Figure 3 depicts a powder X-ray diffraction pattern (XRPD) for a sample containing crystalline Form I of Compound **7** (PANalytical X'Pert Plus Powder X-Ray Diffractometer; 5.0°-40.0 °2θ).

Figure 4 depicts a dynamic vapor sorption (DVS) scan for crystalline Form I of Compound **7** of the invention (VTI dynamic vapor sorption analyzer).

Figure 5 depicts a thermogravimetric analysis (TGA) thermogram for crystalline Form I of Compound **9** the invention (TA Instruments TGA Q500 in open cell; 25-350 °C; 10 °C/min).

Figure 6 depicts a differential scanning calorimetry (DSC) thermogram for crystalline Form I of Compound **9** of the invention (TA Instruments DSC Q1000; 25-270 °C; 10 °C/min).

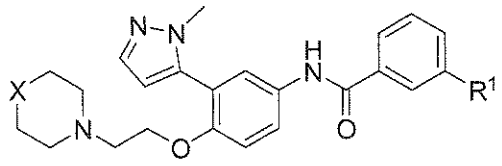
Figure 7 depicts a powder X-ray diffraction pattern (XRPD) for a sample containing crystalline Form I of Compound **9** (PANalytical X'Pert Plus Powder X-Ray Diffractometer; 5.0°-40.0 °2θ).

Figure 8 depicts a dynamic vapor sorption (DVS) scan for crystalline Form I Compound **9** of the invention (VTI dynamic vapor sorption analyzer).

SUMMARY ~~OF THE INVENTION~~

In one aspect, there is provided a process for preparing a compound of Formula (I): [Chemical 1]

~~The present invention provides, *inter alia*, processes for preparing compounds of Formula (I):~~



(I)

or a salt form thereof,

wherein:

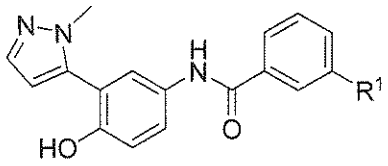
R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting a compound of Formula (**II**):

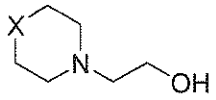
[Chemical 2]



(II)

with a compound of Formula (**III**):

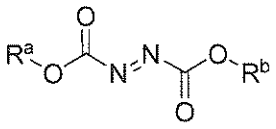
[Chemical 3]



(III)

in the presence of a trisubstituted phosphine and a compound having the Formula (**A**):

[Chemical 4]



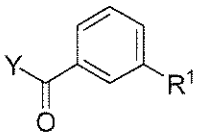
(A)

wherein:

R^a and R^b are each, independently, C_1-C_{10} alkyl or C_1-C_7 cycloalkyl;

to form ~~said~~ compound of Formula (**I**).

The present ~~invention~~disclosure further provides processes for preparing compounds of Formula (**II**) comprising reacting a compound of Formula (**IV**):



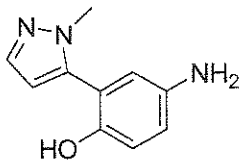
(IV)

wherein:

Y is halo, OH or $OC(O)R^3$; and

R^3 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

with a compound of Formula (**V**):

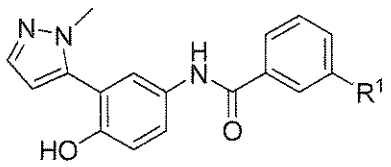


(V)

or a salt thereof to form a compound of Formula (**II**).

In one aspect, there is provided a process for preparing a compound of Formula (II):

[Chemical 13]



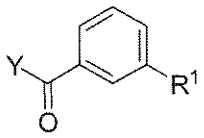
(II)

wherein:

R^2 is C_1-C_6 alkyl, halo, C_1-C_6 haloalkyl or C_1-C_6 alkoxy;

comprising reacting a compound of Formula (IV):

[Chemical 14]



(IV)

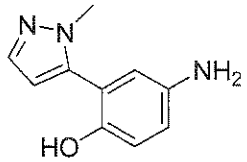
wherein:

Y is halo, OH or $OC(O)R^3$; and

R^2 is C_1-C_6 alkyl, C_1-C_6 alkenyl, C_1-C_6 alkynyl, C_3-C_7 cycloalkyl, C_1-C_6 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_6 alkyl, halo, C_1-C_6 haloalkyl or C_1-C_6 alkoxy;

with a compound of Formula (V):

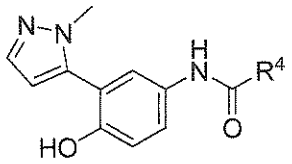
[Chemical 15]



(V)

or a salt thereof to form said compound of Formula (II).

The present ~~invention~~disclosure further provides processes for preparing a compound of Formula (V) or a salt thereof comprising reacting a compound of Formula (VI):



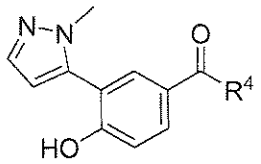
(VI)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a cleaving reagent to form a compound of Formula (**V**) or a salt thereof.

The present ~~invention~~disclosure further provides processes for preparing compounds of Formula (**VI**) comprising reacting a compound of Formula (**VII**):

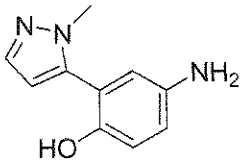


(VII)

with an amine in the presence of an acid to form a compound of Formula (**VI**).

In one aspect, there is provided a process for preparing a compound of Formula (V):

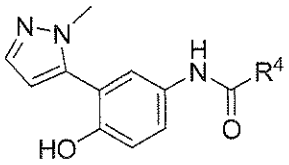
[Chemical 16]



(V)

or a salt thereof by the method comprising reacting a compound of Formula (VI):

[Chemical 17]



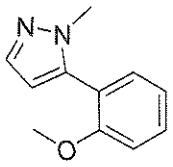
(VI)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

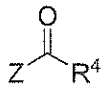
with a cleaving reagent to form said compound of Formula (V) or a salt thereof.

The present ~~invention~~disclosure further provides processes for preparing compounds of Formula (**VII**) comprising reacting a compound of Formula (**IX**):



(IX)

with a compound of the Formula (**X**):



(X)

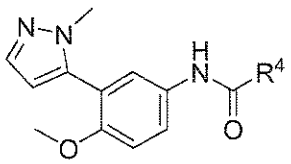
wherein:

Z is halo or $OC(O)R^5$;

R^5 is C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

in the presence of a Lewis acid to form a compound of Formula (**VII**).

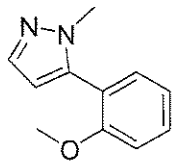
The present ~~invention~~disclosure further provides processes for preparing compounds of Formula (**VI**) comprising reacting a compound of Formula (**VIII**):



(VIII)

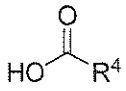
with a demethylating agent to form a compound of Formula (**VI**).

The present ~~invention~~disclosure further provides processes for preparing compounds of Formula (**VIII**) comprising reacting a compound of Formula (**IX**):



(**IX**)

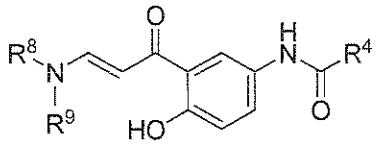
with a compound of Formula (**XI**):



(**XI**)

and an amine to form a compound of Formula (**VIII**).

