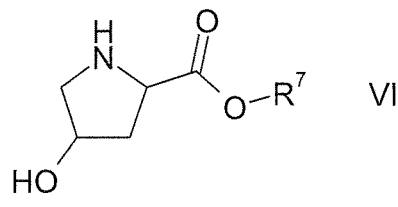


and wherein the process is further characterized in that the alcohol of formula II is prepared by

a1) reacting a hydroxy proline ester of formula VI



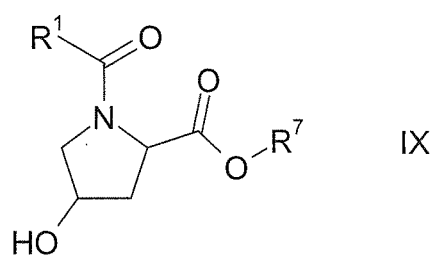
5 wherein R⁷ is C₁₋₇-alkyl

with a carbonyl compound of formula VII



wherein R¹ is as above and Y is halogen or OH to form a carbonyl proline ester of formula

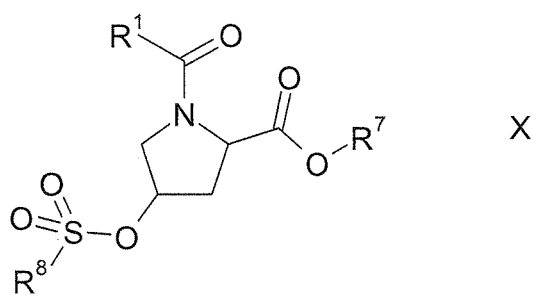
IX



10

wherein R¹ and R⁷ are as above;

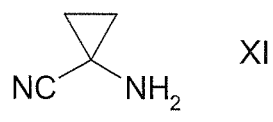
b1) subsequent forming of a sulfonate of formula X



wherein R¹ and R⁷ are as above and R⁸ is C₁₋₇-alkyl optionally substituted by halogen or

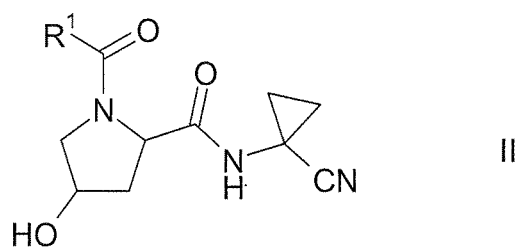
15 phenyl which is optionally substituted by C₁₋₇-alkyl, nitro or bromo and

c1) converting the sulfonate of formula X in the presence of an amino cyclopropane carbonitrile of the formula XI

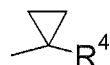


into the alcohol of formula II.

In a second aspect, there is provided an alcohol of formula II

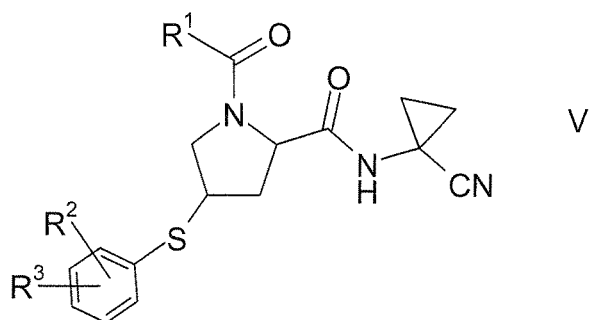


wherein R¹ is selected from C₁₋₇-alkyl or from



5 wherein R⁴ has the meaning of methyl or trifluoromethyl.

