

(19) (KR)
(12) (B1)

(21) 10 - 1993 - 0021257 (65) 1994 - 0009155
(22) 1993 10 14 (43) 1994 05 20

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

(73)

- 51368

(72) 51061 2

50670 3

51381 3

(74)

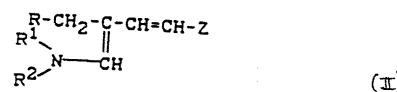
2

(54) 2 - 5 -

()

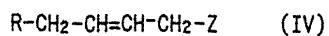
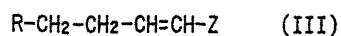
()

2 -



, R, X, R¹, R², Z

, () () () -



[]

2 - 5 -

[]

2 - . 2 - 2 - 5 -

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

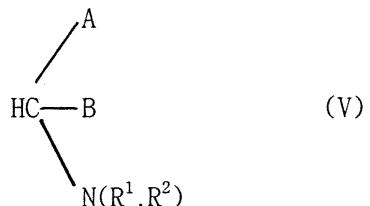
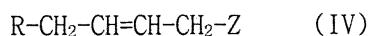
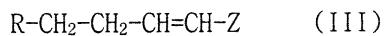
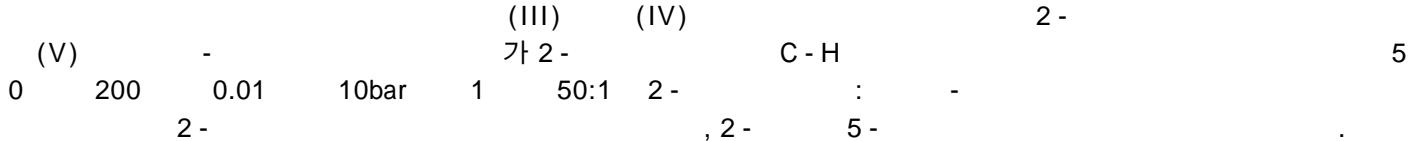
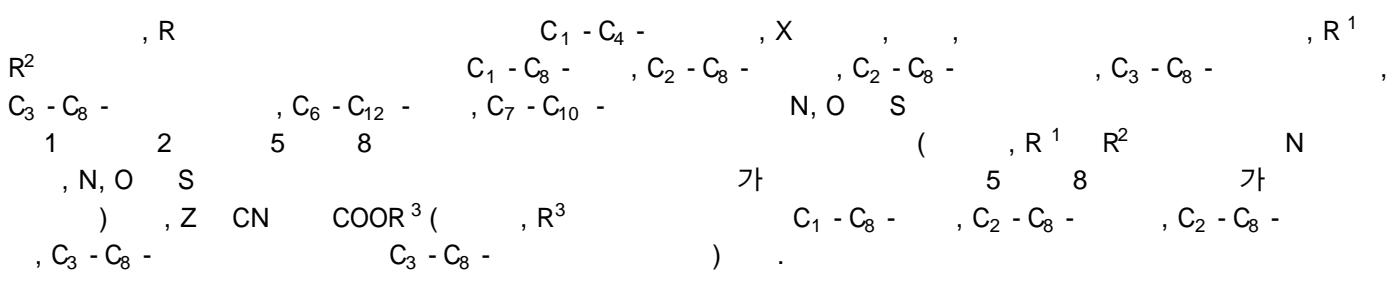
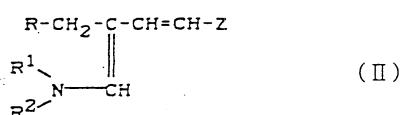
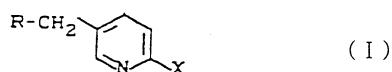
5+1 , , (DMF)
(cyclization) 5 - 2 - - [: J. Org. Chem. 43, 2529 (1978)] 2 - -
[55/76 863 (1980)] 가 , 3 - 5 - 가
(I)

, , 2 - 2 - 5 -

2 - 2 - 가

1224]
163]

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



$$R^9) \left(\begin{array}{c} R, Z, R^1 \\ , R^4, R^5, R^6, R^7, R^8 \\ \end{array} \right) R^9 \quad , \quad A \quad B \quad R^1 \quad R^2 \quad OR^4, OR^5, N(R^6, R^7) \quad N(R^8,) \quad .$$

$C_1 - C_8$ - , , , , , , , , , 3
 $, , C_1 - C_4$ - .

