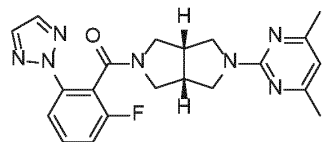


What is claimed is:

1. A process of preparing (((3a*R*,6a*S*)-5-(4,6-dimethylpyrimidin-2-yl)hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl)(2-fluoro-6-(2*H*-1,2,3-triazol-2-yl)phenyl)methanone

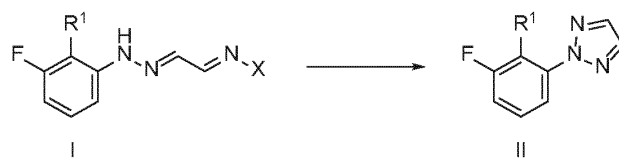
5



said process comprising step described below:

cyclization of the hydrazine of Formula I to give the 2-phenyl-2*H*-1,2,3-triazole of

10 Formula II in a single step



wherein

R^1 is -H, -CO₂H, or -CO₂C₍₁₋₄₎alkyl;

X is -OH, -OC₍₁₋₄₎alkyl, -OCH₂Ph, -OPh, -OC(O)CH₃, -OSO₂CH₃, -N(CH₃)₂, piperidin-
15 1-yl, -NHC(O)CH₃, -NHSO₂PhCH₃, or -N(CH₃)₃I.

2. The process of claim 1, wherein:

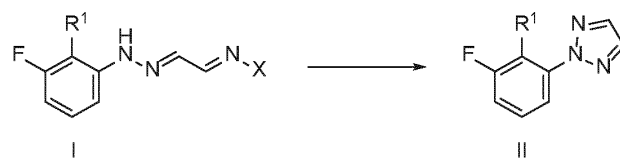
R^1 is -H, or -CO₂CH₃;

X is -OC₍₁₋₂₎alkyl, -OC(CH₃)₃, -OCH₂Ph, -N(CH₃)₂, or -N(CH₃)₃I.
20

3. The process of claim 2,

said process comprising the steps described below:

25 a) cyclization of the hydrazine of Formula I to give the 2-phenyl-2*H*-1,2,3-triazole of Formula II in a single step



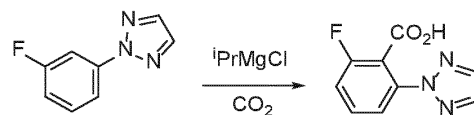
wherein

R^1 is -H;

X is $-\text{OC}_{(1-2)}\text{alkyl}$, $-\text{OC}(\text{CH}_3)_3$, $-\text{OCH}_2\text{Ph}$, $-\text{N}(\text{CH}_3)_2$, or $-\text{N}(\text{CH}_3)_3\text{I}$.

5

b) carboxylation of 2-(3-fluorophenyl)-2H-1,2,3-triazole to give 2-fluoro-6-(2H-1,2,3-triazol-2-yl)benzoic acid,



wherein said carboxylation is characterized by the use of isopropyl-MgCl and CO_2 .

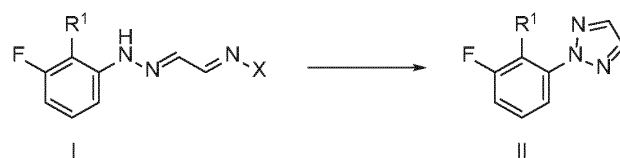
10

4. The process of claim 3,

said process comprising the steps described below:

a) cyclization of the hydrazine of Formula I to give the 2-phenyl-2H-1,2,3-triazole of

15 Formula II in a single step



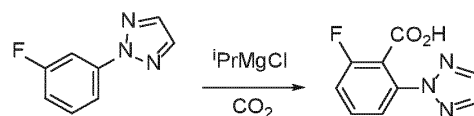
wherein

R^1 is -H;

X is $-\text{OC}_{(1-2)}\text{alkyl}$, $-\text{OC}(\text{CH}_3)_3$, $-\text{OCH}_2\text{Ph}$, $-\text{N}(\text{CH}_3)_2$, or $-\text{N}(\text{CH}_3)_3\text{I}$;

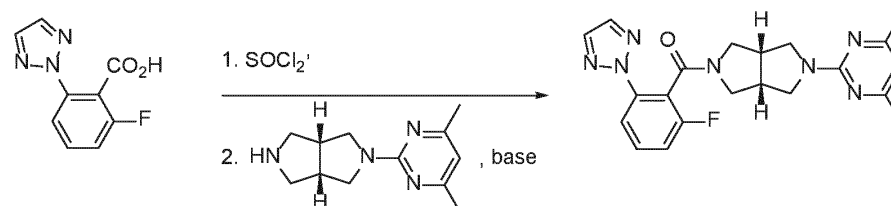
20

b) carboxylation of 2-(3-fluorophenyl)-2H-1,2,3-triazole to give 2-fluoro-6-(2H-1,2,3-triazol-2-yl)benzoic acid,



wherein said carboxylation is characterized by the use of isopropyl-MgCl and CO₂;

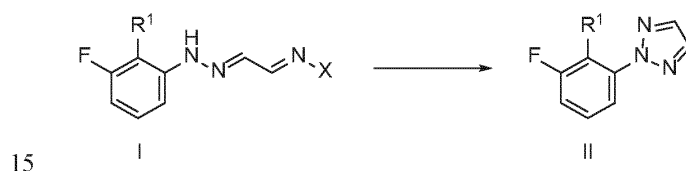
- c) Reaction of 2-fluoro-6-(2*H*-1,2,3-triazol-2-yl)benzoic acid with (3*aR*,6*aS*)-2-(4,6-dimethylpyrimidin-2-yl)octahydropyrrolo[3,4-*c*]pyrrole to form (((3*aR*,6*aS*)-5-(4,6-dimethylpyrimidin-2-yl)hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl)(2-fluoro-6-(2*H*-1,2,3-triazol-2-yl)phenyl)methanone



wherein said reaction is characterized by the use of SOCl₂.

