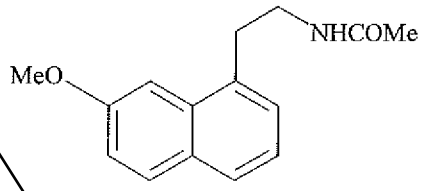
CLAIMS

1. Stabilised amorphous form of agomelatine of formula (I):



(I)

2. Stabilised amorphous form of agomelatine according to claim 1, characterised in that the agomelatine is dispersed within a matrix.

3. Stabilised amorphous form of agomelatine according to claim 2, characterised in that the matrix used is an organic polymer.

4. Stabilised amorphous form of agomelatine according to either claim 2 or claim 3, characterised in that the matrix used is a methacrylic acid compound or a vinyl or cellulosic polymer.

5. Stabilised amorphous form of agomelatine according to claim 4, characterised in that the matrix used is Eudragit L100.

6. Stabilised amorphous form of agomelatine according to claim 4, characterised in that the matrix used is Eudragit L100-55.

7. Stabilised amorphous form of agomelatine according to either claim 2 or claim 3, characterised in that the matrix used is a vinyl polymer.

8. Stabilised amorphous form of agomelatine according to claim 7, characterised in that the matrix used is Kollidon VA64 or Soluplus.

9. Stabilised amorphous form of agomelatine according to claim 7, characterised in that the matrix used is Polyvinyl Acetate Phthalate.

10. Stabilised amorphous form of agomelatine according to claim 7, characterised in that the matrix used is Plasdone S630.

11. Stabilised amorphous form of agomelatine according to either claim 2 or claim 3, characterised in that the matrix used is a cellulosic polymer.

12. Stabilised amorphous form of agomelatine according to claim 11, characterised in that the matrix used is HPMC acetyl succinate.

13. Stabilised amorphous form of agomelatine according to claim 2 to 12, characterised in that the percentage of agomelatine by weight that is used is greater than or equal to 30 %.

14. Stabilised amorphous form of agomelatine according to claim 2 to 12, characterised in that the percentage of agomelatine by weight that is used is from 30 % to 50%.

15. Stabilised amorphous form of agomelatine according to claim 2 to 12, characterised in that the percentage of agomelatine by weight that is used is from 30 % to 40%.

16. Process for obtaining the stabilised amorphous form of agomelatine according to any one of claims 1 to 15, characterised in that the compound of formula (I) obtained by any process and present in any crystalline form, complexes, co-crystals, or addition salts with a pharmaceutically acceptable acid or base, is mixed with the selected polymer in one or more solvents, allowing complete dissolution of the constituents to be obtained, and then the solvent is evaporated off in its entirety under reduced pressure.

17. Process for obtaining the stabilised amorphous form of agomelatine according to any one of claims 1 to 15, characterised in that the compound of formula (I) obtained by

any process and present in any crystalline form, complexes, co-crystals, or addition salts with a pharmaceutically acceptable acid or base, is mixed and pre-blended with the selected polymer and then is introduced into an extruder whose screw pitch and temperature are chosen as a function of the viscosity of the mixture, to obtain an extrudate which is then cut up into the desired size and then, optionally, ground.

18. Process for obtaining the stabilised amorphous form of agomelatine, according to either claim 16 or claim 17, characterised in that the percentage of agomelatine by weight is greater than or equal to 30 %.

19. Process for obtaining the stabilised amorphous form of agomelatine, according to either claim 16 or claim 17, characterised in that the percentage of agomelatine by weight is from 30 % to 50%.

20. Process for obtaining the stabilised amorphous form of agomelatine, according to either claim 16 or claim 17, characterised in that the percentage of agomelatine by weight is from 30 % to 40%.

21. Pharmaceutical compositions comprising as active ingredient the stabilised amorphous form of agomelatine according to one of claims 1 to 15, on its own or in combination with one or more inert, non-toxic and pharmaceutically acceptable carriers.

22. Pharmaceutical compositions according to claim 21, characterized in that the percentage of agomelatine by weight with respect to the total weight of the formulation is greater than or equal to 25%.

23. Pharmaceutical compositions according to claims 21 or 22 for use in the manufacture of medicaments for treating disorders of the melatoninergic system.

