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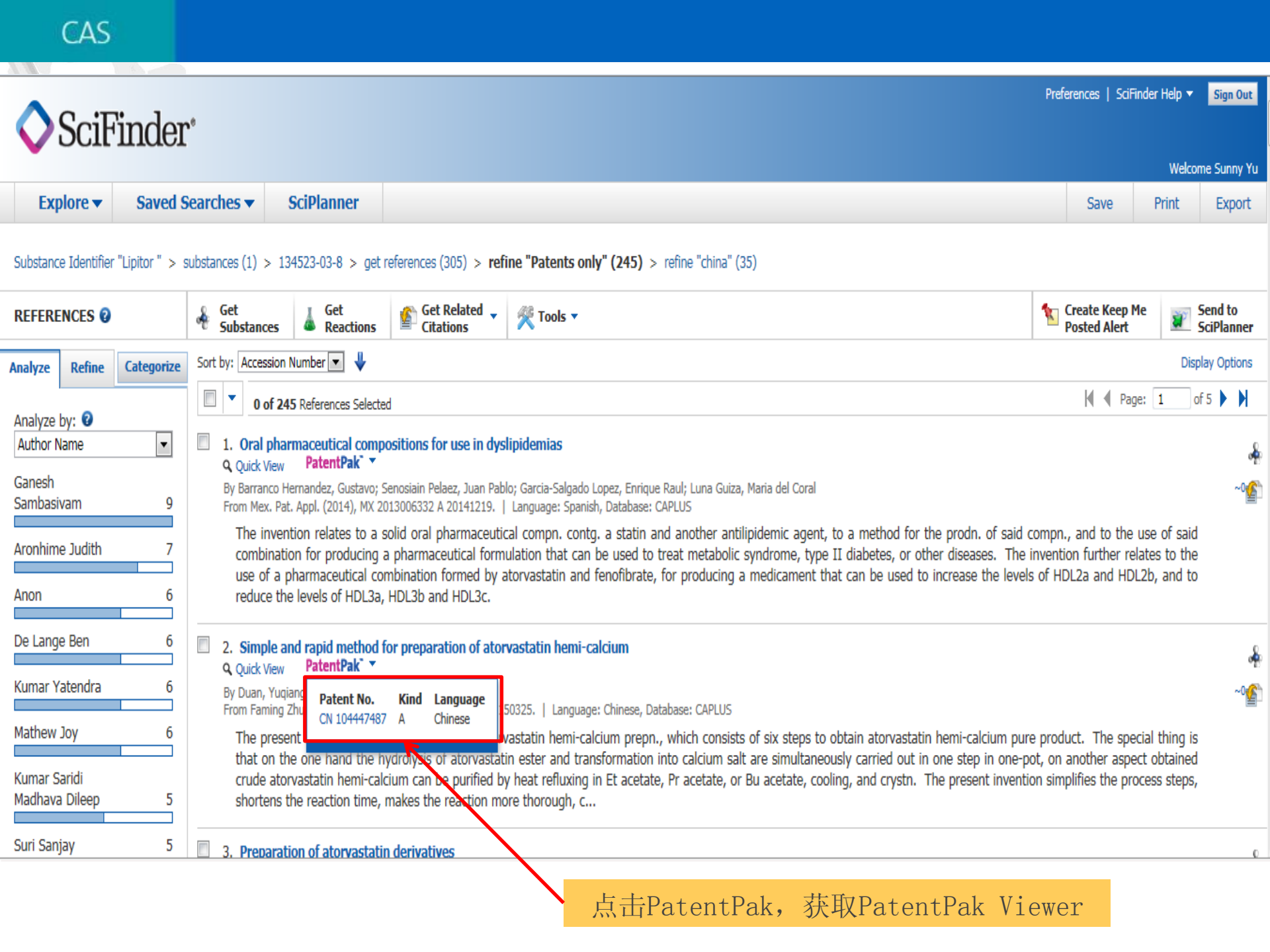
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Mathew Joy 6
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Suri Sanjay 5
1. Oral pharmaceutical compositions for use in dyslipidemias
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PatentPak
By Barranco Hernandez, Gustavo; Senosiain Pelaez, Juan Pablo; Garcia-Salgado Lopez, Enrique Raul; Luna Guiza, Maria del Coral
From Mex. Pat. Appl. (2014), MX 2013006332 A 20141219. | Language: Spanish, Database: CAPLUS
The invention relates to a solid oral pharmaceutical compn. contg. a statin and another antilipidemic agent, to a method for the prodn. of said compn., and to the use of said combination for producing a pharmaceutical formulation that can be used to treat metabolic syndrome, type II diabetes, or other diseases. The invention further relates to the use of a pharmaceutical combination formed by atorvastatin and fenofibrate, for producing a medicament that can be used to increase the levels of HDL2a and HDL2b, and to reduce the levels of HDL3a, HDL3b and HDL3c.
2. Simple and rapid method for preparation of atorvastatin hemi-calcium
Quick View
PatentPak
Patent No. Kind Language
CN 104447487 A Chinese
By Duan, Yuqiang
From Faming Zhu
50325. | Language: Chinese, Database: CAPLUS
The present
vastatin hemi-calcium prepn., which consists of six steps to obtain atorvastatin hemi-calcium pure product. The special thing is that on the one hand the hydrolysis of atorvastatin ester and transformation into calcium salt are simultaneously carried out in one step in one-pot, on another aspect obtained crude atorvastatin hemi-calcium can be purified by heat refluxing in Et acetate, Pr acetate, or Bu acetate, cooling, and crystn. The present invention simplifies the process steps, shortens the reaction time, makes the reaction more thorough, c...
3. Preparation of atorvastatin derivatives
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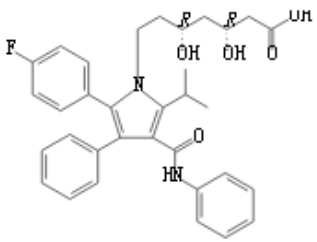

•1/2Ca

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CAS RN 1305-62-0

HO—Ca—OH

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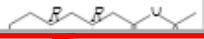

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(12)发明专利申请

(10)申请公布号 CN 104447487 A

(43)申请公布日 2015.03.25

(21)申请号 201410732761.6

(22)申请日 2014.12.07

(71)申请人 河南豫辰药业股份有限公司

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(72)发明人 段玉强 王利叶 贾玉香 罗明

(51)Int.Cl.

C07D 207/34(2006.01)

权利要求书1页 说明书3页

(54)发明名称

一种阿托伐他汀半钙的制备方法

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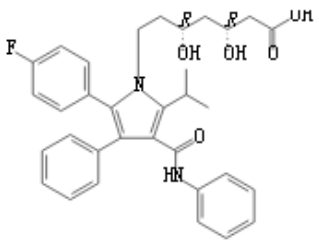

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CAS RN 1305-62-0

HO—Ca—OH

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地址 461100 河南省许昌市许昌县张潘镇前

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CAS Registry Number 134523-03-8

(Component: 134523-00-5)

~1,666 ~151

$C_{33}H_{35}FN_2O_5 \cdot {}^1/_2Ca$

1*H*-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, calcium salt (2:1), (β*R*,δ*R*)-

Other Names

1*H*-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, calcium salt (2:1), [*R*-(*R**,*R**)]-

Atocor

Atoraz

Atorvastatin calcium

Atorvastatin hemicalcium

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Key Substances in Patent

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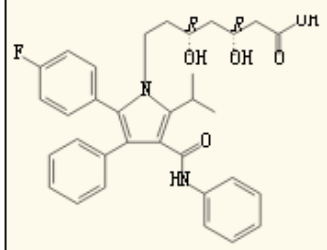

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CAS RN 1305-62-0

HO —— Ca —— OH

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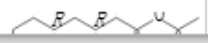
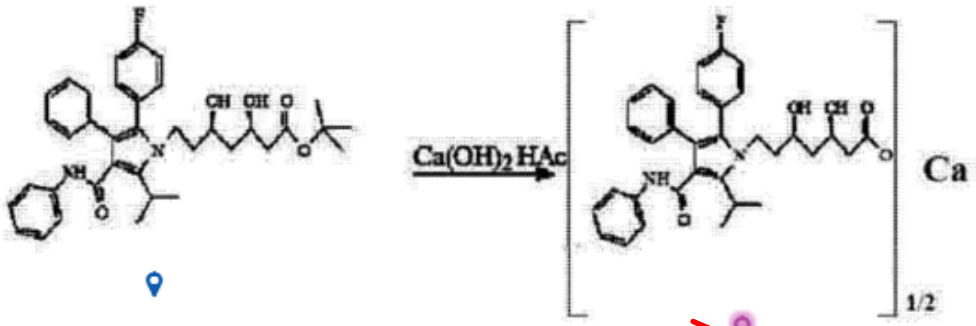
CAS RN 134395-00-9



[0016] 本发明的合成路线如下：



实施例 1

向反应瓶中加入 100 ml 水、15ml 乙醇、20 g R-(R*,R*)-2-(4-氟基苯)-β，δ-二羟基-5-(1-异丙基)-3-苯基-4-〔(苯胺)羰基〕-1H-吡咯-1-庚酸叔丁酯(0.03mol)以及 4 g 氢氧化钙(0.10mol)，将混合物搅拌并加热混合物至 45℃，然后继续搅拌并向混合物中滴加 5g(0.08mol)醋酸，用 HPLC 跟踪反应，7 小时左右反应即可进行完毕。反应结束后，真空条件下过滤混合物收集滤液，除去过量的 $Ca(OH)_2$，向滤液中加水沉淀出阿托伐他汀半钙，过滤并收集滤饼得到阿托伐他汀半钙粗品。最后用 100ml 乙酸乙酯作溶剂加热回流、冷却结晶、过滤得到白色晶体，60 ℃真空干燥得阿托伐他汀半钙(收率为 91%)。

[0017] 实施例 2

向反应瓶中加入 100 ml 水、20ml 乙醇、20 g R-(R*,R*)-2-(4-氟基苯)-β，δ-二羟基-5-(1-异丙基)-3-苯基-4-〔(苯胺)羰基〕-1H-吡咯-1-庚酸叔丁酯(0.03mol)以及 6 g 氢氧化钙(0.15mol)，将混合物搅拌并加热混合物至 50℃，然后继续搅拌并向混合物中

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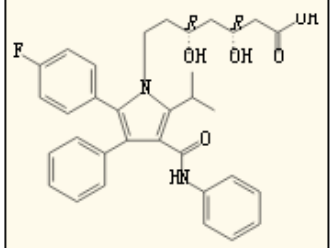

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Reactions

CAS RN 1305-62-0

HO——Ca——OH

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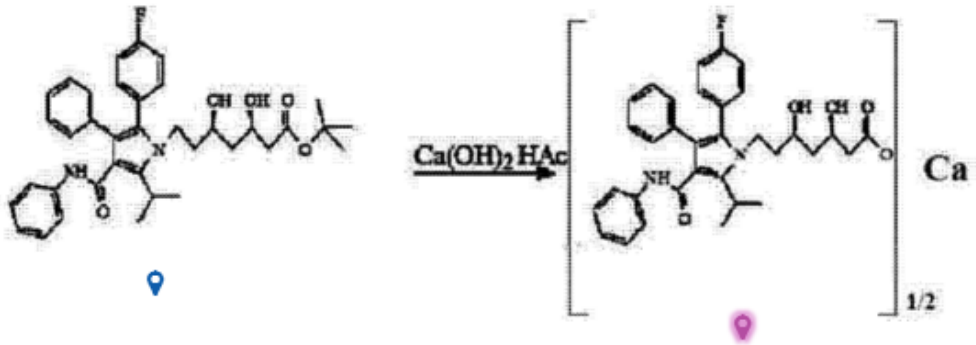
CAS RN 134395-00-9

[0016] 本发明的合成路线如下：



实施例 1

向反应瓶中加入 100 ml 水、15ml 乙醇、20 g R-(R*,R*)-2-(4-氟基苯)-β，δ-二羟基-5-(1-异丙基)-3-苯基-4-〔(苯胺)羰基〕-1H-吡咯-1-庚酸叔丁酯(0.03mol)以及 4 g 氢氧化钙(0.10mol)，将混合物搅拌并加热混合物至 45℃，然后继续搅拌并向混合物中滴加 5g(0.08mol)醋酸，用 HPLC 跟踪反应，7 小时左右反应即可进行完毕。反应结束后，真空条件下过滤混合物收集滤液，除去过量的 $Ca(OH)_2$，向滤液中加水沉淀出阿托伐他汀半钙，过滤并收集滤饼得到阿托伐他汀半钙粗品。最后用 100ml 乙酸乙酯作溶剂加热回流、冷却结晶、过滤得到白色晶体，60 ℃真空干燥得阿托伐他汀半钙(收率为 91%)。

[0017] 实施例 2

向反应瓶中加入 100 ml 水、20ml 乙醇、20 g R-(R*,R*)-2-(4-氟基苯)-β，δ-二羟基-5-(1-异丙基)-3-苯基-4-〔(苯胺)羰基〕-1H-吡咯-1-庚酸叔丁酯(0.03mol)以及 6 g 氢氧化钙(0.15mol)，将混合物搅拌并加热混合物至 50℃，然后继续搅拌并向混合物中

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- Markush
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- Property
- Substance Identifier

REACTIONS
- Reaction Structure

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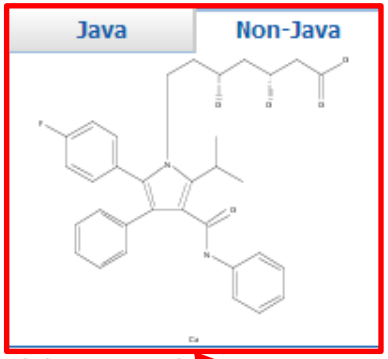

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