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(KR)
(B1)

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C07C 211/17
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(11) 10 - 0309533
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(21) 10 - 1993 - 0025581
(22) 1993 11 26

(65) 1994 - 0014299
(43) 1994 07 18

(30) 92 - 2/3683 1992 12 01 (CH)

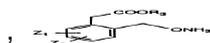
(73)
- 51368

(72)
8180 10
79110 14
4108 15

:

(54)

(I) 2 -
:

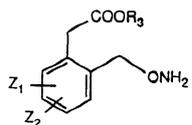


ω

Z₁ Z₂ , , , C₁ - C₄
, C₁ - C₄ , , , , Z₁ Z₂
, R₃ C₁ - C₁₂ .

(1)

(I) 2-
(VI)



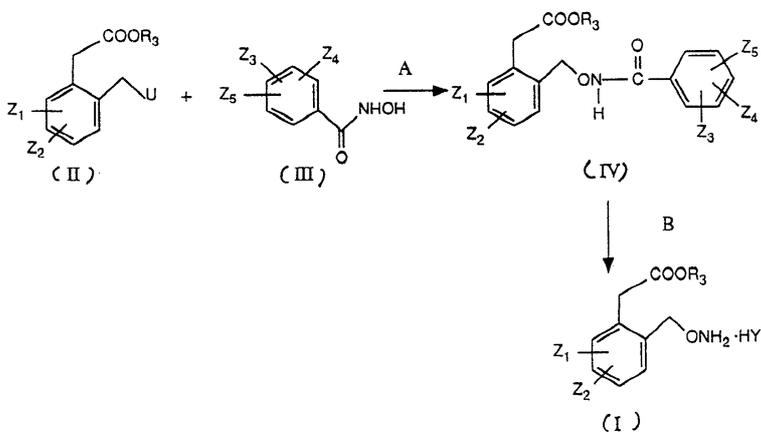
(I)

Z_1 , Z_2 , $C_1 - C_4$, R_3 , $C_1 - C_{12}$, Z_1 , Z_2

HY

Y

(I) 2- (II) (IV) (A) (III) (가) (B) :



, U ,
 R₃, Z₁ Z₂ ,
 Z₃, Z₄ Z₅ , C₁ - C₆ , , C₁ - C
 6 , , N(R₅)₂. SO₂NH₂. . CF₃, , R₅
 / C₁ - C₆ , Z₃ Z₄ .
 C₁ - C₄ , 가 Z₃. Z₄ Z₅ , . 가 . R₃
 U , , 가 , .
 A B , 0
 A 가 , B 가

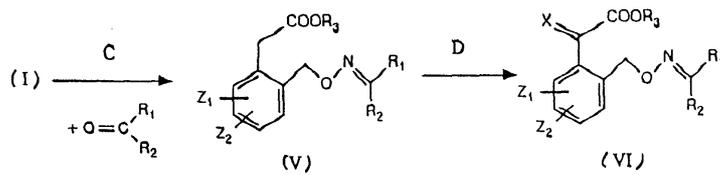
A B , (II)

WO 90/074

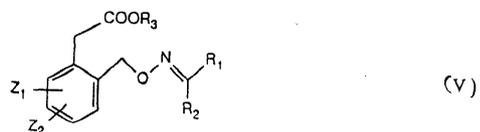
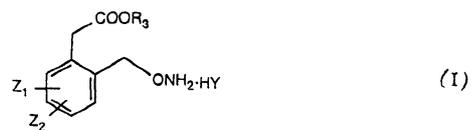
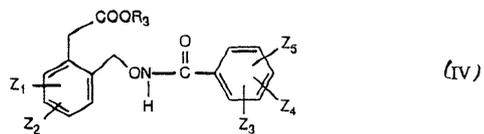
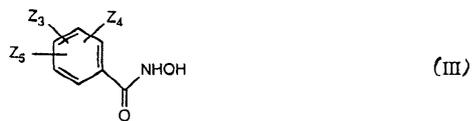
93 , EP - A - 370629 , EP - A - 414 153 , EP - A - 426 460 , EP - A - 460 575 , EP - A - 463 488 , EP - A - 472300 , WO 92/18494 , WO 92/18487 2 - , 2 -

(I) (V)
 (C

D) 가 :



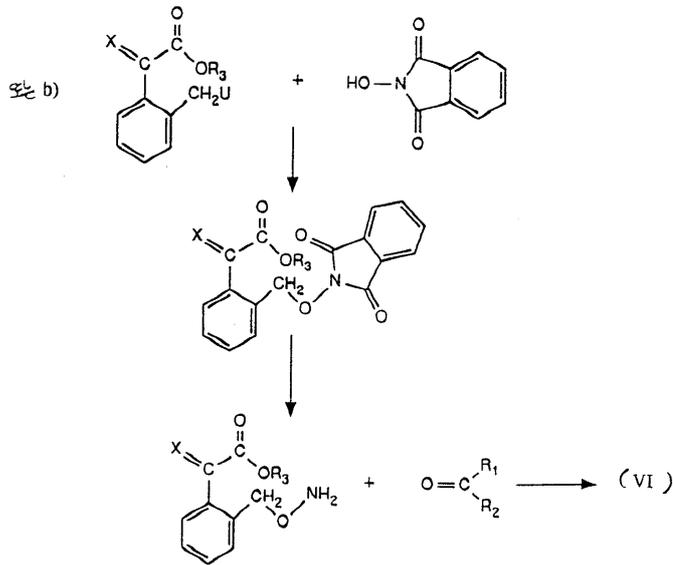
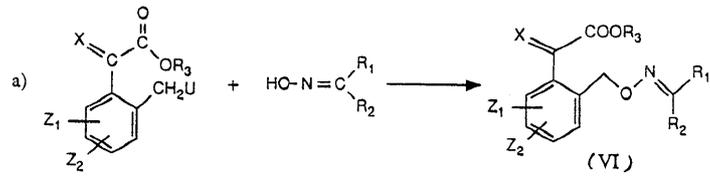
(VI) , X CHO(C₁ - C₄) . NO(C₁ - C₄) , CH₂ , CH₂ ,



, X (1) C₁ - C₄ (V) - O - CH C₁ - C₄ - O - N Y , Z₁ Z₅ R₁ R₃ U

(VI)

(; -).



A, B, C D

A:

(II) (III) (, Z₁ Z₅, R₃ U)

ZnO, Al₂O₃, Ag₂O

NH₃,

NaOCH₃, NaOEt,

t-

, 4 -

가

, 1,2 -

, 1,1 -

, 1,2 -

, n -

, - n -

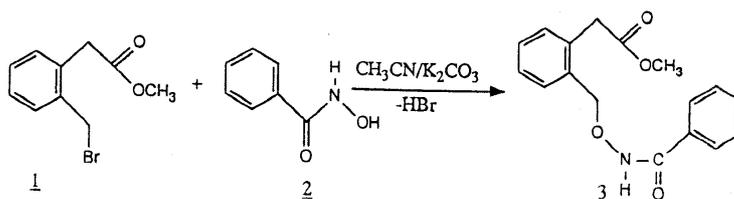
t

D:

a) C (V) (V) () N
 aH, NaNH₂, t- , (, t- , t
 - , -10 +60 , 0 40 .

b) C (V) a) NaOH,
 KOH, NaH, (, t- NaOCH₃)
 , t- , -10 +60 , 0 40 가
 , , a) 가 .

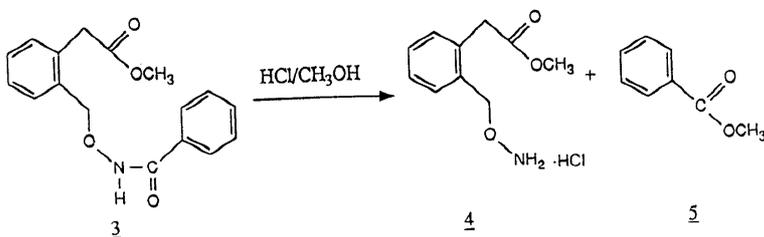
1(A)



102 g(0.74) 2, 111 g(0.80) 1400ml 60
 가 . 0.5 , o- N- 68%
 100ml 162 g o- 1 60 65
 0.5 가 5.5 .

25 ,
 1000ml . 500ml 6%
 300ml 1
 200ml 3 182g

2(B)



1 182 g 3 900 ml 8% 60
 가 . 1 , 500ml 4 5
 35 20 0.5 40 ° 50 500ml 4
 157 ° 158 () 65.5 g(= 62%,
 1)

A B

가 (VI)
 O - N - (NBS)
 A B (60 70%) 4

(VI)

가

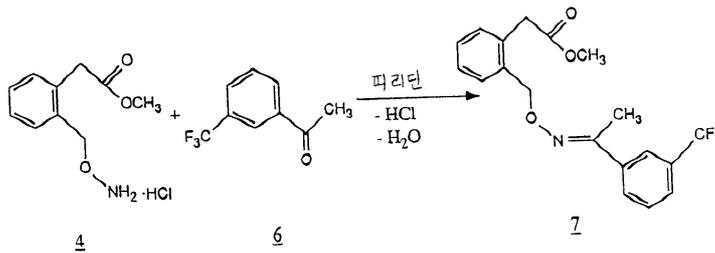
4



2

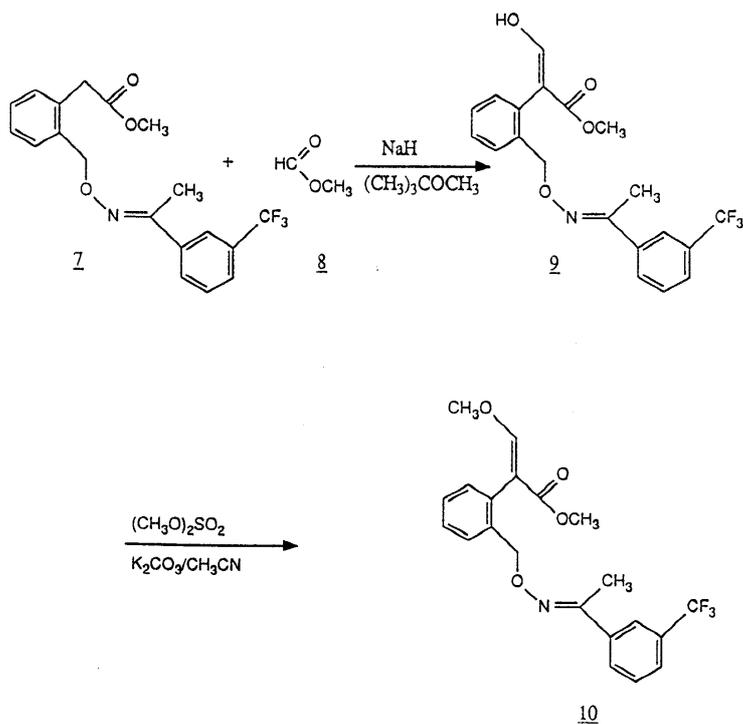
II a)
 (VI)

3:(C)



530 ml 53.1 g(0.282) 3 - 65.4 g(0.282)
 4 20 가 가 2
 600ml pH
 1 2 3 1 10%
 7 101 g (≃ 98%) E/Z (E: 87%; Z: 13%)(NMR)
 : 168 ° 178 /0.1

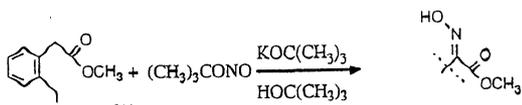
4:(D)



100ml t- 6.7 g(0.28) 가 0.5 ml 가 4
 6.0 g(0.125) 7, 31.3 g(0.52) 150ml t- 2
 9° 35 가 . 30° 35 5 . 가 3
 ° 5 , 2ml, 100ml 가 , 20ml 0
 . 300ml t- 2 , NMR 5% (E/Z)) pH 5
 48.3 g(≙) 98.1% 9 .