In a third aspect, there is provided a thioether of formula V



wherein

R¹ is selected from C₁₋₇-alkyl or from



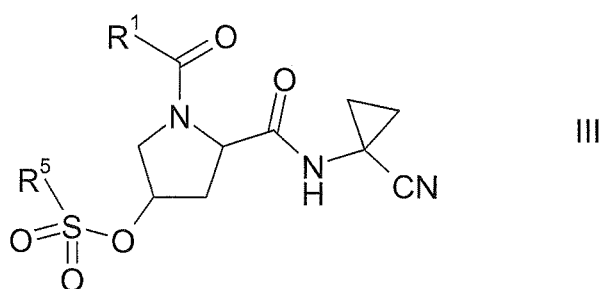
10

wherein R⁴ is selected from C₁₋₇-alkyl, halogen-C₁₋₇-alkyl or from phenyl which is optionally substituted by halogen;

R² is selected from halogen or halogen-C₁₋₇-alkyl; and

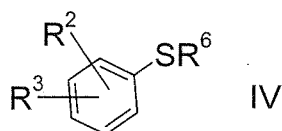
15 R³ is selected from hydrogen, halogen, halogen-C₁₋₇-alkyl, C₁₋₇-alkoxy, halogen-C₁₋₇-alkoxy or from a 5- or 6-membered heterocyclic ring containing one or two nitrogen atoms, the ring which is optionally substituted by C₁₋₇-alkyl or halogen.

wherein R^1 has the meaning as above into the sulfonate of the formula III

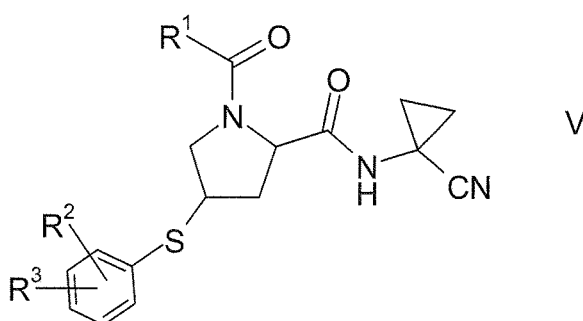


wherein R^1 has the meaning as above and R^5 is C_{1-7} -alkyl, halogen- C_{1-7} -alkyl or phenyl which is optionally substituted by C_{1-7} -alkyl, nitro or bromo

- 5 b) reacting the sulfonate of formula III with a thio compound of formula IV



wherein R^2 and R^3 are as outlined above and R^6 is hydrogen or a protecting group to form the thioether of the formula V



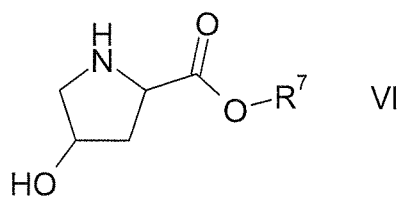
- 10 wherein R^1 , R^2 and R^3 are as outlined above and

c) oxidizing the thioether of formula V to form the proline derivative of formula I wherein R^1 , R^2 and R^3 are as outlined above

and wherein the process is further characterized in that the alcohol of formula II

is prepared by

- 15 a1) reacting a hydroxy proline ester of formula VI



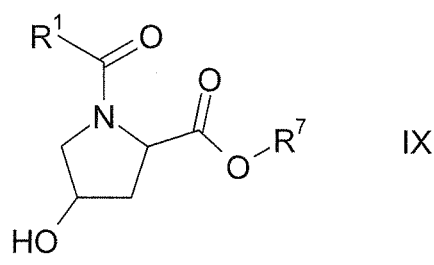
wherein R^7 is C_{1-7} -alkyl

with a carbonyl compound of formula VII



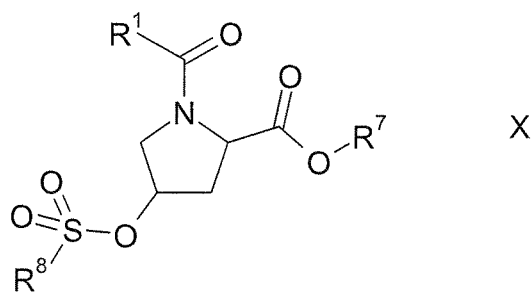
wherein R^1 is as above and Y is halogen or OH to form a carbonyl proline ester of formula

IX



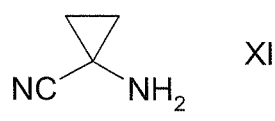
wherein R^1 and R^7 are as above;

b1) subsequent forming of a sulfonate of formula X



wherein R^1 and R^7 are as above and R^8 is C_{1-7} -alkyl optionally substituted by halogen or phenyl which is optionally substituted by C_{1-7} -alkyl, nitro or bromo and

c1) converting the sulfonate of formula X in the presence of an amino cyclopropane carbonitrile of the formula XI



into the alcohol of formula II.

