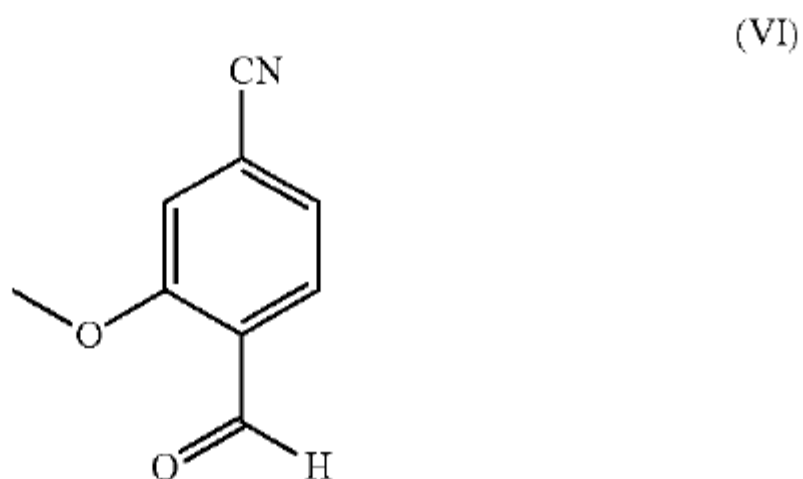


Claims

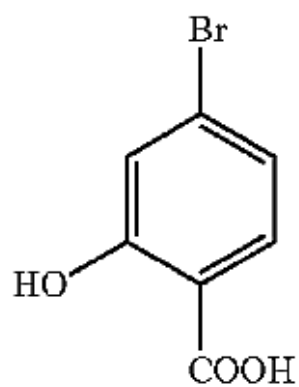
The invention claimed is:

1. A process for preparing a compound of formula (VI)

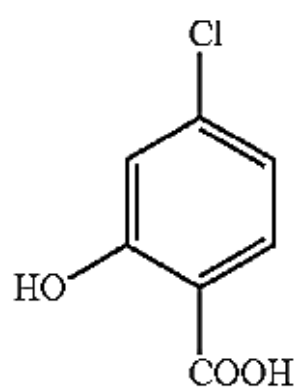


comprising reacting a compound of formula (XIV) or formula (XIVa)

(XIV)

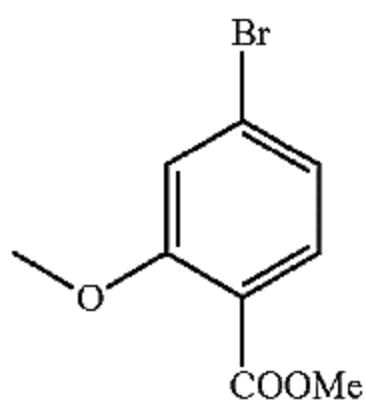


(XIVa)

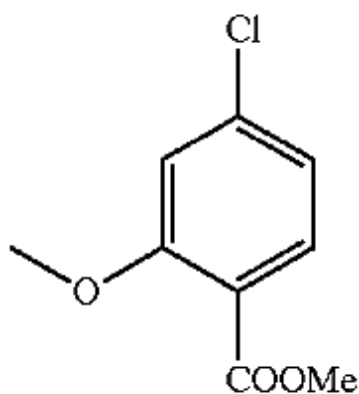


with dimethyl sulphate to give a compound of formula (XV) or (XVa)

(XV)

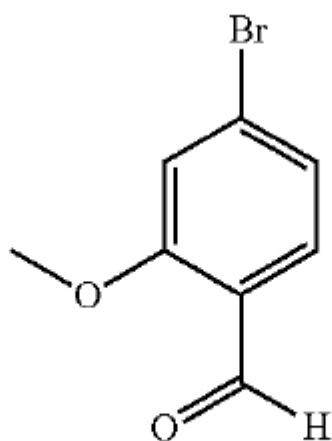


(XVa)

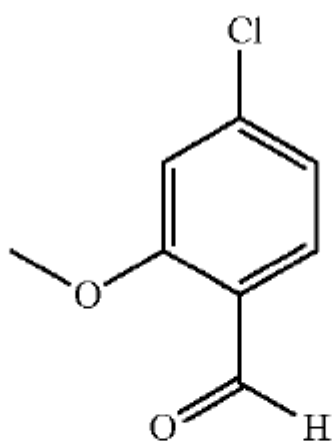


reducing the non-isolated methyl esters of the formula (XV) or (XVa) with 1.21 equivalents of REDAL (sodium bis(2-methoxyethoxy)aluminium dihydride) and 1.28 equivalents of N-methylpiperazine to give an aldehyde of formula (XVI) or (XVIa)

(XVI)

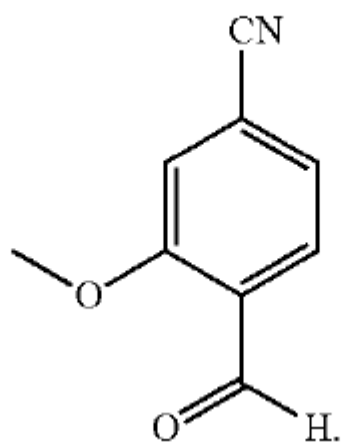


(XVIa)

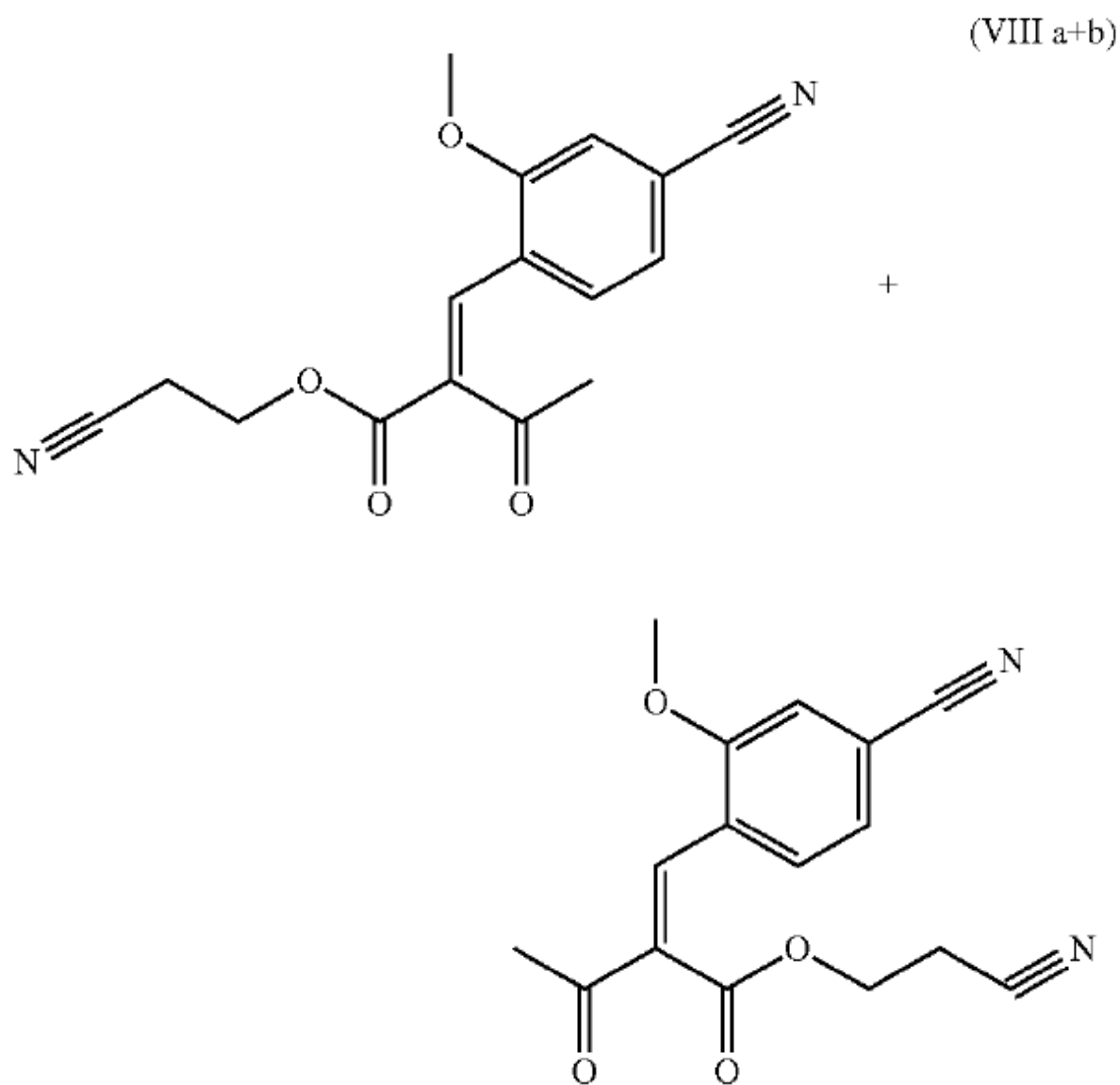


and reacting the aldehyde of formula (XVI) or (XVIa) without isolation to give the compound of formula (VI)

(VI)

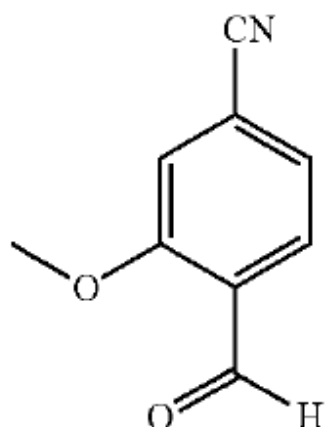


2. A process for preparing compounds of formulae (VIII a+b)



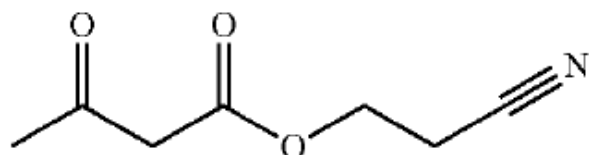
comprising dissolving a compound of formula (VI)

(VI)



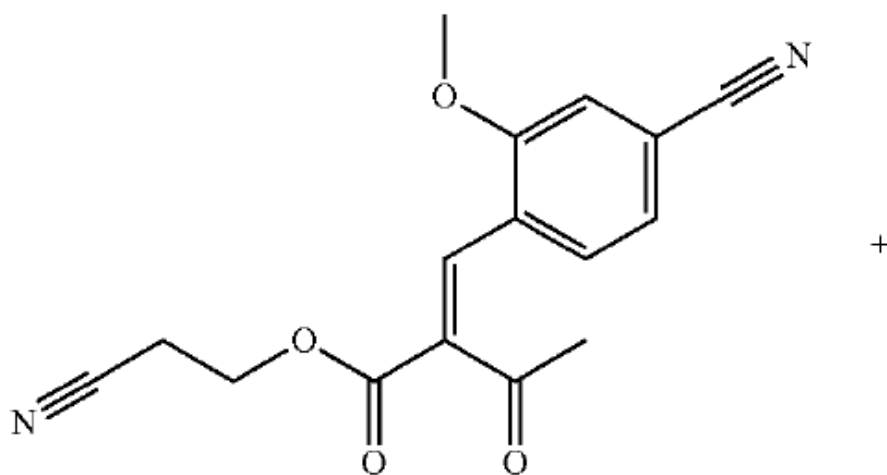
in isopropanol (3-7 fold), 5-10 mol % of piperidine and 5-10 mol % of glacial acetic acid at 30° C. and reacting the dissolved compound of formula (VI) with a compound of formula (VII)

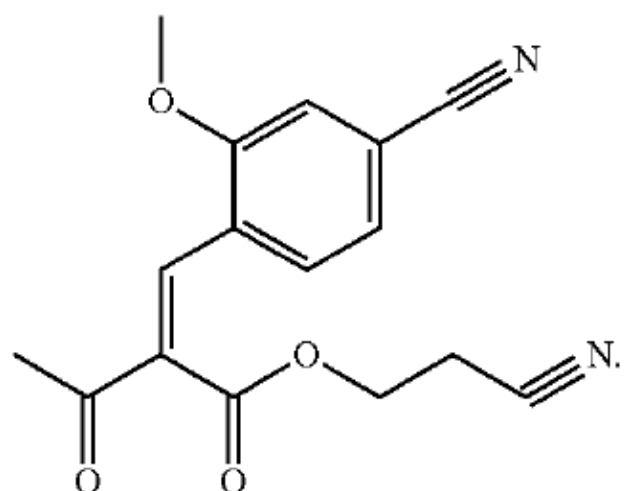
(VII)



to give the compounds of formulae (VIII a+b)

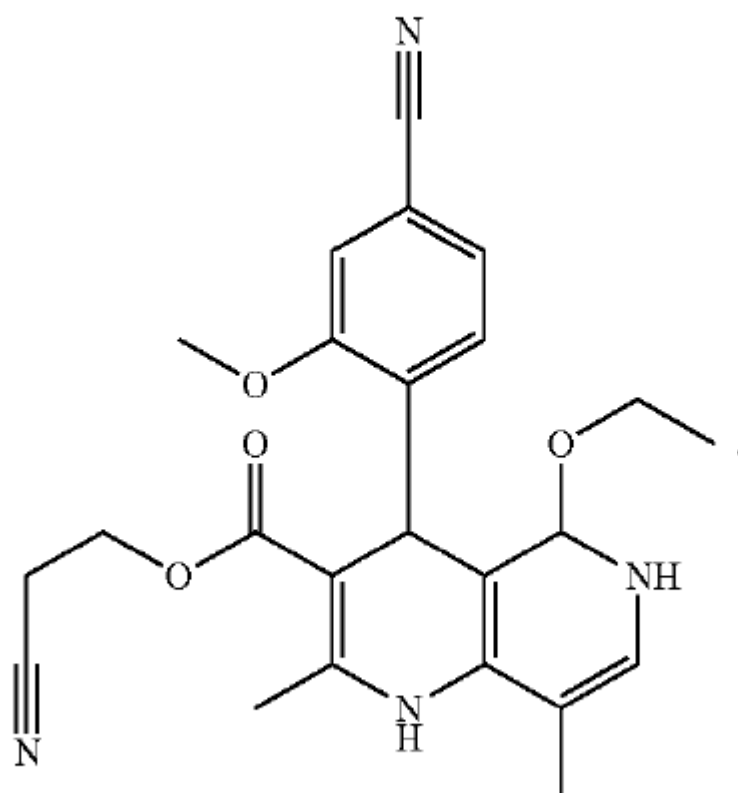
(VIII a+b)





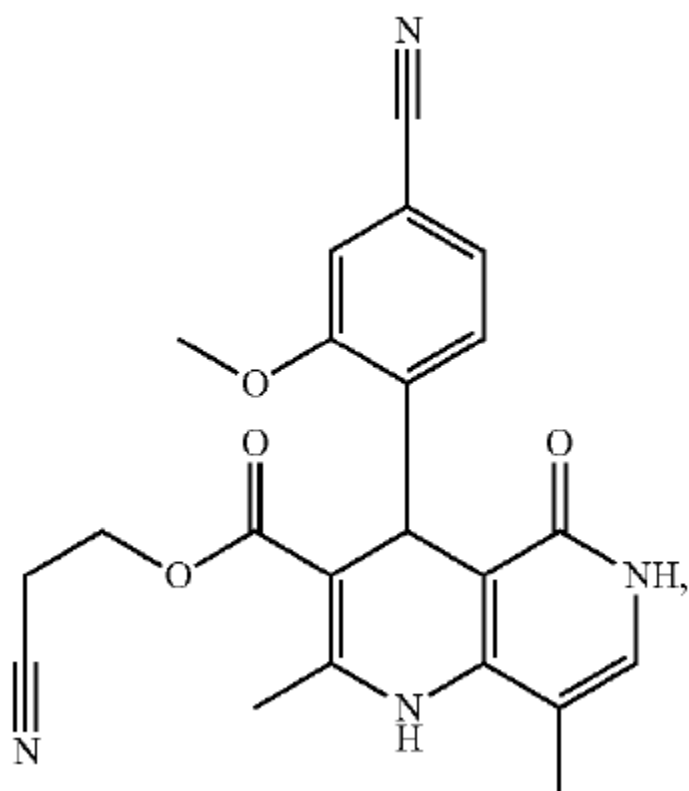
3. A process for preparing a compound of formula (XI)

(XI)



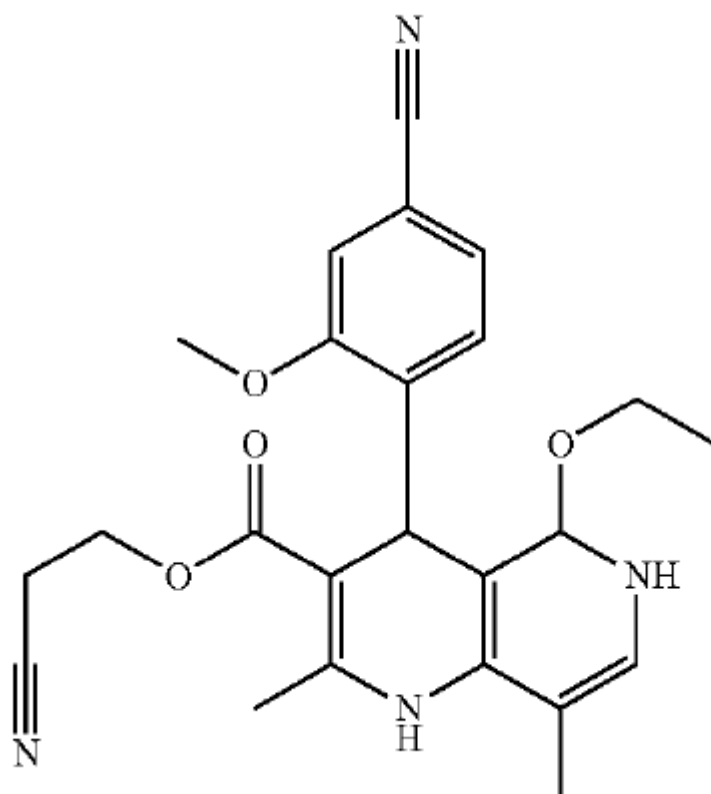
comprising stirring a compound of formula (X)

(X)



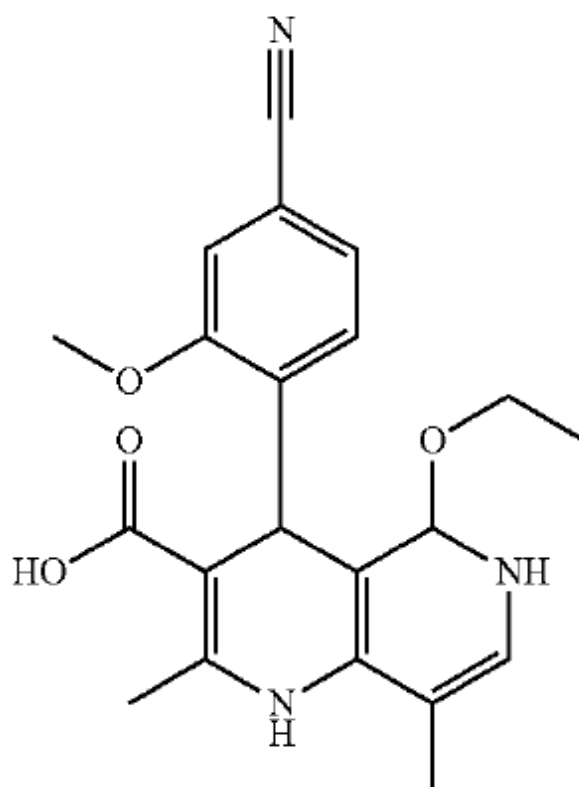
with 2.5-5 equivalents of triethyl orthoacetate in dimethyl-
acetamide at 100 to 120° C. for 1.5 to 3 hours to give
the compound of formula (XI)

(XI)



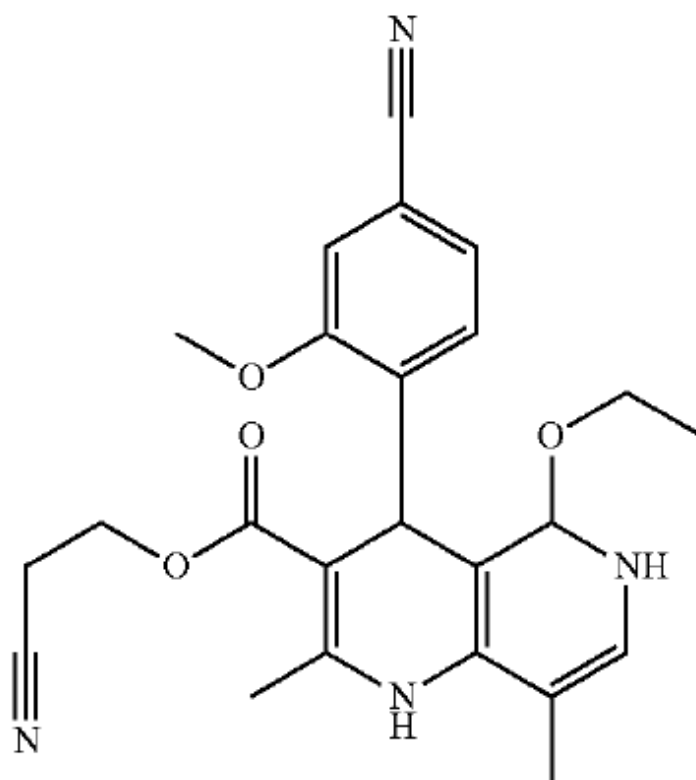
4. A process for preparing a compound of formula (XII)

(XII)



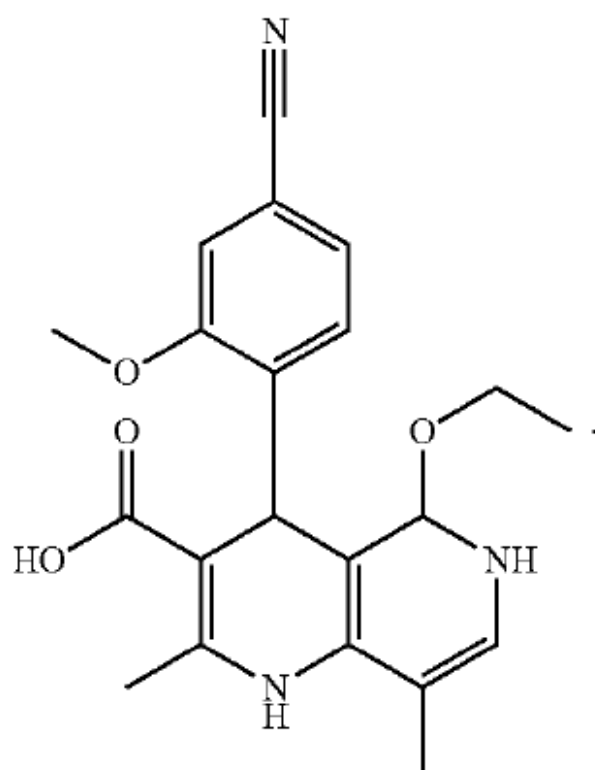
comprising saponifying a compound of formula (XI)

(XI)