The present ~~invention~~disclosure further provides processes for preparing a compound of Formula (**VI**) comprising reacting a compound of Formula (**XIV**):



(**XIV**)

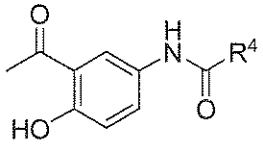
wherein:

R^8 and R^9 are each independently C_1-C_8 alkyl or arylalkyl; or

R^8 and R^9 together with the nitrogen atom to which they are both bonded form a heterocyclyl or a heterobicyclyl group;

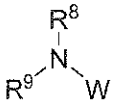
with methyl hydrazine in the presence of a catalyst to form said compound of Formula (**VI**).

The present ~~invention~~disclosure further provides processes for preparing a compound of Formula (**XIV**) comprising reacting a compound of Formula (**XV**):



(**XV**)

with a compound of Formula (**XVI**):



(**XVI**)

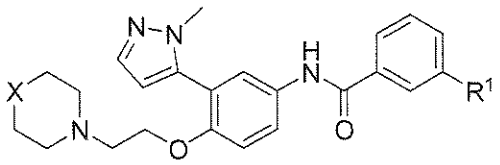
wherein:

W is formyl or $-CH(OR^{10})(OR^{11})$;

and R^{10} and R^{11} are each independently C_1-C_8 alkyl;

to form said compound of Formula (**XIV**).

The present ~~invention~~disclosure further provides processes for preparing salts of compounds of Formula (**I**):

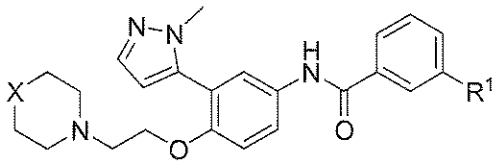


(**I**)

comprising reacting a compound of Formula (**I**) with a salt-forming acid to form a salt of a compound of Formula (**I**).

In one aspect, there is provided a process for preparing a salt of a compound of Formula (I):

[Chemical 18]



(**I**)

wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting said compound of Formula (I) with a salt-forming acid to form said salt of a compound of Formula (I).

The present ~~invention~~disclosure further provides salts of compounds of Formula (**I**) prepared by the processes described herein.

In one aspect, there is provided a hydrochloride salt of 3-methoxy-*N*-[3-(2-methyl-2*H*-pyrazol-3-yl)-4-(2-morpholin-4-yl-ethoxy)-phenyl]-benzamide.

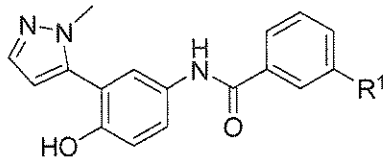
In one aspect, there is provided an oxalate salt of *N*-[4-[2-(4-acetyl-piperazin-1-yl)-ethoxy]-3-(2-methyl-2*H*-pyrazol-3-yl)-phenyl]-3-fluoro-benzamide.

The present ~~invention~~disclosure further provides pharmaceutical compositions of compounds of Formula (**I**) prepared by the processes described herein.

The present ~~invention~~disclosure further provides compounds of Formula (**II**), Formula (**V**) and Formula (**VII**) prepared by the processes described herein.

In one aspect, there is provided a compound of Formula (II):

[Chemical 21]



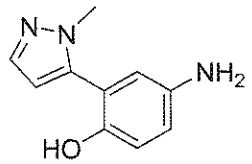
(II)

wherein:

R^1 is C_1-C_6 alkyl, halo, C_1-C_6 haloalkyl or C_1-C_6 alkoxy.

In one aspect, there is provided a compound of Formula (V):

[Chemical 22]

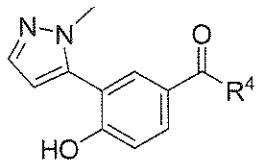


(V)

or a salt thereof.

In one aspect, there is provided a compound of Formula (VII):

[Chemical 23]



(VII)

wherein:

R^4 is C_3-C_8 alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl.

In some embodiments, the present ~~invention~~disclosure provides 4-(2-(4-(3-methoxybenzamido)-2-(1-methyl-1*H*-pyrazol-5-yl)phenoxy)ethyl)morpholin-4-ium chloride.

In one aspect, there is provided a crystalline form of 4-(2-(4-(3-methoxybenzamido)-2-(1-methyl-1H-pyrazol-5-yl)phenoxy)ethyl)morpholin-4-ium chloride (Form I) having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at 14.4°.

In some embodiments, the present ~~invention~~disclosure provides (4-(2-(4-(3-methoxybenzamido)-2-(1-methyl-1*H*-pyrazol-5-yl)phenoxy)ethyl)morpholin-4-ium chloride having crystalline form I.

In some embodiments, the present ~~invention~~disclosure provides 4-acetyl-1-(2-(4-(3-fluorobenzamido)-2-(1-methyl-1*H*-pyrazol-5-yl)phenoxy)ethyl)piperazin-1-ium carboxyformate.

In one aspect, there is provided a crystalline form of 4-acetyl-1-(2-(4-(3-fluorobenzamido)-2-(1-methyl-1H-pyrazol-5-yl)phenoxy)ethyl)piperazin-1-ium carboxyformate (Form I) having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at 7.0°.

In some embodiments, the present ~~invention~~disclosure provides 4-acetyl-1-(2-(4-(3-fluorobenzamido)-2-(1-methyl-1*H*-pyrazol-5-yl)phenoxy)ethyl)piperazin-1-ium carboxyformate having crystalline form I.

In one aspect, there is provided a composition comprising the salt as defined above or the crystalline form as defined above and a pharmaceutically acceptable carrier.

In some embodiments, the present ~~invention~~disclosure provides compositions comprising a crystalline form of the ~~invention~~disclosure.

In some embodiments, the present ~~invention~~disclosure provides compositions comprising a crystalline form of the ~~invention~~disclosure and a pharmaceutically acceptable carrier.

In some embodiments, the present ~~invention~~disclosure provides processes for preparing a crystalline form of the ~~invention~~disclosure as well as a crystalline form prepared by the processes.

In some embodiments, the present ~~invention~~disclosure provides methods for modulating a $5HT_{2A}$ receptor comprising contacting said receptor with a salt or a crystalline form as described herein.

In one aspect, there is provided a composition for treating a $5HT_{2A}$-related disorder comprising a therapeutically effective amount of the salt as defined above or the crystalline form as defined above.

In one aspect, there is provided the salt as defined above or the crystalline form as defined above for use in a method of treatment of a $5HT_{2A}$-related disorder of the human or animal body by therapy.

In one aspect, there is provided the use of the salt as defined above or the crystalline form as defined above for the manufacture of a medicament for treating a $5HT_{2A}$-related disorder.

In some embodiments, the present ~~invention~~disclosure provides methods for treating a $5HT_{2A}$-related disorder comprising administering to a patient in need thereof a therapeutically effective amount of a salt or a crystalline form as described herein.

In one aspect, there is provided the salt as defined above or the crystalline form as defined above for use in a method of treatment of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders or progressive multifocal leukoencephalopathy in the human or animal body by therapy.

In some embodiments, the present ~~invention~~disclosure provides methods of treating platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders, progressive multifocal leukoencephalopathy by administering to a patient in need thereof a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, and atrial fibrillation comprising administering to a patient in need thereof a therapeutically effective amount of a salt or a crystalline form as described herein.

In one aspect, there is provided the salt as defined above or the crystalline form as defined above for use in a method for treating a condition associated with platelet aggregation in the human or animal body by therapy.

