_1-C_6-alkyl or phenyl

and their separate stereoisomers, their salts, solvates or solvates of the salts.

11. Compound according to Claim 9, in which R^1 represents $S(O)_2R^3$ and X represents O.

12. Compound according to Claim 11, in which R^3 represents C_1-C_6-alkyl.

13. Compound according to Claim 12, in which R^3 represents methyl.

14. Compound according to Claim 9, in which R^1 represents $S(O)(NH)R^3$ and X represents O and the separate stereoisomers thereof.

15. Compound according to Claim 14, in which R^3 represents C_1-C_6-alkyl and the separate stereoisomers thereof.

16. Compound according to Claim 15, in which R^3 represents methyl and the separate stereoisomers thereof.

17. Compound according to Claim 9, in which R^1 represents SOR^3 and X represents O and the separate stereoisomers thereof.

18. Compound according to Claim 17, in which R^3 represents C_1-C_6-alkyl and the separate stereoisomers thereof.

19. Compound according to Claim 18, in which R^3 represents methyl and the separate stereoisomers thereof.

20. Compound according to Claim 9, in which R^1 represents SR^2 and X represents O.

21. Compound according to Claim 20, in which R^2 represents hydrogen.

22. Compound according to Claim 20, in which R^2 represents C_1-C_6-alkyl.

23. Compound according to Claim 22, in which R^2 represents methyl.

24. Compound according to Claim 20, in which R^2 represents aryl.

25. Compound according to Claim 24, in which R^2 represents phenyl.

26. Compound according to Claim 20, in which R^2 represents C_7-C_{10}-aralkyl.

27. Compound according to Claim 26, in which R^2 represents benzyl.

28. Compounds according to Claim 10, in which R^2 represents methyl, ethyl or hydrogen.

29. Compounds according to Claim 9, in which R^3 represents C_1-C_6-alkyl.

30. Compounds according to Claim 12, in which R^3 represents methyl or ethyl.

31. Compounds according to Claim 9, in which R^5 represents phenyl.

32. Compounds according to Claim 14, in which n=1.

33. Compounds according to Claim 9, in which X is an oxygen atom.

34. Compounds according to Claim 9, in which Y stands for SR^2 or $S(O)_2R^3$ or $S(O)(NH)R^3$ with R^2 equal to hydrogen, methyl or ethyl and R^3 equal to methyl or ethyl.

35. Compounds according to Claim 9, in which Y stands for $S(O)_2R^3$.

36. Compounds according to Claim 9

(11β,17β)-17-hydroxy-11-[4-(methylsulphanyl)phenyl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-11-[4-(ethylsulphanyl)phenyl]-17-hydroxy-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-11-{4-[(RS)-methylsulphinyl]phenyl}-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-11-[4-(ethylsulphonyl)phenyl]-17-hydroxy-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-11-[4-(benzylsulphanyl)phenyl]-17-hydroxy-17-(pentafluoroethyl)estra-4,9-dien-3-one;
N-[{4-[(11β,17β)-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]phenyl}(RS)(methyl)

oxido-λ⁶-sulphanylidene]-4-methylbenzene sulphonamide;
(11β,17β)-17-hydroxy-11-[4-(RS-methylsulphonimidoyl)phenyl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-11-[4'-(methylsulphanyl)biphenyl-4-yl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-11-[4'-(methylsulphonyl)biphenyl-4-yl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
N-[{4'-[(11β,17β)-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]biphenyl-4-yl}(RS)(methyl) oxido-λ⁶-sulphanylidene]-4-methylbenzene sulphonamide;
(11β,17β)-17-hydroxy-11-[4'-(RS-methylsulphonimidoyl)biphenyl-4-yl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-17-(pentafluoroethyl)-11-(4'-sulphanylbiphenyl-4-yl)estra-4,9-dien-3-one;
4'-[(11β,17β))-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]-N,N-dimethylbiphenyl-4-sulphonamide;
4-[(11β,17β)-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]-N,N-dimethylbenzene sulphonamide

37. Compound according to one of Claims 9-36, for use in the treatment and prophylaxis of diseases.

38. Compound according to one of Claims 9-36, for use in the treatment and prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas, hormone-dependent breast cancers and complaints associated with the menopause or for fertility control and emergency contraception.

39. Use of a compound according to one of Claims 9-36, for the production of a medicinal product for the treatment and/or prophylaxis of diseases.

40. Use of a compound according to one of Claims 9-36, for the production of a medicinal product for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas, hormone-dependent breast cancers and complaints associated with the menopause or for fertility control and emergency contraception.

