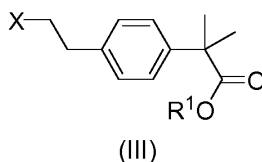


CLAIMS

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

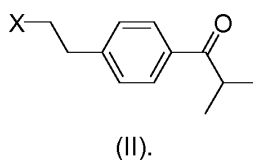


- 5 or a solvate thereof wherein

X is a leaving group; and

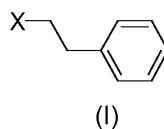
R¹ is C₁-C₆ alkyl;

which comprises oxidative rearrangement of a compound of formula (II) or a solvate thereof

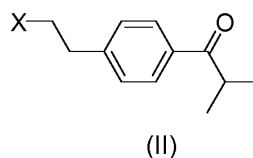


2. Process according to claim 1, which comprises:

- (a) acylation of a compound of formula (I)

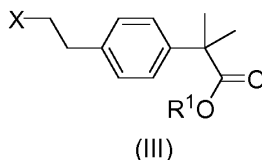


or a solvate thereof wherein X is a leaving group, to provide a compound of formula (II)



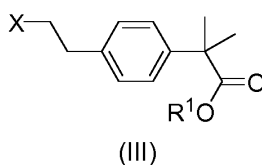
or a solvate thereof, and

- (b) oxidative rearrangement of a compound of formula (II), or a solvate thereof, to provide a compound of formula (III)



or a solvate thereof, wherein R¹ is C₁-C₆ alkyl.

3. Process according to claim 1, wherein X is selected from Cl, Br, I, OMs, OTs and OTf.
4. Process according to anyone of claims 1 to 3, wherein oxidative rearrangement is carried out in the presence of a tri(C₁-C₆)alkyl orthoester, a (C₁-C₆)alkanol or a mixture thereof, an oxidizing agent and an acid catalyst.
5. Process according to any one of claim 4, wherein the oxidizing agent is an iodine oxidizing agent, such as I₂, ICl, ICl₃, HIO₃, PhI(OAc)₂, PhI(OCOCF₃)₂, PhI(OTf)₂, PhI(OH)OTs, PhIO, NIS, IBX or DMP.
6. Process according to any one of claims 4 or 5, wherein the acid catalyst is selected from sulfuric acid, hydrochloric acid, hydrobromic acid, nitric acid, phosphoric acid, acetic acid, trifluoroacetic acid, camphorsulfonic acid, p-toluenesulfonic acid, methanesulfonic acid, maleic acid, fumaric acid, citric acid, oxalic acid, succinic acid, tartaric acid and malic acid.
7. Process according to any one of claims 2 to 6, wherein acylation is carried out in the presence of an acylating agent selected from (iPrCO)₂O and a compound of formula iPrCO-Z, wherein Z is selected from OH, Cl, Br and I.
8. Process according to any one of claims 2 to 7, wherein acylation is carried out in the presence of a protic acid and/or a Lewis acid.
9. Process according to any one of claims 1 to 8, which comprises converting the compound of formula (III), or a solvate thereof, into Bilastine, or a salt or solvate thereof.
10. Process according to any one of claims 1 to 9, which further comprises:
- (c) reacting a compound of formula (III)



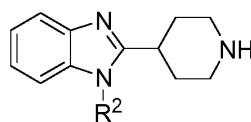
or a solvate thereof wherein

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X is a leaving group; and

R¹ is C₁-C₆ alkyl;

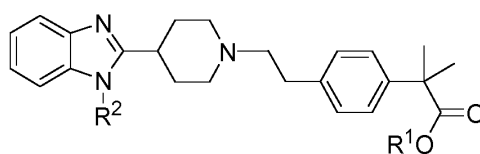
with a compound of formula (IV)



(IV)

or a salt or solvate thereof wherein R² is selected from H and -CH₂CH₂OEt;

to provide a compound of formula (V)



(V)

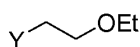
or a salt or solvate thereof; and

(d) converting the compound of formula (V), or a salt or solvate thereof, into Bilastine, or a salt or solvate thereof.

11. Process according to claim 10, wherein R² in the compounds of formula (IV) and (V) is -CH₂CH₂OEt and step (d) comprises hydrolysis of the ester group in the compound of formula (V), or a salt or solvate thereof, to provide Bilastine, or a salt or solvate thereof.

12. Process according to claim 10, wherein R² in the compounds of formula (IV) and (V) is H and step (d) comprises:

(d1) reacting a compound of formula (V), or a salt or solvate thereof, wherein R² is H, with a compound of formula (VI)



(VI)

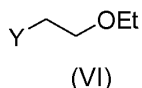
wherein Y is a leaving group,

to provide a compound of formula (V), or a salt or solvate thereof, wherein R² is -CH₂CH₂OEt; and

(d2) hydrolysis of the ester group in the compound of formula (V), or a salt or solvate thereof, wherein R² is -CH₂CH₂OEt to provide Bilastine or a salt or solvate thereof.

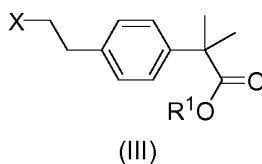
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13. Process according to any one of claims 10 or 12, wherein step (d) comprises reacting a compound of formula (V), or a salt or solvate thereof, wherein R^2 is H with a compound of formula (VI)



wherein Y is a leaving group,
and hydrolysis of the ester group in a compound of formula (V), or a salt or solvate thereof, to provide Bilastine or a salt or solvate thereof in a single reaction step.

- 10 14. Process according to any one of claims 1 to 9, which further comprises:
(c') hydrolysis of a compound of formula (III)

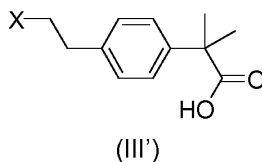


or a solvate thereof wherein

- 15 X is a leaving group; and

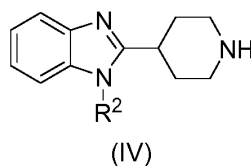
R^1 is C_1 - C_6 alkyl;

to provide a compound of formula (III')

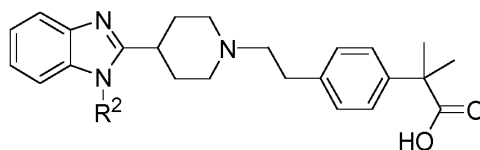


- 20 or a salt or solvate thereof;

- (d') reacting a compound of formula (III'), or a salt or solvate thereof, with a compound of formula (IV)



- 25 or a salt or solvate thereof wherein R^2 is selected from H and $-CH_2CH_2OEt$;
to provide a compound of formula (V')



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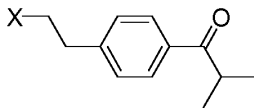
(V')

or a salt or solvate thereof; and

(e') if needed, converting the compound of formula (V'), or a salt or solvate thereof, into Bilastine, or a salt or solvate thereof.

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15. A compound of formula (II')



(II')

or a solvate thereof wherein X is selected from Cl, I, OMs, OTs and OTf.

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