In some embodiments, the present ~~invention~~disclosure provides methods of treating a condition associated with platelet aggregation comprising administering to a patient in need thereof a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of reducing the risk of blood clot formation in an angioplasty or coronary bypass surgery individual comprising administering to a patient in need thereof a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of reducing the risk of blood clot formation in an individual suffering from atrial fibrillation comprising administering to a patient in need thereof a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating a sleep disorder comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating a dyssomnia comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating a parasomnia comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating a diabetic-related disorder comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating progressive multifocal leukoencephalopathy comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating hypertension comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In some embodiments, the present ~~invention~~disclosure provides methods of treating pain comprising administering to a patient a therapeutically effective amount of a salt or a crystalline form as described herein.

In one aspect, there is provided the salt as defined above or the crystalline form as defined above for use in a method of treatment of the human or animal body by therapy.

In some embodiments, the present ~~invention~~disclosure provides use of a compound or a salt or a crystalline form of the ~~invention~~disclosure for use in therapy.

In some embodiments, the present ~~invention~~disclosure provides use of a compound or a salt or a crystalline form of the ~~invention~~disclosure for use in the preparation of a medicament for use in therapy.

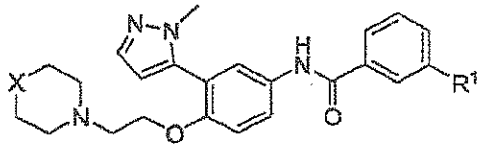
DETAILED DESCRIPTION

The present invention is directed to processes and intermediates for the preparation of substituted phenyl-pyrazoles that are useful as 5-HT_{2A} serotonin receptor modulators for the treatment of disorders associated with 5-HT_{2A} serotonin receptor expression and/or activity such as, for example, central nervous system disorders (e.g., dementia, agitation or symptoms thereof, behavioral disorders, psychoses, organic or NOS psychosis, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, psychotic disorder, schizophrenia, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, and the like), cardiovascular disorders (e.g., coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, platelet aggregation, blood clot formation, and the like), sleep disorders, asthma or symptoms thereof, diabetic-related disorders and the like.

Example processes and intermediates of the present invention are provided below in Scheme **I**, Scheme **II** and Scheme **III**, wherein each constituent member of the compounds depicted are defined herein.

What is claimed is:

1. A process for preparing a compound of Formula (I):



(I)

or a salt form thereof,

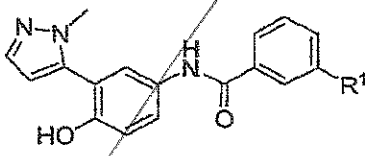
wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

X is O, S, NR^2 or CHR^2; and

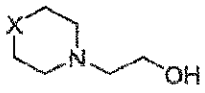
R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting a compound of Formula (II):



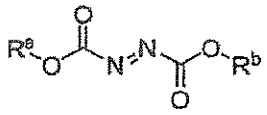
(II)

with a compound of Formula (III):



(III)

in the presence of a trisubstituted phosphine and a compound having the Formula (A):



(A)

wherein:

R^a and R^b are each, independently, C_1-C_{10} alkyl or C_1-C_7 cycloalkyl;

to form said compound of Formula (I).

2. The process of claim 1, wherein R^1 is methoxy and X is O.

3. The process of claim 1, wherein R^1 is fluoro and X is $NC(O)CH_3$.

4. The process according to any one of claims 1 to 3, wherein said trisubstituted phosphine comprises triphenylphosphine.

5. The process according to any one of claims 1 to 4, wherein R^a and R^b are each, independently, C_1-C_4 alkyl.

6. The process according to any one of claims 1 to 4, wherein R^a and R^b are both prop-2-yl.

7. The process according to any one of claims 1 to 6, wherein said reacting is carried out at a temperature of about -50 °C to about 75 °C.

8. The process according to any one of claims 1 to 6, wherein said reacting is carried out at a temperature of about 0 °C to about 25 °C.

9. The process according to any one of claims 1 to 8, wherein said reacting is carried out in an ether-forming solvent.

10. The process according claim 9, wherein said ether-forming solvent comprises tetrahydrofuran.

11. The process according to any one of claims 1 to 10, wherein the molar ratio of said compound of Formula (A) to said compound of Formula (II) is about 4:1 to about 1:1.

12. The process according to any one of claims 1 to 10, wherein the molar ratio of said compound of Formula (A) to said compound of Formula (II) is about 1.6:1 to about 1.4:1.

13. The process according to any one of claims 1 to 12, wherein the molar ratio of said trisubstituted phosphine to said compound of Formula (II) is about 4:1 to about 1:1.

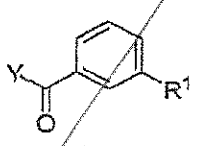
14. The process according to any one of claims 1 to 12, wherein the molar ratio of said trisubstituted phosphine to said compound of Formula (II) is about 1.6:1 to about 1.4:1.

15. The process according to any one of claims 1 to 14, wherein the molar ratio of said compound of Formula (A) to said trisubstituted phosphine is about 1:1.

16. The process according to any one of claims 1 to 15, wherein the molar ratio of said compound of Formula (III) to said compound of Formula (II) is about 2.5:1 to about 0.5:1.

17. The process according to any one of claims 1 to 15, wherein the molar ratio of said compound of Formula (III) to said compound of Formula (II) is about 2:1 to about 1:1.

18. The process according to any one of claims 1 to 17, wherein said compound of Formula (III) is prepared by the method comprising reacting a compound of Formula (IV):



(IV)

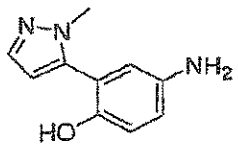
wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

Y is halo, OH or $OC(O)R^3$; and

R^3 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

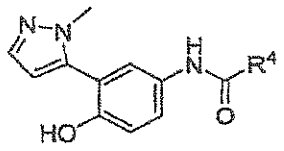
with a compound of Formula (V):



(V)

or a salt thereof to form said compound of Formula (II).

19. The process according to claim 18, wherein said compound of Formula (V) or a salt thereof is prepared by the method comprising reacting a compound of Formula (VI):



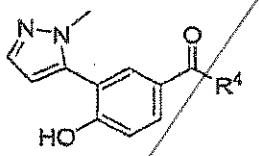
(VI)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a cleaving reagent to form said compound of Formula (V) or a salt thereof.

20. The process according to claim 19, wherein said compound of Formula (VI) is prepared by the method comprising reacting a compound of Formula (VII):



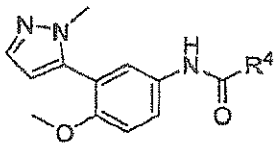
(VII)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with an amine in the presence of an acid to form said compound of Formula (VI).

21. The process according to claim 19, wherein said compound of Formula (VI) is prepared by the method comprising reacting a compound of Formula (VIII):



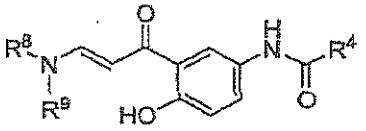
(VIII)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a demethylating agent to form said compound of Formula (VI).

22. The process according to claim 19, wherein said compound of Formula (VI) is prepared by the method comprising reacting a compound of Formula (XIV):



(XIV)

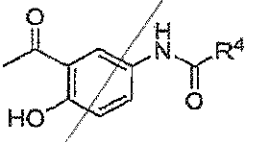
wherein:

R^8 and R^9 are each independently C_1-C_8 alkyl or arylalkyl; or

R^8 and R^9 together with the nitrogen atom to which they are both bonded form a heterocyclyl or a heterobicyclyl group;

with methyl hydrazine in the presence of a catalyst to form said compound of Formula (VI).