5. The process of claim 4,
said process comprising the steps described below:

- a) cyclization of the hydrazine of Formula I to give the 2-phenyl-2*H*-1,2,3-triazole of Formula II in a single step

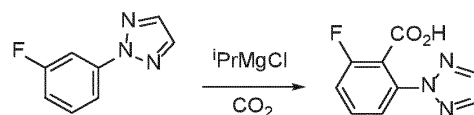


wherein

R¹ is -H;

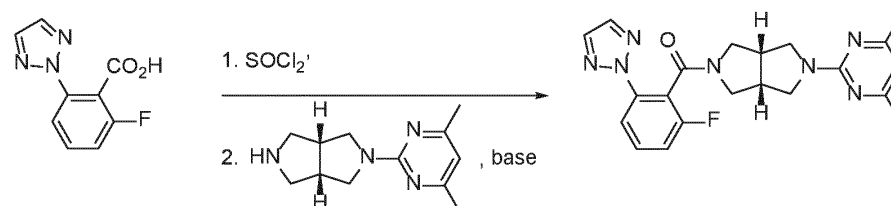
X is -OC₍₁₋₂₎alkyl, -OC(CH₃)₃, -OCH₂Ph, -N(CH₃)₂, or -N(CH₃)₃I;

- b) carboxylation of 2-(3-fluorophenyl)-2*H*-1,2,3-triazole to give 2-fluoro-6-(2*H*-1,2,3-triazol-2-yl)benzoic acid,



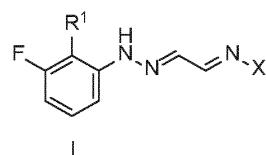
wherein said carboxylation is characterized by the use of LiCl, isopropyl-MgCl and CO₂;

- c) Reaction of 2-fluoro-6-(2*H*-1,2,3-triazol-2-yl)benzoic acid with (3*aR*,6*aS*)-2-(4,6-dimethylpyrimidin-2-yl)octahydropyrrolo[3,4-*c*]pyrrole to form (((3*aR*,6*aS*)-5-(4,6-dimethylpyrimidin-2-yl)hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl)(2-fluoro-6-(2*H*-1,2,3-triazol-2-yl)phenyl)methanone



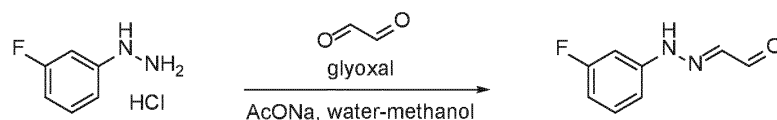
wherein said reaction is characterized by the use of SOCl_2 .

6. A method of making a compound of Formula I



10

said method comprises



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reaction of (3-fluorophenyl)hydrazine hydrochloride with glyoxal, in the presence of water and/or methanol, to form (*E*)-2-(2-(3-fluorophenyl)hydrazono)acetaldehyde in over 90% yield;

wherein

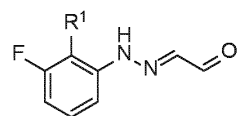
R^1 is H, CO_2H , or $-\text{CO}_2\text{C}_{(1-4)}\text{alkyl}$;

and

X is $-\text{OH}$, $-\text{OC}_{(1-4)}\text{alkyl}$, $-\text{OCH}_2\text{Ph}$, $-\text{OPh}$, $-\text{OAc}$, $-\text{N}(\text{CH}_3)_2$, piperidinyl, $-\text{NHC}(\text{O})\text{CH}_3$, $-\text{NHSO}_2\text{PhCH}_3$, or $-\text{N}(\text{CH}_3)_3\text{I}$.

20

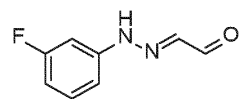
7. A compound of Formula I:



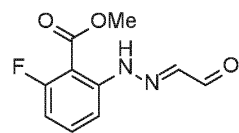
wherein

R¹ is H, CO₂H, or -CO₂C₍₁₋₄₎alkyl.

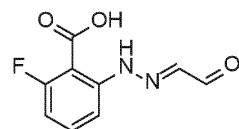
- 5 8. A compound of claim 7 selected from the group consisting of:



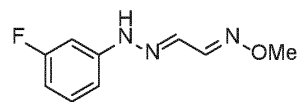
;



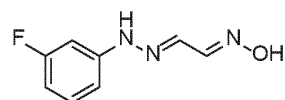
; and



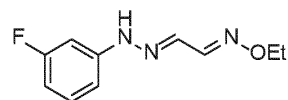
- 10 9. A compound selected from the group consisting of:



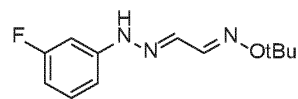
;



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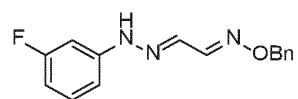


;



;

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;



10. A compound selected from the group consisting of:

