

(19)
(12)

(KR)
(B1)

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C07D 309/10

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(11)
(24)

2004 03 22
10-0423892
2004 03 09

(21) 10-2001-0075991
(22) 2001 12 03

(65)
(43)

10-2003-0045340
2003 06 11

(73) 5가 500

(72) 702 402

1 46-5 101 205

11 808

301 105

522-1

(74)

:

(54)

(nitrogen sweep)

(mevinic acid)

(lactonization)

(nitrogen sweep)

(hypercholesterolemia)

가

g

(lovastatin)

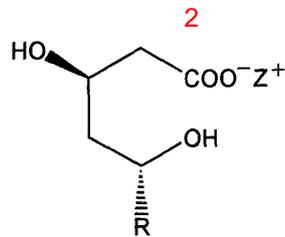
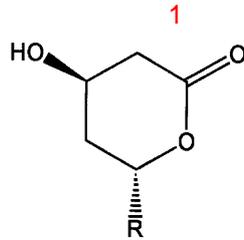
2

(simvastatin)

HMG-CoA

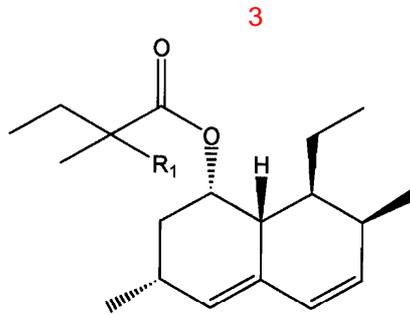
(statins)

1



R, Z 3

R₁ H CH₃



가

1

() + H₂O(+ NH₃)

4,820,850

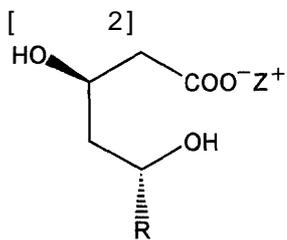
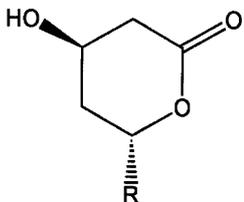
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1a

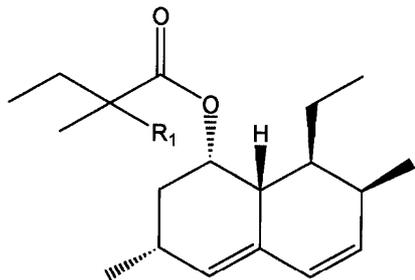
(110)

가

가 :



R, Z, R₁, H, CH₃ :



(2,2-4,5,6-), 0.05% (2(S),6(R)-2H-2-), -1,2,6,7,8,8a(R)-, 1, 6(R)-[2-[8(S)-]-1(S)]-4(R)-3,

가 1:1 (1a) 3- 3- 1 40 3 n- 8 10 8 10 가 가 2 3 / / 가

[1] 6(R)-[2-[8(S)-(2,2-3,4,5,6-)-2(S),6(R)-2H-2- (2.42 g, 5.3 mmol) -1,2,6,7,8,8a(R)- (50 mL)]-1(S)]-4(R)-8(S)-(2,2-3,4,5,6-)-1(S)-[3(R),5(R)- (50 mL) (2.4 g) 가 .30 가 5 mL / (1:10(v/v)) 20 mL (HPLC)가 99.0% 2.12 g(: 94.9%) 50 mL 가 3 .40 0.02% [2]

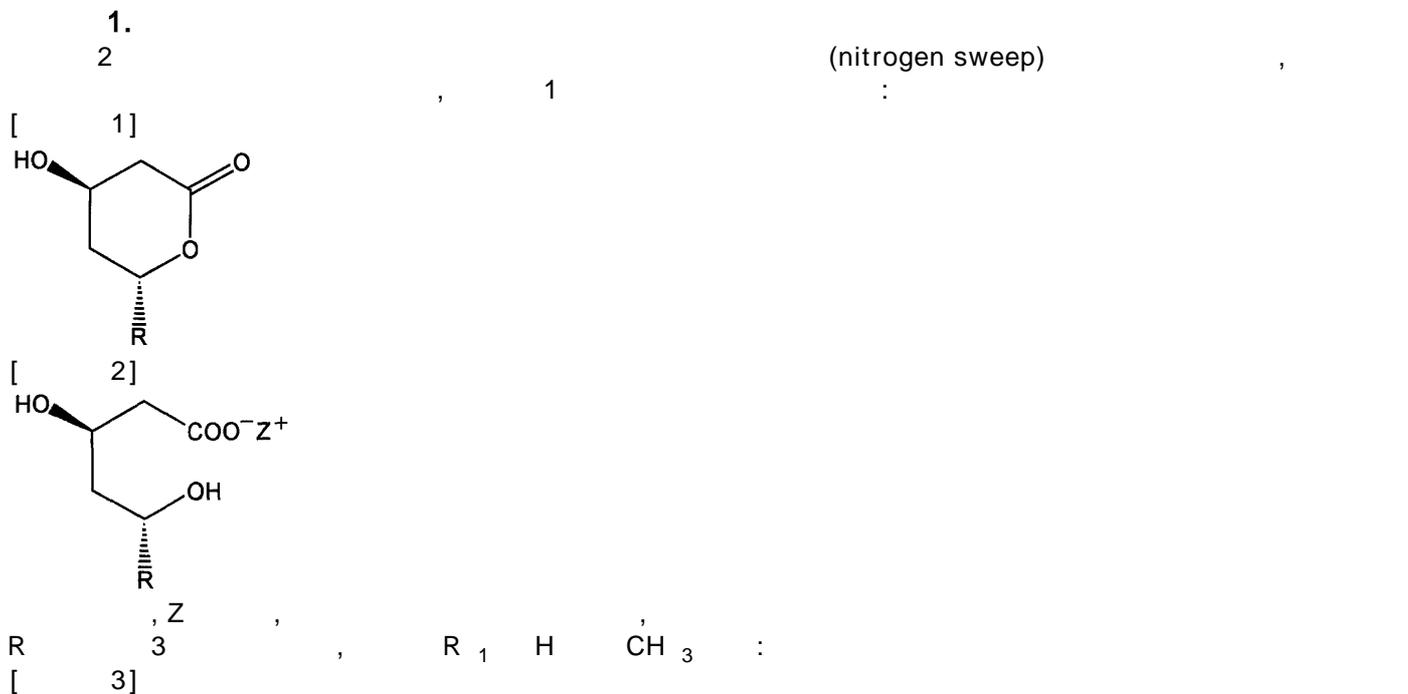
6(R)-[2-[8(S)-(2,2,3,4,5,6-)-2(S),6(R)-1,2,6,7,8,8a(R)-]-1(S)-]-4(R)-
 7-[1,2,6,7,8,8a(R)-2(S),6(R)-8(S)-(2,2,3,4,5,6-)-1(S)-]-3(R),5(R)-
 (2.42 g, 5.3 mmol) (50 mL) 2.4 g 가 .3
 80 3 25 (50 mL) 21.2 mL 가 40 가 .3
 21.2 mL 가 30 4 21.2 mL 가 40 가 .3
 4 / (1:1(v/v)) 20 mL .40 (HPLC)가 99.0
 % 1.97 g(: 88.2%) . 0.02% .

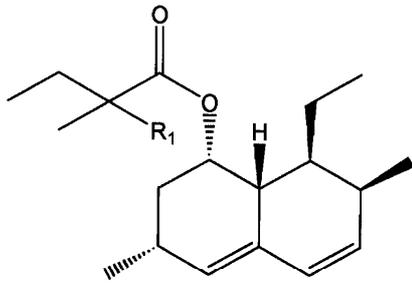
[3]
 6(R)-[2-[8(S)-(2,2,3,4,5,6-)-2(S),6(R)-1,2,6,7,8,8a(R)-]-1(S)-]-4(R)-
 7-[1,2,6,7,8,8a(R)-2(S),6(R)-8(S)-(2,2,3,4,5,6-)-1(S)-]-3(R),5(R)-
 (2.42 g, 5.5 mmol) (HPLC)가 99.0% 2.1 g(: 93.0%)
 0.01% .

[4]
 6(R)-[2-[8(S)-(2,2,3,4,5,6-)-2(S),6(R)-1,2,6,7,8,8a(R)-]-1(S)-]-4(R)-
 7-[1,2,6,7,8,8a(R)-2(S),6(R)-8(S)-(2,2,3,4,5,6-)-1(S)-]-3(R),5(R)-
 (2.42 g, 5.5 mmol) (HPLC)가 99.1% 2.1 g(: 93.5%)
 0.02% .

0.05% , 0.02%

(57)





2. 1 , 가 , , 2 , , . ,
3. 2 , 가 .
4. 1 3 , 2 1 40
5. 1 , , , , n- , , 1 ,
6. 5 , 가 2 1 8 10 8 10 2 3 19 21 .
7. 0.05% 1 .
8. 0.05% a(R)-]-1(S)]-4(R)- 6(R)- [2- [8(S)- (2,2-)-2(S),6(R)- -1,2,6,7,8,8 -3,4,5,6- -2H- -2- .