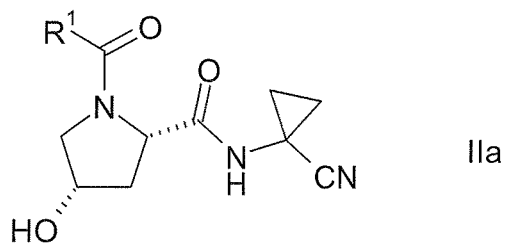
2. Process according to claim 1, wherein R¹ is a residue of the formula



wherein R⁴ is selected from C₁₋₇-alkyl, halogen-C₁₋₇-alkyl or from phenyl which is optionally substituted by halogen.

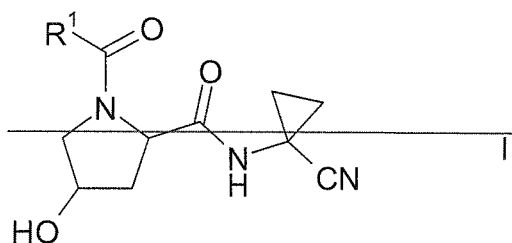
9. Process of claim 8, wherein the oxidating agent is potassium peroxymonosulfate or magnesium monoperoxyphthalate hexahydrate.

10. Process of claim 1, wherein the alcohol of formula II is a chiral isomer of the formula



5 wherein R¹ has the meaning as above.

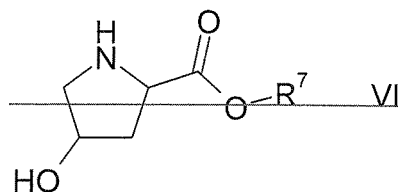
~~11. Process according to claim 1, wherein the alcohol of formula II~~



wherein R¹ is as above,

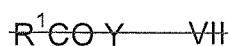
is prepared by

10 a1) reacting a hydroxy proline ester of formula VI



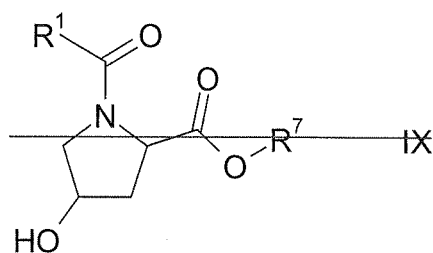
wherein R⁷ is C₁-7-alkyl

with a carbonyl compound of formula VII



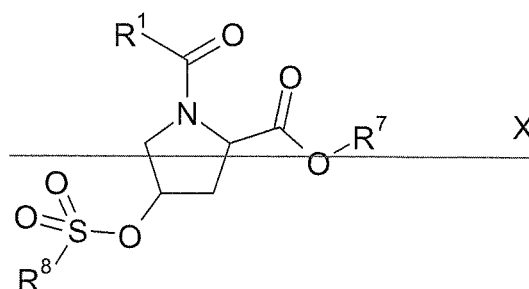
15 wherein R¹ is as above and Y is halogen or OH to form a carbonyl proline ester of formula

IX



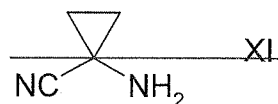
wherein R^1 and R^7 are as above;

b1) subsequent forming of a sulfonate of formula X



wherein R^1 and R^7 are as above and R^8 is C_{1-7} -alkyl optionally substituted by halogen or phenyl which is optionally substituted by C_{1-7} -alkyl, nitro or bromo and

c1) converting the sulfonate of formula X in the presence of an amino cyclopropane carbonitrile of the formula XI



into the alcohol of formula II.