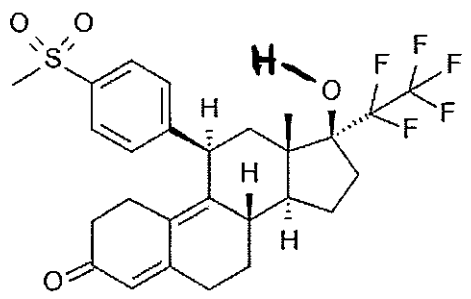
41. Medicinal product containing a compound as defined in one of Claims 9-36, in combination with another active substance.

42. Medicinal product containing a compound as defined in one of Claims 9-36, in combination with an inert, non-toxic, pharmaceutically suitable excipient.

43. Medicinal product according to Claim 41 or 42 for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas, hormone-dependent breast cancers and complaints associated with the menopause or for fertility control and emergency contraception.

Claims

1. The compound (11β,17β)-17-Hydroxy-11-[4-(methylsulphonyl)phenyl]-17-(pentafluoroethyl)estra-4,9-dien-3-one of formula:



and salts thereof.

2. The compound according to Claim 1, for use in the treatment and prophylaxis of diseases.

3. The compound according to Claim 1 or Claim 2, for use in the treatment and prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas or for fertility control and emergency contraception.

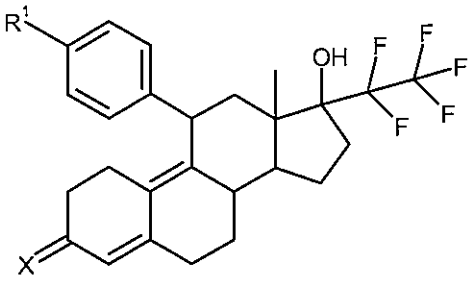
4. Use of the compound according to Claim 1, for the production of a medicinal product for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas or for fertility control and emergency contraception.

5. A medicinal product containing the compound according to Claim 1, in combination with another active substance.

6. The medicinal product containing the compound according to Claim 5, in combination with an inert, non-toxic, pharmaceutically suitable excipient.

7. The medicinal product containing the compound according to Claim 4 or Claim 5, for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas or for fertility control and emergency contraception.

8. A compound of formula:



in which

R^1 stands either for a residue Y or for a phenyl ring substituted once or twice with a residue Y,

Y is selected from the group consisting of SR^2, $S(O)R^3$, $S(O)_2R^3$, $S(O)(NH)R^3$, $S(O)(NR^4)R^3$, and $S(O)_2NR^9R^{10}$,

R^2 stands for hydrogen, C_1-C_6-alkyl, C_7-C_{10}-aralkyl or aryl,

R^3 stands for C_1-C_6-alkyl or aryl,

R^4 stands for a group $S(O)_2R^6$,

R^6 stands for phenyl or 4-methylphenyl

X denotes an oxygen atom, NOR^7 or $NNHSO_2R^7$,

R^7 is selected from the group consisting of hydrogen, C_1-C_{10}-alkyl, and aryl

R^9, R^{10} independently of one another are selected from the group consisting of hydrogen, C_1-C_{10}-alkyl, C_1-C_{10}-aryl and alternatively represent, together with the nitrogen atom, a 3-8 membered, saturated or unsaturated heterocyclic ring

and their separate stereoisomers, their salts, solvates or solvates of the salts, including all crystal modifications.

9. The compound according to Claim 8, in which

R^1 stands either for a residue Y or for a phenyl ring substituted once with a residue Y,

Y is selected from the group consisting of SR^2, $S(O)R^3$, $S(O)_2R^3$, $S(O)(NH)R^3$, $S(O)(NR^4)R^3$, and $S(O)_2NR^9R^{10}$ and

R^2 stands for hydrogen or C_1-C_6-alkyl,

R^3 stands for C_1-C_6-alkyl and

X represents an oxygen atom,

R^6 represents phenyl or 4-methylphenyl and

R^9, R^{10} independently of one another represent hydrogen or C_1-C_6-alkyl or phenyl

and their separate stereoisomers, their salts, solvates or solvates of the salts.

10. The compound according to Claim 8, in which R^1 represents $S(O)_2R^3$ and X represents O.

11. The compound according to Claim 10, in which R^3 represents C_1-C_6-alkyl.

12. The compound according to Claim 11, in which R^3 represents methyl.

13. The compound according to Claim 8, in which R^1 represents $S(O)(NH)R^3$ and X represents O and the separate stereoisomers thereof.

14. The compound according to Claim 13, in which R^3 represents C_1-C_6-alkyl and the separate stereoisomers thereof.

15. The compound according to Claim 14, in which R^3 represents methyl and the separate stereoisomers thereof.

16. The compound according to Claim 8, in which R^1 represents SOR^3 and X represents O and the separate stereoisomers thereof.

17. The compound according to Claim 16, in which R^3 represents C_1-C_6-alkyl and the separate stereoisomers thereof.

18. The compound according to Claim 17, in which R^3 represents methyl and the separate stereoisomers thereof.

19. The compound according to Claim 8, in which R^1 represents SR^2 and X represents O.

20. The compound according to Claim 19, in which R^2 represents hydrogen.

21. The compound according to Claim 19, in which R^2 represents C_1-C_6-alkyl.

22. The compound according to Claim 21, in which R^2 represents methyl.

23. The compound according to Claim 19, in which R^2 represents aryl.

24. The compound according to Claim 23, in which R^2 represents phenyl.

25. The compound according to Claim 19, in which R^2 represents C_7-C_{10}-aralkyl.

26. The compound according to Claim 25, in which R^2 represents benzyl.

27. The compound according to Claim 9, in which R^2 represents methyl, ethyl or hydrogen.

28. The compound according to Claim 8, in which R^3 represents C_1-C_6-alkyl.

29. The compound according to Claim 11, in which R^3 represents methyl or ethyl.

30. The compound according to Claim 8, in which X is an oxygen atom.

31. The compound according to Claim 8, in which Y stands for SR^2 or $S(O)_2R^3$ or $S(O)(NH)R^3$ with R^2 equal to hydrogen, methyl or ethyl and R^3 equal to methyl or ethyl.

32. The compound according to Claim 8, in which Y stands for $S(O)_2R^3$.

33. The compounds according to Claim 8, wherein the compound is:
(11β,17β)-17-hydroxy-11-[4-(methylsulphanyl)phenyl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-11-[4-(ethylsulphanyl)phenyl]-17-hydroxy-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-11-{4-[(RS)-methylsulphinyl]phenyl}-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-11-[4-(ethylsulphonyl)phenyl]-17-hydroxy-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-11-[4-(benzylsulphanyl)phenyl]-17-hydroxy-17-(pentafluoroethyl)estra-4,9-dien-3-one;
N-[{4-[(11β,17β)-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]phenyl}(RS)(methyl)oxido-λ⁶-sulphanylidene]-4-methylbenzene sulphonamide;
(11β,17β)-17-hydroxy-11-[4-(RS-methylsulphonimidoyl)phenyl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-11-[4'-(methylsulphanyl)biphenyl-4-yl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
(11β,17β)-17-hydroxy-11-[4'-(methylsulphonyl)biphenyl-4-yl]-17-(pentafluoroethyl)estra-4,9-dien-3-one;
N-[{4'-[(11β,17β)-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]biphenyl-4-yl}(RS)(methyl)oxido-λ⁶-sulphanylidene]-4-methylbenzene sulphonamide;
(11β,17β)-17-hydroxy-11-[4'-(RS-methylsulphonimidoyl)biphenyl-4-yl]-17-(pentafluoroethyl)estra-

4,9-dien-3-one;
(11β,17β)-17-hydroxy-17-(pentafluoroethyl)-11-(4'-sulphanylbiphenyl-4-yl)estra-4,9-dien-3-one;
4'-[(11β,17β))-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]-N,N-dimethylbiphenyl-4-sulphonamide; or
4-[(11β,17β)-17-hydroxy-3-oxo-17-(pentafluoroethyl)estra-4,9-dien-11-yl]-N,N-dimethylbenzene sulphonamide.

34. The compound according to any one of Claims 8-33, for use in the treatment and prophylaxis of diseases.

35. The compound according to any one of Claims 8-33, for use in the treatment and prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas or for fertility control and emergency contraception.

36. Use of a compound according to any one of Claims 8-33, for the production of a medicinal product for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas or for fertility control and emergency contraception.

37. A medicinal product containing a compound according to any one of Claims 8-33, in combination with another active substance.

38. A medicinal product containing a compound according to any one of Claims 8-33, in combination with an inert, non-toxic, pharmaceutically suitable excipient.

39. The medicinal product according to Claim 37 or Claim 38, for the treatment and/or prophylaxis of fibroids of the uterus, endometriosis, heavy menstrual bleeds, meningiomas or for fertility control and emergency contraception.