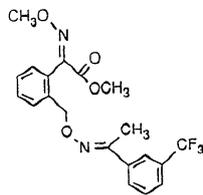
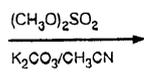
500ml 48.2 g(0.122) 9 , 25.3 g(0.183) 16.4 g
 (0.13) 25 30 가 25 5 .
 . 200ml 1 . 500ml 500ml 1
 , 60 0.13 . NMR 96.5%)
 89% E anti; 8% E syn; 3% Z- 48.0 g(≙)

5(D)



11

12

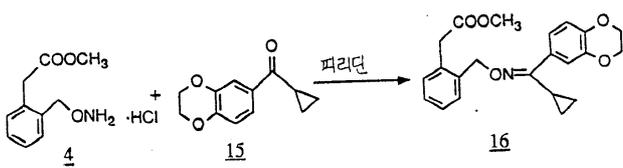


13

5.7 g(15.6) 7, 6.0 g(52.3) t- (90%) 10ml t-
 30 1 15 ml t- 1.8 g(15.6) t- 가
 35 2 가 200ml , 10 10m
 l 1.2 g 가 5.2 g 12 25 ml 가
 8 ml 20 , 141 132 °
 138 1 ml 144 2.4 g
 (≙ 39%)

2.3 g(5.8) 12, 1.6 g(11.6) 25 ml
 0.79 g(6.3) 가 .3 ,
 가 . 2 ,
 / (1:2) 13 67 ° 69

6:(C)



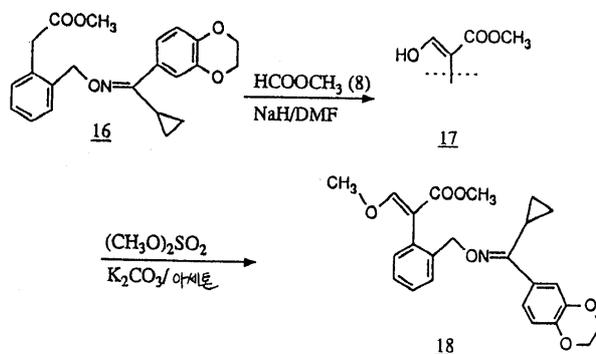
14

15

16

100 38.8 g (1,4 - - 6 -) 15 44.0 g 4 400ml 4
 0.5 1
 MS:381 (M⁺, 12%), 163(100) 32 g 16

7:(D)



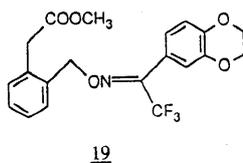
100ml (DMF) 62 ml 39,8 g { [- (- 3,4 -
)] } - o - 가 16 가 300ml 8.0 g
 가 가 가 3 2
 - 3,4 -)] } - o -] - 3 - - 17 2 - [- [(α -

17, 10.4 ml , 15.2 g 350 ml 2

(1:2) 30g [E] - 2 - [- { ((- /n -
 3,4 -)] } - o -] - 3 - 18 -

8:(C)

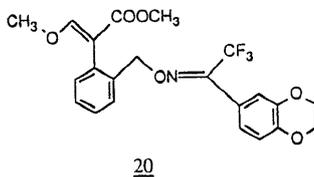
19



6 50.0 g (1,4 - -6 -) 49.8 g
4 420ml 19

9:(D)

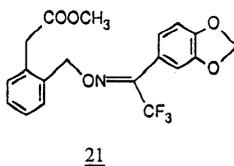
20



7 NaH 가 , 8 19 DMF
/n - (1:1) MS:451(M⁺, 4%), 145(100) 3 -
-2 - [{[(- -3,4 -)] } - o -] 20

10:(C)

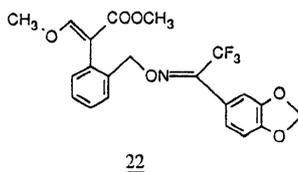
21



6 , 35.0 g (3,4 -) 37.5 g 4
21

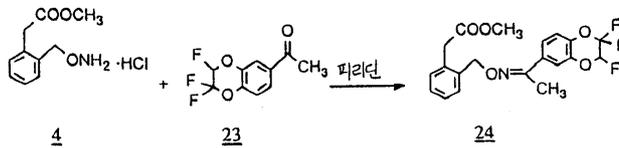
11:(D)