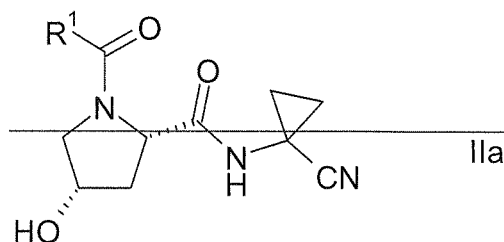
1211. Process of claim 111, wherein the reaction in step a1) is performed in an organic solvent at temperatures between -10°C and 25°C .

1312. Process of claim 111, wherein the reaction in step b1) is performed with a sulfonating agent, in an organic solvent at temperatures between -10°C and 40°C .

1413. Process of claim 111, wherein the reaction in step c1) is performed in the presence of a carboxylate salt $\text{NaR}^{10}\text{COO}$, wherein $\text{R}^{10} = \text{C}_{1-9}$ -alkyl or aryl in a solvent at temperatures between 40°C and 130°C .

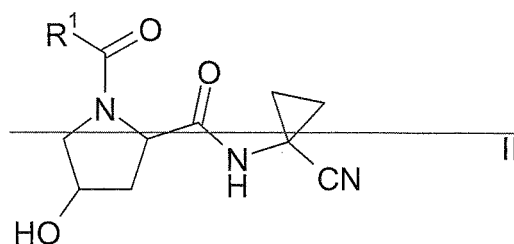
1514. Process of claim 1413, wherein sodium 2-ethylhexenoate is used.

16. Process of claims 11 to 15, wherein the alcohol of formula II is a chiral isomer of the formula



wherein R^1 has the meaning as above.

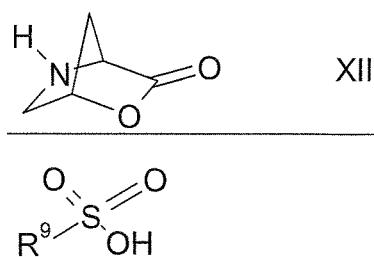
17. Process according to claim 1, wherein the alcohol of formula II



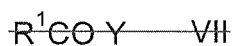
wherein R^1 is as above,

is prepared by

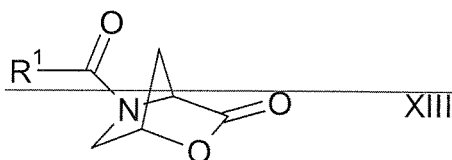
a2) transforming a sulfonate of the formula XII



wherein R^9 is C_{1-7} -alkyl or phenyl which is optionally substituted by C_{1-7} -alkyl with a carbonyl compound of formula VII

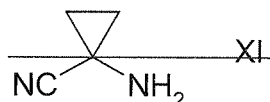


wherein R^1 is as above and Y is halogen or OH into the amide of formula XIII



wherein R^1 is as above, and subsequently

b2) converting the amide of formula XIII in the presence of aminocyclopropane carbonitrile of the formula XI



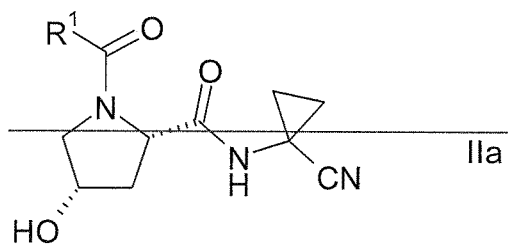
into the alcohol of formula II.

18. Process of claim 17, wherein the reaction in step a2) is performed in an organic solvent at temperatures between -10°C and 25°C .

19. Process of claim 17 wherein the reaction in step b2) is performed in the presence of an alkali alkyl or arylcarboxylate salt $MR^{10}COO$, wherein M is Li, Na, K or Cs and R^{10} is C_{1-9} -alkyl or aryl, in a solvent at temperatures between 40°C and 130°C .

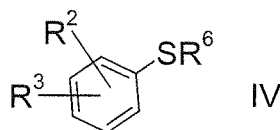
20. Process of claim 19, wherein sodium 2-ethylhexenoate is used.

21. Process of claims 17 to 20, wherein the alcohol of formula II is a chiral isomer of the formula



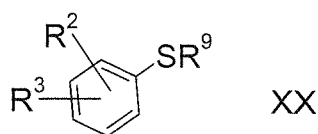
wherein R^1 has the meaning as above.

2215. Process of claim 1, wherein the thio compound of formula IV

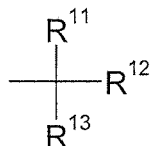


wherein R^2 and R^3 are as above and R^6 is hydrogen is prepared by

a3) deprotecting a compound of formula XX

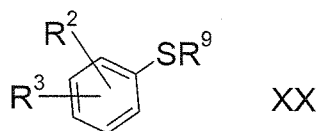


wherein R² and R³ are as above and R⁹ stands for a tertiary alkyl group of the formula



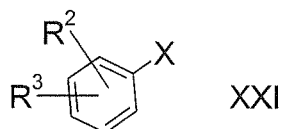
5 wherein R¹¹, R¹² and R¹³ independently of each other stand for C₁₋₇-alkyl with an acid;
or by

b3) deprotecting a compound of formula XX



10 wherein R² and R³ are as above and R⁹ stands for trityl with trifluoro acetic acid in the
presence of a reductive agent;

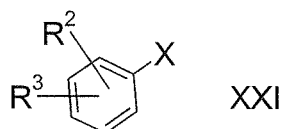
c3) lithiating a halogenated compound of formula XXI



wherein R² and R³ are as above and X stands for a halogen atom and a subsequent
treatment with sulfur;

15 or by

d3) reacting a halogenated compound of formula XXI



wherein R² and R³ are as above and X stands for a halogen atom with a Grignard reagent and by a subsequent treatment with sulfur.

2316. Process of claim 22,15 wherein R⁹ in the compound of formula XX used for the reaction in step a3) is *tert.*butyl.

5 | 2417. Process of claim 22,15 wherein the acid used in the reaction step a3) is selected from an aqueous mineral acid or an organic acid.

2518. Process of claim 22,15, wherein the reductive agent used in the reaction step b3) is triethyl silane.

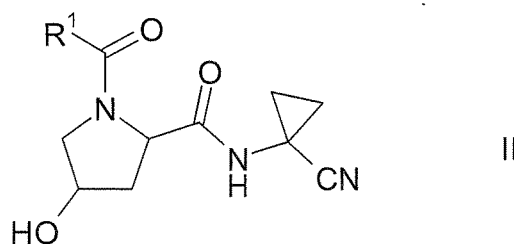
2619. Process of claim 22,15, wherein the reaction in step c3) is performed with butyl lithium as lithiating agent in an organic solvent at temperatures between -80°C to -20°C.

2720. Process of claim 22,15, wherein the reaction with sulfur in step c3) is performed in an organic solvent at temperatures between -80°C to -40°C.

2821. Process of claim 22,15, wherein the reaction in step d3) is performed with a Grignard reagent selected from isopropyl magnesium chloride or from isopropyl magnesium chloride /
15 lithium chloride in an organic solvent at temperature between 0°C to 40°C.

2922. Process of claim 22,15, wherein the reaction with sulfur in step d3) is performed in an organic solvent at temperatures between -20°C to 20°C.

3023. Alcohol of formula II

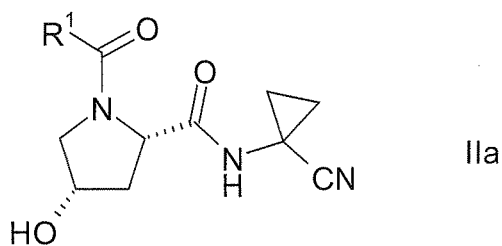


20 wherein R¹ is selected from C₁₋₇-alkyl or from

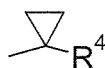


wherein R⁴ has the meaning of methyl or trifluoromethyl.

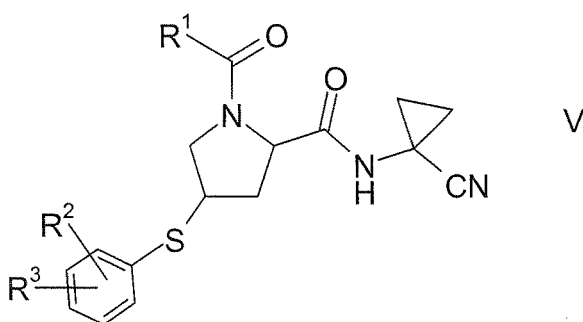
3124. Alcohol of claim 3023, having the structure



wherein R¹ has the meaning as above.

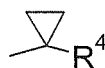


3225. Thioether of formula V



wherein

R¹ is selected from C₁₋₇-alkyl or from



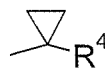
wherein R⁴ is selected from C₁₋₇-alkyl, halogen-C₁₋₇-alkyl or from phenyl which is optionally substituted by halogen;

R² is selected from halogen or halogen-C₁₋₇-alkyl; and

R³ is selected from hydrogen, halogen, halogen-C₁₋₇-alkyl, C₁₋₇-alkoxy, halogen-C₁₋₇-alkoxy or from a 5- or 6-membered heterocyclic ring containing one or two nitrogen atoms, the ring which is optionally substituted by C₁₋₇-alkyl or halogen.

3326. Thioether of claim 32-25 wherein,

R¹ has the meaning of



wherein R⁴ is selected from C₁₋₇-alkyl, halogen-C₁₋₇-alkyl or from phenyl which is optionally substituted by halogen;

R² has the meaning of halogen or halogen-C₁₋₇-alkyl; and

5 R³ has the meaning of halogen-C₁₋₇-alkoxy or of a 5- or 6-membered heterocyclic ring containing one or two nitrogen atoms, the ring which is optionally substituted by C₁₋₇-alkyl or halogen.

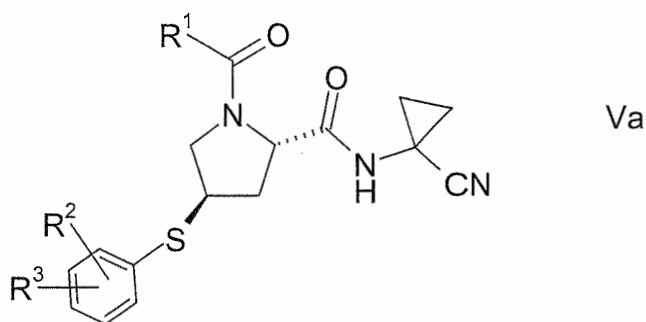
3427. Thioether of claims 32-25 and 3326, wherein,

R⁴ has the meaning of methyl or of trifluoromethyl;

R² has the meaning of trifluoromethyl or chlorine; and

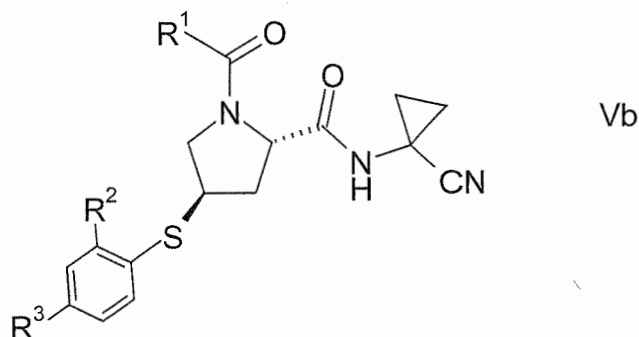
10 R³ has the meaning of 2,2,2-trifluoroethoxy, 2-methylpyrid-4-yl, 1-methyl-1*H*-pyrazol-4-yl or 2,2,2-trifluoro-1-methylethoxy.

3528. Thioether of claims 32-25 to 3427, having the structure



wherein R¹, R² and R³ are as above.

15 3629. Thioether of claims 32-25 to 3528, having the structure



wherein R¹, R² and R³ are as above.