

2003 12 24
10-0400805
2003 09 24

(21)	10-1998-0700348	(65)	1999-0029045
(22)	1998 01 16	(43)	1999 04 15
	1998 01 16		
(86)	PCT/US1996/11807	(87)	WO 1997/03960
(86)	1996 07 16	(87)	1997 02 06

(81) : , 가 , , , , ,
 , 가 , , , , , , ,
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EP : , , , , , , , , , ,
 , , , , ,

(30) 60/001453 1995 07 17 (US)

(73) - 201

(72)	,	08536	1808
	,	49424	320

(74)

(54) [R-(R*,R*)]-2-(4-)- , - -5-(1-)-3- -4-[()]-1H- -1- (2:1)

[R-(R',R')]-2-(4-)- , - -5-(1-)-3- -4-[()]-1H- -1-

3- -3- A (HMG-CoA)

4,681,893 (±)-5-(4-)-2-(1-)-N,4-
 -1-[(2- -4- -6- -2H- -2-)]-1H- -3-
 -6-[2-(3- 4- -1-)]-4- -2-
 5,273,995 -5-(4-)-2-(1-)-N,4-
 -1-[(2- -4- -6- -2H- -2-)]-1H- -3- R
 가 [R-(R*,R*)]-2-(4-)- , - -5-(1-)-3- -4-[(
)]-1H- -1-
 5,003,080 , 5,097,045 , 5,103,024 , 5,124,482 ,
 5,149,837 , 5,155,251 , 5,216,174 , 5,245,047 , 5,248,793 , 5,280,126 ,
 5,397,792 5,342,952

[R-(R*,R*)]-2-(4-)- , - -5-(1-)-3- -
 4-[()]-1H- -1- (2:1)
 , , (lozenge),

PD-5250-01-FJT, PD-5333-01-FJT,
 [R-(R*,R*)]-2-(4-)- , - -5
 -(1-)-3- -4-[()]-1H- -1- (2:1)' ' [R-(R*,R*)]-
 2-(4-)- , - -5-(1-)-3- -4-[()]-1H- -1-
 (2:1)' , ,

([Konno T., Chem. Pharm. Bull. , 1990; 38:2003-2007]).

가
1

(a)
(b)

1, 2 3 ,
 1
 2 (Y = 0 3767.50 cps)
 2
 3 (Y = 0 1455.00 cps)
 가 ¹³C

X () (NMR)

X

X
CuKa (Siemens) D-500
IBM 가 D-500 (Kristalloflex), =
(DIFFRAC AT (SOCABIM 1986, 1992)).
(Kevex Psi Peltier Cooled Silicon[Si(Li)] Detector) (: 1 °
0.15 °) CuKa (20 mA, 40 kV, = 1.5406) (1 °)
X 가
/2 : 2 4.00 ° 40.00 °, 6 ° / : 0.4 / 0.04 ° (3 ° /
: 0.8 / 0.04 °).
가 13 15 mm(
16mm)
가
가
1 > 20 % 2 , d-
1 2

[1]

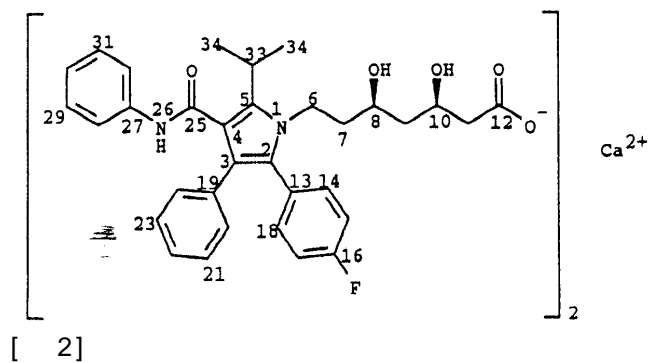
20% 가

2θ	d	상대 강도 (>20%) 분쇄하지 않음	상대 강도 (>20%)* 2분간 분쇄
9.150	9.6565	37.42	42.60
9.470	9.3311	46.81	41.94
10.266	8.6098	75.61	55.67
10.560	8.3705	24.03	29.33
11.853	7.4601	55.16	41.74
12.195	7.2518	20.03	24.62
17.075	5.1887	25.95	60.12
19.485	4.5520	89.93	73.59
21.626	4.1059	100.00	100.00
21.960	4.0442	58.64	49.44
22.748	3.9059	36.95	45.85
23.335 호	3.8088	31.76	44.72
23.734 -	3.7457	87.55	63.04
24.438	3.6394	23.14	21.10
28.915	3.0853	21.59	23.42
29.234	3.0524	20.45	23.36

* 두번째 상대 강도 열은 2분간 분쇄 후 원 회절도의 회절 라인의 상대 강도를 제 공한다.

(NMR)

¹³ C NMR (Bruker) AX-250, 250 MHz NMR 5 kHz
(MAS) (high-power proton decoupling) (CP)
[Frye J.S. Maciel G.E., I. Mag. Res., 1982;48:125]
KBr Br (canister)
(rotor) 300 450 mg (chemical shift)
() (3.50 ppm) ([Muntean J.V. Stock
L.M., J. Mag. Res., 1988;76:54]).



지정 (7 kHz)	화학 이동
C12 또는 C25	182.8
C12 또는 C25	178.4
C16	166.7 (광폭) 및 159.3
방향족 탄소 C2-C5, C13-C18, C19-C24, C27-C32	137.0
	134.9
	131.1
	129.5
	127.6
	123.5
	120.9
	118.2
C8, C10	113.8
	73.1
	70.5
	68.1
메틸렌 탄소 C6, C7, C9, C11	64.9
	47.4
	41.9
C33	40.2
	26.4
C34	25.2
	21.3

, HMG-CoA

25% 40% 25% 40%

50%

(Comber Turbodry Vertical Pan Dryer)

20 40 70 90 35 5 mmHg 25 mmHg

3 5 5

5mmHg 25 mmHg (foam)

< 1>

[R-(R * ,R *)]-2-(4-)- - -5-(1-)-3- -4-[()]-1

H- -1- ()

(2R-)-5-(4-)-2-(1-)-N,4- -1-[2-(-4- -6- -2H-
 -2-)]-1H- -3- () (5,273,995)(75 kg), t-
 (MTBE)(308kg), (190) 48-58 40 60 (950
 5.72 kg) . 25-35 , MTBE (230
 kg) , MTBE 47-52 가 .
 (410) (11.94 kg) 30 가
 가 , (11 5 1.1 kg)
 10 51-57 가 , 15-40 (300
) (150) (450) 3 4 60-70
 (72.3 kg) .
 < 2>
 [R-(R * _R * _)]-2-(4-)- , - -5-(1-)-3- -4-[()]-1
 H- -1- ()
 (1) (30 kg) (75)
 (49.4) 가 0.45 (Pal
 l) 200 가
 (4.5) , 35 .
 , (6 8 mmHg)
 85 4 ,
 0.01% 0.29% (27.2 kg) . X

(57)

1.
(a) , (b)
2.
1 , (a) 가
- 3.
- 2 , 가
4.
1 , (b)
5.
4 , (b)
6.
5 , 20 40 5 mmHg 25 mmHg
70 90
7.
6 , 35 5 mmHg 25 mmHg
85
8.
5 ,