

(19)
(12)(KR)
(B1)(51) 。 Int. Cl. ⁶
C07D 213/26(45)
(11)
(24)2001 09 17
10 - 0293857
2001 04 09(21) 10 - 1993 - 0021257
(22) 1993 10 14(65) 1994 - 0009155
(43) 1994 05 20

(30) P42 34 637.1 1992 10 14 (DE)

(73)

- 51368

(72)

51061 2

50670 3

51381 3

(74)

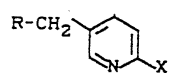
:

(54) 2 - 5 -

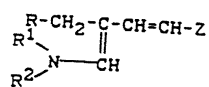
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2 -



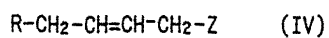
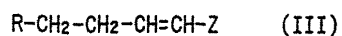
(I)



(II)

, R, X, R¹, R², Z

, () () () -



[]

2 - 5 -

[]

2 -

2 - 5 -

2 -

2 - 5 - (483), (235 725) (1, 189,509)

2 - 5 - 가 - 2 - - 3 - - N -
[4,386,209 , Chichibabin(Tschitschibabin)].

2 - - 5 - ,
[DE - OS() 3 800 179 DE - OS 3 839 332].
6 - (152 949),
, 2 - - 2 - -
(1,215,387 72 777).

108 483 , 3+3
가 162 464 -
, 2 - - 5 - -

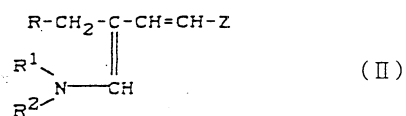
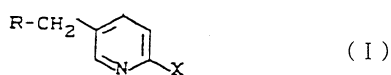
5+1 , , (DMF)
(cyclization) 5 - 2 - - [: J. Org. Chem. 43, 2529 (1978)] 2 - -
[55/76 863 (1980)] 가 , 3 - 5 - 가
(I)

2 - 2 - 5 -

2 - 가 - 가

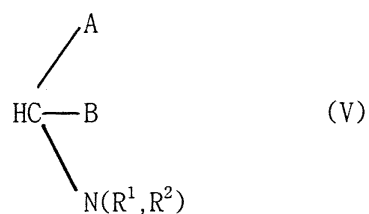
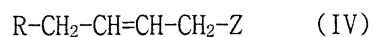
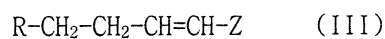
1224] 163] - 가 , [: J. Org. Chem. 46(1981), 3795] 가 , [: Coll. Czech. Chem. Commun. Vol. 35, (1970), : J. Org. Chem. 47 (1982),

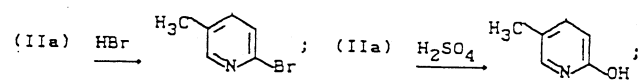
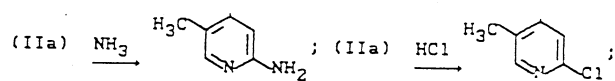
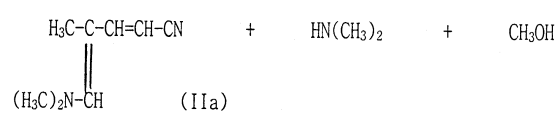
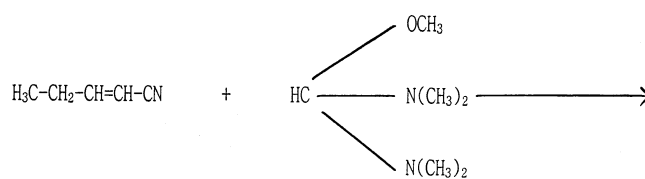
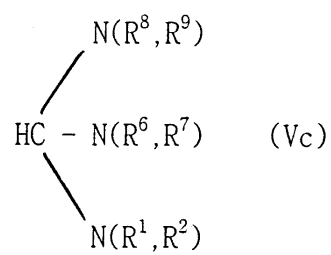
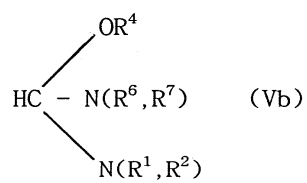
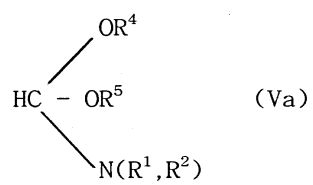
(II) 2 - - 10 +25 , HCl, HBr, (strong oxygen acid) 1 , NH₃ 1 10mol (I) 2 - 5 -



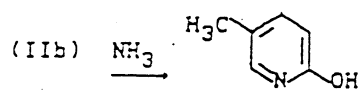
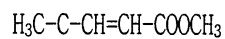
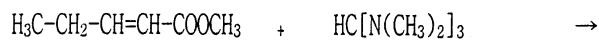
R² , R C₁-C₄ - , X , R¹ C₃-C₈ - , C₆-C₁₂ - , C₇-C₁₀ - , C₂-C₈ - , C₂-C₈ - , C₃-C₈ - , N, O S (, R¹ R² N) , Z CN COOR³ (, R³ C₁-C₈ - , C₂-C₈ - , C₂-C₈ - , C₃-C₈ -)

(V) - (III) (IV) 2 - C-H 5 0 200 0.01 10bar 1 50:1 2 - : - , 2 - 5 -





(Z



DMF

2 - (III) (IV) 가 ;

2 - 가 , 2 - 가 , 2 -

1mol 2 - 1 50mol

50 200 0.01 10bar, 0.1 3bar, 1ba

r , 2 - 가 , 2 -

(,) 2 -

2 - 2 - 2 -

2 - 가 가 -

가

(crude) 2 -

NH₃ , 1 10mol

- 10 25 , 0 10 ,

가 HCl HBr 2 - HCl HBr 가

1.5 5mol X

NH₃ , p - 가

NH₃ 2 - , 가 NH₃ 가

[1]

[1]

80% (2 - - 2 - 1.1%) 98.7% (- 2 -
 50ml 3 가 , 97.3% (DMF) 12.8
 g 가 , 2 가 가 ,
 (balb tube distillation)

(19.7g) 100ml , HCl 11 . 5 1 ,
 가 , pH 7 8 .
 , 2 - - 5 - 98.6% 27.
 6% GC() GC - MS .

^1H - NMR (CDCl_3) : 2.3d(CH_3), 7.22(H^3), 7.48(H^4), 8.62(H^6)ppm.

[2]

- 2 - 23g 1 0.1mol
 100ml . 30% 20ml 가 가 ,
 pH 4 15g . HPLC 2 - 20 - 5 - 100ml
 42.6%

[3]

1m - 3 - 120g 0.3g 100ml
 (head)가 500ml 1 가 ,
 30g 2 가 .
 1 . " " , " "
 12.3% 3.3% . ()

1 HCl/ 2 - - 5 - 38.9% .

[4]

3 , - 2 -
 20ml HBr 30% 300ml 가 , 2 - - 5 -
 43.3% .

[5]

4 , 20ml , , 2 - - 5 -
 .

[6]

1 , - 2 - DMF . HCl/
 2 - - 5 - .

[7]

3, -2- () 3
 43.6% 5 HCl 가 ,

[8]

7 -2- THF , 0 30%
 6 , 2- -5-

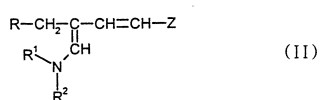
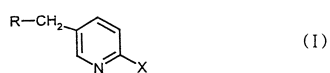
[9]

7 -2- -10 / HCl
 가 GC , 2- -5- ()
 48.7%

(57)

1.

() (II) 2- -10 +25 , 2-
 , HCl, HBr, 1 10mol ,
 , NH₃ 1 10mol (cyclization) , (I) 2- 5-



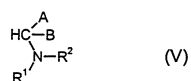
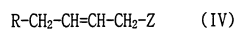
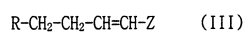
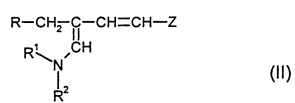
R^2 , R
₃ C₁-C₄- C₁-C₄- , X , , Z CN COOR³ (, R¹ , R)

2.

() 1 , R , R¹ R² 가 (II)
 2- 가

3.

() (III) (IV) 2 - (V) - 가 2 -
 C - H 50 200 0.01 10bar , 1 50:1 2 -
 : - (II) 2 -
 .



- , R C₇ - C₁₀ - , Z CN C₁ - C₄ - , R¹ R²
 B -OR¹, -OR² -NR¹R² (, R¹ R²) COOR³ (, R³) C₁ - C₄ -) , A

4.

() 3 , R (III) (IV) 2 - 가 .

5.

() 3 , R¹ R² 가 (V) - 가 .

6.

() 3 , 2 - , - .

7.

() 3 , .