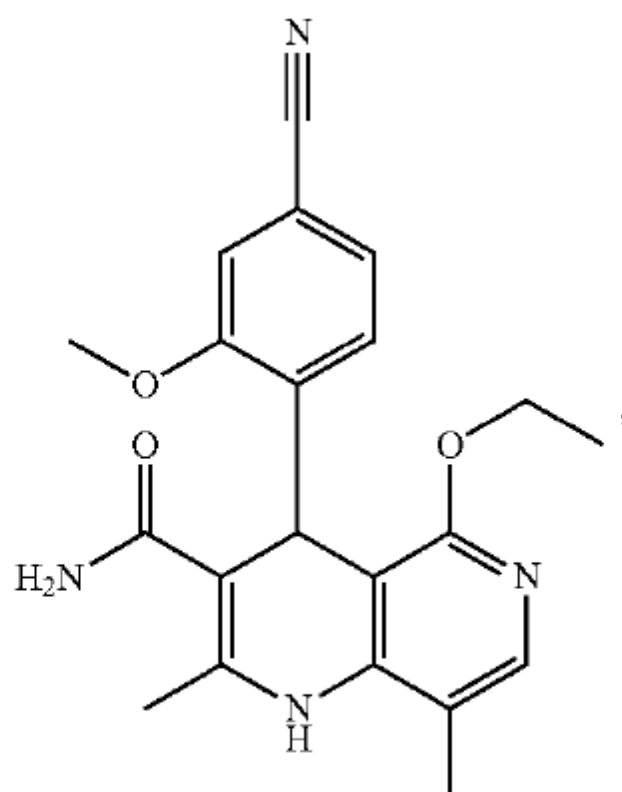


in a THF/water mixture (2:1, 9-fold) with aqueous sodium hydroxide solution to give the compound of formula (XII)

(XII)

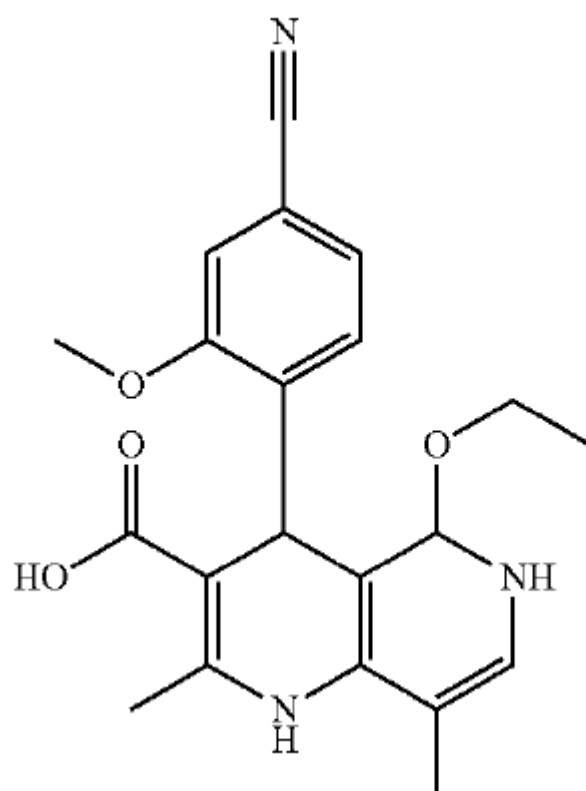


5. A process for preparing a compound of formula (XIII)



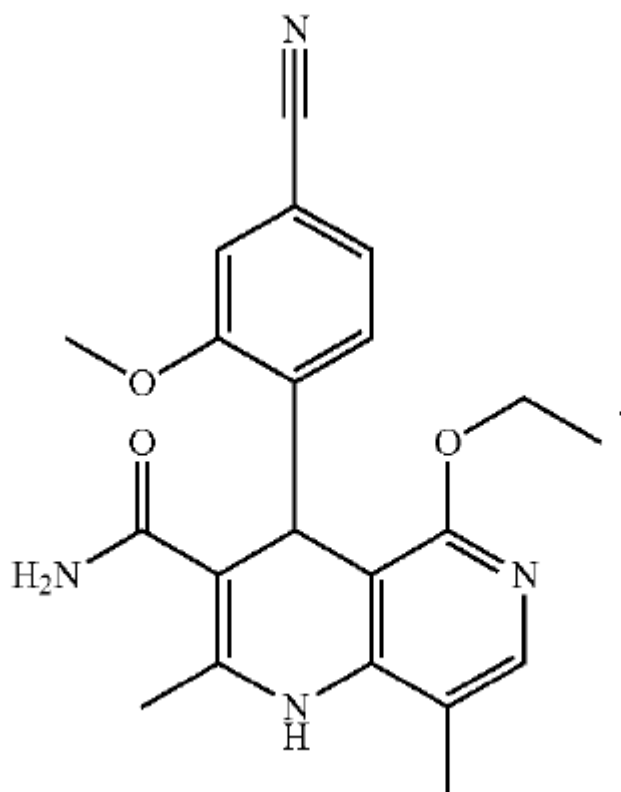
comprising reacting a compound of formula (XII)

(XII)

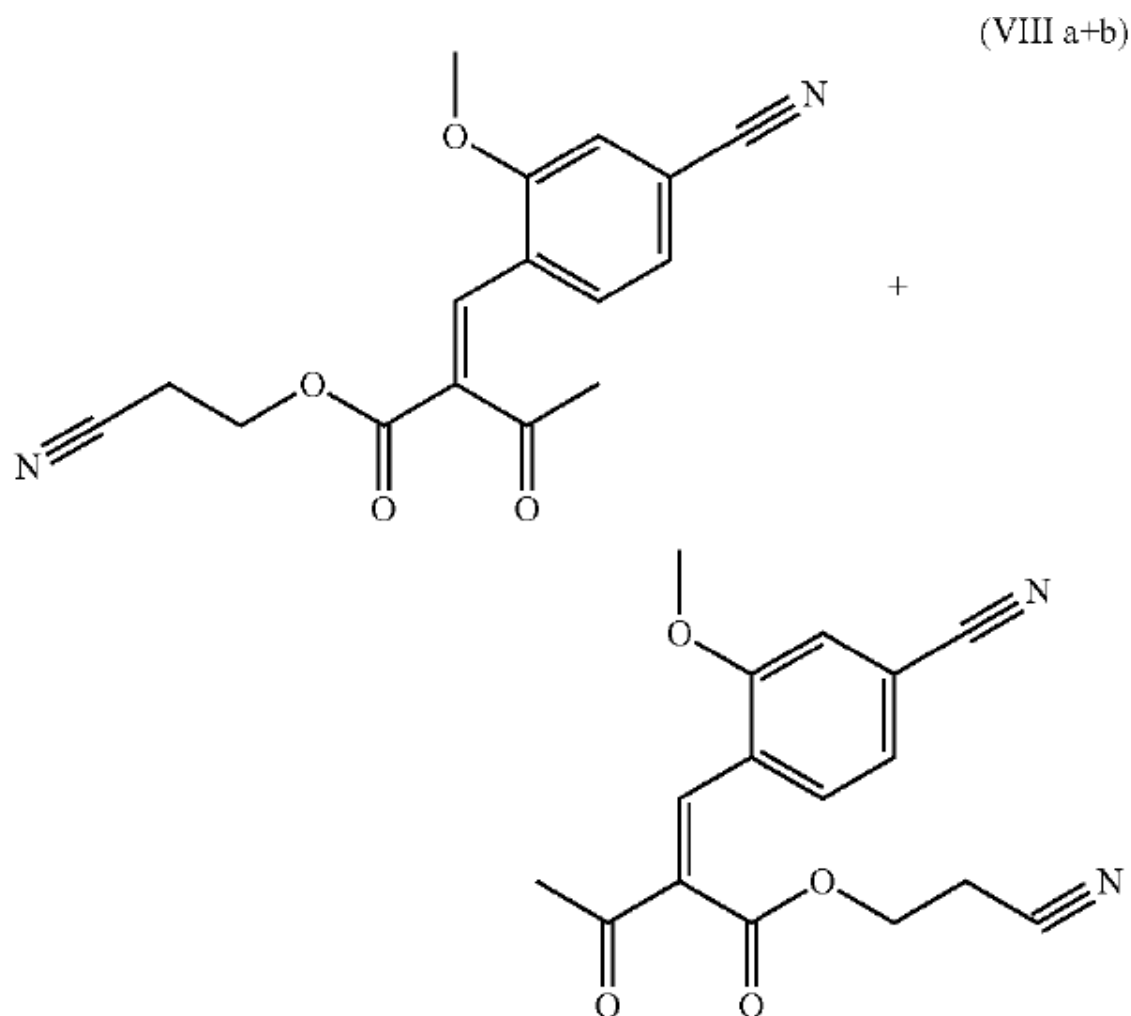


in a one-pot reaction in THF by first admixing with carbodiimidazole and catalytic amounts of 4-(dimethylamino)pyridine to form an admixture, heating the admixture under reflux together with hexamethyldisilazane for 16 to 24 hours and then in a third step hydrolysing in water with THF or water to give the compound of formula (XIII)

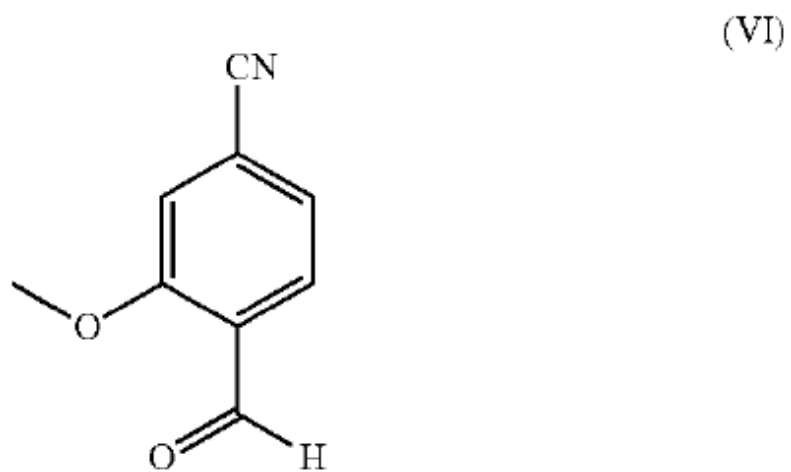
(XIII)



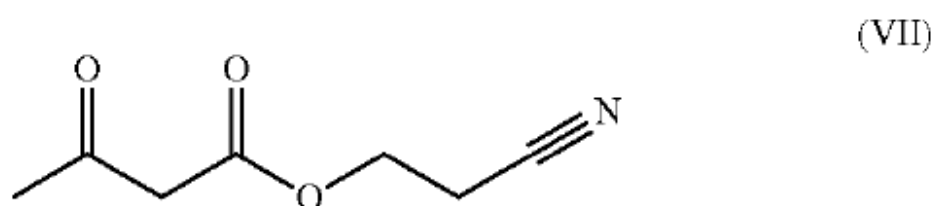
6. A process for preparing compounds of formulae (VIII a+b):



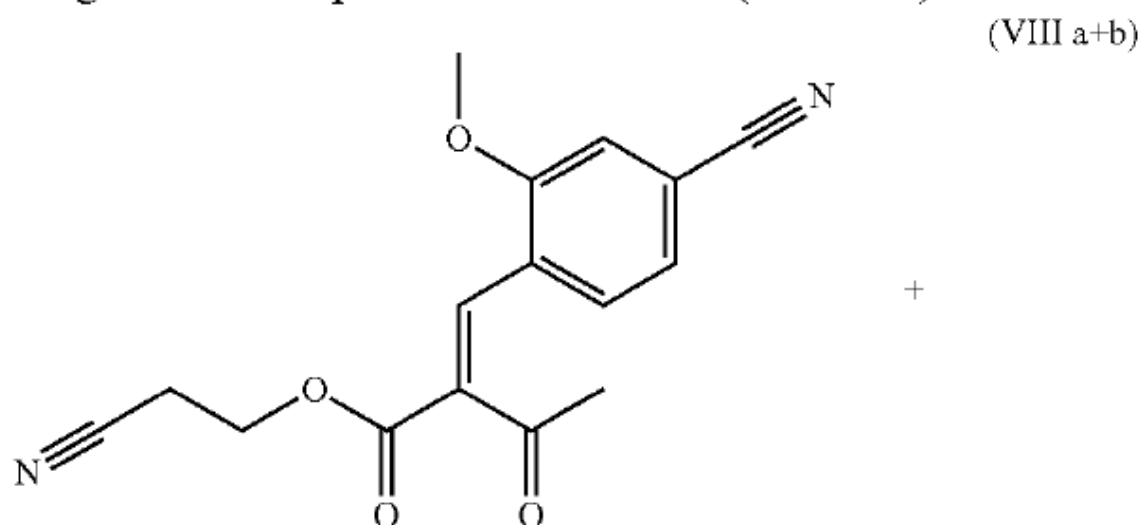
comprising preparing the compound of formula (VI)