23. The process according to claim 22, wherein said compound of Formula (XIV) is prepared by the method comprising reacting a compound of Formula (XV):

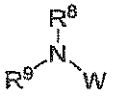


(XV)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a compound of Formula (XVI):



(XVI)

wherein:

R^8 and R^9 are each independently C_1-C_8 alkyl or arylalkyl; or

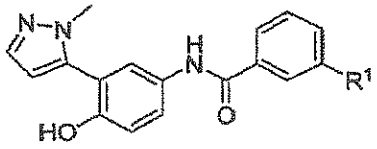
R^8 and R^9 together with the nitrogen atom to which they are both bonded form a heterocyclyl or a heterobicyclyl group;

W is formyl or $-CH(OR^{10})(OR^{11})$;

and R^{10} and R^{11} are each independently C_1-C_8 alkyl;

to form said compound of Formula (XIV).

24. A process for preparing a compound of Formula (III):

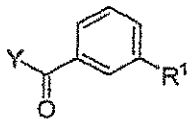


(III)

wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting a compound of Formula (IV):



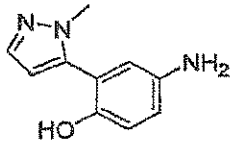
(IV)

wherein:

Y is halo, OH or $OC(O)R^3$; and

R^3 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

with a compound of Formula (V):



(V)

or a salt thereof to form said compound of Formula (III).

25. The process of claim 24, wherein Y is chloro and R^1 is methoxy.

26. The process of claim 24, wherein Y is chloro and R^1 is fluoro.

27. The process according to any one of claims 24 to 26, wherein said reacting is carried out in the presence of a base.

28. The process according to claim 27, wherein said base comprises pyridine.

29. The process according to claim 27, wherein said base comprises sodium bicarbonate.

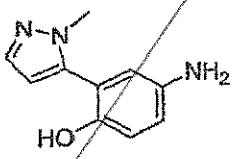
30. The process according to any one of claims 24 to 29, wherein said reacting is carried out in an amide-forming solvent.

31. The process according to claim 30, wherein said amide-forming solvent comprises *N*,*N*-dimethylacetamide.

32. The process according to any one of claims 24 to 31, wherein said reacting is carried out at a temperature of about -60 °C to about 75 °C.

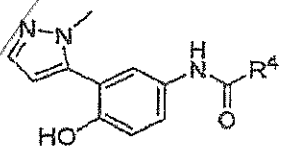
33. The process according to any one of claims 24 to 31, wherein said reacting is carried out at a temperature of about -10 °C to about 25 °C.

34. A process for preparing a compound of Formula (V):



(V)

or a salt thereof by the method comprising reacting a compound of Formula (VI):



(VI)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a cleaving reagent to form said compound of Formula (V) or a salt thereof.

35. The process of claim 34, wherein R^4 is C_1-C_8 alkyl.

36. The process of claim 34, wherein R^4 is methyl.

37. The process according to any one of claims 34 to 36, wherein said cleaving reagent comprises a hydrolyzing acid

38. The process according to claim 37, wherein said hydrolyzing acid comprises sulfuric acid.

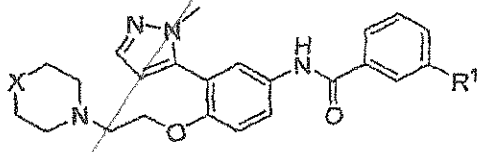
39. The process according to any one of claims 34 to 38, wherein said reacting is carried out in a hydrolyzing solvent.

40. The process according to claim 39, wherein said hydrolyzing solvent comprises methanol.

41. The process according to any one of claims 34 to 40, wherein said reacting is carried out at a temperature of about 25 °C to about 65 °C.

42. The process according to any one of claims 34 to 40, wherein said reacting is carried out at a temperature of about 40 °C to about 55 °C.

43. A process for preparing a salt of a compound of Formula (I):



(I)

wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy; comprising reacting said compound of Formula (I) with a salt-forming acid to form said salt of a compound of Formula (I).

44. The process of claim 43, wherein R^1 is methoxy and X is O.

45. The process of claim 43, wherein R^1 is fluoro and X is $NC(O)CH_3$.

46. The process according to any one of claims 43 to 45, wherein said reacting is carried out in a salt-forming solvent.

47. The process according to claim 46, wherein said salt-forming solvent comprises isopropanol.

48. The process according to claim 46, wherein said salt-forming solvent comprises ethanol.

49. The process according to any one of claims 43 to 48, wherein said reacting is carried out at a temperature of about -10 °C to about reflux temperature.

50. The process according to any one of claims 43 to 48, wherein said reacting is carried out at a temperature of about 20 °C to about 80 °C.

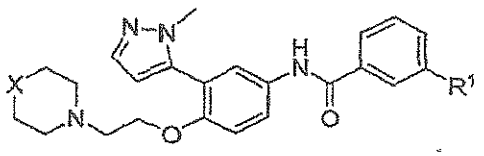
51. The process according to any one of claims 43 to 50, wherein said salt-forming acid is hydrochloric acid.

52. The process according to any one of claims 43 to 50, wherein said salt is a hydrochloride salt.

53. The process according to any one of claims 43 to 50, wherein said salt-forming acid is oxalic acid.

54. The process according to any one of claims 43 to 50, wherein said salt is an oxalate salt.

55. A hydrochloride salt of a compound of Formula (I):



(I)

wherein:

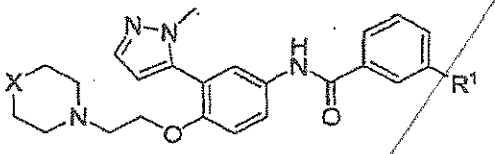
R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;
X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy.

56. The hydrochloride salt of claim 55, wherein R^1 is methoxy and X is O.

57. The hydrochloride salt of claim 55, wherein R^1 is fluoro and X is $NC(O)CH_3$.

58. An oxalate salt of a compound of Formula (I):



(I)

wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

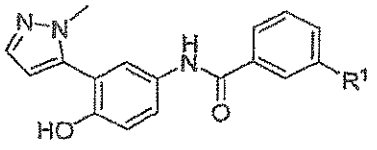
X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy.

59. The oxalate salt of claim 58, wherein R^1 is methoxy and X is O.

60. The oxalate salt of claim 58, wherein R^1 is fluoro and X is $NC(O)CH_3$.

61. A compound of Formula (II):



(II)

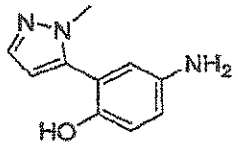
wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy.

62. The compound of claim 61, wherein R^1 is methoxy.

63. The compound of claim 61; wherein R^1 is fluoro.

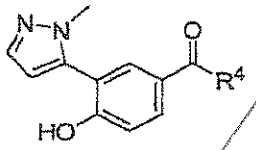
64. A compound of Formula (V):



(V)

or a salt thereof.

65. A compound of Formula (VII):



(VII)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl.

66. A compound of claim 65, wherein R^4 is methyl.

67. A crystalline form of 4-(2-(4-(3-methoxybenzamido)-2-(1-methyl-1*H*-pyrazol-5-yl)phenoxy)ethyl)morpholin-4-ium chloride (Form I) having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at about 14.4°.