22



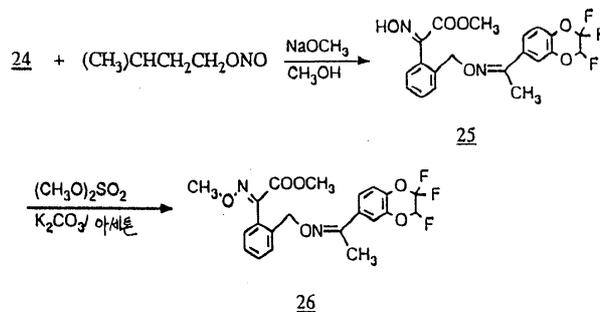
7 21 DMF NaH
 22
 MS: 437 (M⁺, 1%), 145(100) 3- -2- [{(-
 -3,4-)] } - o -] /n- (1:

12:(C)



6 , 80.0 g 23 79.7 g 4
 24

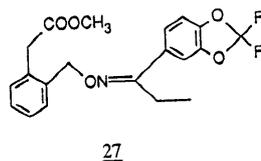
13:(D)



100 ml 12 50.0 g 24 24.0 g 가 34
 ml (30%) 가 .2 , 5 (/n-
 =1:9) /n- 130 132
 26

14:(C)

27

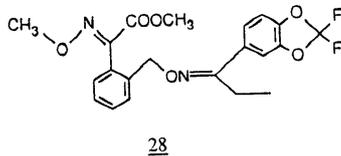


6 , 58,0 g 3,4 -
27

62.7 g 4

15:(D)

28



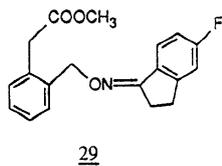
13

27

28 52 55 , $K_2CO_3 /$ 27
1:9) . 28 (/n - =

16:(C)

29

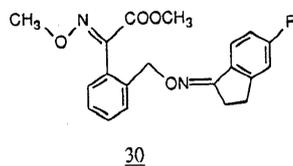


6 , 70.9 g
29

46,0 s 5 - - 1 -

17:(D)

30



13

29

t -

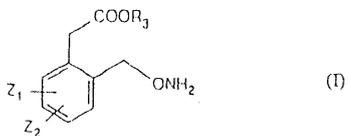
92 30 (/n - = 1:9) 89

(57)

1.

(1) 2-

:



Z₁ Z₂, , C₁ - C₄, R₃, C₁ - C₁₂.

2.

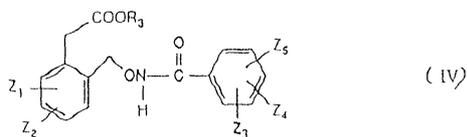
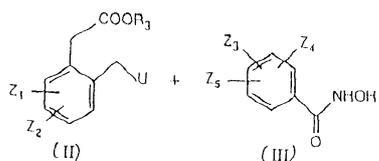
1, R₃, C₁ - C₄.

3.

1, R₃.

4.

o - (II) (IV) (A) (IV) HY (III) 2 - (B)



, U

R₃, Z₁ Z₂ (I)

Z_3, Z_4, Z_5
 6 , , , $N(R_5)_2, SO_2NH_2,$, $C_1 - C_6$, , , $C_1 - C$
 $/$, $CF_3,$, R_5
 $C_1 - C_6$, Z_3, Z_4 .

5.

4 , 가 .

6.

4 , Z_3, Z_4, Z_5 가 , .

7.

6 , $Z_3 = Z_4 = Z_5$ 가 .

8.

4 , U가 (, ,), , , .

9.

4 , U가 .

10.

4 , A가 .

11.

10 , 가 .

12.

5 , A 가 , , , , , , .

13.

12 , 가 .

14.

4 , A 가 0 .

15.

14 , 가 60 65 .

16.

4 , HY가 (,), (,), (,), (,) , , , , , .

17.

16 , HY가 .

18.

5 , B 가 $R_3 - OH$.

19.

4 , B 가 0 .

20.

19 , 가 20 .

21.

A) (II) o - (III) ,

B) (IV) 2 - HY ,

C) (I) 2 - $R_1, - CO - R_2$,

D) (V) $X=C - COOR_3$ (VI)

:

