

(19)
(12)

(KR)
(A)

(51) 。 Int. Cl.⁷
C07D 233/44
C07D 233/50

(11)
(43)

10-2004-0074134
2004 08 21

(21)	10-2004-7011589		
(22)	2004 07 27		
	2004 07 27		
(86)	PCT/EP2002/014299	(87)	WO 2003/064398
(86)	2002 12 16	(87)	2003 08 07

(30)

02002352.9

2002 01 31

EP(EP)

(71)

-55216

173

(72)

55218

30

88400

4

563-0104

4-12-9

가

563-0104

5-19-10

910-1134

3-18-3

(74)

:

(54) 2'-

-3',5'-

-1'-

-

-2-

-2-

2'-

-3',5'-

-1'-

-

-2-

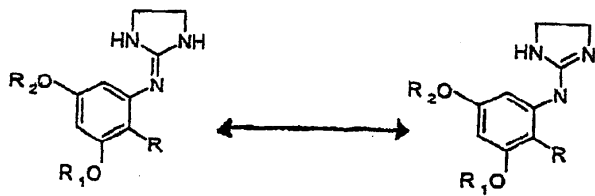
,

2'-

-3',5'-

.

I



2- , 2'- -3', 5'- -1'- -2- , , 2'- -3', 5'- -1L-

-2- 2'- -3', 5'- -1'- -2- , 2'- -3', 5'- /

2', 6'- -1'- -2- 가 . 가 ,

DE 2220906

JP 48-76870

, 2-()-2-

, WO 96/32939

EP 0887346 - (RR'NCONR''-), - (RR'NSO₂NR''-) - (RR'NSO₂-)- 1L 가 ,

US 4244957

DE 2521709

EP 0202461

FR 2208671 , , 가 , - / -

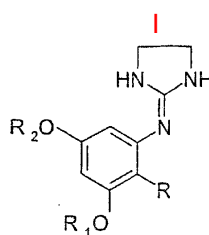
EP 0902218

가

I -1L-
가

, 1

(I) :



R F, Cl, Br, CF₃, CH₂F CHF₂,

R₁ R₂ (Me), (Et), (Pr), (iPr), 1- (Bu), 2- (2-Bu),
3 (tBu), (Pen), (Hex) C₁ C₆-

R F, Cl, Br CF₃, R₁ R₂ C₁ C₆-

R Cl, Br CF₃, R₁ R₂ C₁ C₄-

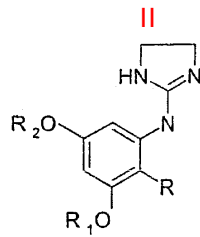
R Cl Br, R₁ R₂,

R Cl, R₁ R₂ 가

I 2'- -3',5'- -1'- -2-
4,5- 1

1

가 , II :



, R, R₁, R₂ .
 II 2'- -3',5'- -1'- -2-
 (2'- -3',5'- -2-) .

2'- -3',5'- -1'- -2- (I R = Cl, R₁ = Me, R₂ = M
 e),
 2'- -3',5'- -1'- -2- (I R = Cl, R₁ = Et, R₂ = Et),
 2'- -3',5'- -1'- -2- (I R = Cl, R₁ = Pr, R₂ =
 Pr),
 2'- -3',5'- -1'- -2- (I R = Br, R₁ = Me, R₂ = M
 e),
 2'- -3',5'- -1'- -2- (I R = Br, R₁ = Et, R₂ = Et)
 ,
 2'- -3',5'- -1'- -2- (I R = Br, R₁ = Pr, R₂ =
 = Pr), II 2
 가 .

2'- -3',5'- -1'- -2- (I R = Cl, R₁ = Me, R₂ = M
 e),
 2'- -3',5'- -1'- -2- (I R = Br, R₁ = Me, R₂ = M
 e), II 2
 가 .
 2'- -3',5'- -1'- -2- , 가 2'- -3',5'-
 -2- ,
 2 I II ,
 가 . ,
 가 ,
 .

	10 ⁻⁵ M	(%)	10 ⁻⁵ M	(%)
3.a. 2'-	-3',5'-	-1'-	-2-	
1				
3,5-	50g	250Mℓ	32.4Mℓ	가
5-	63.5g	163-165		N-
2				-3,
N-	-3, 5-	56.5g	200Mℓ	0
	150Mℓ	가	12.75g	가
				(paste)
-2-	-3,5-	33.0g	117-118	2
3				32% 0.5 N-
N-	-2-	-3,5-	33.0g	2
	500Mℓ	가		400Mℓ
			2-	2 × 250Mℓ
			-3,5-	28g
4				
	14.4g	10	450Mℓ	14.2Mℓ
	10	가	10	300Mℓ
	28g	3		2-
	3 × 600Mℓ			700Mℓ
	100Mℓ		35Mℓ	가
			300Mℓ	
	(2-	-3,5-	35g	159-162
5				
(2-	-3,5-	-)-	35g	150Mℓ
	2			6.6Mℓ
	-1,2-	11Mℓ	가	110Mℓ
			8	
2'-	-3',5'-	-1'-	-2-	53.3g
				204-206
3.b. 2'-	-3',5'-	-1'-	-2-	
2'-	-3',5'-	-1'-	-2-	2.2g
HCl/	1M	10Mℓ	가	10Mℓ
				가
2'-	-3',5'-	-1'-	-2-	2.5g(95%)
				203-205

¹ H NMR(400 MHz, DMSO-d₆): δ=10.60(NH), 8.38(NH), 6.73(1H, d, J=2.7Hz, -H), 6.64(1H, d, J=2.7Hz, -H), 3.88(3, s, OCH₃), 3.81(3H, s, OCH₃), 3.65(4H, s, -CH₂). MS m/z 256/258(100/43

)(M+H) +

가 1 가 3,5- 3 , 6

4.

A:

2'- -3',5'- -1'- - 1mg

105mg

30mg

30mg

5mg

5mg

3mg

_____ 1 mg

180mg

: 180mg

B:

2'- -3',5'- -1'- - 1.0mg

18.0mg

2.0MØ

:

C:

2'- -3',5'- -1'- - 1mg

178mg

_____ 1 mg

180mg

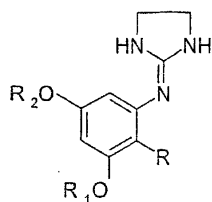
(57)

1.

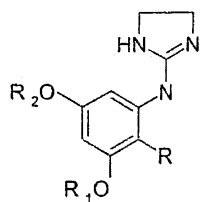
I , II

2

I



II

R F, Cl, Br, CF₃, CH₂F CHF₂R₁ R₂ C₁ C₆-

2.

1 , R F, Cl, Br CF₃ R₁ R₂ C₁ C₆-

3.

1 , R Cl, Br CF₃ R₁ R₂ C₁ C₄-

4.

1 , R Cl Br R₁ R₂ ,

5.

1 , R Cl R₁ R₂ .

6.

1 ,

2'- -3',5'- -1'- -2- ,

2'- -3',5'- -1'- -2- ,

2'- -3',5'- -1'- -2- ,

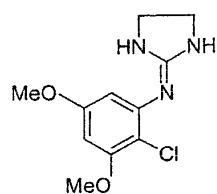
2'- -3',5'- -1'- -2- ,

2'- -3',5'- -1'- -2- ,

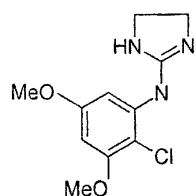
2'- -3',5'- -1'- -2- ,

7.

I 2'- -3',5'- -1'- -2- , II



II



8.

1 7 , I

9.

1 7 , II

10.

1 9 ,

11.

1 10 , ,

12.

1 11 .

13.

1 11 0.001mg 1g, 0.001mg 100mg
가 0.01mg 10mg .

14.

12 13 , .

15.

12 13 .

16.

, , , 12 13 .
17. , 1 11 12 16 .