68. The crystalline form of claim 67 having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at about 5.2°.

69. The crystalline form according to claim 67 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 14.4° and about 25.6°.

70. The crystalline form according to claim 67 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 5.2° and about 25.6°.

71. The crystalline form according to claim 67 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 14.4°, about 5.2°, and about 25.6°.

72. The crystalline form according to claim 67 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 14.4°, about 5.2°, about 25.6°, about 17.3°, about 27.1°, about 15.8°, and about 20.1°.

73. The crystalline form according to claim 67 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 14.4°, about 5.2°, about 25.6°, about 17.3°, about 27.1°, about 15.8°, about 20.1°, about 19.8°, about 23.1° and about 15.3°.

74. The crystalline form according to claim 67 having an X-ray powder diffraction pattern substantially as shown in Figure 3.

75. The crystalline form according to any one of claims 67 to 74 having a dynamic vapor sorption profile substantially as shown in Figure 4.

76. A crystalline form of 4-acetyl-1-(2-(4-(3-fluorobenzamido)-2-(1-methyl-1*H*-pyrazol-5-yl)phenoxy)ethyl)piperazin-1-ium carboxyformate (Form I) having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at about 7.0°.

77. The crystalline form of claim 76 having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at about 13.9°.

78. The crystalline form according to claim 76 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 7.0° and about 12.5°.

79. The crystalline form according to claim 76 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 13.9° and about 12.5°.

80. The crystalline form according to claim 76 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 7.0°, about 13.9°, and about 12.5°.

81. The crystalline form according to claim 76 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 7.0°, about 13.9°, about 12.5°, about 20.9°, about 23.2°, about 14.5°, and about 18.6°.

82. The crystalline form according to claim 76 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at about 7.0°, about 13.9°, about 12.5°, about 20.9°, about 23.2°, about 14.5°, about 18.6°, about 26.0°, and about 33.4°.

83. The crystalline form according to claim 76 having an X-ray powder diffraction pattern substantially as shown in Figure 7.

84. The crystalline form according to any one of claims 76 to 83 having a dynamic vapor sorption profile substantially as shown in Figure 8.

85. A composition comprising the salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84 and a pharmaceutically acceptable carrier.

86. A method of treating a $5HT_{2A}$-related disorder comprising administering to a patient in need thereof a therapeutically effective amount of the salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84.

87. The method according to claim 86 wherein the $5HT_{2A}$-related disorder is selected from the group consisting of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders and progressive multifocal leukoencephalopathy.

88. The method according to claim 86 wherein the $5HT_{2A}$-related disorder is a condition associated with platelet aggregation.

89. The salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84 for use in a method of treatment of the human or animal body by therapy.

90. The salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84 for use in a method of treatment of a $5HT_{2A}$-related disorder of the human or animal body by therapy.

91. The salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84 for use in a method of treatment of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders or progressive multifocal leukoencephalopathy in the human or animal body by therapy.

92. The salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84 for use in a method for treating a condition associated with platelet aggregation in the human or animal body by therapy.

93. Use of the salt according to any one of claims 55 to 60 or the crystalline form according to any one of claims 67 to 84 for the manufacture of a medicament for treating a $5HT_{2A}$-related disorder.

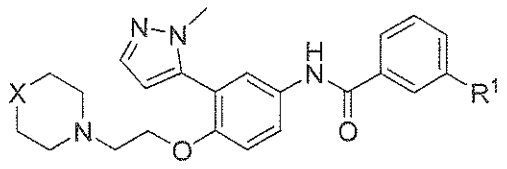
94. The use according to claim 93, wherein said $5HT_{2A}$-related disorder is selected from the group consisting of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders and progressive multifocal leukoencephalopathy.

95. The use according to claim 93, wherein said $5HT_{2A}$-related disorder is a condition associated with platelet aggregation.

What is claimed is:

1. A process for preparing a compound of Formula (I):

[Chemical 1]



(I)

or a salt form thereof,

wherein:

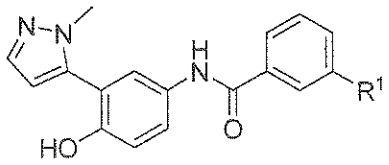
R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting a compound of Formula (II):

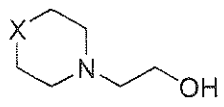
[Chemical 2]



(II)

with a compound of Formula (III):

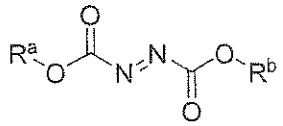
[Chemical 3]



(III)

in the presence of a trisubstituted phosphine and a compound having the Formula (A):

[Chemical 4]



(A)

wherein:

R^a and R^b are each, independently, C_1-C_{10} alkyl or C_1-C_7 cycloalkyl;

to form said compound of Formula (I).

2. The process of claim 1, wherein R^1 is methoxy and X is O.

3. The process of claim 1, wherein R^1 is fluoro and X is $NC(O)CH_3$.

4. The process according to any one of claims 1 to 3, wherein said trisubstituted phosphine comprises triphenylphosphine.

5. The process according to any one of claims 1 to 4, wherein R^a and R^b are each, independently, C_1-C_4 alkyl.

6. The process according to any one of claims 1 to 4, wherein R^a and R^b are both prop-2-yl.

7. The process according to any one of claims 1 to 6, wherein said reacting is carried out at a temperature of -50 °C to 75 °C.

8. The process according to any one of claims 1 to 6, wherein said reacting is carried out at a temperature of 0 °C to 25 °C.

9. The process according to any one of claims 1 to 8, wherein said reacting is carried out in an ether-forming solvent.

10. The process according claim 9, wherein said ether-forming solvent comprises tetrahydrofuran.

11. The process according to any one of claims 1 to 10, wherein the molar ratio of said compound of Formula (**A**) to said compound of Formula (**II**) is 4:1 to 1:1.

12. The process according to any one of claims 1 to 10, wherein the molar ratio of said compound of Formula (**A**) to said compound of Formula (**II**) is 1.6:1 to 1.4:1.

13. The process according to any one of claims 1 to 12, wherein the molar ratio of said trisubstituted phosphine to said compound of Formula (**II**) is 4:1 to 1:1.

14. The process according to any one of claims 1 to 12, wherein the molar ratio of said trisubstituted phosphine to said compound of Formula (**II**) is 1.6:1 to 1.4:1.

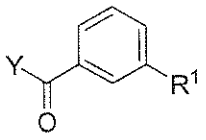
15. The process according to any one of claims 1 to 14, wherein the molar ratio of said compound of Formula (**A**) to said trisubstituted phosphine is 1:1.

16. The process according to any one of claims 1 to 15, wherein the molar ratio of said compound of Formula (**III**) to said compound of Formula (**II**) is 2.5:1 to 0.5:1.

17. The process according to any one of claims 1 to 15, wherein the molar ratio of said compound of Formula (**III**) to said compound of Formula (**II**) is 2:1 to 1:1.

18. The process according to any one of claims 1 to 17, wherein said compound of Formula (**II**) is prepared by the method comprising reacting a compound of Formula (**IV**):

[Chemical 5]



(**IV**)

wherein:

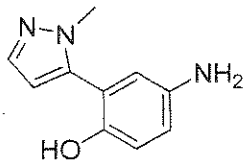
R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

Y is halo, OH or $OC(O)R^3$; and

R^3 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

with a compound of Formula (V):

[Chemical 6]

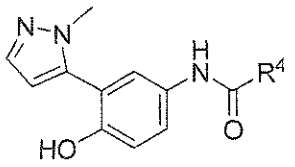


(V)

or a salt thereof to form said compound of Formula (II).

