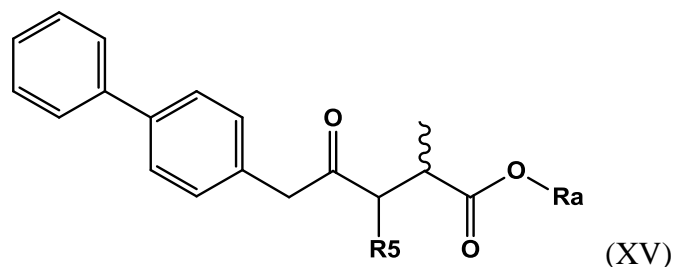
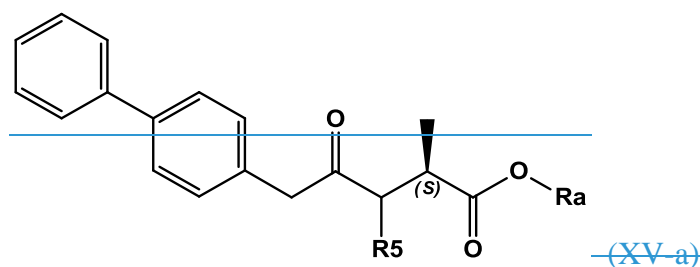


We Claim:

1. A compound of formula (XV), or a salt thereof



~~preferably of formula (XV-a)~~



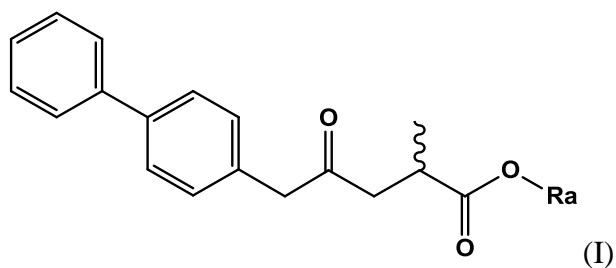
wherein

R5 is selected from hydrogen and a group $-\text{CO}-\text{OR}^*$, and

Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, ~~preferably ethyl,~~ wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl.

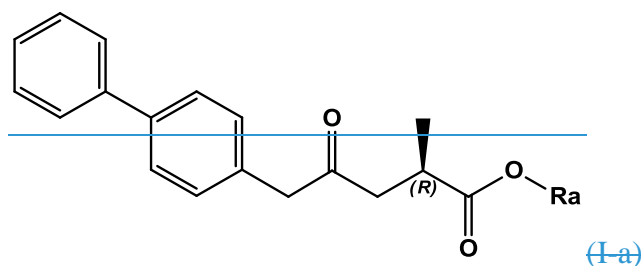
2. The compound of formula (XV) ~~as claimed in~~ ~~according to~~ claim 1, wherein

a) the compound is of formula (I), or a salt thereof;



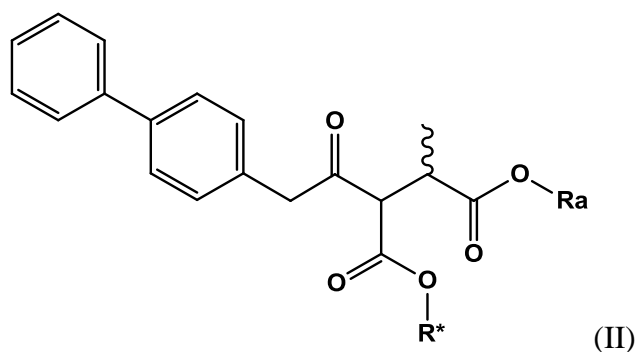
~~preferably of formula (I-a), or a salt thereof;~~

Marked up claims

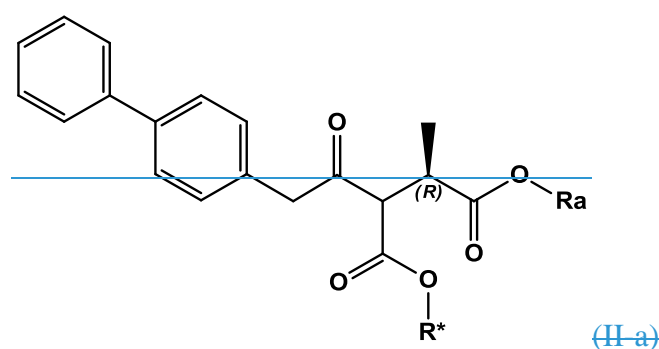


wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, ~~preferably ethyl~~, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl or

b) the compound is of formula (II), or a salt thereof;



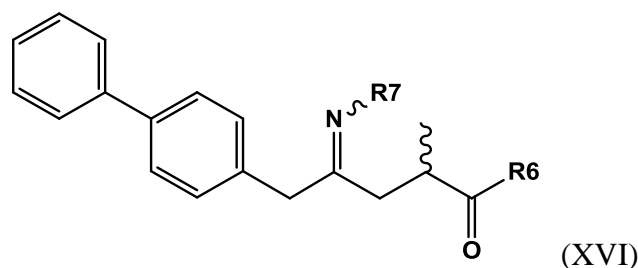
~~preferably of formula (II-a), or a salt thereof;~~



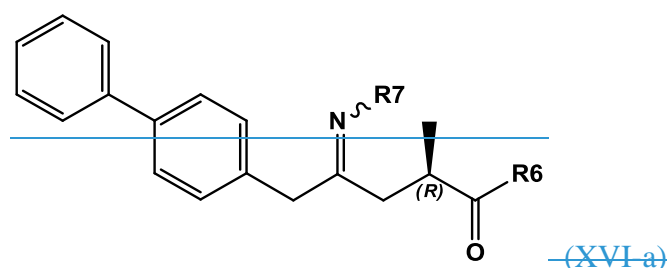
wherein Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, ~~preferably ethyl~~ wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl.

3. A compound of formula (XVI), or a salt thereof

Marked up claims



~~preferably of formula (XVI a)~~



wherein

R6 is -O-Ra, and R7 is selected from

- -S(=O)-Rb
- -OH
- A, wherein A is -O-C(=O)-Rc or -O-Rd

wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, ~~preferably ethyl,~~ wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl and

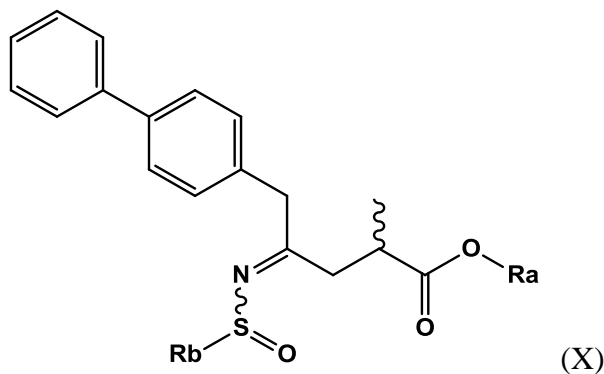
Rb, Rc and Rd are independently selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, or

R6 and R7 together represent -O- or form a bond.

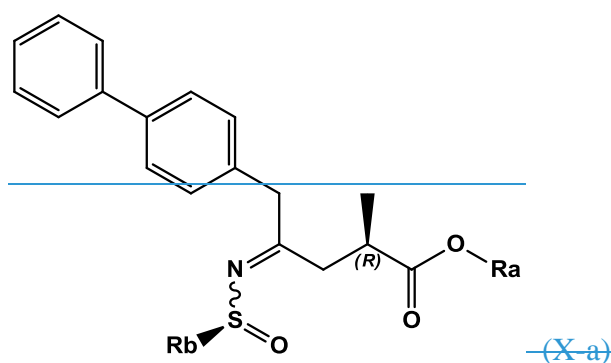
Marked up claims

4. The compound of formula (XVI) ~~as claimed in according to~~ claim 3, wherein

a) the compound is of formula (X), or a salt thereof;



~~preferably of formula (X-a),~~

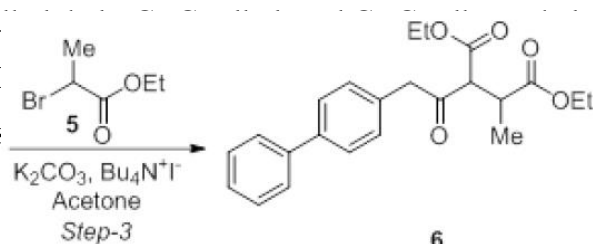


wherein

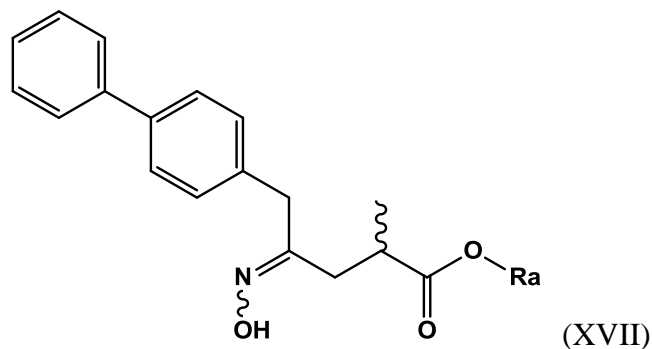
Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, ~~preferably ethyl,~~
~~wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and~~
~~SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl,~~
~~C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,~~

Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-
 C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said
 heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic
 ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected
 from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl
 group can be optionally substituted by one, two or three substituents independently selected
 from halo, C₁-C₇-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-
 C₈-cycloalkyl-C₁-C₆-alkyl, C₁-C₇-alkoxy, and C₁-C₇-
 alkoxy-C₁-C₇-alkyl.

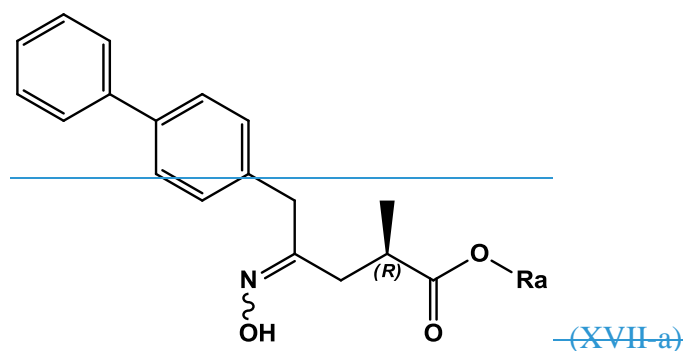
b) the compound is



Marked up claims

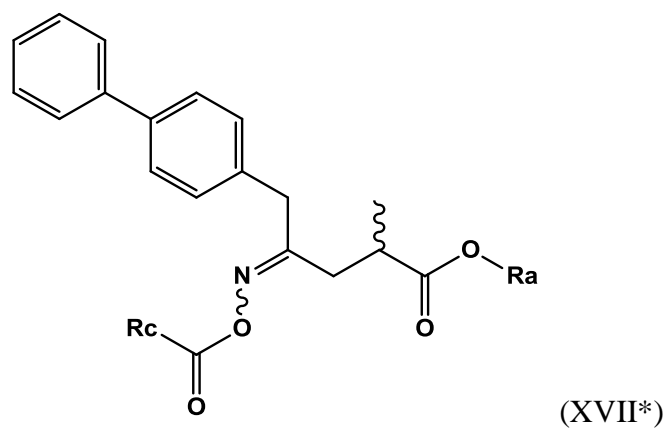


preferably of formula (XVII-a);



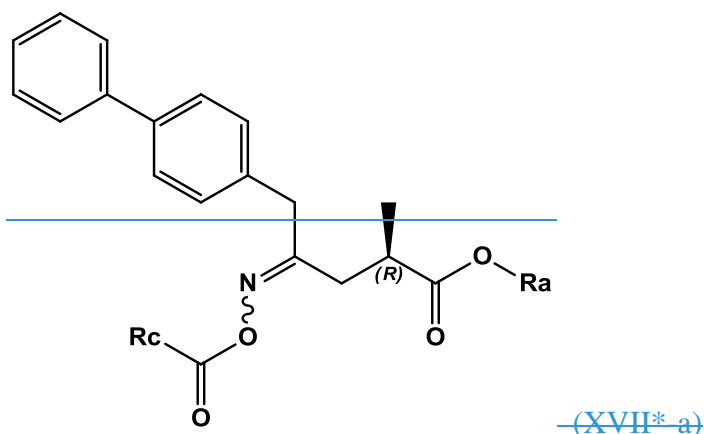
wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, preferably ethyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl.

c) the compound is of formula (XVII*),



preferably of formula (XVII*-a);

Marked up claims

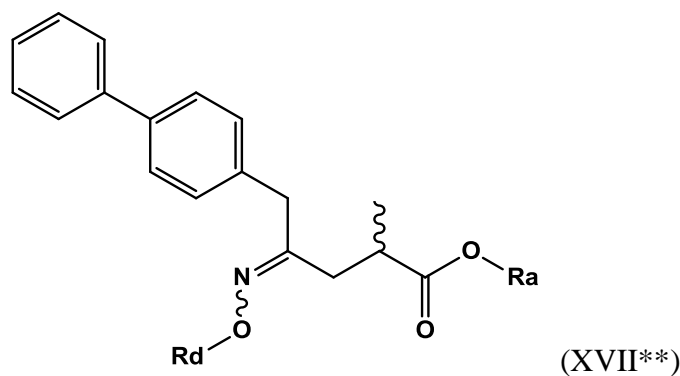


wherein

Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, preferably ethyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,

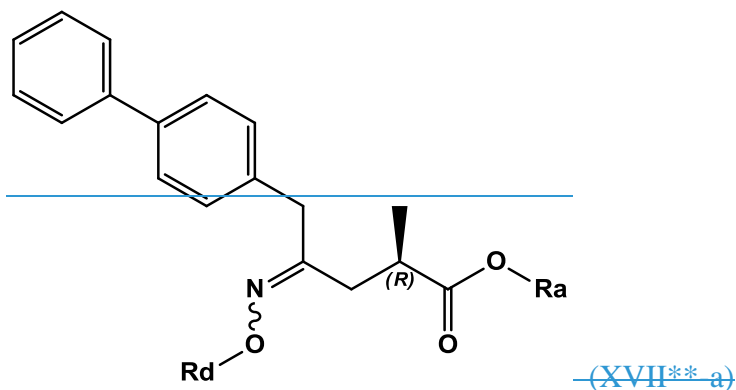
Rc is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

d) the compound is of formula (XVII**),



preferably of formula (XVII** a),

Marked up claims

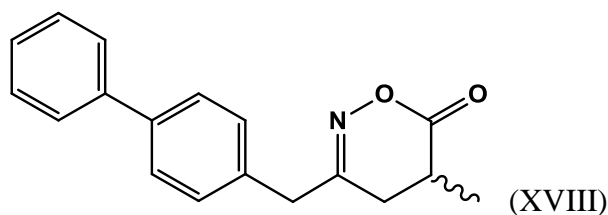


wherein

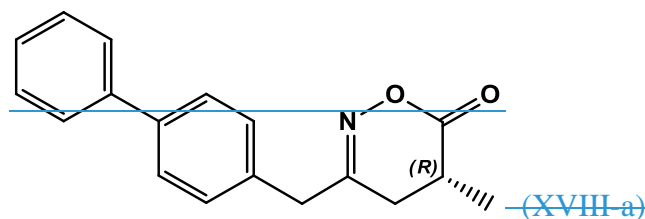
Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, preferably ethyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,

Rd is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

e) the compound is of formula (XVIII),



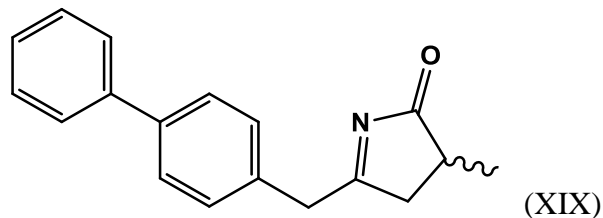
preferably of formula (XVIII a)



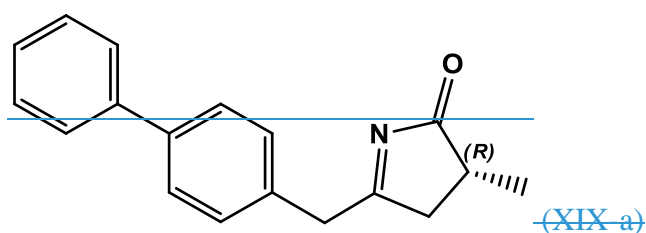
Marked up claims

or

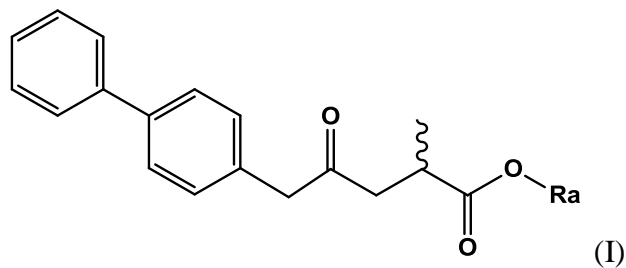
f) the compound is of formula (XIX),



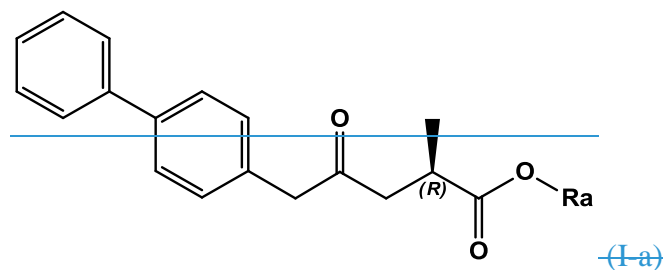
~~preferably of formula (XIX-a)~~



5. A process for the manufacture of a compound of formula (I), or a salt thereof;



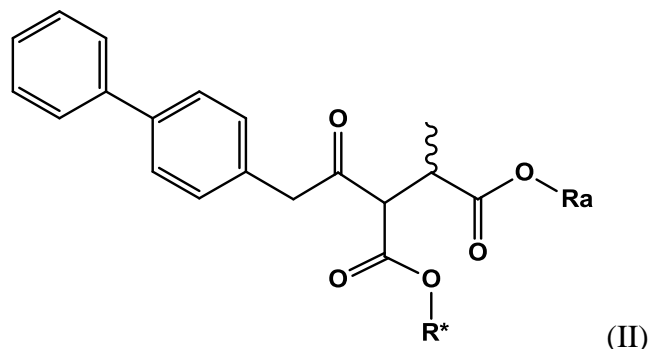
~~preferably of formula (I-a), or a salt thereof;~~



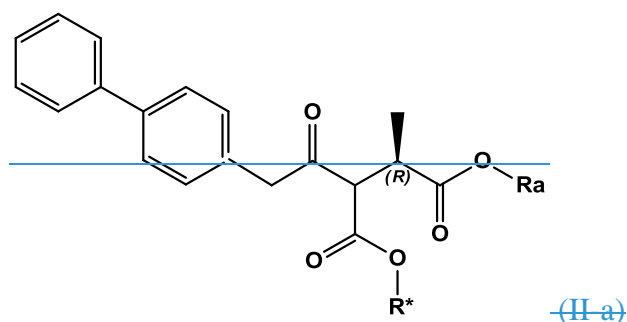
wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl,
~~preferably ethyl,~~

comprising reacting a compound of formula (II), or a salt thereof

Marked up claims

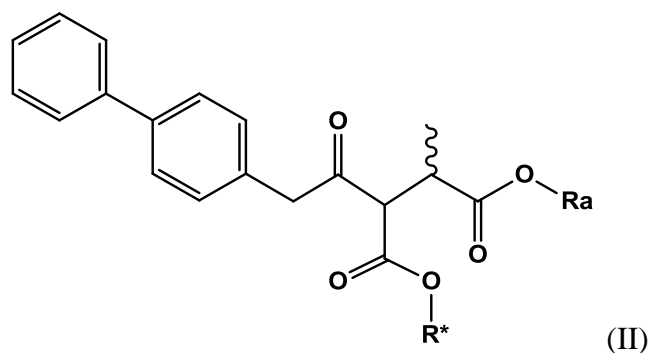


preferably of formula (II a), or a salt thereof;



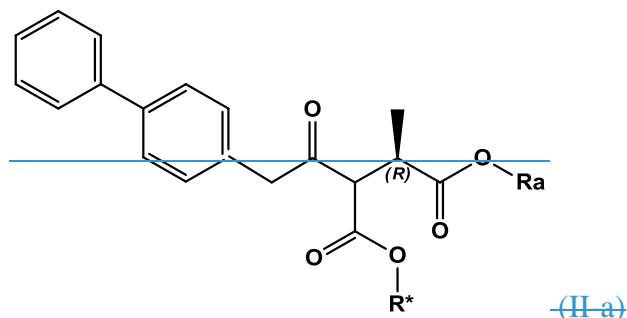
wherein Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, preferably ethyl, under – if required – deprotection reaction conditions, followed by decarboxylation reaction conditions, and optionally by introduction of a moiety Ra selected from a carboxyl protecting group and C₁-C₆-alkyl, to provide the compound of formula (I).

6. ~~The~~A process as claimed in according to claim 5, wherein the compound of the formula (II), or a salt thereof

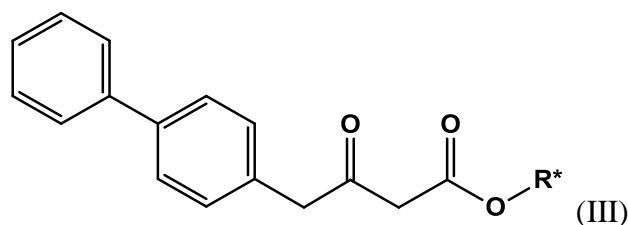


preferably of formula (II a), or a salt thereof;

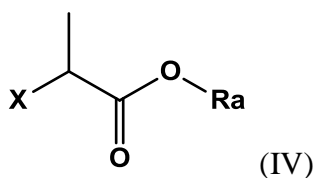
Marked up claims



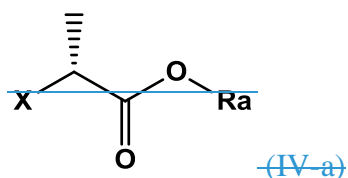
wherein Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, preferably ethyl, is prepared by a process comprising reacting a compound of formula (III),



wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl, with a propionate derivative of formula (IV),



preferably of formula (IV-a),

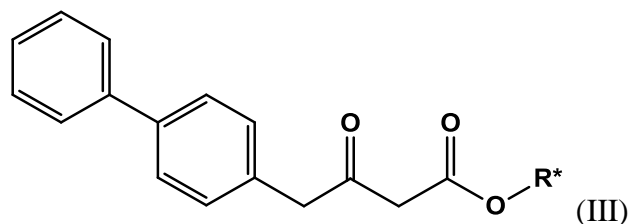


wherein X is a leaving group and Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl,

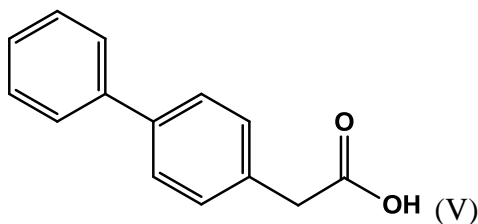
and, if required, replacing the carboxyl protecting groups R* and Ra with a group selected from hydrogen and C₁-C₆-alkyl, to provide the compound of formula (II).

7. ~~The~~ process as claimed in ~~according to~~ claim 6, wherein the compound of the formula (III),

Marked up claims

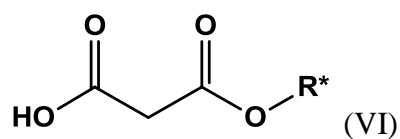


wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl, is prepared by a process comprising reacting a compound of formula (V),



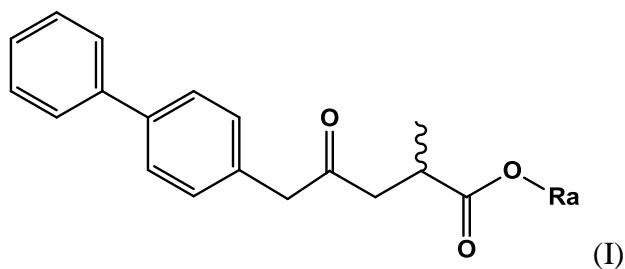
or a reactive derivative thereof,

with a salt of a malonic acid half ester of formula (VI),

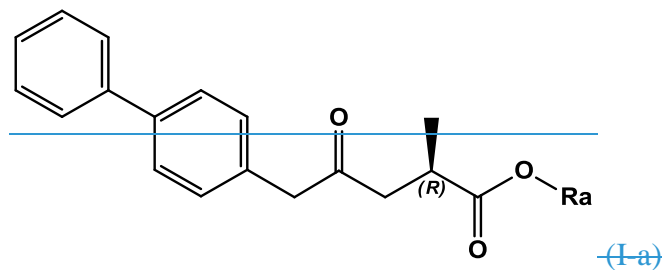


wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl.

8. A process for the manufacture of a compound of formula (I), or a salt thereof;



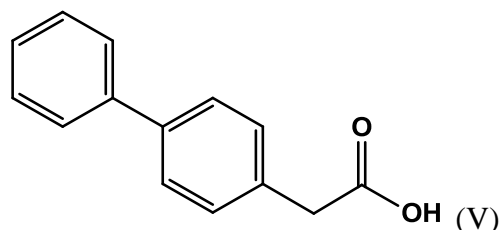
preferably of formula (I-a), or a salt thereof;



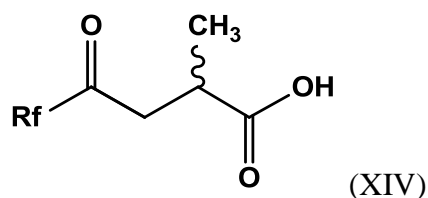
Marked up claims

wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl,

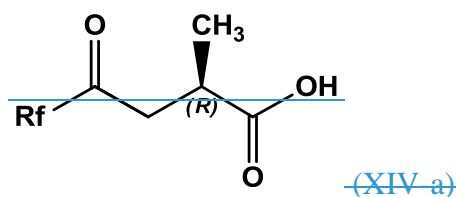
comprising reacting an activated dianionic derivate of the compound of formula (V), or a salt thereof



with a compound of formula (XIV), or a salt thereof



preferably of formula (XIV-a)



wherein Rf is selected from

- -O-R* wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably methyl,
- -N(CH₃)-O(CH₃),
- morpholinyl, and
- imidazolinylyl,

in the presence of a base, and

followed by a decarboxylation reaction,

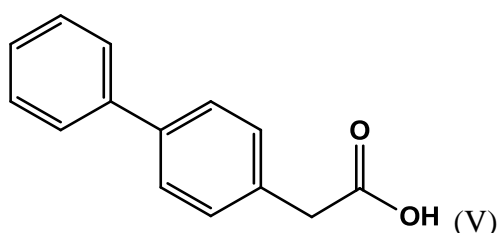
to obtain compound of formula (I), or a salt thereof wherein Ra is hydrogen,

optionally followed by reacting the obtained compound of formula (I), or a salt thereof, wherein Ra is hydrogen, with an agent introducing a carboxyl protecting group, to provide the compound of formula (I), wherein Ra is a carboxyl protecting group, and/or

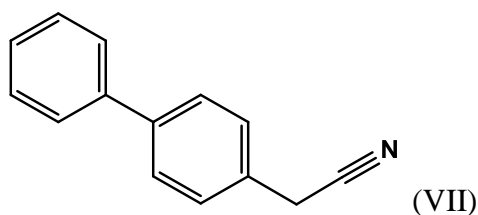
Marked up claims

optionally followed by reacting the compound of the formula (I), or a salt thereof, wherein Ra is hydrogen, with a coupling reagent in the presence of an C₁-C₆-alcohol, especially ethanol, to provide the compound of formula (I), wherein Ra is C₁-C₆-alkyl, preferably ethyl.

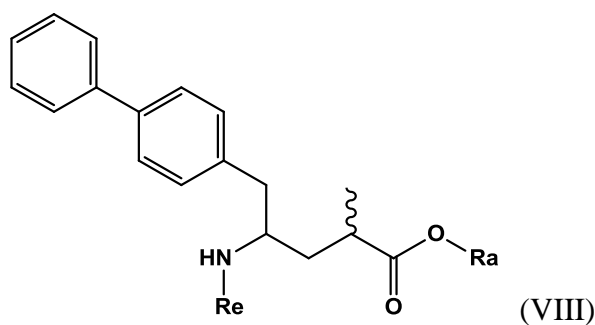
9. ~~The~~ process as claimed in ~~according to~~ claim 7 or 8, wherein the compound of formula (V),



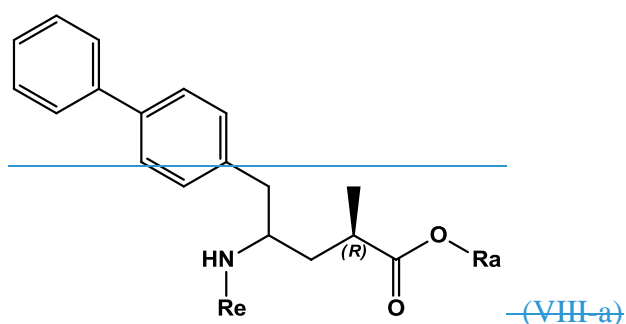
is prepared by a process comprising hydrolysing a cyanide of the formula (VII)



10. A process for the manufacture of a compound of formula (VIII), or a salt thereof

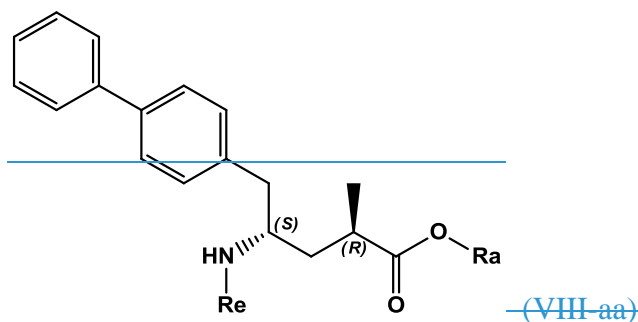


preferably of formula (VIII-a), or a salt thereof



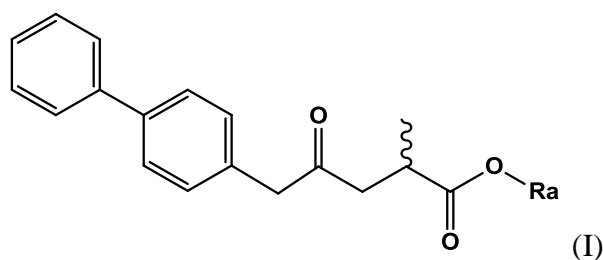
Marked up claims

~~more preferably of formula (VIII-aa), or a salt thereof~~

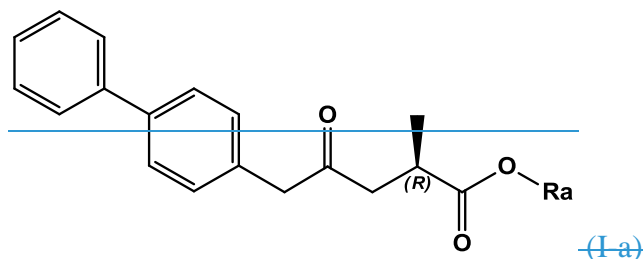


wherein Ra is selected from hydrogen and C₁-C₆-alkyl, ~~preferably ethyl,~~ and Re is selected from hydrogen and a nitrogen protecting group, by a process comprising

(i) reacting a compound of formula (I), or a salt thereof;

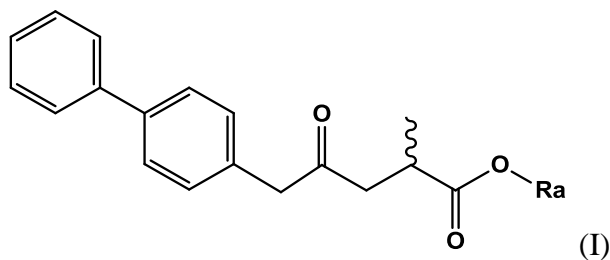


~~preferably of formula (I-a), or a salt thereof;~~

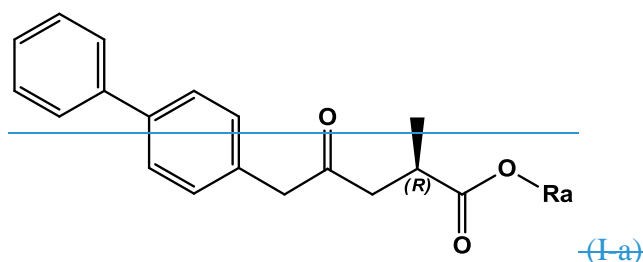


wherein Ra is hydrogen, with ammonia, a primary or secondary amine, or salts thereof, to provide a compound of formula (VIII), ~~preferably to the compound of formula (VIII-a), more preferably of formula (VIII-aa),~~ wherein Ra and Re are hydrogen, or a salt thereof, or (ii) converting a compound of formula (I), or a salt thereof;

Marked up claims



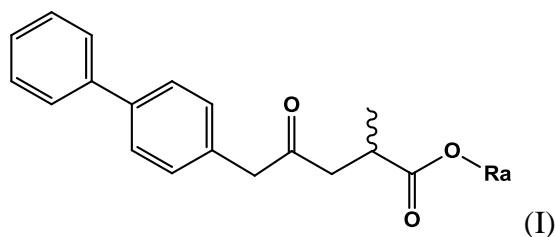
~~preferably of formula (I a), or a salt thereof;~~



wherein Ra is selected from hydrogen and C₁-C₆-alkyl, ~~preferably hydrogen,~~
 into the compound of formula (VIII), ~~preferably to the compound of formula (VIII a), more~~
~~preferably of formula (VIII aa);~~ wherein Ra is selected from hydrogen and C₁-C₆-alkyl,
~~preferably hydrogen,~~ and Re is hydrogen, or a salt thereof, by bringing it in contact with an
 (S)-selective ω-transaminase in the presence of an amine donor and a coenzyme, wherein
 the conversion rate from the compound of formula (I) to the compound of formula (VIII);
~~preferably the conversion rate from the compound of formula (I a) to the compound of~~
~~formula (VIII a), more preferably of formula (VIII aa);~~ is more than 50%, or

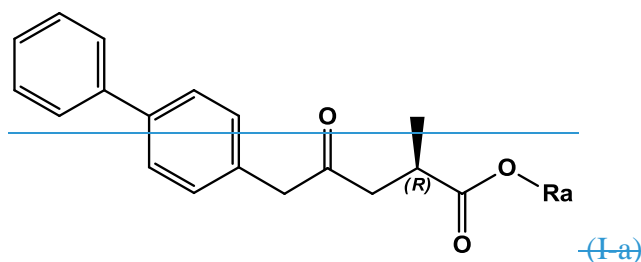
(iii)

a) reacting a compound of formula (I), or a salt thereof;

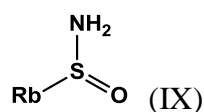


~~preferably of formula (I a), or a salt thereof;~~

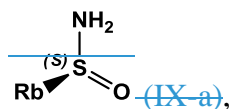
Marked up claims



wherein Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl, with an aminosulfinyl compound of formula (IX), or a salt thereof,

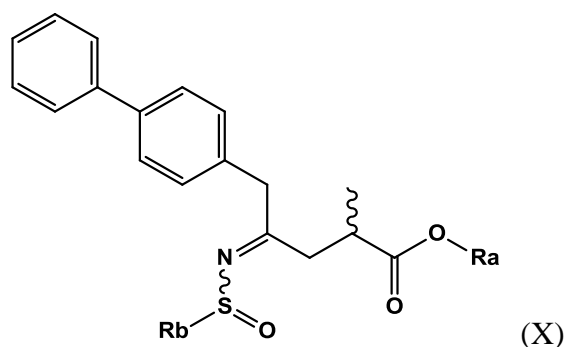


especially of formula (IX-a),



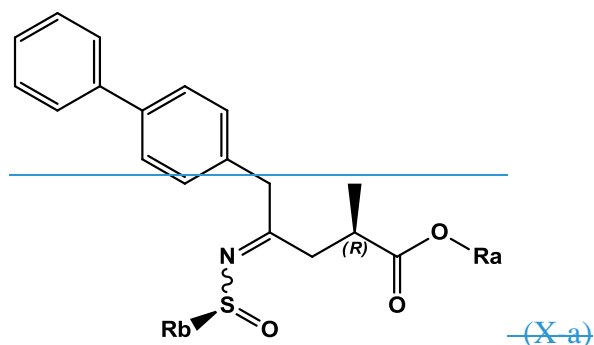
wherein Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

to give a sulfinimide compound of the formula (X), or a salt thereof



preferably of formula (X-a),

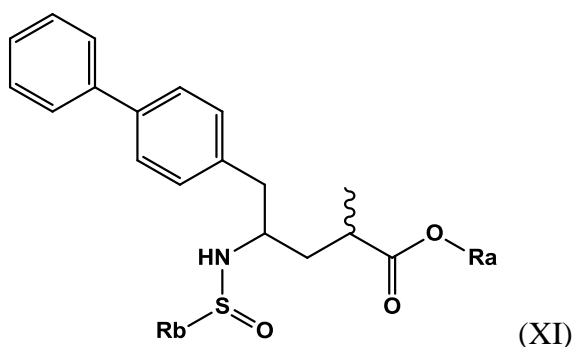
Marked up claims



wherein Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, and

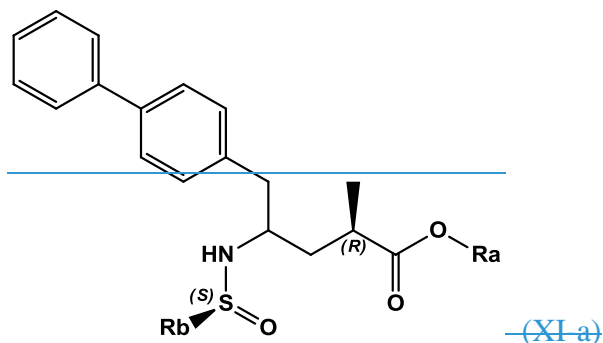
Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl,

b) reducing the obtained compound of formula (X), or a salt thereof, in the presence of a reducing agent to give a sulfonamide compound of the formula (XI), or a salt thereof

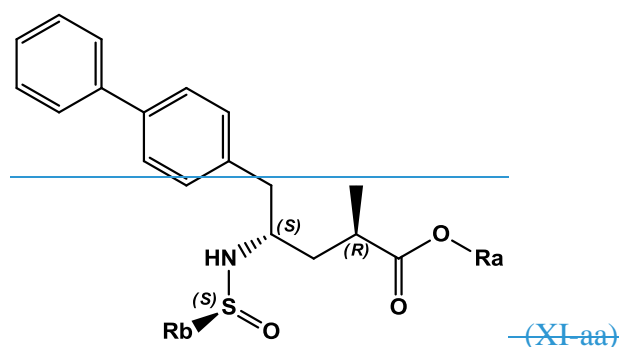


preferably of formula (XI a), or a salt thereof

Marked up claims



~~more preferably of formula (XI-aa), or a salt thereof~~



wherein Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, and

Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, ~~preferably ethyl,~~

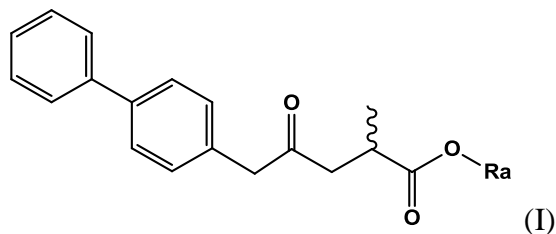
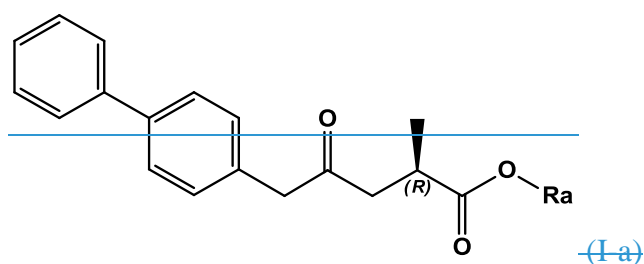
c) reacting the obtained sulfonamide compound of formula (XI), or a salt thereof, by hydrolyzing the sulfonamide group in the presence of an acid to provide a compound of formula (VIII), or a salt thereof, wherein Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, ~~preferably ethyl,~~ and Re is hydrogen, and

d) removing – if present - any carboxyl protecting group from the obtained compound of formula (VIII), or a salt thereof, to provide a compound of formula (VIII), or a salt thereof, wherein Ra is selected from hydrogen and C₁-C₆-alkyl, ~~preferably ethyl,~~ and Re is hydrogen or

Marked up claims

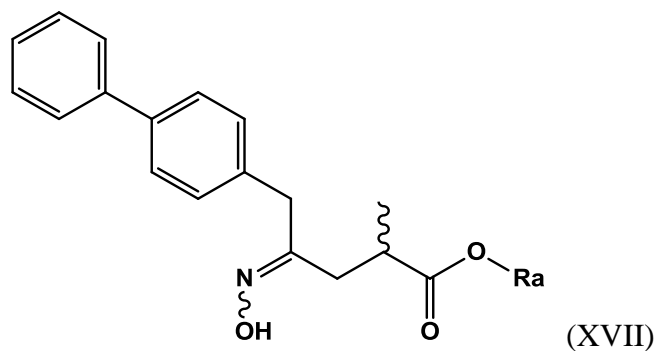
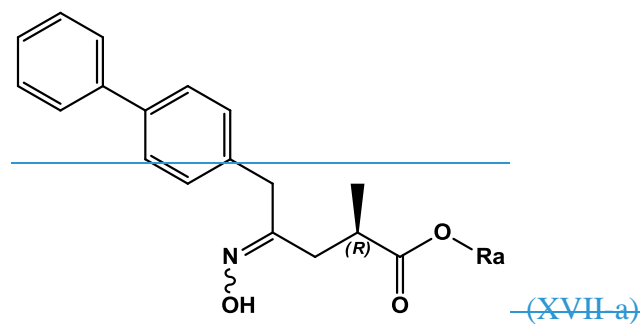
(iv)

a) reacting a compound of formula (I), or a salt thereof;

~~preferably of formula (I-a), or a salt thereof;~~

wherein Ra is hydrogen,

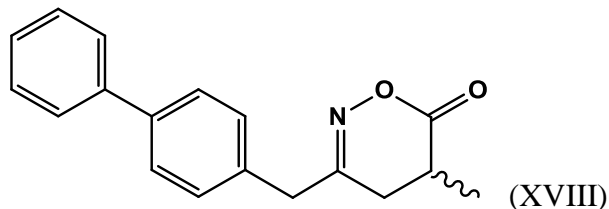
with hydroxylamine or a salt thereof to provide a compound of formula (XVII), or a salt thereof

~~preferably of formula (XVII-a),~~

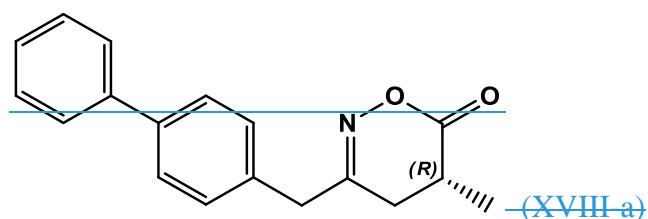
wherein Ra is hydrogen,

Marked up claims

b) subsequently cyclizing the obtained compound of formula (XVII) to give the corresponding compound of the formula (XVIII)



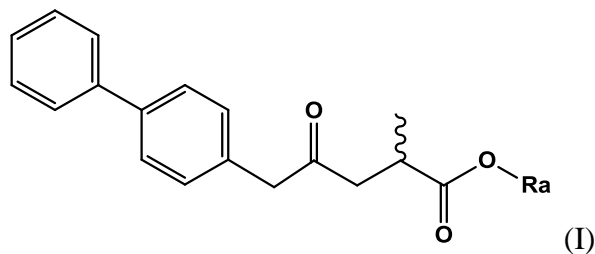
~~preferably of formula (XVIII-a)~~



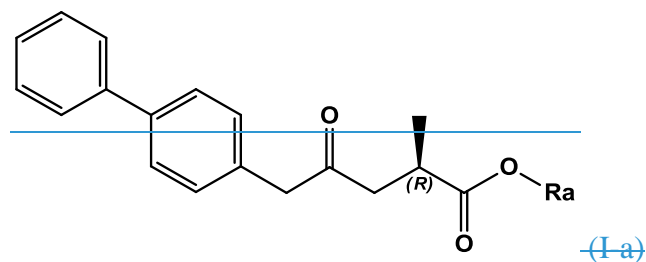
c) reducing the obtained compound of formula (XVIII) in the presence of a reducing agent, to obtain the compound of formula (VIII), wherein Ra and Re are both hydrogen, or

(v)

a) reacting a compound of formula (I), or a salt thereof;



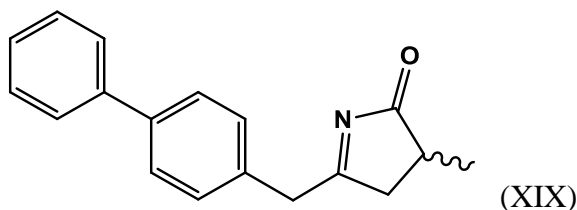
~~preferably of formula (I-a), or a salt thereof;~~



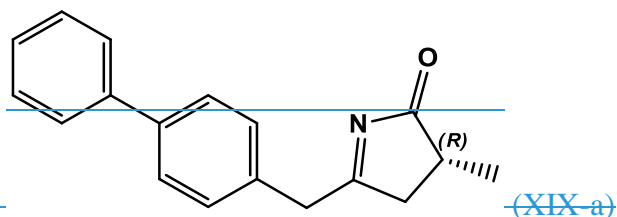
wherein Ra is hydrogen,

with ammonia or an ammonium salt, yielding a compound of the formula (XIX)

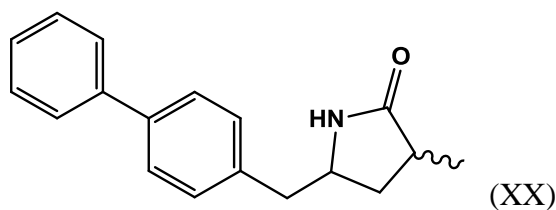
Marked up claims



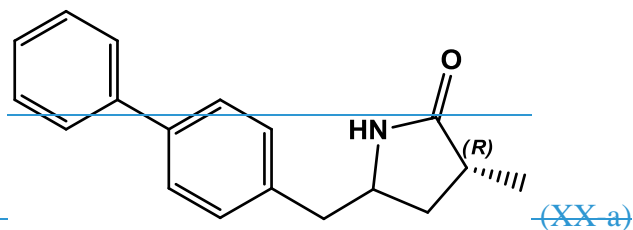
~~preferably of formula (XIX a)~~



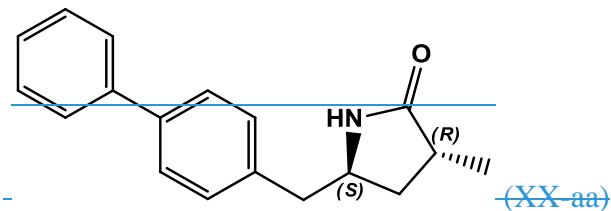
b) reducing the obtained compound of formula (XIX), ~~especially (XIX a)~~, with a reducing agent, to give a lactam compound of formula (XX),



~~preferably of formula (XX a)~~



~~more preferably of formula (XX aa), and~~

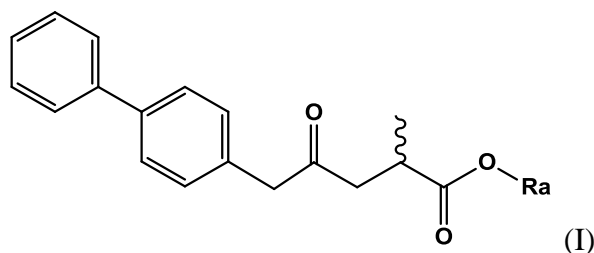


c) reacting the obtained compound of formula (XX) under ring opening conditions, optionally in the presence of an C₁-C₇-alcohol, to provide the compound of formula (VIII), wherein Ra is selected from hydrogen and C₁-C₆-alkyl, ~~preferably ethyl~~, and Re is hydrogen, or

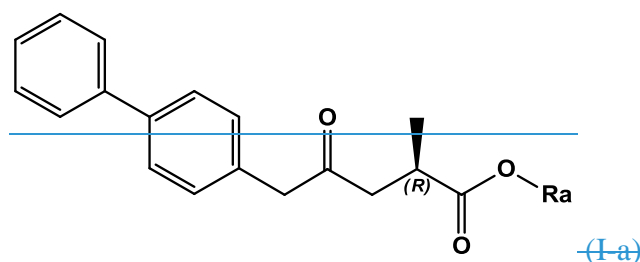
(vi)

Marked up claims

a) reacting a compound of formula (I), or a salt thereof;

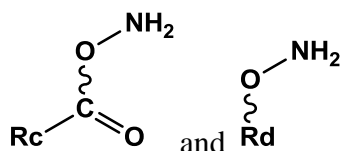


~~preferably of formula (I-a), or a salt thereof;~~



wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl,

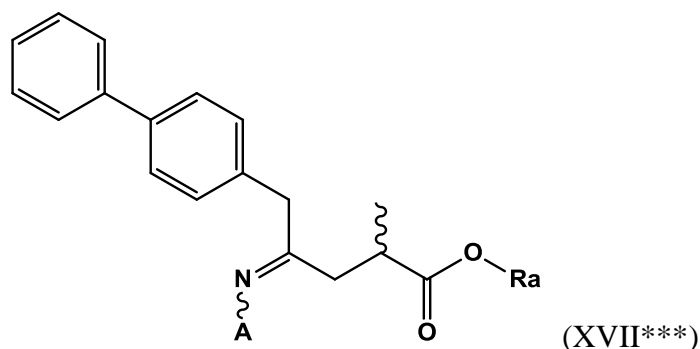
with an O-substituted hydroxylamine selected from



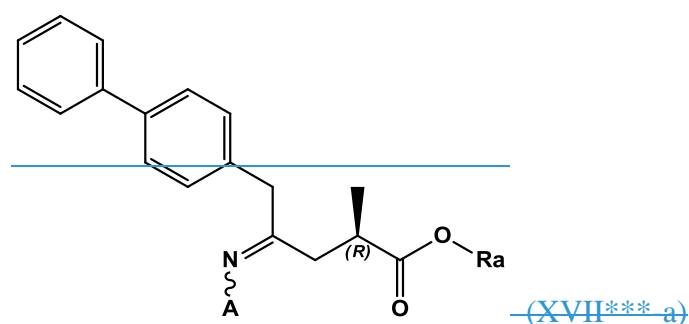
or in each case a salt thereof.

wherein R_c and R_d are independently selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, to provide a compound of formula (XVII^{***}),

Marked up claims



preferably of formula (XVII a);



wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, preferably ethyl, and

A is -O-C(=O)-Rc or -O-Rd,

wherein Rc and Rd are independently selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

b) reducing the obtained compound of formula (XVII***) or a salt thereof to provide the compound of formula (VIII) or a salt thereof, wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl, and Re is hydrogen, and

c) removing – if present – any carboxyl protecting group from the obtained compound of formula (VIII), or a salt thereof,

and wherein all reaction variants (i) to (vi) are optionally followed by

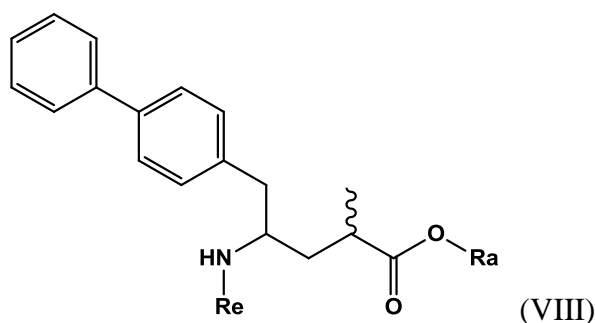
Marked up claims

optionally reacting the obtained compound of formula (VIII), or a salt thereof, wherein Ra is selected from hydrogen or C₁-C₆-alkyl, ~~preferably ethyl~~, and Re is hydrogen, with an agent introducing an amino protecting group, to provide the compound of formula (VIII), wherein Ra is selected from hydrogen and C₁-C₆-alkyl, ~~preferably ethyl~~, and Re is a nitrogen protecting group, and/or

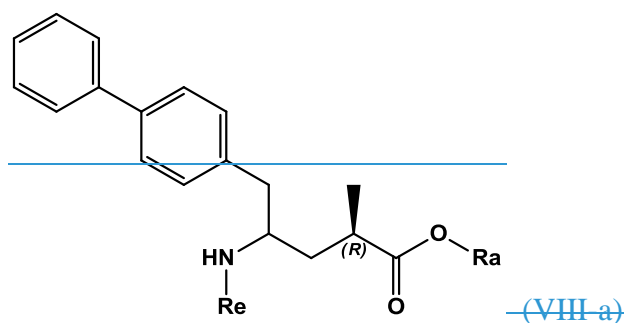
optionally followed by reacting the compound of the formula (VIII) wherein Ra is hydrogen and Re is selected from hydrogen and a nitrogen protecting group, with a coupling reagent in the presence of an C₁-C₆-alkanol, especially ethanol, to provide the compound of formula (VIII), wherein Ra is C₁-C₆-alkyl, ~~preferably ethyl~~, and Re is a nitrogen protecting group.

11. ~~The A~~ process ~~as claimed in according to~~ claim 9, wherein the compound of the formula (I) is obtained by a process as claimed in any one of claims 5 to 8.

12. ~~The A~~ process ~~as claimed in according to~~ claim 10 or 11, wherein the obtained compound of formula (VIII) or a salt thereof

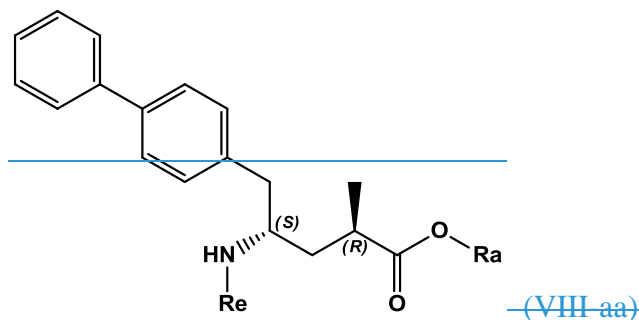


~~preferably of formula (VIII a), or a salt thereof~~



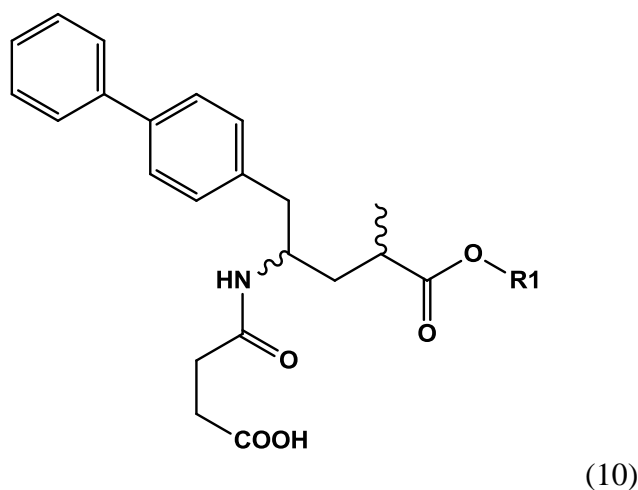
~~more preferably of formula (VIII aa), or a salt thereof~~

Marked up claims

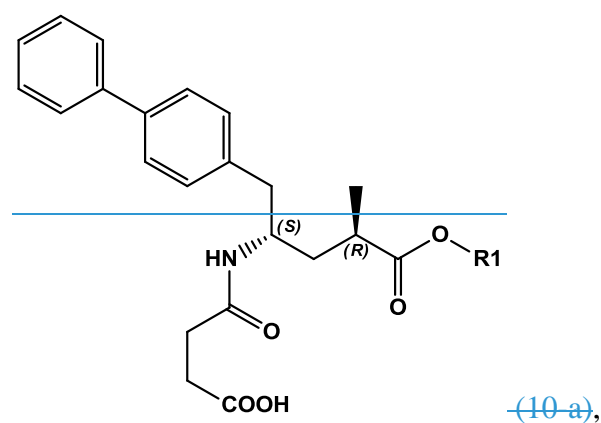


wherein Ra is selected from hydrogen and ethyl, and Re is selected from hydrogen and a nitrogen protecting group,

is converted into a compound of formula (10)



~~preferably of formula (10-a)~~



wherein R1 is hydrogen or C₁-C₆-alkyl, preferably ethyl, in particular to the compound *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl-4-amino-(2*R*)-methylbutanoic acid

Revised claims dated 22-July-2019

Marked up claims

or a salt thereof, or *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid ethyl ester or a salt thereof, by

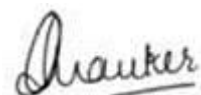
optionally removing – if present – any nitrogen protecting group Re,

optionally reacting the obtained compound of formula (VIII) wherein Ra and Re are hydrogen with a coupling reagent in the presence of a C₁-C₆-alkanol, ~~preferably ethanol~~, to provide the compound of formula (VIII), wherein Ra is selected from C₁-C₆-alkyl, ~~preferably ethyl~~, and Re is hydrogen, and

reacting the compound of formula (VIII) wherein Ra is selected from hydrogen and C₁-C₆-alkyl, ~~preferably ethyl~~, and Re is hydrogen, with succinic acid anhydride.

13. The ~~use of a~~ compound ~~according to as claimed in~~ any one of claims 1 to 4, ~~used~~ in the manufacture of *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid or a salt thereof, or *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid ethyl ester or a salt thereof, or Trisodium [3-((1*S*,3*R*)-1-biphenyl-4-ylmethyl-3-ethoxycarbonyl-1-butylcarbamoyl)propionate-(*S*)-3'-methyl-2'-(pentanoyl {2''-(tetrazol-5-ylate)biphenyl-4'-ylmethyl}amino)butyrate] hemipentahydrate.

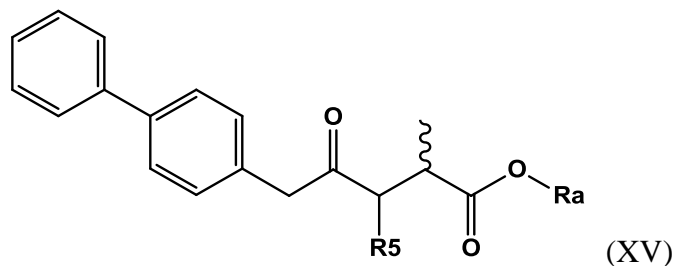
Dated this 09th day of December, 2016



Archana Shanker
Of Anand and Anand Advocates
Agents for the Applicant
IN/PA- 149

We Claim:

1. A compound of formula (XV), or a salt thereof



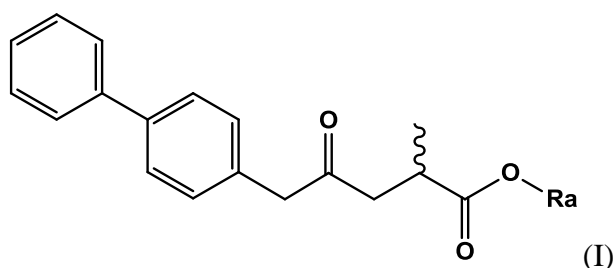
wherein

R5 is selected from hydrogen and a group $-\text{CO}-\text{OR}^*$, and

Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl.

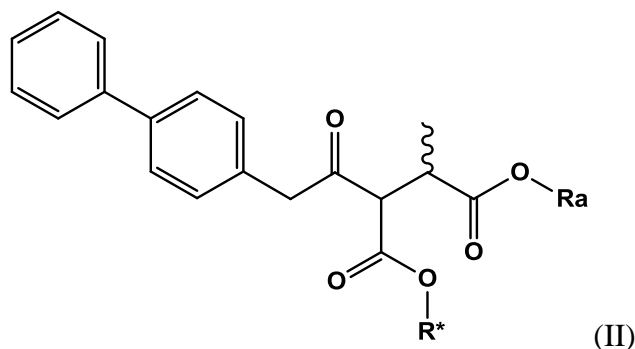
2. The compound of formula (XV) as claimed in claim 1, wherein

a) the compound is of formula (I), or a salt thereof;



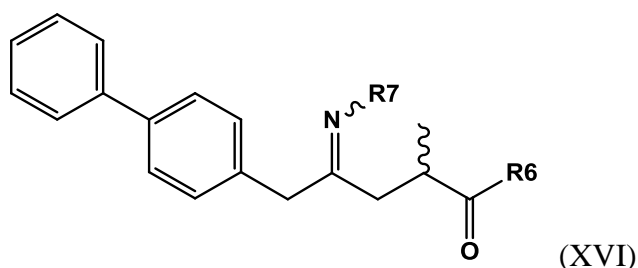
wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl or

b) the compound is of formula (II), or a salt thereof;



wherein Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl.

3. A compound of formula (XVI), or a salt thereof



wherein

R₆ is -O-Ra, and R₇ is selected from

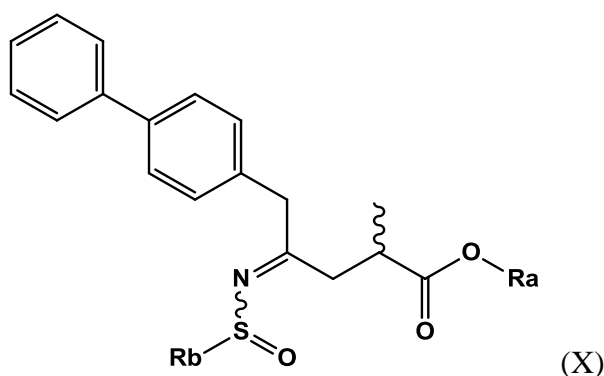
- -S(=O)-Rb
- -OH
- A, wherein A is -O-C(=O)-Rc or -O-Rd

wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl and

Rb, Rc and Rd are independently selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, or R6 and R7 together represent -O- or form a bond.

4. The compound of formula (XVI) as claimed in claim 3, wherein

a) the compound is of formula (X), or a salt thereof;



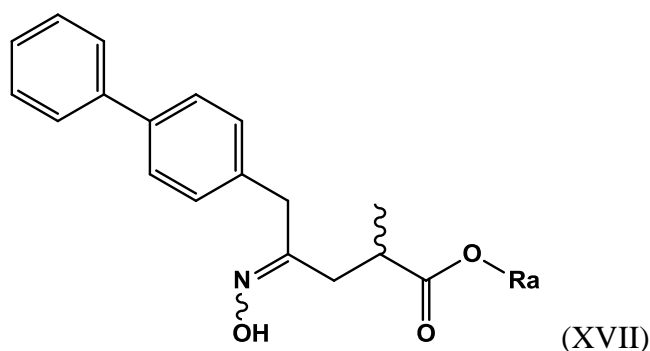
wherein

Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,

Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl

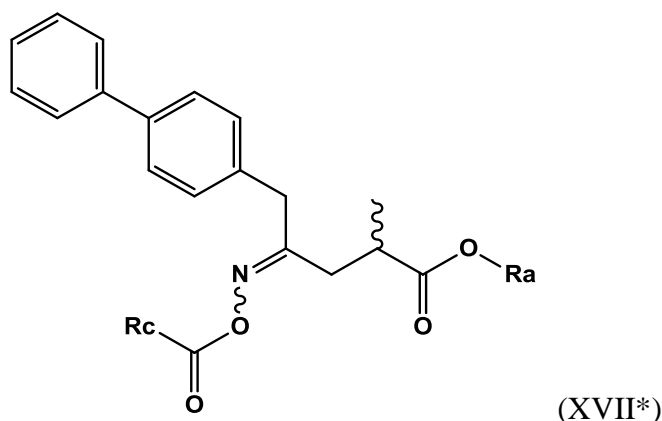
group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

b) the compound is of formula (XVII), or a salt thereof



wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,

c) the compound is of formula (XVII*),

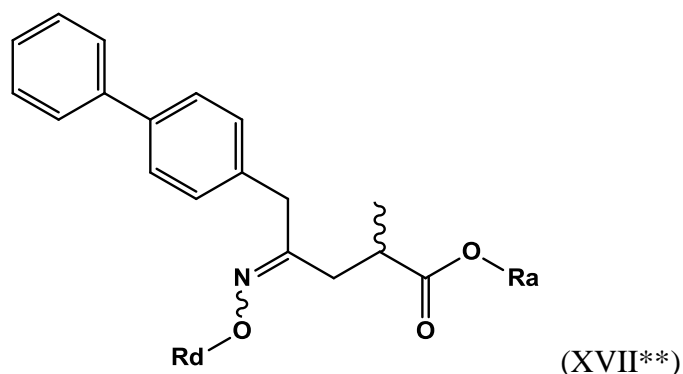


wherein

Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,

Rc is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

d) the compound is of formula (XVII**),



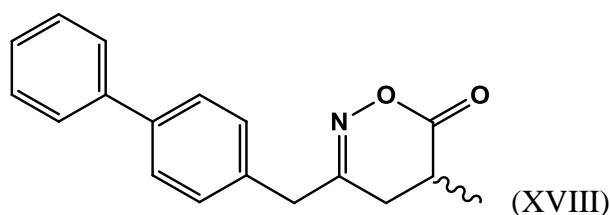
wherein

Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, wherein the carboxyl protecting group is selected from C₆-C₁₀-aryl-C₁-C₆-alkyl and SiR₁₁R₁₂R₁₃, wherein R₁₁, R₁₂, and R₁₃ are, independently of each other, C₁-C₇-alkyl, C₆-C₁₀-aryl or phenyl-C₁-C₄-alkyl,

Rd is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected

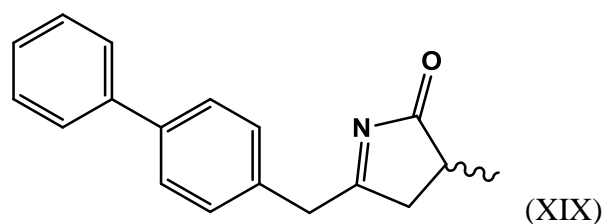
from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

e) the compound is of formula (XVIII),

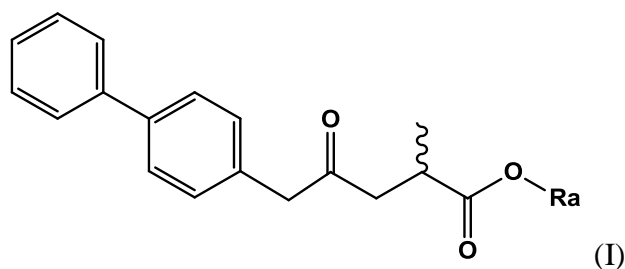


or

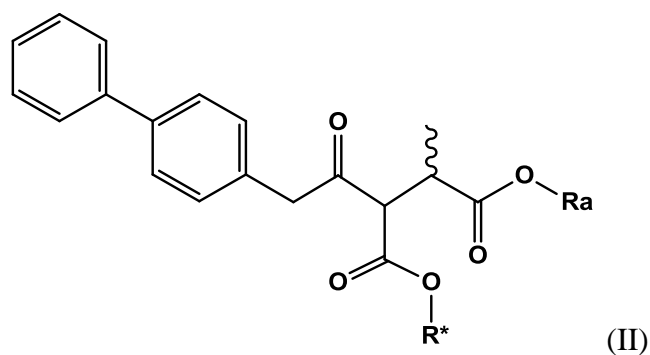
f) the compound is of formula (XIX),



5. A process for the manufacture of a compound of formula (I), or a salt thereof;



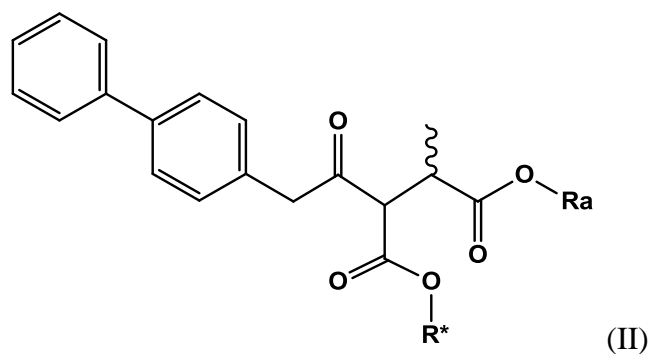
wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, comprising reacting a compound of formula (II), or a salt thereof



wherein Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl,

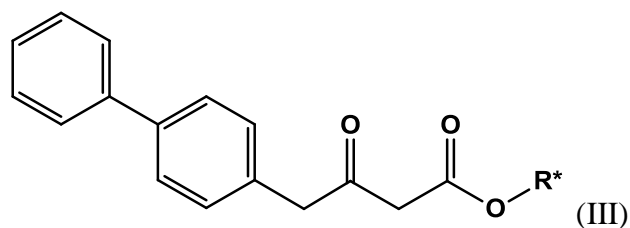
under – if required – deprotection reaction conditions, followed by decarboxylation reaction conditions, and optionally by introduction of a moiety Ra selected from a carboxyl protecting group and C₁-C₆-alkyl, to provide the compound of formula (I).

6. The process as claimed in claim 5, wherein the compound of the formula (II), or a salt thereof

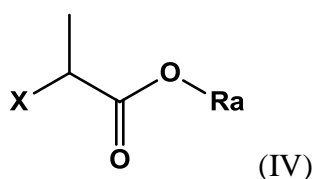


wherein Ra and R* are, independently of each other, selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl,

is prepared by a process comprising reacting a compound of formula (III),



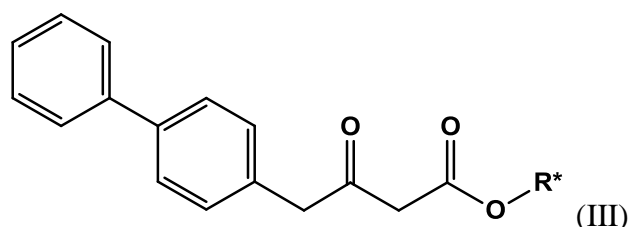
wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl, with a propionate derivative of formula (IV),



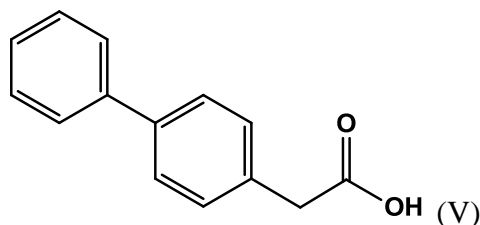
wherein X is a leaving group and Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl,

and, if required, replacing the carboxyl protecting groups R* and Ra with a group selected from hydrogen and C₁-C₆-alkyl, to provide the compound of formula (II).

7. The process as claimed in claim 6, wherein the compound of the formula (III),

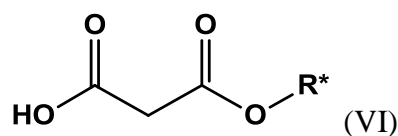


wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl, is prepared by a process comprising reacting a compound of formula (V),



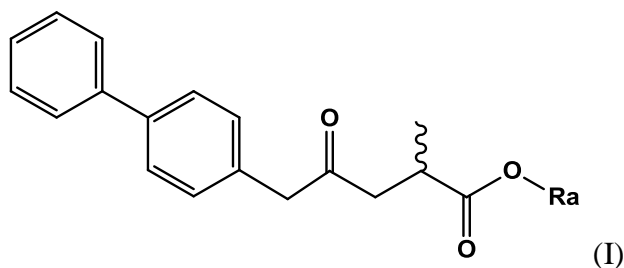
or a reactive derivative thereof,

with a salt of a malonic acid half ester of formula (VI),

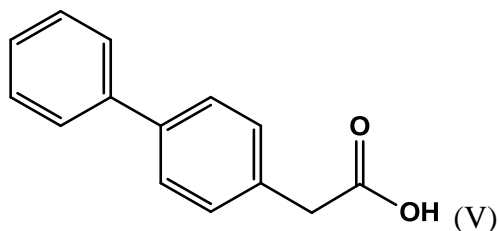


wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl.

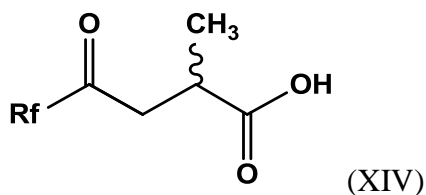
8. A process for the manufacture of a compound of formula (I), or a salt thereof;



wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, comprising reacting an activated dianionic derivate of the compound of formula (V), or a salt thereof



with a compound of formula (XIV), or a salt thereof

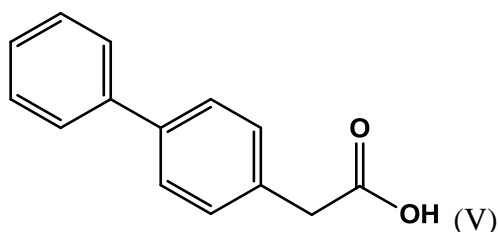


wherein Rf is selected from

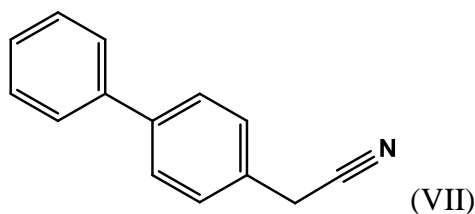
- -O-R* wherein R* is selected from a carboxyl protecting group and C₁-C₆-alkyl,
- -N(CH₃)-O(CH₃),
- morpholinyl, and
- imidazolinylyl,

in the presence of a base, and followed by a decarboxylation reaction, to obtain compound of formula (I), or a salt thereof wherein Ra is hydrogen, optionally followed by reacting the obtained compound of formula (I), or a salt thereof, wherein Ra is hydrogen, with an agent introducing a carboxyl protecting group, to provide the compound of formula (I), wherein Ra is a carboxyl protecting group, and/or optionally followed by reacting the compound of the formula (I), or a salt thereof, wherein Ra is hydrogen, with a coupling reagent in the presence of an C₁-C₆-alcohol, especially ethanol, to provide the compound of formula (I), wherein Ra is C₁-C₆-alkyl.

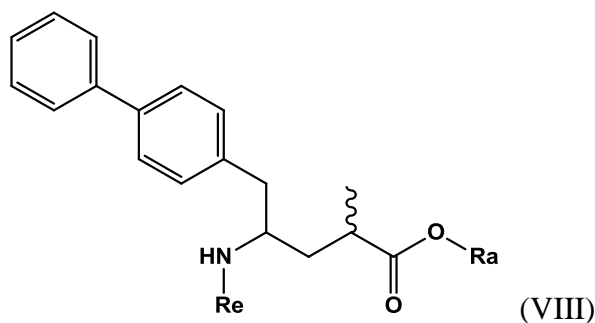
9. The process as claimed in claim 7 or 8, wherein the compound of formula (V),



is prepared by a process comprising hydrolysing a cyanide of the formula (VII)

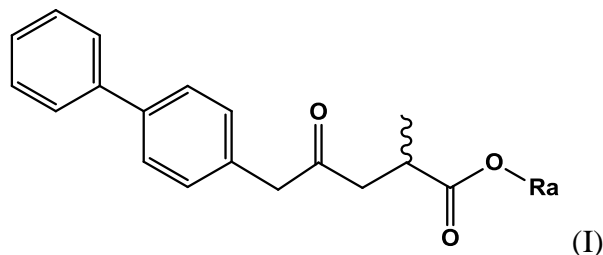


10. A process for the manufacture of a compound of formula (VIII), or a salt thereof



wherein Ra is selected from hydrogen and C₁-C₆-alkyl, and
Re is selected from hydrogen and a nitrogen protecting group,
by a process comprising

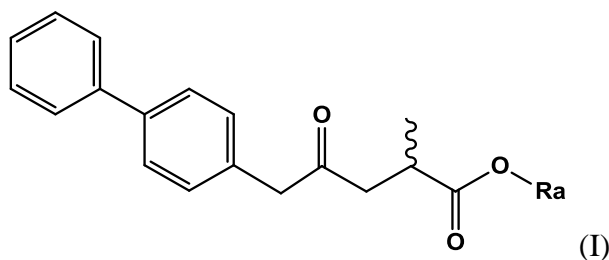
(i) reacting a compound of formula (I), or a salt thereof;



wherein Ra is hydrogen,

with ammonia, a primary or secondary amine, or salts thereof, to provide a compound of
formula (VIII), wherein Ra and Re are hydrogen, or a salt thereof, or

(ii) converting a compound of formula (I), or a salt thereof;

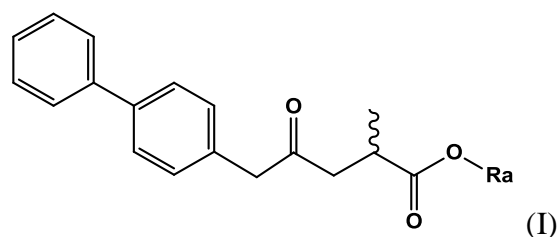


wherein Ra is selected from hydrogen and C₁-C₆-alkyl,

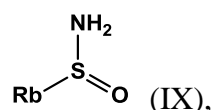
into the compound of formula (VIII), wherein Ra is selected from hydrogen and C₁-C₆-alkyl,
and Re is hydrogen, or a salt thereof, by bringing it in contact with an (S)-selective ω -
transaminase in the presence of an amine donor and a coenzyme, wherein the conversion
rate from the compound of formula (I) to the compound of formula (VIII) is more than 50%,
or

(iii)

a) reacting a compound of formula (I), or a salt thereof;

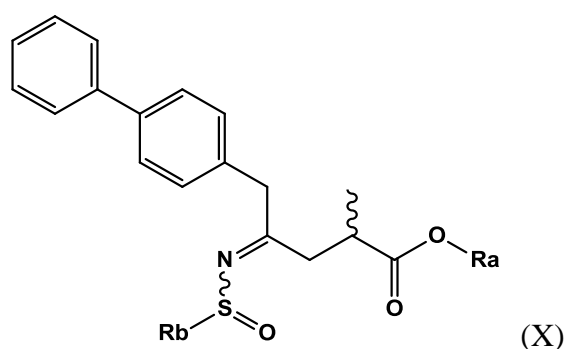


wherein Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, with an aminosulfinyl compound of formula (IX), or a salt thereof,



wherein Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

to give a sulfinimide compound of the formula (X), or a salt thereof

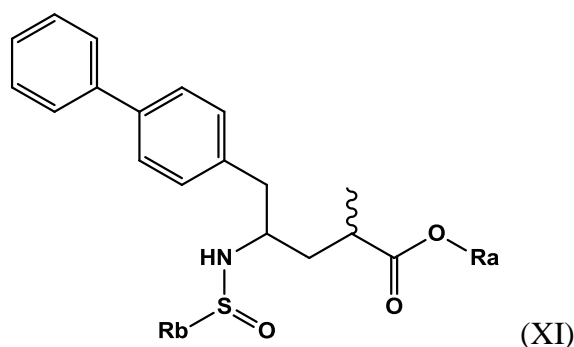


wherein Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms

independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, and

Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl,

b) reducing the obtained compound of formula (X), or a salt thereof, in the presence of a reducing agent to give a sulfinamide compound of the formula (XI), or a salt thereof



wherein Rb is selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl, and

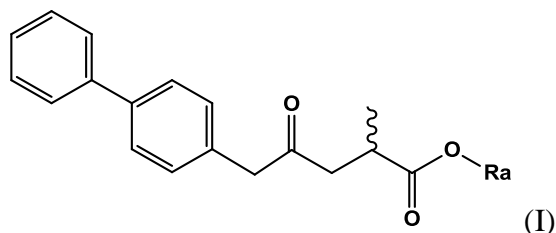
Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl,

c) reacting the obtained sulfinamide compound of formula (XI), or a salt thereof, by hydrolyzing the sulfonyl group in the presence of an acid to provide a compound of formula (VIII), or a salt thereof, wherein Ra is selected from a carboxyl protecting group and C₁-C₆-alkyl, and Re is hydrogen, and

d) removing – if present - any carboxyl protecting group from the obtained compound of formula (VIII), or a salt thereof, to provide a compound of formula (VIII), or a salt thereof, wherein Ra is selected from hydrogen and C₁-C₆-alkyl, and Re is hydrogen or

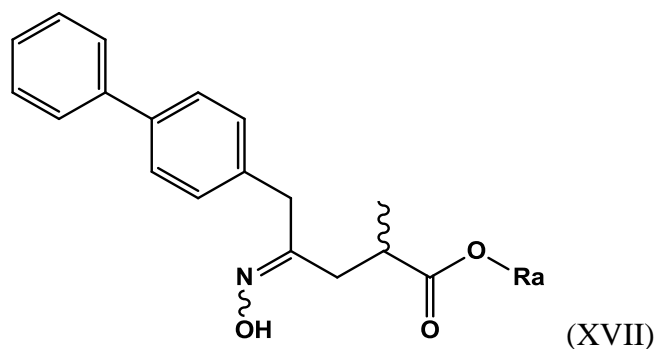
(iv)

a) reacting a compound of formula (I), or a salt thereof;



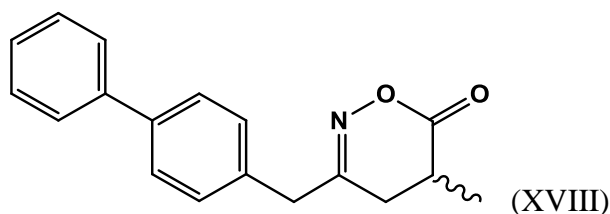
wherein Ra is hydrogen,

with hydroxylamine or a salt thereof to provide a compound of formula (XVII), or a salt thereof



wherein Ra is hydrogen,

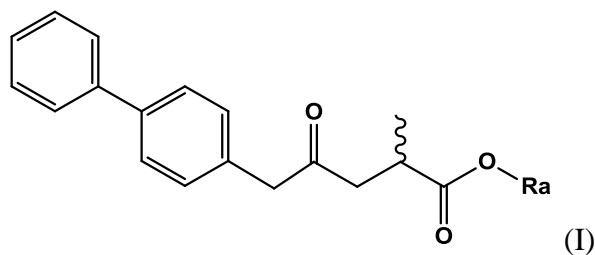
b) subsequently cyclizing the obtained compound of formula (XVII) to give the corresponding compound of the formula (XVIII)



c) reducing the obtained compound of formula (XVIII) in the presence of a reducing agent, to obtain the compound of formula (VIII), wherein Ra and Re are both hydrogen, or

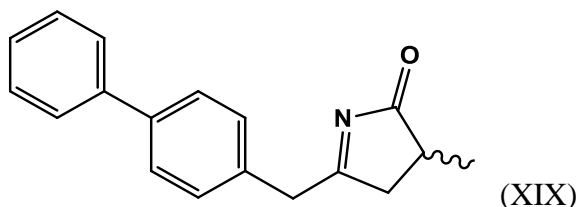
(v)

a) reacting a compound of formula (I), or a salt thereof;

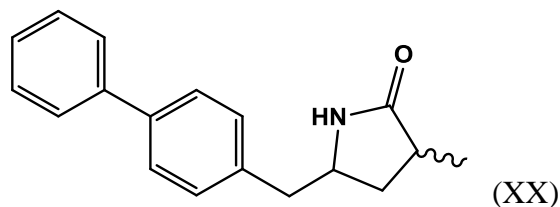


wherein Ra is hydrogen,

with ammonia or an ammonium salt, yielding a compound of the formula (XIX)



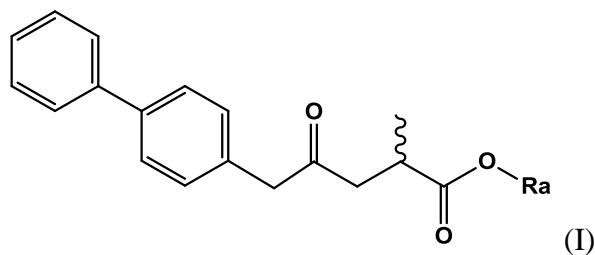
b) reducing the obtained compound of formula (XIX) with a reducing agent, to give a lactam compound of formula (XX),



c) reacting the obtained compound of formula (XX) under ring opening conditions, optionally in the presence of a C₁-C₇-alcohol, to provide the compound of formula (VIII), wherein Ra is selected from hydrogen and C₁-C₆-alkyl, and Re is hydrogen, or

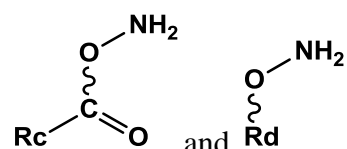
(vi)

a) reacting a compound of formula (I), or a salt thereof;



wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, preferably ethyl,

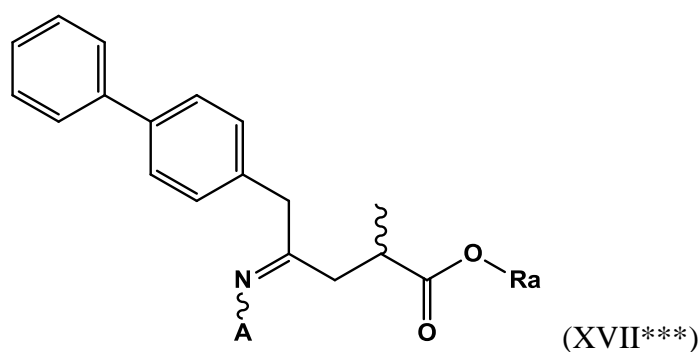
with an O-substituted hydroxylamine selected from



or in each case a salt thereof.

wherein R_c and R_d are independently selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

to provide a compound of formula (XVII***),



wherein Ra is selected from hydrogen, a carboxyl protecting group, and C₁-C₆-alkyl, and

A is -O-C(=O)-R_c or -O-R_d,

wherein R_c and R_d are independently selected from C₁-C₆-alkyl, C₆-C₁₀-aryl, C₆-C₁₀-aryl-C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkyl, heterocyclyl or heterocyclyl-C₁-C₆-alkyl, wherein said heterocyclyl is a mono- or polycyclic, unsaturated, partially

saturated, saturated or aromatic ring system with 5 to 14 ring atoms and with one or more heteroatoms independently selected from nitrogen, oxygen, sulfur, S(=O)- or S-(=O)₂, and wherein each aryl or heterocyclyl group can be optionally substituted by one, two or three substituents independently selected from halo, C₁-C₇-alkyl, halo-C₁-C₇-alkyl, and C₁-C₇-alkoxy, halo-C₁-C₇-alkoxy, and C₁-C₇-alkoxy-C₁-C₇-alkyl,

b) reducing the obtained compound of formula (XVII***), or a salt thereof to provide the compound of formula (VIII) or a salt thereof, wherein Ra is selected from hydrogen, a carboxyl protecting group and C₁-C₆-alkyl, and Re is hydrogen, and

c) removing – if present – any carboxyl protecting group from the obtained compound of formula (VIII), or a salt thereof,

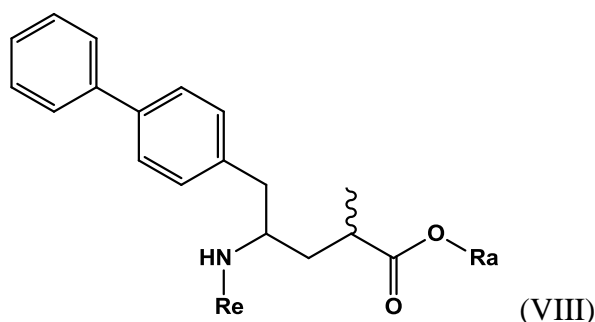
and wherein all reaction variants (i) to (vi) are optionally followed by

optionally reacting the obtained compound of formula (VIII), or a salt thereof, wherein Ra is selected from hydrogen or C₁-C₆-alkyl, and Re is hydrogen, with an agent introducing an amino protecting group, to provide the compound of formula (VIII), wherein Ra is selected from hydrogen and C₁-C₆-alkyl, and Re is a nitrogen protecting group, and/or

optionally followed by reacting the compound of the formula (VIII) wherein Ra is hydrogen and Re is selected from hydrogen and a nitrogen protecting group, with a coupling reagent in the presence of an C₁-C₆-alkanol, especially ethanol, to provide the compound of formula (VIII), wherein Ra is C₁-C₆-alkyl, and Re is a nitrogen protecting group.

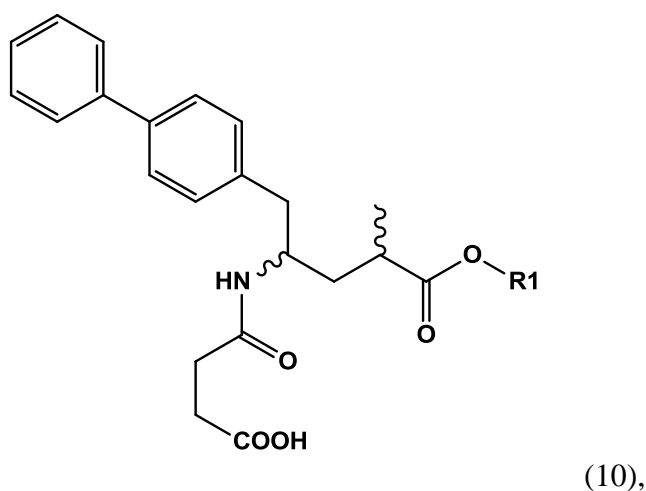
11. The process as claimed in claim 9, wherein the compound of the formula (I) is obtained by a process as claimed in any one of claims 5 to 8.

12. The process as claimed in claim 10 or 11, wherein the obtained compound of formula (VIII) or a salt thereof



wherein Ra is selected from hydrogen and ethyl, and Re is selected from hydrogen and a nitrogen protecting group,

is converted into a compound of formula (10)



wherein R1 is hydrogen or C₁-C₆-alkyl, preferably ethyl, in particular to the compound *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid or a salt thereof, or *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid ethyl ester or a salt thereof, by

optionally removing – if present – any nitrogen protecting group Re,

optionally reacting the obtained compound of formula (VIII) wherein Ra and Re are hydrogen with a coupling reagent in the presence of a C₁-C₆-alkanol, to provide the compound of formula (VIII), wherein Ra is selected from C₁-C₆-alkyl, and Re is hydrogen, and reacting the compound of formula (VIII) wherein Ra is selected from hydrogen and C₁-C₆-alkyl, and Re is hydrogen, with succinic acid anhydride.

Revised claims dated 22-July-2019

Clean claims

13. The compound as claimed in any one of claims 1 to 4, used in the manufacture of *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid or a salt thereof, or *N*-(3-carboxy-1-oxopropyl)-(4*S*)-*p*-phenylphenylmethyl)-4-amino-(2*R*)-methylbutanoic acid ethyl ester or a salt thereof, or Trisodium [3-((1*S*,3*R*)-1-biphenyl-4-ylmethyl-3-ethoxycarbonyl-1-butylcarbamoyl)propionate-(*S*)-3'-methyl-2'-(pentanoyl{2''-(tetrazol-5-ylate)biphenyl-4'-ylmethyl}amino)butyrate] hemipentahydrate.

Dated this 09th day of December, 2016



Archana Shanker
Of Anand and Anand Advocates
Agents for the Applicant
IN/PA- 149