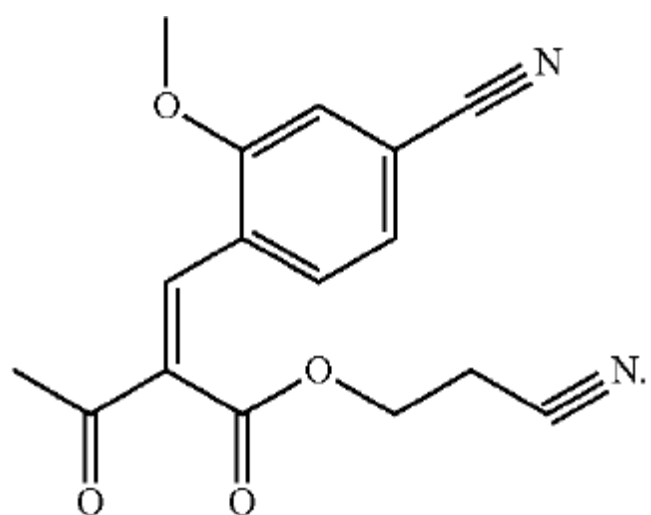


according to the process of claim 1,
dissolving the compound of formula (VI) in isopropanol
(3-7 fold), 5-10 mol % of piperidine and 5-10 mol % of
glacial acetic acid at 30° C. and reacting the dissolved
compound of formula (VI) with a compound of formula
(VII)



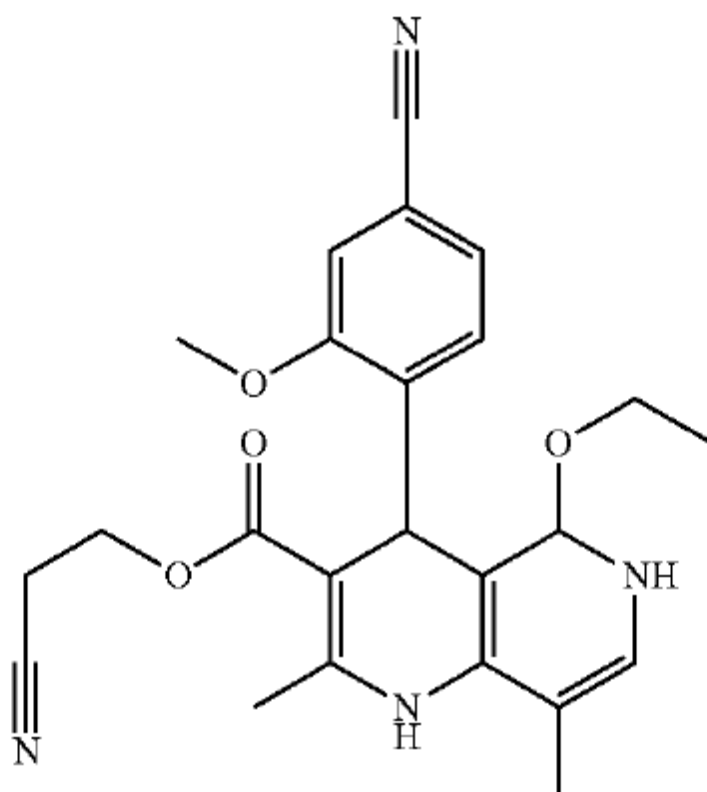
to give the compounds of formulae (VIII a+b):





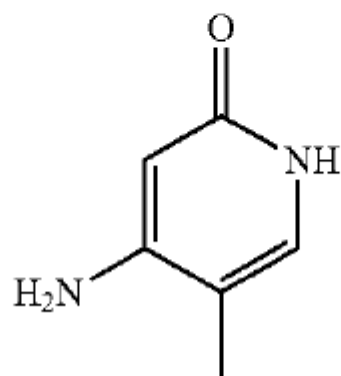
7. A process for preparing a compound of formula (XI)

(XI)



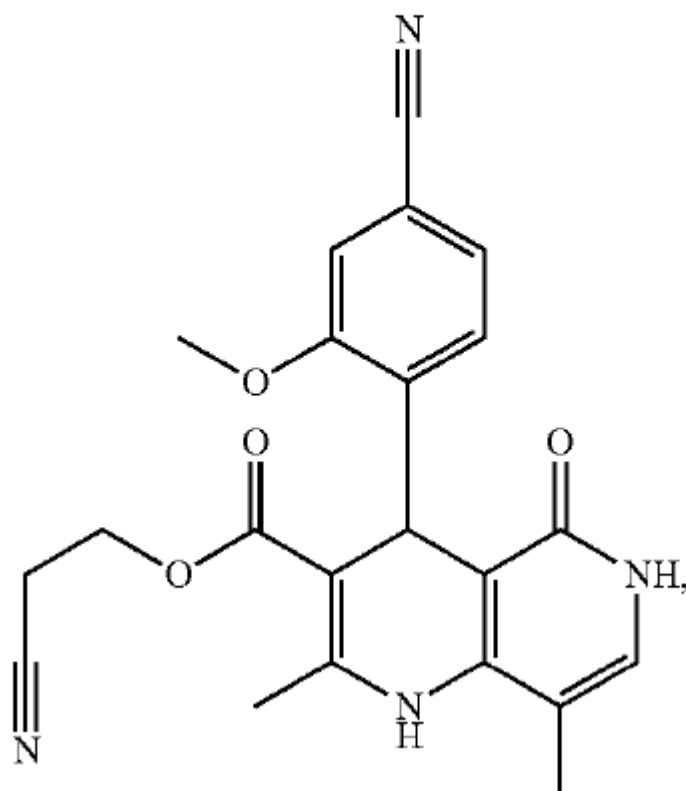
comprising preparing the compounds of formulae (VIII a+b) according to claim 2, reacting the compounds of formulae (VIII a+b) with a compound of formula (IX)

(IX)

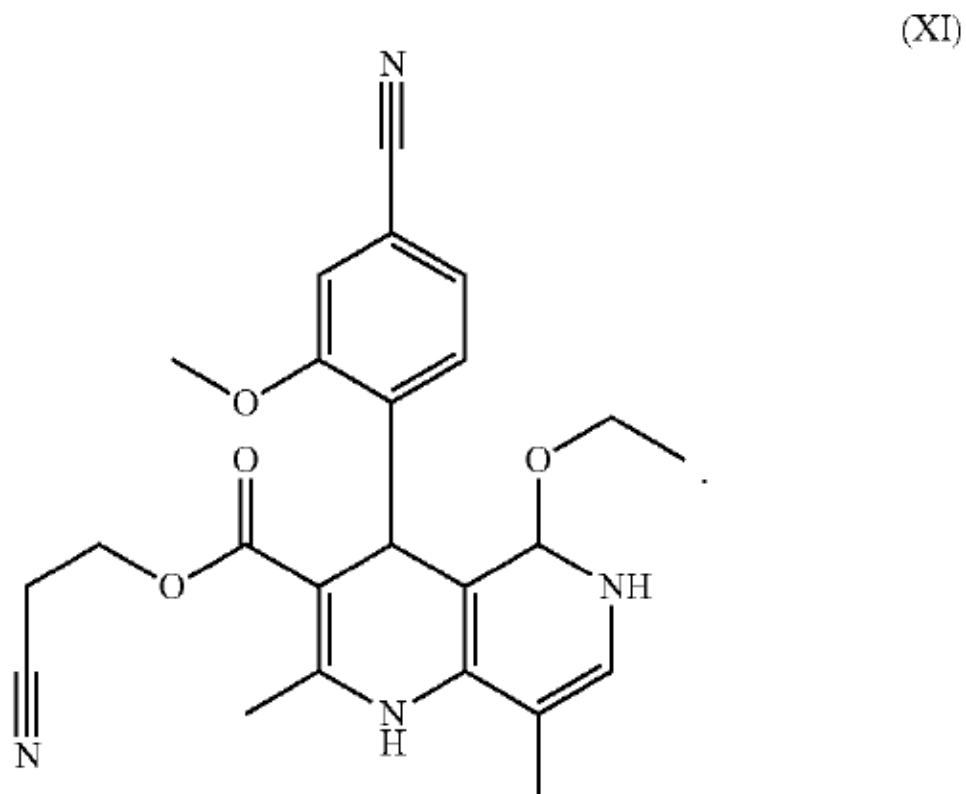


to give a compound of formula (X)

(X)

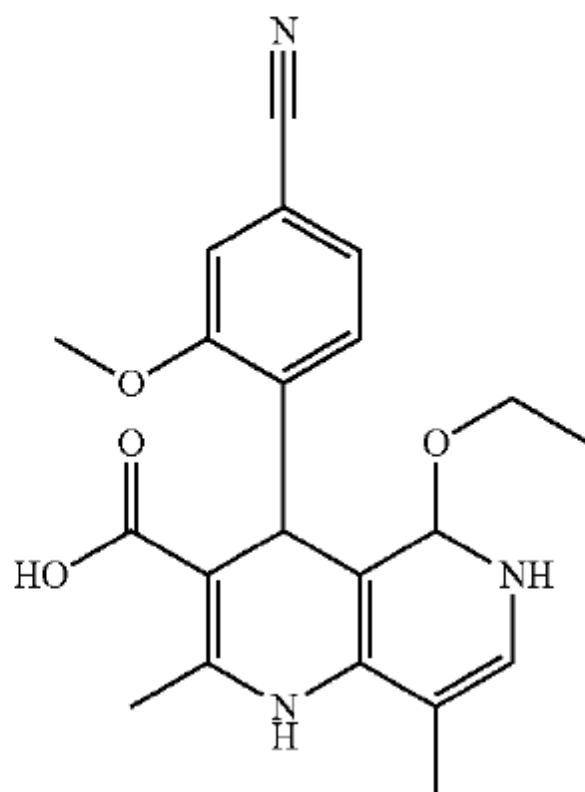


stirring the compound of formula (X) with 2.5-5 eq of triethyl orthoacetate in dimethylacetamide at 100 to 120° C. for 1.5 to 3 hours to give the compound of the formula (XI)



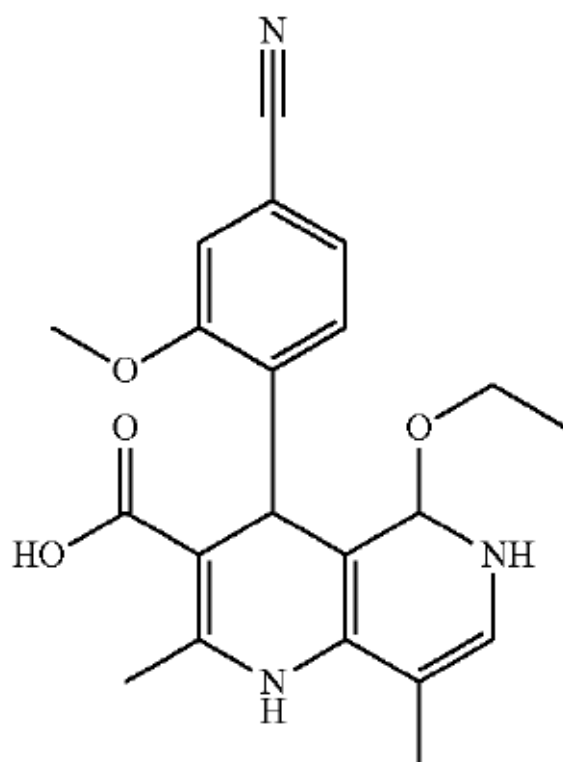
8. A process for preparing a compound of formula (XII)

