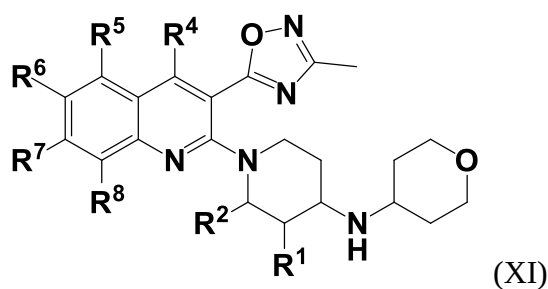


CLAIMS

We claim:

1. A compound having the structure of Formula (XI) or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope, or salt thereof:



wherein

R^1 is H, F, or lower alkyl;

R^2 is H or lower alkyl;

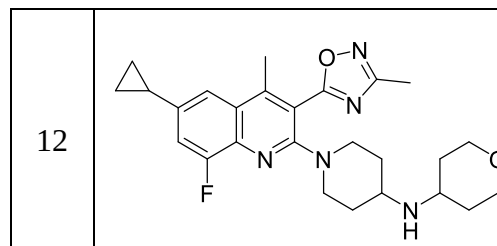
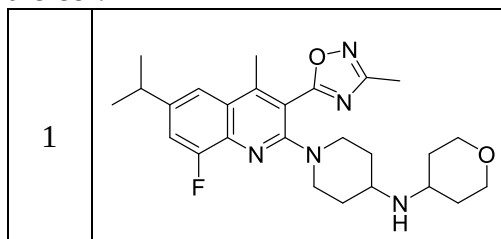
R^4 is lower alkyl;

R^5 and R^7 are both H;

R^6 is lower alkyl, lower haloalkyl, lower alkoxy, lower haloalkoxy, cycloalkoxy, lower alkynyl, cycloalkyl, halo or cyano; and

R^8 is halo or cyano.

2. The compound of claim 1, wherein the compound having the structure of Formula (XI) is a compound having one of the following structures, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope, or salt thereof:



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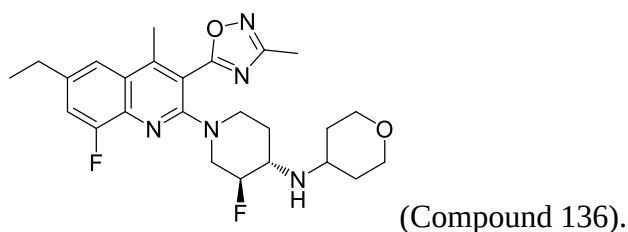
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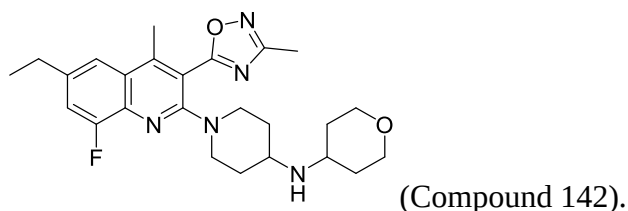
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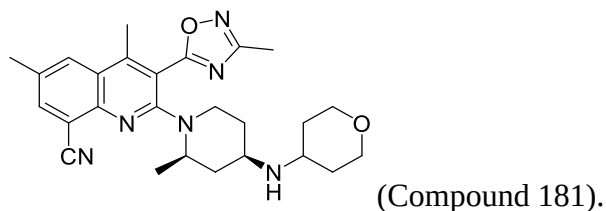
3. The compound of claim 2, wherein the compound having the structure of Formula (XI) is Compound 136, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope, or salt thereof:



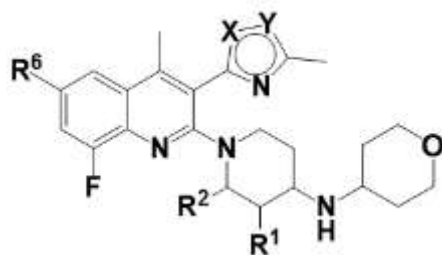
4. The compound of claim 2, wherein the compound having the structure of Formula (XI) is Compound 142, or a pharmaceutically acceptable hydrate, solvate, isotope, or salt thereof:



5. The compound of claim 2, wherein the compound having the structure of Formula (XI) is Compound 181, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope, or salt thereof:



6. A compound having the following structure, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope, or salt thereof:



wherein

X is O when Y is N or X is N when Y is O;

R¹ is H, F, or lower alkyl;

R² is H or lower alkyl; and

R⁶ is lower alkyl, lower haloalkyl, lower alkoxy, lower haloalkoxy, cycloalkoxy, lower alkynyl, cycloalkyl, halo, or cyano.

7. A composition comprising a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, and a pharmaceutically acceptable carrier, diluent or excipient.

8. An *in vitro* method for antagonizing the KOR, comprising contacting the KOR with an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a composition comprising the same.

9. Use of an effective amount of a compound of any one of claims 1- 6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating a neuropsychiatric or behavioral condition, whether organic, stress-induced or iatrogenic, that is characterized by elevations in serum prolactin, wherein the medicament is to be administered to a subject in need thereof at a frequency and for a duration sufficient to provide a beneficial effect to the subject.

10. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the

manufacture of a medicament for treating disorders related to substance abuse or addiction, wherein the medicament is to be administered to a subject in need thereof at a frequency and for a duration sufficient to provide a beneficial effect to the subject.

11. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating a CNS-related disorder, wherein the medicament is to be administered to a subject in need thereof at a frequency and for a duration sufficient to provide a beneficial effect to the subject.

12. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating an anxiety disorder, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.

13. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating a depressive disorder, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.

14. Use of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating a mood disorder, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.

15. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating a schizophrenia or a schizoaffective disorder, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.

16. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating obesity or an eating disorder, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.

17. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating migraine, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.

18. Use of an effective amount of a compound of any one of claims 1-6, or a pharmaceutically acceptable stereoisomer, racemate, hydrate, solvate, isotope or salt thereof, or a pharmaceutical composition comprising the same, in the manufacture of a medicament for treating postnatal depression, wherein the medicament is to be administered to a subject in need thereof at a frequency and for duration sufficient to provide a beneficial effect to the subject.