19. The process according to claim 18, wherein said compound of Formula (V) or a salt thereof is prepared by the method comprising reacting a compound of Formula (VI):

[Chemical 7]



(VI)

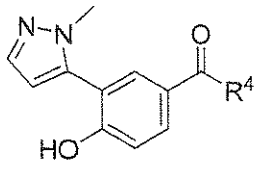
wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a cleaving reagent to form said compound of Formula (V) or a salt thereof.

20. The process according to claim 19, wherein said compound of Formula (**VI**) is prepared by the method comprising reacting a compound of Formula (**VII**):

[Chemical 8]



(VII)

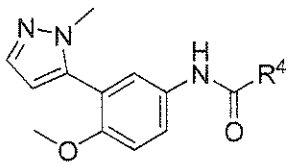
wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with an amine in the presence of an acid to form said compound of Formula (**VI**).

21. The process according to claim 19, wherein said compound of Formula (**VI**) is prepared by the method comprising reacting a compound of Formula (**VIII**):

[Chemical 9]



(VIII)

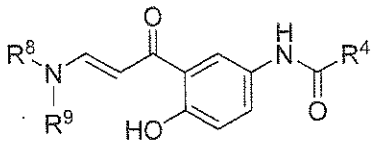
wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a demethylating agent to form said compound of Formula (**VI**).

22. The process according to claim 19, wherein said compound of Formula (**VI**) is prepared by the method comprising reacting a compound of Formula (**XIV**):

[Chemical 10]



(XIV)

wherein:

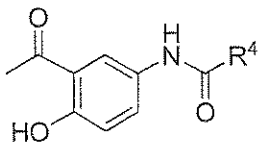
R^8 and R^9 are each independently C_1-C_8 alkyl or arylalkyl; or

R^8 and R^9 together with the nitrogen atom to which they are both bonded form a heterocyclyl or a heterobicyclyl group;

with methyl hydrazine in the presence of a catalyst to form said compound of Formula (**VI**).

23. The process according to claim 22, wherein said compound of Formula (**XIV**) is prepared by the method comprising reacting a compound of Formula (**XV**):

[Chemical 11]



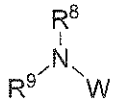
(XV)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a compound of Formula (**XVI**):

[Chemical 12]



(XVI)

wherein:

R^8 and R^9 are each independently C_1-C_8 alkyl or arylalkyl; or

R^8 and R^9 together with the nitrogen atom to which they are both bonded form a heterocyclyl or a heterobicyclyl group;

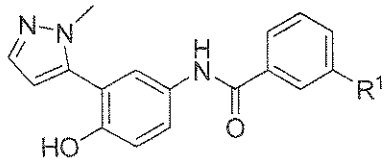
W is formyl or $-CH(OR^{10})(OR^{11})$;

and R^{10} and R^{11} are each independently C_1-C_8 alkyl;

to form said compound of Formula (**XIV**).

24. A process for preparing a compound of Formula (**II**):

[Chemical 13]



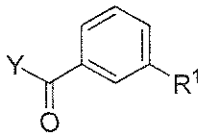
(II)

wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting a compound of Formula (**IV**):

[Chemical 14]



(IV)

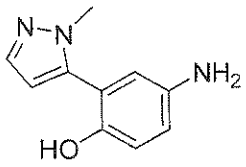
wherein:

Y is halo, OH or $OC(O)R^3$; and

R^3 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

with a compound of Formula (V):

[Chemical 15]



(V)

or a salt thereof to form said compound of Formula (II).

25. The process of claim 24, wherein Y is chloro and R^1 is methoxy.

26. The process of claim 24, wherein Y is chloro and R^1 is fluoro.

27. The process according to any one of claims 24 to 26, wherein said reacting is carried out in the presence of a base.

28. The process according to claim 27, wherein said base comprises pyridine.

29. The process according to claim 27, wherein said base comprises sodium bicarbonate.

30. The process according to any one of claims 24 to 29, wherein said reacting is carried out in an amide-forming solvent.

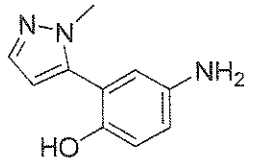
31. The process according to claim 30, wherein said amide-forming solvent comprises *N*,*N*-dimethylacetamide.

32. The process according to any one of claims 24 to 31, wherein said reacting is carried out at a temperature of -60 °C to 75 °C.

33. The process according to any one of claims 24 to 31, wherein said reacting is carried out at a temperature of -10 °C to 25 °C.

34. A process for preparing a compound of Formula (**V**):

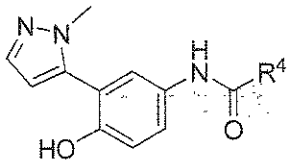
[Chemical 16]



(**V**)

or a salt thereof by the method comprising reacting a compound of Formula (**VI**):

[Chemical 17]



(**VI**)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl;

with a cleaving reagent to form said compound of Formula (**V**) or a salt thereof.

35. The process of claim 34, wherein R^4 is C_1-C_8 alkyl.

36. The process of claim 34, wherein R^4 is methyl.

37. The process according to any one of claims 34 to 36, wherein said cleaving reagent comprises a hydrolyzing acid

38. The process according to claim 37, wherein said hydrolyzing acid comprises sulfuric acid.

39. The process according to any one of claims 34 to 38, wherein said reacting is carried out in a hydrolyzing solvent.

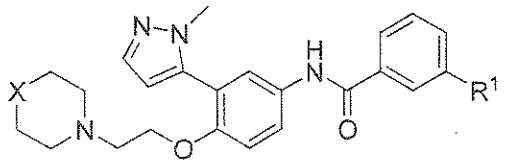
40. The process according to claim 39, wherein said hydrolyzing solvent comprises methanol.

41. The process according to any one of claims 34 to 40, wherein said reacting is carried out at a temperature of 25 °C to 65 °C.

42. The process according to any one of claims 34 to 40, wherein said reacting is carried out at a temperature of 40 °C to 55 °C.

43. A process for preparing a salt of a compound of Formula (I):

[Chemical 18]



(I)

wherein:

R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

X is O, S, NR^2 or CHR^2; and

R^2 is H, C_1-C_8 alkyl, C_1-C_8 acyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, C_1-C_8 haloalkyl, arylalkyl, aryl, heteroaryl or heteroarylalkyl each optionally substituted with C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy;

comprising reacting said compound of Formula (I) with a salt-forming acid to form said salt of a compound of Formula (I).

44. The process of claim 43, wherein R^1 is methoxy and X is O.

45. The process of claim 43, wherein R^1 is fluoro and X is $NC(O)CH_3$.

46. The process according to any one of claims 43 to 45, wherein said reacting is carried out in a salt-forming solvent.

47. The process according to claim 46, wherein said salt-forming solvent comprises isopropanol.

48. The process according to claim 46, wherein said salt-forming solvent comprises ethanol.

49. The process according to any one of claims 43 to 48, wherein said reacting is carried out at a temperature of -10 °C to reflux temperature.

50. The process according to any one of claims 43 to 48, wherein said reacting is carried out at a temperature of 20 °C to 80 °C.

