

## **ANNEX 1 – PROPOSED CONDITIONAL AMENDMENTS TO EP (UK) 1 457 208 B9**

1. A composition formulated for intramuscular injection comprising testosterone undecanoate ~~a testosterone ester selected from the group of esters consisting of linear and branched nonanoates, decanoates, undecanoates, dodecanoates, tridecanoates, tetradecanoates and pentadecanoates;~~ and a vehicle comprising castor oil in a concentration of 25-45 vol% and a co-solvent, wherein the co-solvent is benzyl benzoate.
- ~~2. The composition according to claim 1, wherein the testosterone ester is testosterone undecanoate.~~
- ~~32.~~ 32. The composition according to claim 12, wherein the testosterone undecanoate is in a dose of 150 to 500 mg per mL.
- ~~43.~~ 43. The composition according to any of the preceding claims, wherein the vehicle comprises the castor oil in a concentration of 25-40 vol%.
- ~~54.~~ 54. The composition according to any of claims ~~1-32~~, wherein the co-solvent is in an amount ranging from 55 to 65 vol% of the vehicle.
- ~~6.~~ 6. ~~The composition according to any one of the preceding claims, wherein the co-solvent is benzyl benzoate.~~
- ~~75.~~ 75. A pharmaceutical formulation containing ~~1~~ 1000 mg testosterone undecanoate in a vehicle of 4 ml of a mixture of castor oil and benzyl benzoate in a ratio of 1:1.7 by volume.
- ~~86.~~ 86. Use of a composition as defined in any one of claims ~~1-64~~ or a pharmaceutical formulation as defined in claim ~~75~~ in male contraception.
- ~~97.~~ 97. Use of testosterone undecanoate ~~a testosterone ester selected from the group of esters consisting of linear and branched nonanoates, decanoates, undecanoates, dodecanoates, tridecanoates, tetradecanoates and pentadecanoates~~ for the preparation of a medicament for treating primary and secondary hypogonadism in a man, said medicament is in a form for intramuscular injection and comprises a vehicle comprising castor oil in a concentration of 25-45 vol% and a cosolvent, wherein the co-solvent is benzyl benzoate, and wherein said use is characterized by i) an initial phase comprising 2 injections of a single dose of testosterone undecanoate with an interval of 6 weeks between each injection, each dose in an amount of between 500 mg and 2000 mg testosterone undecanoate; followed by ii) a maintenance phase comprising subsequent injections of a single dose of testosterone undecanoate with an interval of at least 9 weeks between each subsequent injection, each dose in an amount of between 500 mg and 2000 mg testosterone undecanoate.

- ~~408.~~ The use according to claim ~~97~~, wherein said primary hypogonadism is derived from testicular failure selected from the group consisting of cryptorchidism, bilateral testicular torsion, orchitis, orchidectomy, Klinefelter syndrome, chemotherapy and toxic damage from alcohol or heavy metals.
- ~~419.~~ The use according to claim ~~97~~, wherein said secondary hypogonadism is derived from idiopathic gonadotropin releasing hormone (GnRH) deficiency or pituitary-hypothalamic injury caused by tumours, trauma or radiation.
- ~~4210.~~ Use of a of a testosterone ester selected from the group of esters consisting of linear and branched nonanoates, decanoates, undecanoates, dodecanoates, tridecanoates, tetradecanoates and pentadecanoates for the preparation of a medicament for treating diseases and symptoms associated with deficient levels of testosterone in a man who is in therapy with a progestin or a gonadotropin suppressive agent, said medicament is in a form for intramuscular injection and comprises a vehicle comprising castor oil in a concentration of 25-45 vol% and a co-solvent.
- ~~4311.~~ The use according to claim ~~408~~, wherein said deficient levels of testosterone in a man is such that the concentration of testosterone in serum is less than 10 nmol/l.
- ~~14.~~ The use according to claims ~~8-13~~, wherein the testosterone ester is testosterone undecanoate.
- ~~4512.~~ The use according to any of claims ~~86~~ to ~~4411~~, wherein said medicament comprises said testosterone ester in a 6-week dose of 500 mg to 2000 mg, a 9-week dose of 500 mg to 2000 mg, a 10-week dose of 500 mg to 2000 mg, a 11-week dose of 500 mg to 2000 mg, a 12-week dose of 500 mg to 2000 mg, a 13-week dose of 500 mg to 2000 mg, a 14-week dose of 500 to 2000 mg, a 15-week dose of 500 to 2000 mg or a 16-week dose of 500 mg to 2000 mg.
- ~~4613.~~ The use according to claim ~~4512~~, wherein said 6-, 9-, 10-, 11-, 12-, 13-, 14-, 15- and 16-week dose is of 750 mg to 1500 mg.
- ~~17.~~ The use according to any of claims ~~8~~ to ~~16~~, wherein the co-solvent is benzyl benzoate.
14. The use according to any of claims 7 to 9 or claims 11 to 13, wherein the maintenance phase comprises subsequent injections of a single dose of testosterone undecanoate with an interval of at least 10 weeks between each subsequent injection.
15. The use according to any of claims 7 to 9 or claims 11 to 14, wherein the maintenance phase comprises subsequent injections of a single dose of testosterone undecanoate with an interval of 10 to 14 weeks between each subsequent injection.
16. The use according to any of claims 7 to 9 or claims 11 to 15, wherein the maintenance phase comprises subsequent injections of a single dose of testosterone undecanoate with an interval of 10 weeks or 12 weeks between each subsequent injection.
17. The use according to any of claims 7 to 9 or claims 11 to 16, wherein the co-solvent is in an amount ranging from 55 to 65 vol% of the vehicle.