24. Pharmaceutical compositions according to claims 21 or 22 for use in the manufacture of medicaments for the treatment of sleep disorders, stress, anxiety, seasonal affective disorder or major depression, cardiovascular pathologies, pathologies of the digestive

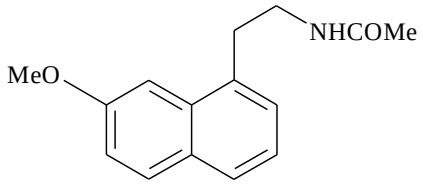
system, insomnia and fatigue due to jet-lag, schizophrenia, panic attacks, melancholia, appetite disorders, obesity, insomnia, pain, psychotic disorders, epilepsy, diabetes, Parkinson's disease, senile dementia, various disorders associated with normal or pathological ageing, migraine, memory loss, Alzheimer's disease, cerebral circulation disorders and also in sexual dysfunctions, and as ovulation-inhibitors and immunomodulators and in the treatment of cancers.

25. Stabilised morphous form of agomelatine according to one of claims 1 to 15 for the treatment of disorders of the melatoninergic system.

26. Stabilised amorphous form of agomelatine according to one of claims 1 to 15 for the treatment of sleep disorders, stress, anxiety, seasonal affective disorder or major depression, cardiovascular pathologies, pathologies of the digestive system, insomnia and fatigue due to jet-lag, schizophrenia, panic attacks, melancholia, appetite disorders, obesity, insomnia, pain, psychotic disorders, epilepsy, diabetes, Parkinson's disease, senile dementia, various disorders associated with normal or pathological ageing, migraine, memory loss, Alzheimer's disease, cerebral circulation disorders and also in sexual dysfunctions, and as ovulation-inhibitors and immunomodulators and in the treatment of cancers.

CLAIMS

1. Stabilised amorphous form of agomelatine of formula (I):



(I)

characterized in that agomelatine is dispersed within a matrix chosen from Eudragit L100, Eudragit L100-55, Kollidon VA64, Soluplus, Polyvinyl Acetate Phthalate, Plasdone S630 and HPMC acetyl succinate, wherein the percentage of agomelatine by weight that is used is from 30% to 50%.

2. Stabilised amorphous form of agomelatine according to claim 1, characterised in that the percentage of agomelatine by weight that is used is from 30 % to 40%.

3. Process for obtaining the stabilised amorphous form of agomelatine according to claim 1 or 2, characterised in that the compound of formula (I) obtained by any process and present in any crystalline form, complexes, co-crystals, or addition salts with a pharmaceutically acceptable acid or base, is mixed with the selected polymer in one or more solvents, allowing complete dissolution of the constituents to be obtained, and then the solvent is evaporated off in its entirety under reduced pressure.

4. Process for obtaining the stabilised amorphous form of agomelatine according to claim 1 or 2, characterised in that the compound of formula (I) obtained by any process and present in any crystalline form, complexes, co-crystals, or addition salts with a pharmaceutically acceptable acid or base, is mixed and pre-blended with the selected polymer and then is introduced into an extruder whose screw pitch and temperature are chosen as a function of the viscosity of the mixture, to obtain an extrudate which is then cut up into the desired size and then, optionally, ground.

5. Pharmaceutical compositions comprising as active ingredient the stabilised amorphous form of agomelatine according to claim 1 or 2, on its own or in combination with one or more inert, non-toxic and pharmaceutically acceptable carriers.

6. Pharmaceutical compositions according to claim 5, characterized in that the percentage of agomelatine by weight with respect to the total weight of the formulation is greater than or equal to 25%.

7. Pharmaceutical compositions according to claim 5 or 6 for use in the manufacture of medicaments for treating disorders of the melatoninergic system.

8. Pharmaceutical compositions according to claim 5 or 6 for use in the manufacture of medicaments for the treatment of sleep disorders, stress, anxiety, seasonal affective disorder or major depression, cardiovascular pathologies, pathologies of the digestive system, insomnia and fatigue due to jet-lag, schizophrenia, panic attacks, melancholia, appetite disorders, obesity, insomnia, pain, psychotic disorders, epilepsy, diabetes, Parkinson's disease, senile dementia, various disorders associated with normal or pathological ageing, migraine, memory loss, Alzheimer's disease, cerebral circulation disorders and also in sexual dysfunctions, and as ovulation-inhibitors and immunomodulators and in the treatment of cancers.

9. Stabilised amorphous form of agomelatine according to claim 1 or 2 for the treatment of disorders of the melatoninergic system.

10. Stabilised amorphous form of agomelatine according to claim 1 or 2 for the treatment of sleep disorders, stress, anxiety, seasonal affective disorder or major depression, cardiovascular pathologies, pathologies of the digestive system, insomnia and fatigue due to jet-lag, schizophrenia, panic attacks, melancholia, appetite disorders, obesity, insomnia, pain, psychotic disorders, epilepsy, diabetes, Parkinson's disease, senile dementia, various disorders associated with normal or pathological ageing, migraine, memory loss, Alzheimer's disease, cerebral circulation disorders and also in sexual

dysfunctions, and as ovulation-inhibitors and immunomodulators and in the treatment of cancers.