51. The process according to any one of claims 43 to 50, wherein said salt-forming acid is hydrochloric acid.

52. The process according to any one of claims 43 to 50, wherein said salt is a hydrochloride salt.

53. The process according to any one of claims 43 to 50, wherein said salt-forming acid is oxalic acid.

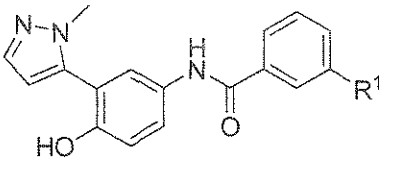
54. The process according to any one of claims 43 to 50, wherein said salt is an oxalate salt.

55. A hydrochloride salt of 3-methoxy-*N*-[3-(2-methyl-2*H*-pyrazol-3-yl)-4-(2-morpholin-4-yl-ethoxy)-phenyl]-benzamide.

56. An oxalate salt of *N*-[4-[2-(4-acetyl-piperazin-1-yl)-ethoxy]-3-(2-methyl-2*H*-pyrazol-3-yl)-phenyl]-3-fluoro-benzamide.

57. A compound of Formula (II):

[Chemical 21]



(II)

wherein:

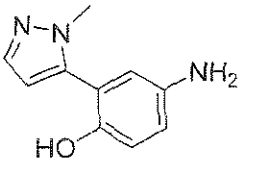
R^1 is C_1-C_8 alkyl, halo, C_1-C_8 haloalkyl or C_1-C_8 alkoxy.

58. The compound of claim 57, wherein R^1 is methoxy.

59. The compound of claim 57, wherein R^1 is fluoro.

60. A compound of Formula (V):

[Chemical 22]

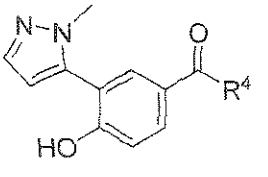


(V)

or a salt thereof.

61. A compound of Formula (VII):

[Chemical 23]



(VII)

wherein:

R^4 is C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 alkynyl, C_3-C_7 cycloalkyl, arylalkyl, aryl, heteroaryl or

heteroarylalkyl each optionally substituted with C_1-C_8 alkyl.

62. A compound of claim 61, wherein R^4 is methyl.

63. A crystalline form of 4-(2-(4-(3-methoxybenzamido)-2-(1-methyl-1H-pyrazol-5-yl)phenoxy)ethyl)morpholin-4-ium chloride (Form I) having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at 14.4°.

64. The crystalline form of claim 63 having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at 5.2°.

65. The crystalline form according to claim 63 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 14.4° and 25.6°.

66. The crystalline form according to claim 63 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 5.2° and 25.6°.

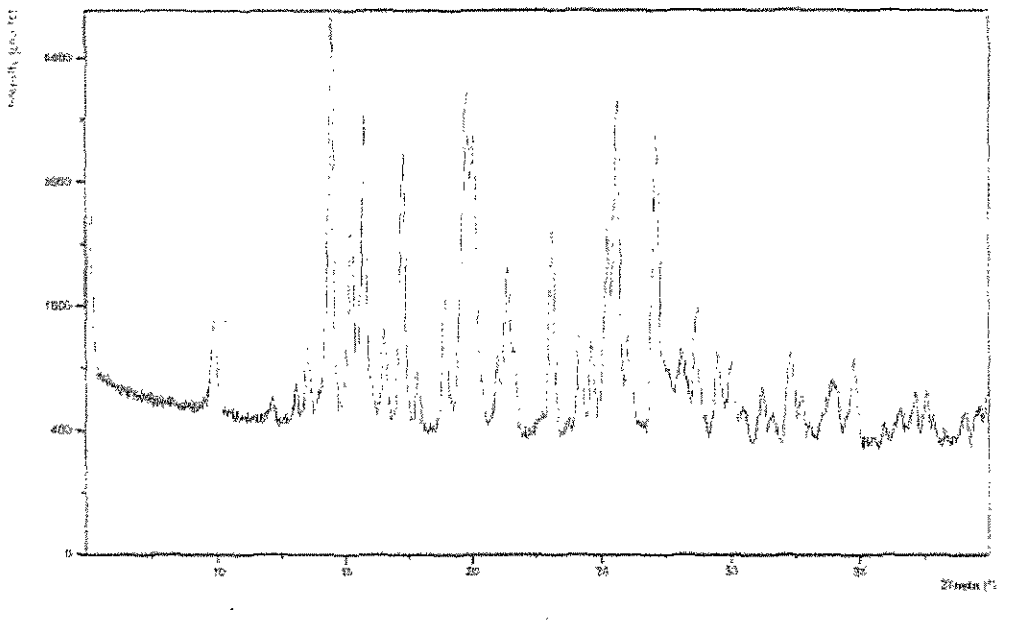
67. The crystalline form according to claim 63 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 14.4°, 5.2°, and 25.6°.

68. The crystalline form according to claim 63 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 14.4°, 5.2°, 25.6°, 17.3°, 27.1°, 15.8°, and 20.1°.

69. The crystalline form according to claim 63 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 14.4°, 5.2°, 25.6°, 17.3°, 27.1°, 15.8°, 20.1°, 19.8°, 23.1° and 15.3°.

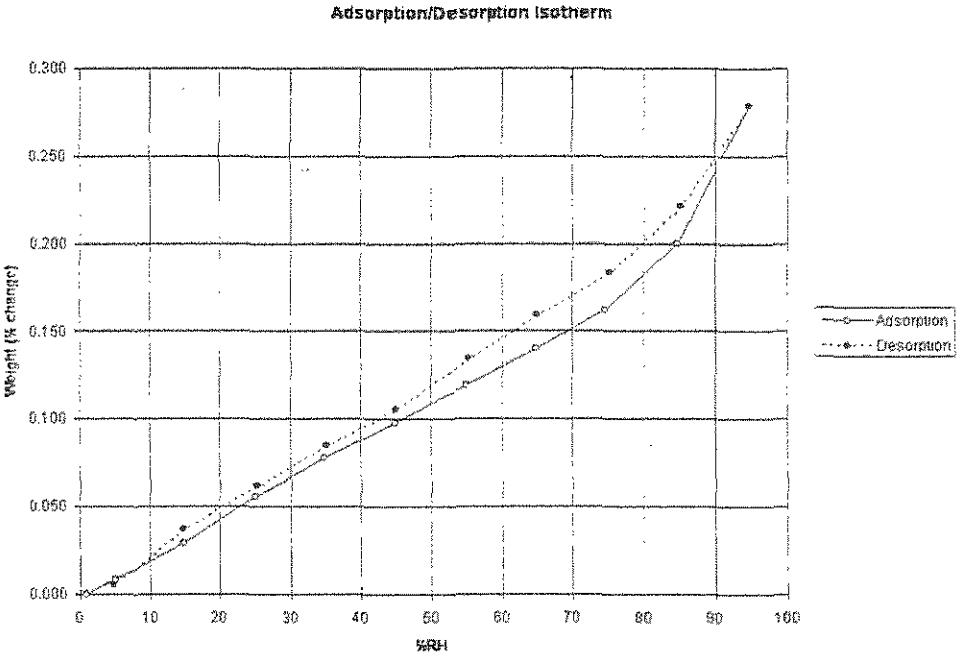
70. The crystalline form according to claim 63 having an X-ray powder diffraction pattern substantially shown in

[Chemical 84]



71. The crystalline form according to any one of claims 63 to 70 having a dynamic vapor sorption profile substantially shown in

[Chemical 85]



72. A crystalline form of 4-acetyl-1-(2-(4-(3-fluorobenzamido)-2-(1-methyl-1H-pyrazol-5-yl)phenoxy)ethyl)piperazin-1-ium carboxyformate (Form I) having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at 7.0°.

