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(12)

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(B1)

(51) 。 Int. Cl. <sup>6</sup>  
C07D 233/68

(45)  
(11)  
(24)

2002 05 01  
10 - 0317832  
2001 12 05

(21)  
(22)

10 - 1994 - 0002602  
1994 02 15

(65)  
(43)

1994 - 0019691  
1994 09 14

(30)

50190/93  
259079/93

1993 02 15  
1993 09 22

(JP)  
(JP)

(73)

가        가        가

가가        가        147 - 1

(72)

가가        가        498

가가        1472

가가        가        290 - 2

가가        2704

가가        가        290 - 2

가가        가        676 - 4

가        가가        가        가 7    164

(74)

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(54) 4 -        - 5 - (        )

4 - - 5 - ( )  
 . 4 - - 5 - ( ) , 4 - - 5 - ( )  
 4,5 - ( ) N - , N - ,

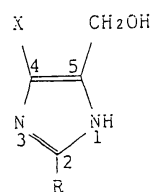
4 - - 5 - ( )

4 - - 5 - ( ) 4 - - 5 -

4 - - 5 - ( ) 5 - ( ) N -  
 4 , 2 n - , n - , t - ,  
 64428/1988 , m - , p - , p - p - 가 4 - - 5 - ( ,  
 ) 23868/1988 2 n -  
 가 4 - - 5 - ( )

5 - ( )  
 , 5 - , 가  
 . , 가 5 - 4 - - 5 - ( ) , ,  
 5 - ( )

4,5 - ( )  
 ) 4 - - 5 - ( )  
 4 - - 5 - ( )  
 , 4,5 - ( )  
 , 4 - - 5 - ( ) ,

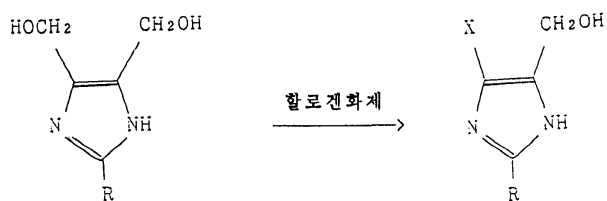


, R , , ,

X .

, 4 - - 5 - ( ) 4,5 - ( )  
 , 0 100 , 20 50  
 , 가 1 24 , 2 5 ,  
 , .

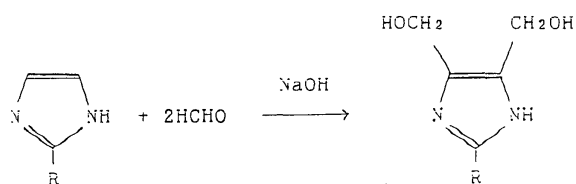
, R X .



) 4 - - 5 - ( ) 가 4 - - 5 - ( )

, 가 가 가  
 , 4,5 - ( ) 2 가  
 , , 2 가 .

4,5 - ( ) 2



R

.

4,5- ( ) 4,5- ( )  
 ) , 2- -4,5- ( ) , 2- -4,5- ( ) , 2- -  
 4,5- ( ) , 2- -4,5- ( ) , 2- -4,5- ( )  
 ) , 2- -4,5- ( ) , 2- -4,5- ( ) , 2- -  
 4,5- ( ) , 2- -4,5- ( ) , 2- -4,5- ( )  
 , 2- -4,5- ( ) , 2- -4,5- ( ) , 2- -4,  
 5- ( ) , 2- -4,5- ( ) , 2- -4,5- ( )  
 ) , 2- (1- ) -4,5- ( ) .

N -

, N -

N -

; N -

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, 0.8 1.2 4,5- ( ) 1 0.5 1.5 ,

가 4,5- ( ) 1 0.5  
 , 가 1.5 ,

4,5-

.

. , 4,5- ( )  
 , N -

;

,

,

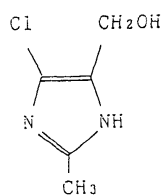
;

, 1,4 -

.

4- -5- ( ) , 2- -4- -5- ( )  
 ) , 2- -4- -5- ( ) , 2- -4- -5- ( )  
 , 2- -4- -5- ( )  
 4- -5- ( ) .

2- -4- -5- ( )



, m.p. : 166 167

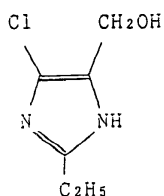
TLC(            -            ): Rf 0.42

IR(KBr):  $\nu$ 3100, 1600, 1527, 1414, 1366, 1244, 1230, 1217, 1110, 1038, 1023, 1008, 784  $\text{cm}^{-1}$

NMR( $d_4$  -            ): 4.47(s, 2H), 2.29(s, 3H)

MS : m/e 146( $M^+$ )

2 -            - 4 -            - 5 - (            )



, m.p., 134 - 136

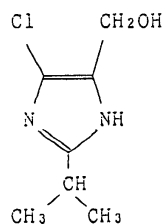
TLC(            -            ) : Rf 0.69

IR(KBr):  $\nu$ 3080, 1600, 1516, 1450, 1430, 1392, 1355, 1323, 1272, 1240, 1220, 1110, 1067, 1010, 960, 850, 774, 710, 680  $\text{cm}^{-1}$

NMR( $d_4$  -            ); 4.49(s, 2H), 2.65(q, 2H, J=8Hz), 1.25(t, 3H, J=8Hz)

MS: m/e 160( $M^+$ )

2 -            - 4 -            - 5 - (            )



, m.P.: 170 - 176

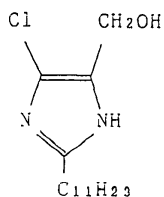
TLC(            -            ). Rf 0.72

IR(KBr):  $\nu$ 2980, 1600, 1514, 1450, 1395, 1366, 1327, 1305, 1265, 1244, 1220, 1160, 1105, 1094, 1010, 840, 767, 725  $\text{cm}^{-1}$

NMR( $d_4$  -            ): 4.48(s, 2H), 2.95(m, 1H), 1.27(d, 6H, J=7Hz)

MS: m/e 174(M<sup>+</sup>)

2 - - 4 - - 5 - ( )



, m.p.: 103 - 114

TLC( - ): Rf 0.56

IR(KBr): ν<sub>3140</sub>, 3090, 2920, 2860, 1600, 1520, 1470, 1455, 1425, 1234, 1110, 998, 850, 796, 712 cm<sup>-1</sup>

NMR(d<sub>4</sub> - ): 4.47(s, 2H), 2.61(t, 2H, J=8Hz), 1.59(m, 2H), 1.28(br. s. 16H), 0.89(t, 3H, J=6Hz)

MS: m/e 286(M<sup>+</sup>)

1

N - 1.45 g(10.9 mmol) , 2 - - 4,5 - ( ) 1.91g  
(10.4 mmol), 100 ml 1.4 - 40 ml 가 . 18

가 2 - - 4 - - 5 - ( ) 1.00 g( 51%) . ,

2 - - 4 - - 5 - ( ) TLC .

, 141 147

TLC ( - ): Rf 0.73

IR (KBr): ν<sub>2975</sub>, 1598, 1524, 1456, 1392, 1355, 1304, 1284, 1269, 1240, 1222, 1106, 1023, 860, 800, 726, 715 cm<sup>-1</sup>

NMR (d<sub>4</sub> - ): 4.48(s, 2H), 2.62(t, 2H, J=7Hz), 1.82 - 1.16(m, 4H), 0.94(t, 3H, J=6Hz)

MS: m/e 188(M<sup>+</sup>)

2

N - 3.86 g(28.9 mmol) , 2 - -4,5 - ( ) 3.87 g  
 (27.2 mmol) 50 ml 40 가 . ,  
 20 , 가 . ,  
 . ,  
 ( - ) 2 - -4 - -5 - ( ) 2.4  
 g( 60.2%) . 2  
 . TLC 2 - -4 - -5 - ( )

3

N - 1.76 g(13.2 mmol) , -4,5 - ( ) 2.06 g(1  
 3.2 mmol), 100 ml 1,4 - 40 ml 11 가 .  
 3 , 50 30 ,  
 ( - )  
 , 3 2 -  
 -4 - -5 - ( ) 0.82 g( 39.0%) . TLC 2  
 - -4 - -5 - ( ) .

4

N - 2.81 g(21 mmol) , 40 45 2 - -4,5 - ( )  
 ) 3.47 g(20.4 mmol) 220 ml 25 가  
 . 2 ,  
 2 - -4 - -5 - ( ) 1.69 g( 4  
 7.4%) . 2 T  
 LC 2 - -4 - -5 - ( ) .

5

N - 1.10 g(8.3 mmol) , 40 45 2 - -4,5 - ( )  
 2.15 g(7.6 mmol) 200 ml 23 가 .  
 2 ,  
 , 2 - -4 - -5 - ( ) 1.16 g(  
 53.1%) . 2  
 TLC 2 - -4 - -5 - ( ) .

6

3 g(13 mmol), 1.53 g(6.6 mmol) , 2 - - 4,5 - ( ) 2.0  
 100 ml 1,4 - 40 ml 10 가  
 , , , ,  
 , ( - ) , 2 - -  
 4 - - 5 - ( ) 0.78 g( 37.4%) . TLC  
 3 .

7

g(16.2 mmol) 9.7% 11.5 g(15 mmol) , 2 - - 4,5 - ( ) 2.53  
 50 ml 가 . 가 , 1  
 , 가 , .  
 ) 2 - - 4,5 - ( ( )  
 - ) 1.48 g , 0.46 g( , 43.0%)  
 2 - - 4 - - 5 - ( ) , 3  
 . TLC , .

8

4.2% - 26.3 g(15.6 mmol) , 40 2 - - 4,5 - ( )  
 ) 2.52 g(14.8 mmol) 200ml 가 . 가 , 4  
 0 1 가 , .  
 , . 2 -  
 - 4,5 - ( ) 0.71 g ,  
 ( - ) 2 - - 4 - - 5 - ( ) 0.56 g( ,  
 30.3%) . TLC  
 , 4 .

9

2.4 g(10.9 mmol) , 40 45 2 - - 4,5 - ( )  
 ) 3.68 g(20 mmol), 40 ml 15 ml 가 .  
 40 60 2 . 2  
 2 - - 4 - - 5 - ( ) 1.60 g( , 42.5%) .

10

2.56 g(10 mmol) , 40 45 2 - - 4,5 -



( ) 3.68 g(20 mmol), 40 ml 15 ml 가  
 50 60 3  
 2 - - 4 - - 5 - ( ) 1.90 g( , 50%)  
 2

11

N - 3.56 g(20 mmol) , 45 50 2 - - 4,5 - ( )  
 3.68 g(20 mmol), 40 ml 15 ml 가  
 30  
 2 - - 4 - - 5 - ( ) 2.36 g( , 51  
 %)

12

N - 3.56 g(20 mmol) , 45 50 2 - - 4,5 - ( )  
 4.36 g(20 mmol), 80ml 30 ml 가  
 24  
 2 - - 4 - - 5 - ( ) 2.1 g( , 39%)

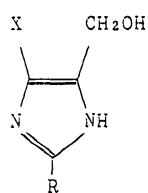
13

N - 2.34 g(17.5 mmol) , 50 2 - - 4,5 - ( )  
 3.26 g(16 mmol), 24 ml 37 ml 가  
 24  
 2 - - 4 - - 5 - ( ) 1.0 g( , 30%)  
 4 - - 5 - ( )  
 ,

(57)

1.

4,5 - ( )  
 4 - - 5 - ( )



, R , , , X .

2.

1 N - .

3.

1 ,

4.

1 , .