(XII)



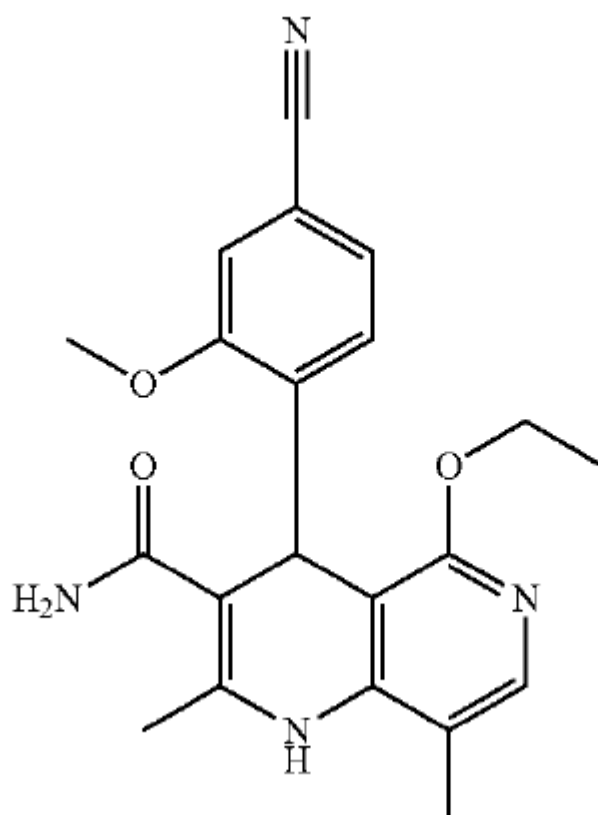
comprising preparing the compound of formula (XI) according to claim 3, saponifying the compound of formula (XI) in a THF/water mixture (2:1, 9-fold) with aqueous sodium hydroxide solution to give the compound of formula (XII)

(XII)



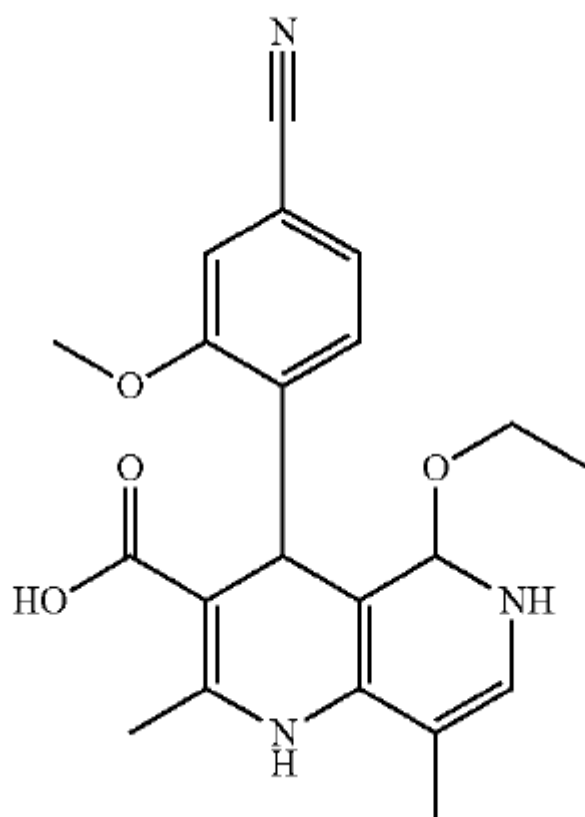
9. A process for preparing a compound of formula (XIII)

(XIII)



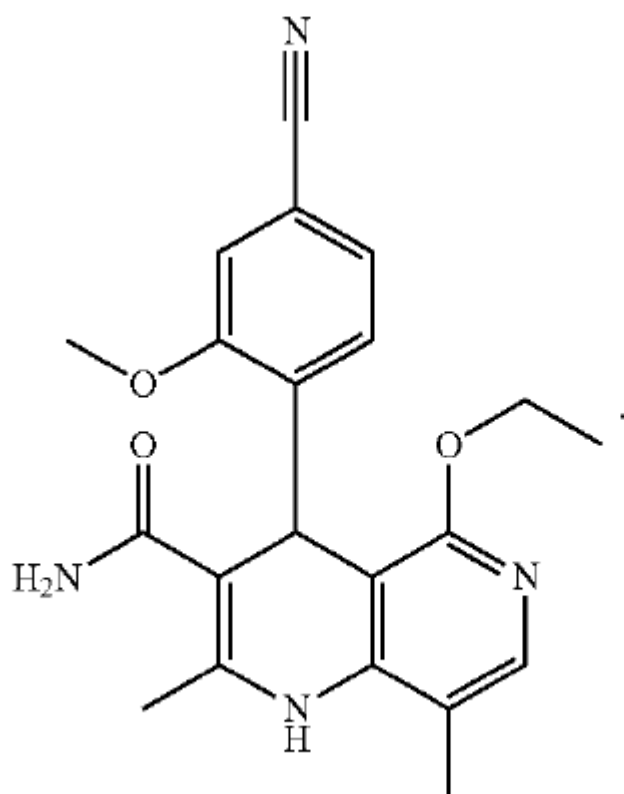
comprising preparing the compound of formula (XII)

(XII)



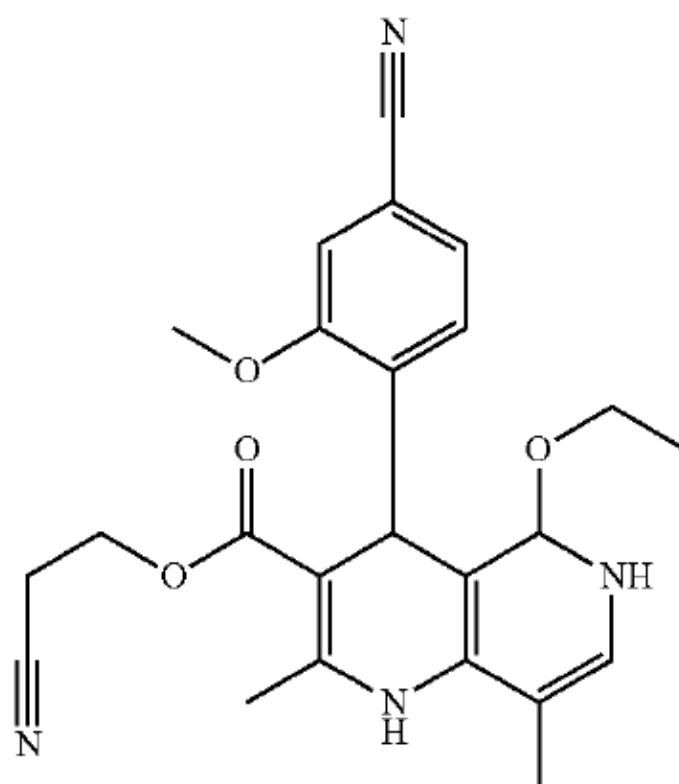
according to the process of claim 4,
reacting the compound of formula (XII) in a one-pot
reaction in THF by first admixing with carbodiimida-
zole and catalytic amounts of 4-(dimethylamino)pyri-
dine to form an admixture, heating the admixture under
reflux together with hexamethyldisilazane for 16 to 24
hours and then in a third step hydrolysing in water with
THF or water to give the compound of formula (XIII)

(XIII)



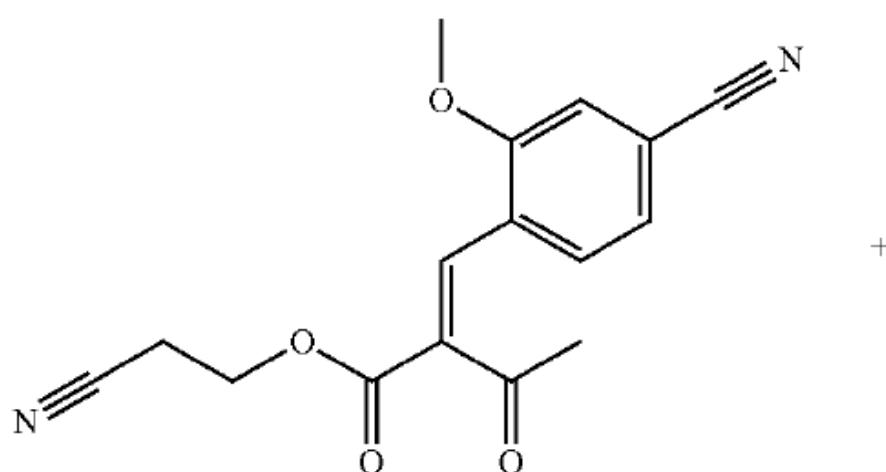
10. A process for preparing a compound of formula (XI)

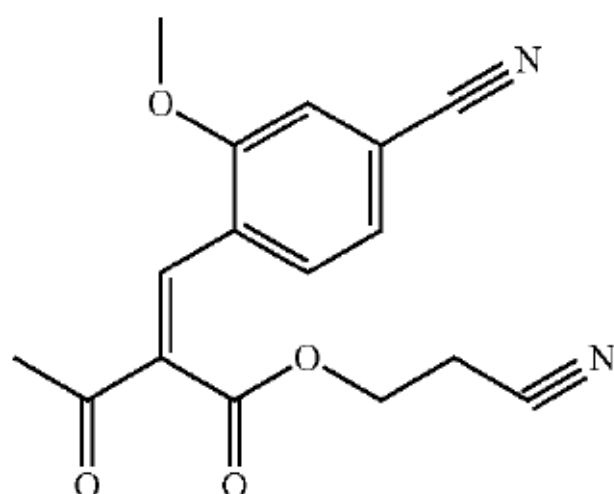
(XI)



comprising preparing compounds of formulae (VIII a+b)

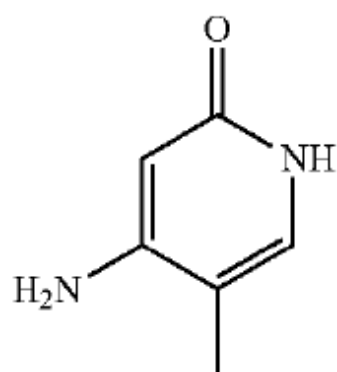
(VIII a+b)





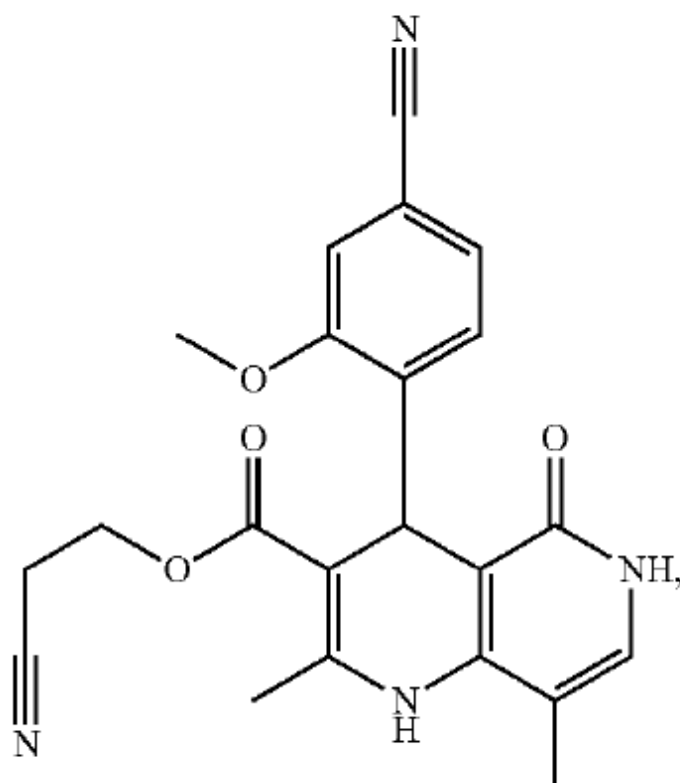
according to the process of claim 6
reacting the compounds of formulae (VIII a+b) with a
compound of formula (IX)

(IX)



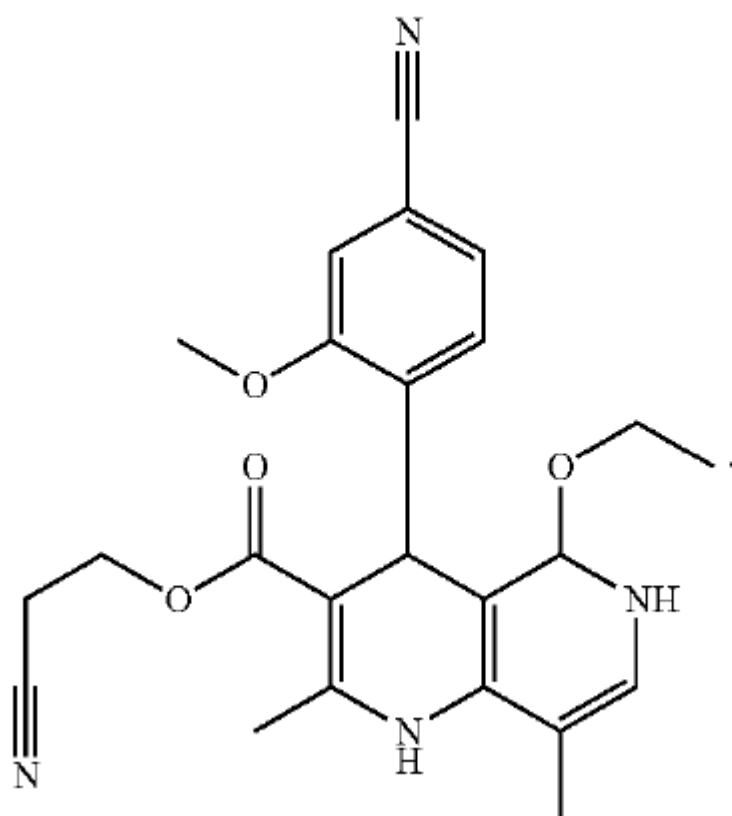
to give a compound of formula (X)

(X)

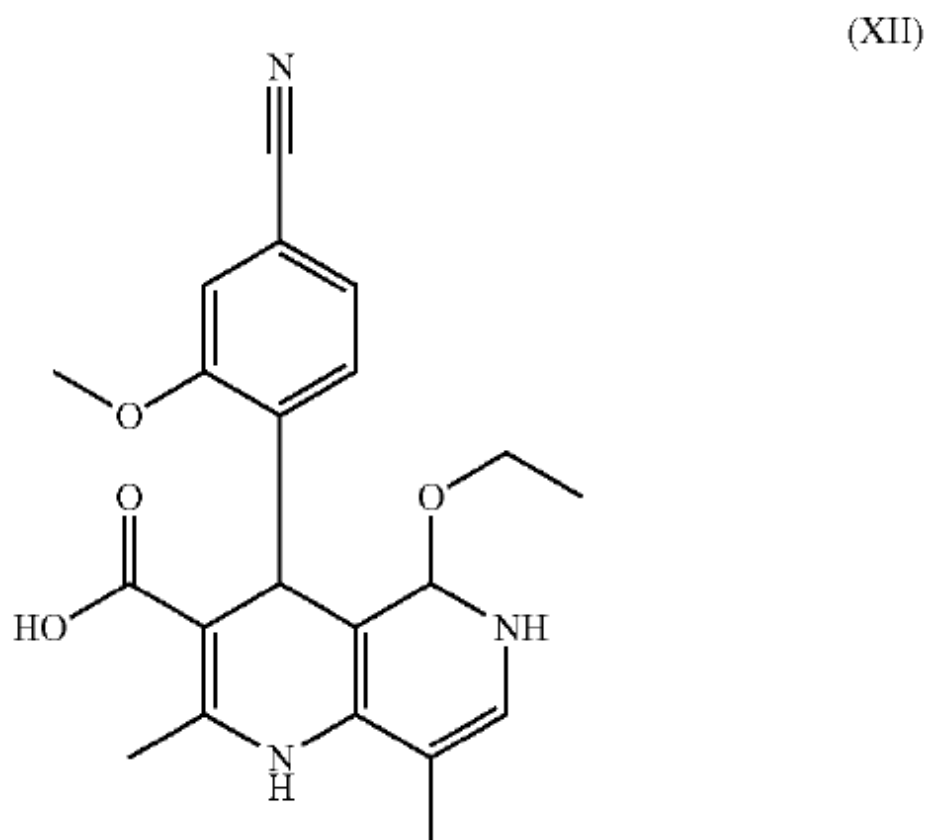


stirring the compound of formula (X) with 2.5-5 eq of triethyl orthoacetate in dimethylacetamide at 100 to 120° C. for 1.5 to 3 hours to give a compound of formula (XI)

(XI)

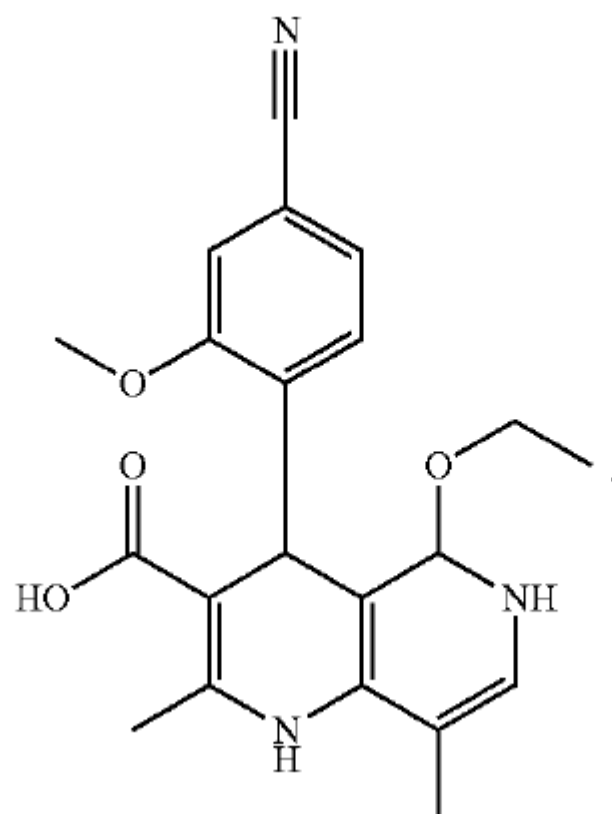


11. A process for preparing the compound of formula (XII)



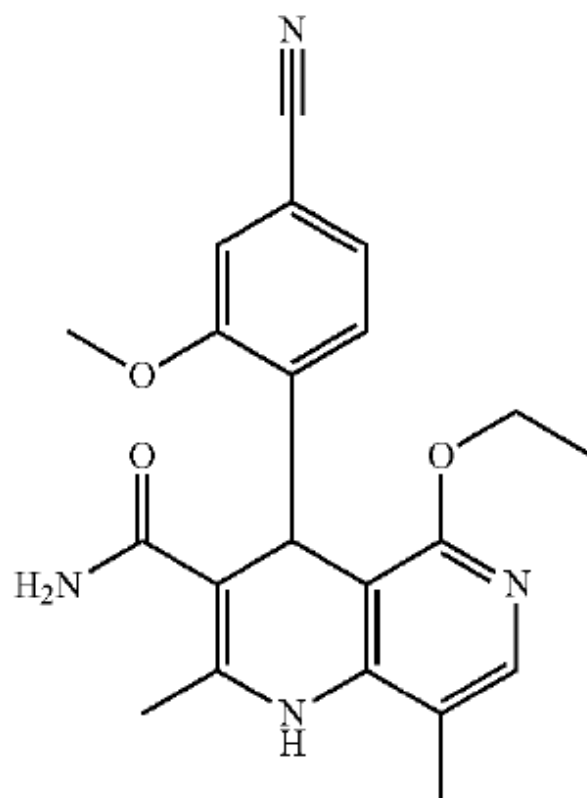
comprising preparing the compound of formula (XI) according to claim 7, and saponifying the compound of formula (XI) in a THF/water mixture (2:1, 9-fold) with aqueous sodium hydroxide solution to give the compound of formula (XII)

(XII)



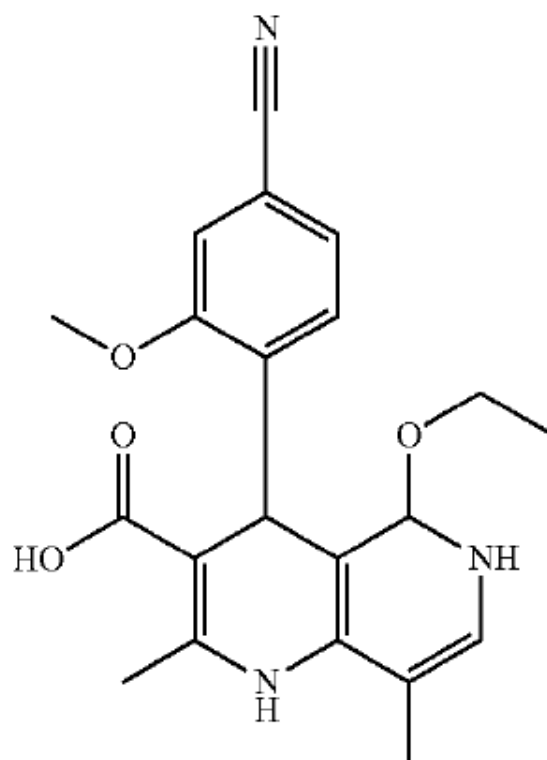
12. A process for preparing a compound of formula (XIII)

(XIII)



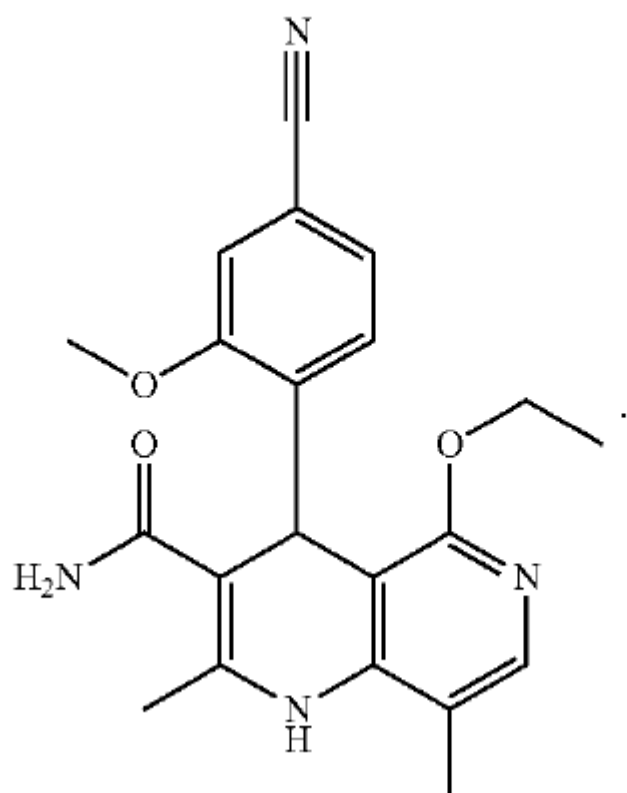
comprising preparing the compound of formula (XII)

(XII)



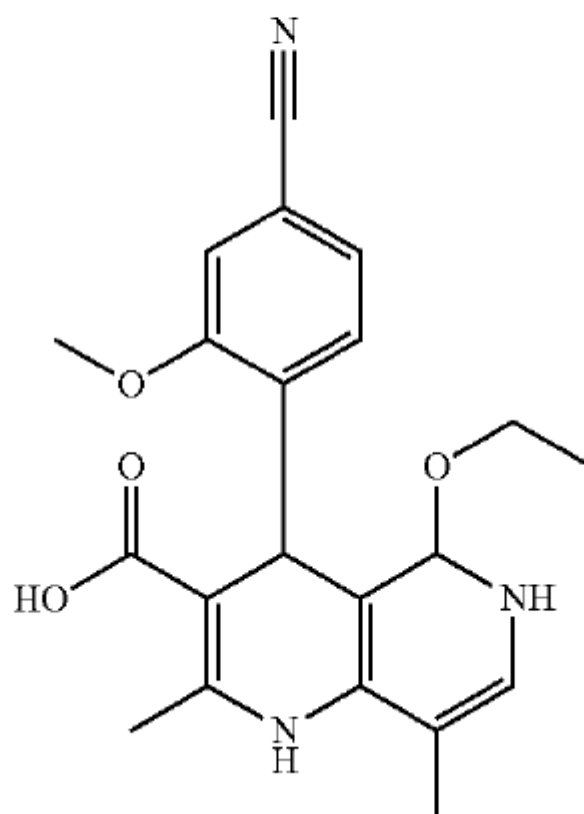
according to the process of claim 8,
reacting the compound of formula (XII) in a one-pot
reaction in THF by first admixing with carbodiimida-
zole and catalytic amounts of 4-(dimethylamino)pyri-
dine to form an admixture, heating the admixture under
reflux together with hexamethyldisilazane for 16 to 24
hours and then in a third step hydrolysing in water with
THF or water to give the compound of formula (XIII)

(XIII)



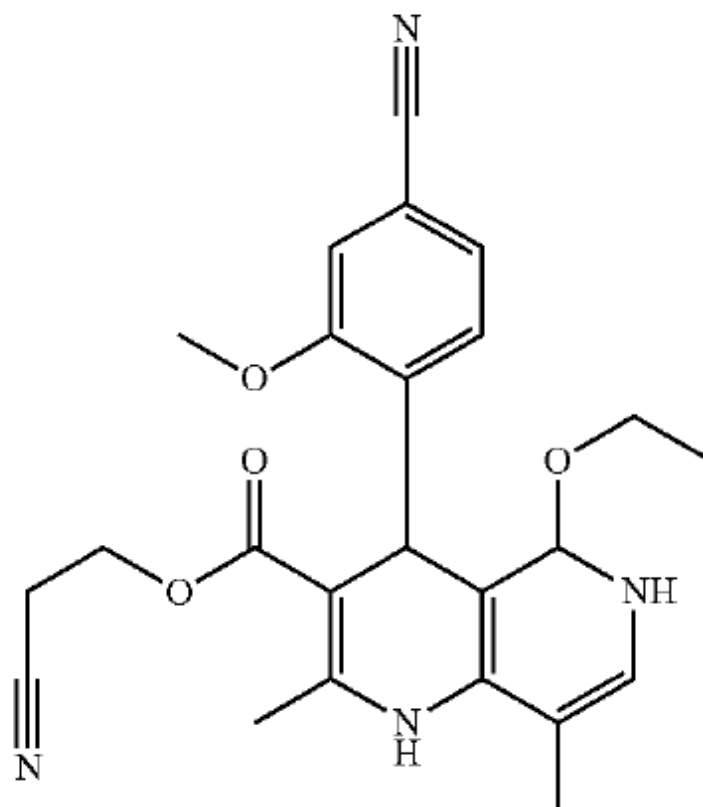
13. A process for preparing a compound of formula (XII)

(XII)



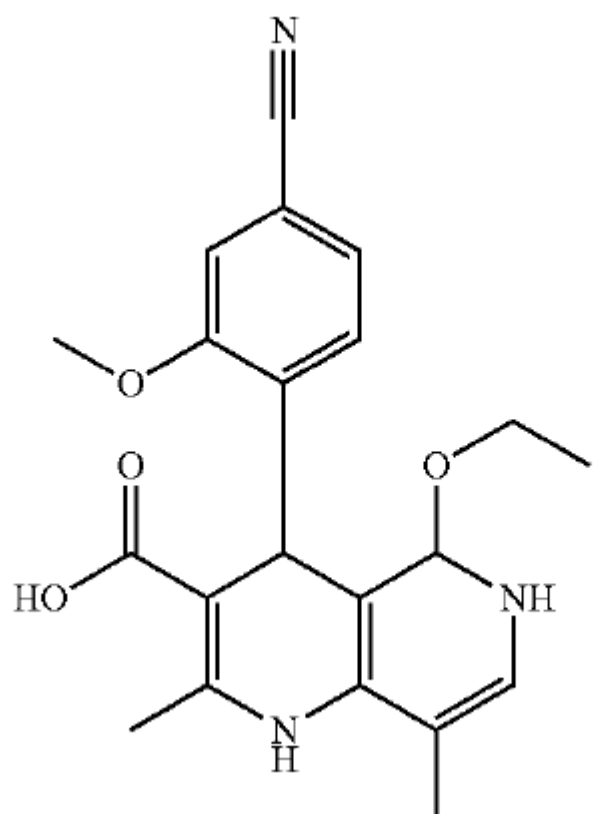
comprising preparing the compound of formula (XI)

(XI)



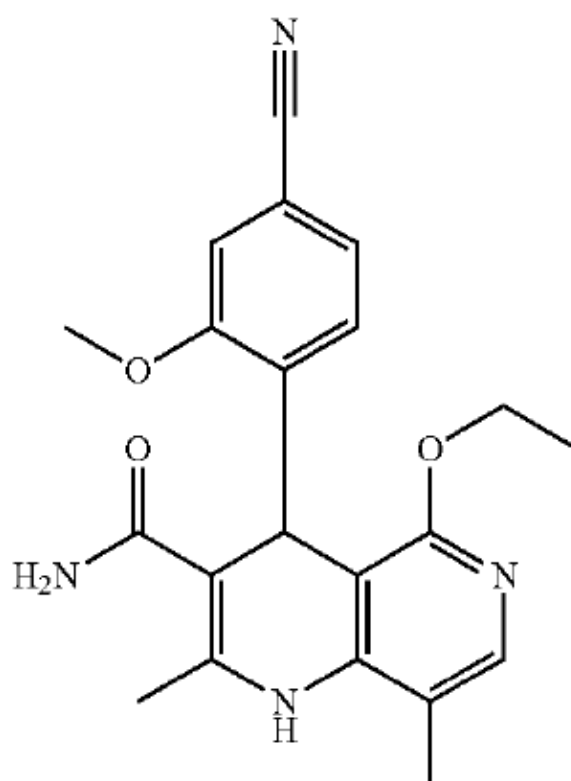
according to the process of claim **10**, saponifying the compound of formula (XI) in a THF/water mixture (2:1, 9-fold) with aqueous sodium hydroxide solution to give a compound of formula (XII)

(XII)



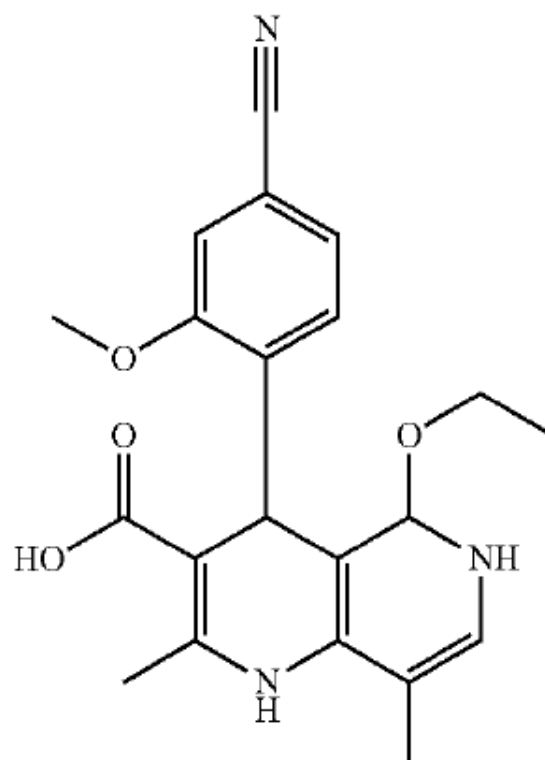
14. A process for preparing a compound of formula (XIII)

(XIII)



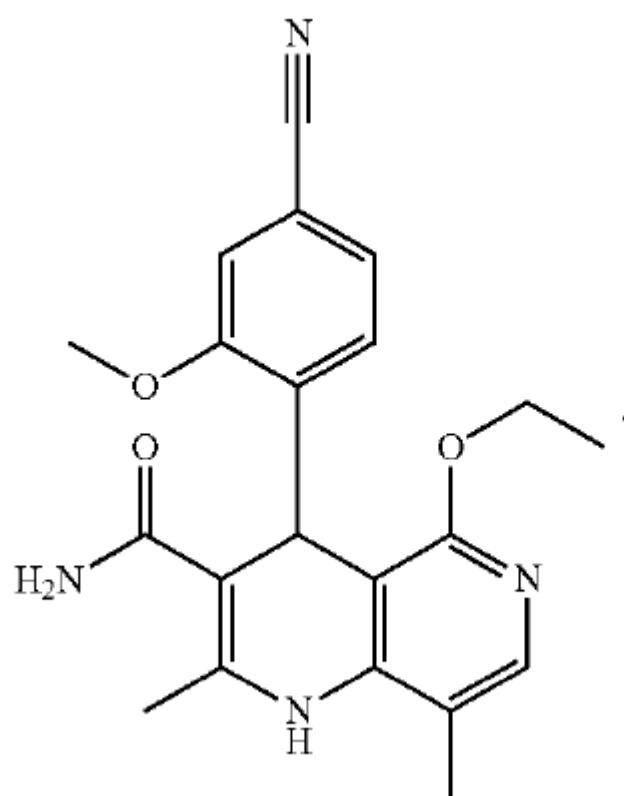
comprising preparing the compound of formula (XII)

(XII)



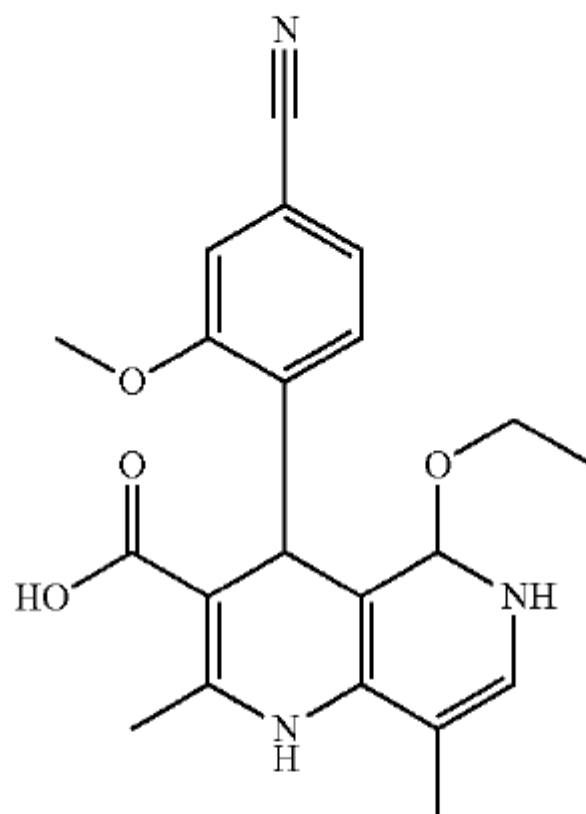
according to the process of claim 11, reacting the compound of formula (XII) in a one-pot reaction in THF by first admixing with carbodiimidazole and catalytic amounts of 4-(dimethylamino)pyridine to form an admixture, heating the admixture under reflux together with hexamethyldisilazane for 16 to 24 hours and then in a third step hydrolysing in water with THF or water to give the compound of formula (XIII)

(XIII)



comprising preparing the compound of formula (XII)

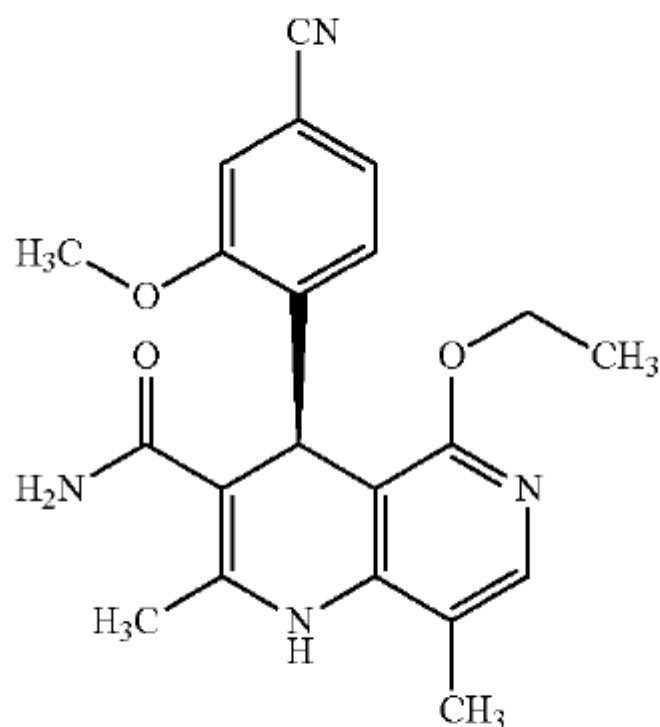
(XII)



according to the process of claim 13, reacting the compound of formula (XII) in a one-pot reaction in THF by first admixing with carbodiimidazole and catalytic amounts of 4-(dimethylamino)pyridine to form an admixture, heating the admixture under reflux together with hexamethyldisilazane for 16 to 24 hours and then in a third step hydrolysing in water with THF or water to give the compound of formula (XIII)

16. A process for preparing a compound of formula (I)

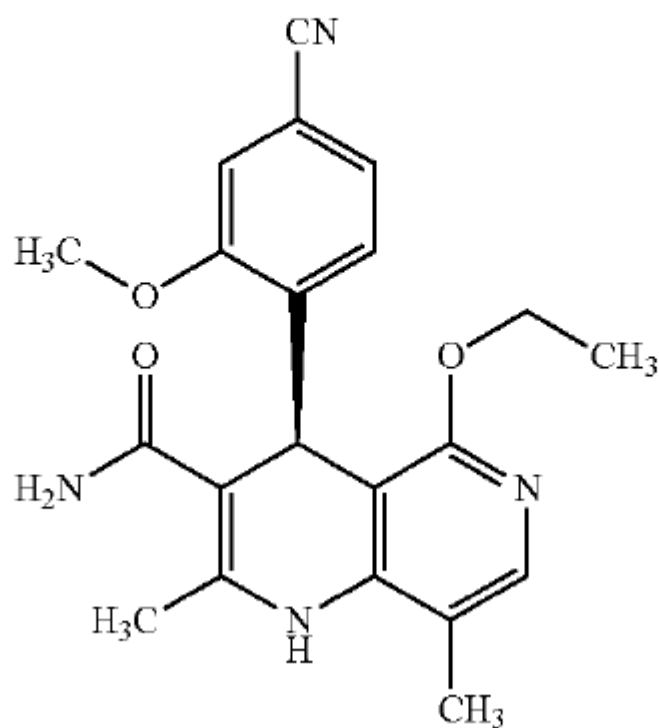
(I)



comprising preparing the compound of formula (XIII) in a mixture of enantiomers according to the process of claim 5 and isolating the compound of formula (I) from the mixture.

17. A process for preparing a compound of formula (I)

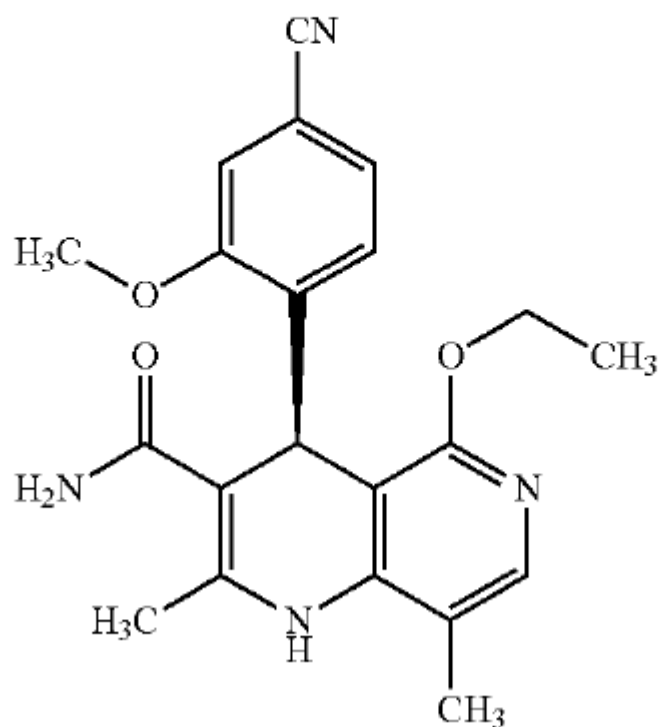
(I)



comprising preparing the compound of formula (XIII) in a mixture of enantiomers according to the process of claim 9 and isolating the compound of formula (I) from the mixture.

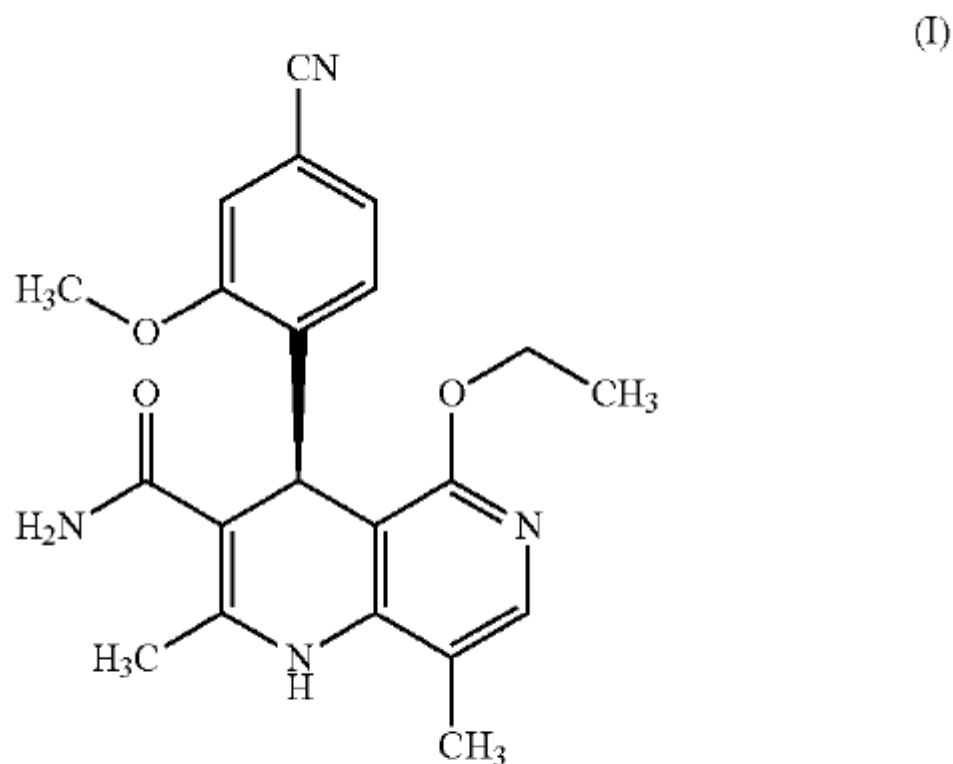
18. A process for preparing a compound of formula (I)

(I)



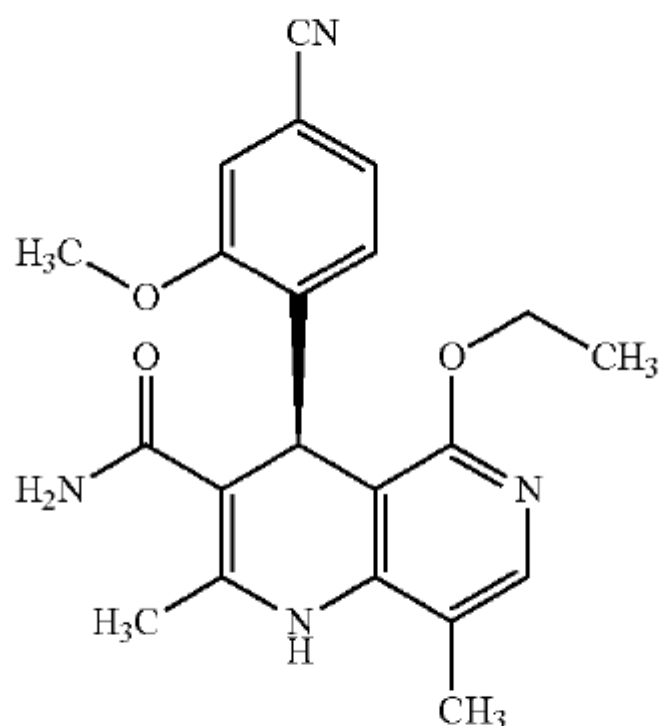
comprising preparing the compound of formula (XIII) in a mixture of enantiomers according to the process of claim 12 and isolating the compound of formula (I) from the mixture.

19. A process for preparing a compound of formula (I)



20. A process for preparing a compound of formula (I)

(I)



comprising preparing the compound of formula (XIII) in a mixture of enantiomers according to the process of claim 15 and isolating the compound of formula (I) from the mixture.

21. The process of claim **17**, wherein the isolated compound of the formula (I) is present in one or more polymorphs or as a solvate in an inert solvent, further comprising stirring the inert solvent containing the isolated compound of formula (I) at a temperature of 20° C.-120° C. and isolating the compound of the formula (I) as crystalline polymorph I.

22. The process of claim **18**, wherein the isolated compound of the formula (I) is present in one or more polymorphs or as a solvate in an inert solvent, further comprising stirring the inert solvent containing the isolated compound of formula (I) at a temperature of 20° C.-120° C. and isolating the compound of the formula (I) as crystalline polymorph I.

23. The process of claim **19**, wherein the isolated compound of the formula (I) is present in one or more polymorphs or as a solvate in an inert solvent, further comprising stirring the inert solvent containing the isolated compound of formula (I) at a temperature of 20° C.-120° C. and isolating the compound of the formula (I) as crystalline polymorph I.

24. The process of claim **20**, wherein the isolated compound of the formula (I) is present in one or more polymorphs or as a solvate in an inert solvent, further comprising stirring the inert solvent containing the isolated compound of formula (I) at a temperature of 20° C.-120° C. and isolating the compound of the formula (I) as crystalline polymorph I.