73. The crystalline form of claim 72 having an X-ray powder diffraction pattern comprising a peak, in terms of 2θ, at 13.9°.

74. The crystalline form according to claim 72 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 7.0° and 12.5°.

75. The crystalline form according to claim 72 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 13.9° and 12.5°.

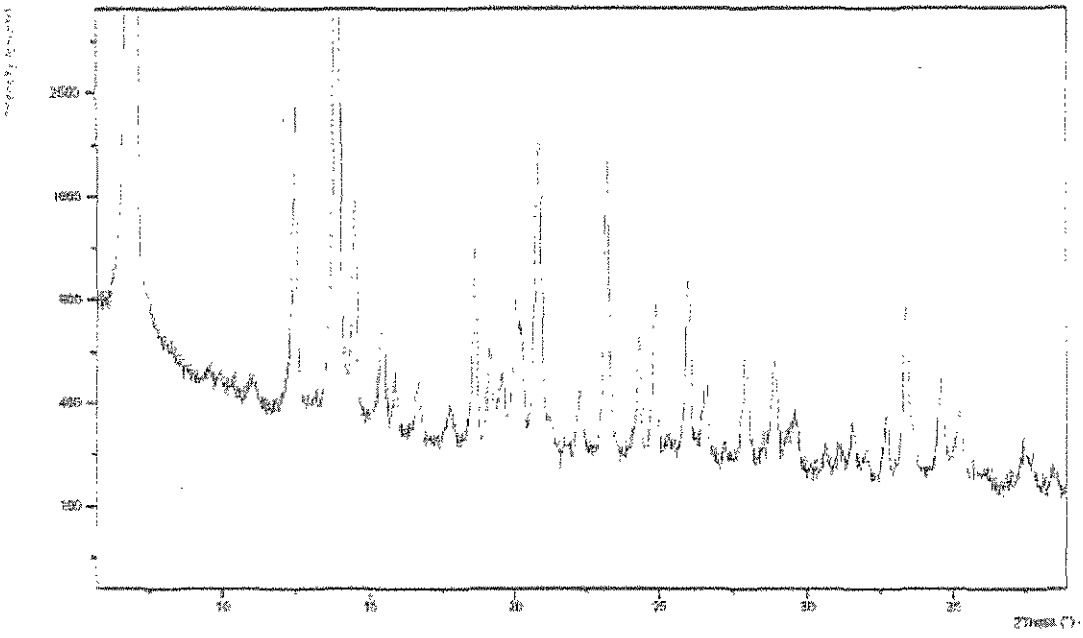
76. The crystalline form according to claim 72 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 7.0°, 13.9°, and 12.5°.

77. The crystalline form according to claim 72 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 7.0°, 13.9°, 12.5°, 20.9°, 23.2°, 14.5°, and 18.6°.

78. The crystalline form according to claim 72 having an X-ray powder diffraction pattern comprising peaks, in terms of 2θ, at 7.0°, 13.9°, 12.5°, 20.9°, 23.2°, 14.5°, 18.6°, 26.0°, and 33.4°.

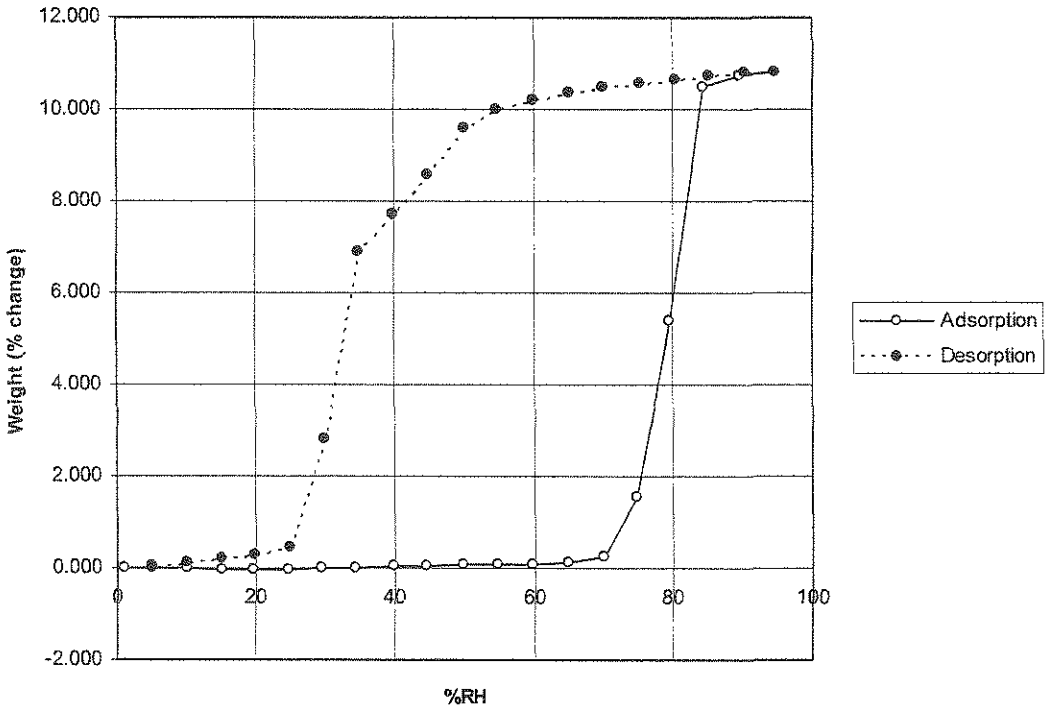
79. The crystalline form according to claim 72 having an X-ray powder diffraction pattern substantially shown in

[Chemical 86]



80. The crystalline form according to any one of claims 72 to 79 having a dynamic vapor sorption profile substantially shown in

[Chemical 87]



81. A composition comprising the salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80 and a pharmaceutically acceptable carrier.

82. A composition for treating a $5HT_{2A}$-related disorder comprising a therapeutically effective amount of the salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80.

83. The composition according to claim 82 wherein the $5HT_{2A}$-related disorder is selected from the group consisting of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders and progressive multifocal leukoencephalopathy.

84. The composition according to claim 82 wherein the $5HT_{2A}$-related disorder is a condition associated with platelet aggregation.

85. The salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80 for use in a method of treatment of the human or animal body by therapy.

86. The salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80 for use in a method of treatment of a $5HT_{2A}$-related disorder of the human or animal body by therapy.

87. The salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80 for use in a method of treatment of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders or progressive multifocal leukoencephalopathy in the human or animal body by therapy.

88. The salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80 for use in a method

for treating a condition associated with platelet aggregation in the human or animal body by therapy.

89. Use of the salt according to claim 55 or 56 or the crystalline form according to any one of claims 63 to 80 for the manufacture of a medicament for treating a $5HT_{2A}$-related disorder.

90. The use according to claim 89, wherein said $5HT_{2A}$-related disorder is selected from the group consisting of platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, blood clot formation, asthma or symptoms thereof, agitation or a symptom thereof, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia, NOS schizophrenia and related disorders, sleep disorders, diabetic-related disorders and progressive multifocal leukoencephalopathy.

91. The use according to claim 89, wherein said $5HT_{2A}$-related disorder is a condition associated with platelet aggregation.