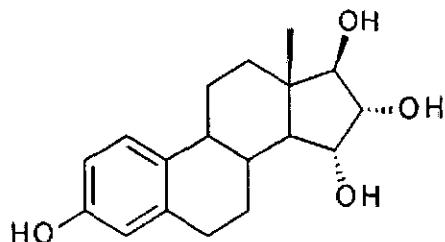


CLAIMS

1. A process for the preparation of a compound of formula (I), hydrates or solvates thereof;

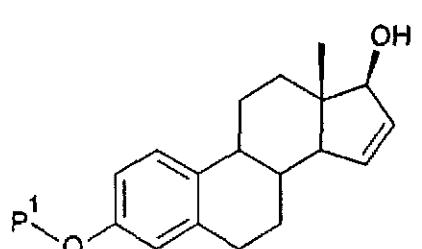


5

(I)

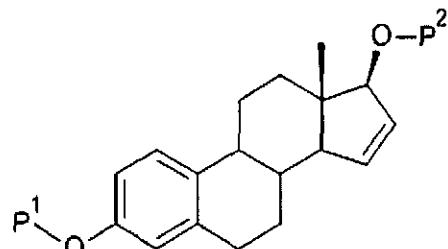
said process comprising the steps of

a) reacting a compound of formula (II), with an acylating or a silylating agent to produce a compound of formula (III),



10

(II)



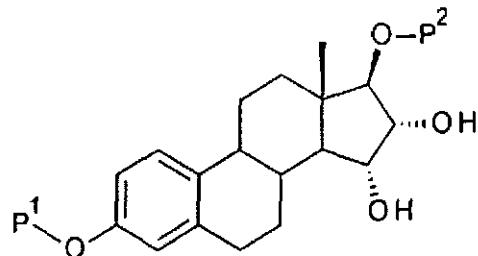
(III)

15

wherein P¹ is a protecting group selected from R¹CO-, or R²Si(R³)(R⁴)-, P² is a protecting group selected from (R⁶R⁵R⁷)C-CO-, or (R²)Si(R³)(R⁴)-, wherein R¹ is a group selected from C₁₋₆alkyl or C₃₋₆cycloalkyl, each group being optionally substituted by one or more substituents independently selected from fluoro or C₁₋₄alkyl; R², R³ and R⁴ are each independently a group selected from C₁₋₆alkyl or phenyl, each group being optionally substituted by one or more substituents independently selected from fluoro or C₁₋₄alkyl; R⁵ is a group selected from C₁₋₆alkyl or phenyl, each group being optionally substituted by one or more substituents independently selected from fluoro or C₁₋₄alkyl; R⁶ and R⁷ are each independently hydrogen or a group selected from C₁₋₆alkyl or phenyl, each group being optionally substituted by one or more substituents independently selected from fluoro or C₁₋₄alkyl;

20

b) reacting the compound of formula (III) in the presence of at least one oxidizing agent selected from permanganate salt, osmium oxide, hydrogen peroxide, or iodine and silver acetate to produce compound of formula (IV); and



5

(IV)

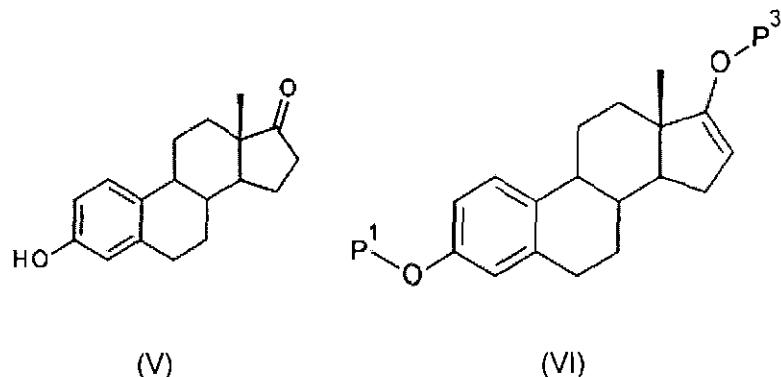
c) deprotecting the compound of formula (IV) to produce compound of formula (I).

2. The process according to claim 1, wherein P^1 is $R^2Si(R^3)(R^4)-$, and P^2 is $(R^2)Si(R^3)(R^4)-$.
3. The process according to claim 1 or 2, wherein the silylating agent is selected from the group comprising C_{1-6} alkylsilylchloride, C_{1-6} alkylsilyl triflate, C_6 arylsilyl chloride, C_6 arylsilyl triflate; C_{1-6} alkyl C_6 arylsilylchloride, C_{1-6} alkyl C_6 arylsilyl triflate, each group being optionally substituted by one or more substituents independently selected from fluoro or C_{1-4} alkyl.
4. The process according to any of claims 1 to 3, wherein the acylating agent is selected

15 from $\begin{array}{c} R^6R^5 \\ | \\ R \text{---} O \text{---} OR^8 \end{array}$, $\begin{array}{c} R^6R^5 \\ | \\ R \text{---} C \text{---} COCl \end{array}$ and $\begin{array}{c} R^6R^5 \\ | \\ R \text{---} O \text{---} O \text{---} R^7 \\ | \\ R^7R^6 \end{array}$, wherein R^5 , R^6 , R^7 have the same meaning as that defined in claim 1, R^8 is a group selected from C_{1-6} alkyl, or C_{2-6} alkenyl, each group being optionally substituted by one or more substituents independently selected from fluoro or C_{1-4} alkyl.

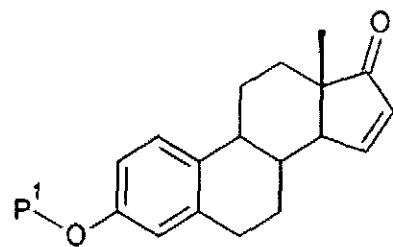
5. The process according to any of claims 1 to 4, wherein in step (b) said oxidizing agent is potassium permanganate.
6. The process according to claim 5, wherein step (b) is performed in the presence of an acid.
7. The process according to any of claims 1 to 6 wherein the compound of formula (II) is obtained by a process comprising the steps of:

i) reacting a compound of formula (V), with an acylating or a silylating agent to produce a compound of formula (VI),



5 wherein P^3 is a protecting group selected from R^9CO- , or $R^{10}Si(R^{11})(R^{12})-$, wherein R^9 is a group selected from $C_{1-6}alkyl$ or $C_{3-6}cycloalkyl$, each group being optionally substituted by one or more substituents independently selected from fluoro or $C_{1-4}alkyl$; R^{10} , R^{11} and R^{12} are each independently a group selected from $C_{1-6}alkyl$ or phenyl, each group being optionally substituted by one or more substituents independently selected from fluoro or $C_{1-4}alkyl$;

ii) reacting the compound of formula (VI) in the presence of palladium acetate or a derivative thereof, or iodine (V) species, to produce compound of formula (VII); and

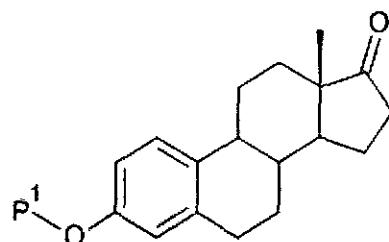


(VII)

15 iii) reacting the compound of formula (VII) with a reducing agent to produce compound of formula (II).

8. The process according to claim 7, wherein P^3 is R^9CO .

9. The process according to claim 8, wherein step (i) comprises the steps of (i1) protecting the hydroxyl of compound of formula (V) with a silylating agent to produce a compound of formula (Va), wherein P¹ has the same meaning as that defined in claim 1; and

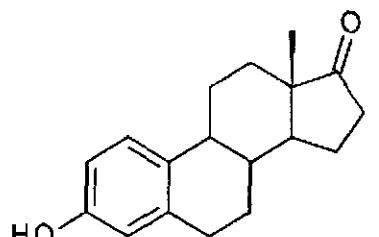


(Va)

(i2) protecting the ketone of compound of formula (Va) in the presence of an acylating agent to produce compound of formula (VI).

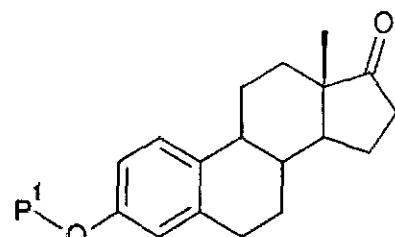
5 10. The process according to any of claims 1 to 6, wherein the compound of formula (II) is obtained by a process comprising the steps of

1) reacting a compound of formula (V) with a silylating or an acylating agent to produce compound of formula (Va), wherein P¹ has the same meaning as in claim 1;



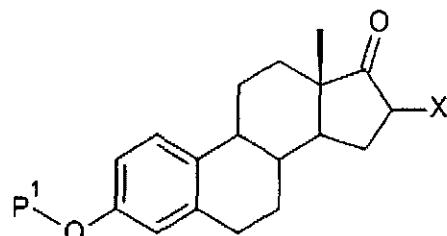
10

(V)



(Va)

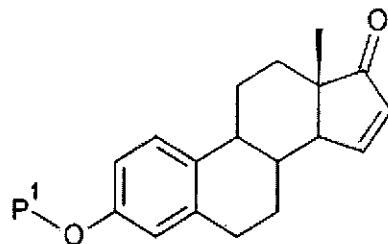
2) halogenation or sulfinylation of the compound of formula (Va) to produce a compound of formula (Vb);



(Vb)

15 wherein X is halo, or -O-SO-R²⁰, and R²⁰ is a group selected from C₆₋₁₀aryl or heteroaryl, each group being optionally substituted by one or more substituents independently selected from chloro or C₁₋₄alkyl;

3) dehalogenation or desulfinylation of the compound of formula (Vb) to produce compound of formula (V); and



(VII)

5 4) reacting the compound of formula (VII) with a reducing agent to produce compound of formula (II).

11. The process according to claim 10, wherein step (2) is a sulfinylation and the sulfinylation is performed by reacting the compound of formula (Va) with a base and with a sulfinylation reagent.

10 12. The process according to claim 10, wherein step (2) is a halogenation and the halogenation is performed by reacting the compound of formula (Va) with a halogenating reagent.

13. The process according to any of claims 7 and 10, wherein step (iii) and step (4) are performed using a reducing agent selected from the group of metal hydride

15 compounds.

14. Process according to any of claims 7 to 13, wherein the silylating agent is selected from the group comprising C_{1-6} alkylsilylchloride, C_{1-6} alkylsilyl triflate, C_6 arylsilylchloride, C_6 arylsilyl triflate, C_{1-6} alkyl C_6 arylsilylchloride, C_{1-6} alkyl C_6 arylsilyl triflate, each group being optionally substituted by one or more substituents independently selected from fluoro or C_{1-4} alkyl.

20 15. Process according to any of claims 7 to 13, wherein the acylating agent is selected from the group comprising C_{2-6} alkenyl C_{1-6} alkanoates, C_{2-6} alkenyl C_{3-6} cycloalkanoate, acyl chlorides and anhydrides.

25 Dated this 29th April, 2014

Prafulla Wange
(Agent for Applicant)