$C_2 - C_8 -$, , , , , , ,
 $, C_3 - C_4 -$.

$$(C_2 - C_8 - \text{CH}_2 - O -) \quad , \quad C_3 - C_9 -$$

$$C_3 - C_8 - \text{, } C_4 - C_9 - \text{, } (\text{, } CH_2 \text{, } O \text{, } 2)$$

$$C_6 - C_{12} = \dots, \quad \dots, \quad \dots, \quad \dots, \quad \dots,$$

$C_7 - C_{10}$ - , , , 1 - , 2 - 가

N, O S 1 2 , 5
 8 N $C_1 - C_4 -$ $C_1 - C_4 -$
 , , , , , , , , , , , , , ,
 , , , , , , .

$C_1 - C_4 -$ γ $C_1 - C_4 -$

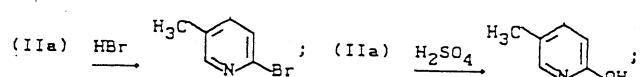
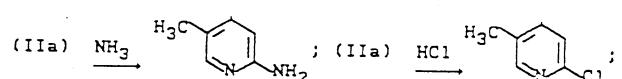
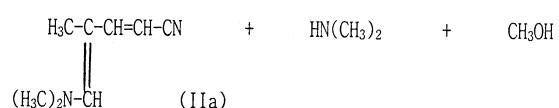
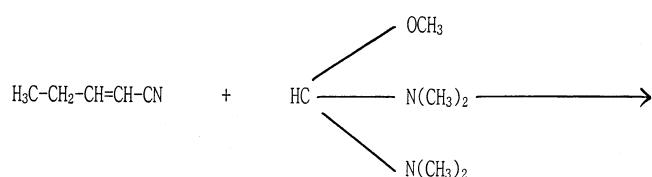
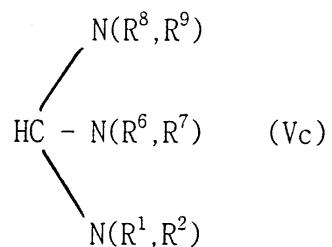
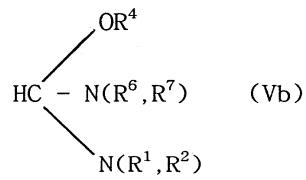
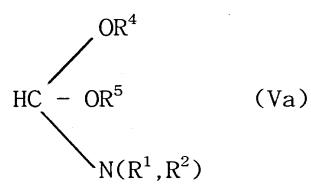
, R¹ R² N , N, O S 가
5 8 . , ,

R

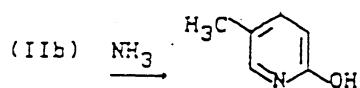
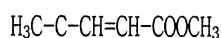
$C_1 - C_8$ - , R^1 R^2 , R^{11} R^{12} , N , N, O
가 5 6 .

, R^{21} R^{22} $C_1 - C_4 - N$, $C_1 - C_4 - R^{21}$ R^{22} $- C_1 - C_4 - R^{11}$ R^{12}

(V_a), (V_b) (V_c) DMF ,



(Z
)



2 -

(III)

(IV)

가

DMF

2 -

가

가

, 2 -

1mol 2 -

1

50mol

2 -

50 200

0.01

10bar,

0.1

3bar,

1ba

2 -

, 2 -

가

(,)

2 -

2 -

2 -

2 -

가

가

가

(crude)

NH₃

2 -

, 1 10mol

- 10

25 ,

0

10

, ,

, ,

, ,

가

가

. HCl HBr

2 -

HCl

HBr

X

. NH₃

X

가

, ,

, ,

NH₃

2 -

, , , , ,

가

, ,

, ,

NH₃

, ,

가

[]

[1]

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

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

¹H - NMR (CDCl₃) : 2.3d(CH₃), 7.22(H³), 7.48(H⁴), 8.62(H⁶) ppm.

[2]

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

[3]

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

[6]

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

[7]

3 , - 2 - () 3

5 HCl 가 ,
43.6%

[8]

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

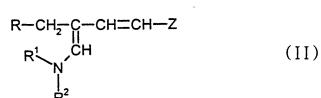
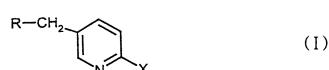
[9]

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

(57)

1.

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



R²
R³ , R C₁ - C₄ - C₁ - C₄ - , X C₇ - C₁₀ - , Z CN COOR³ (, R¹

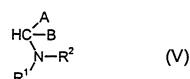
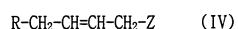
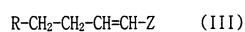
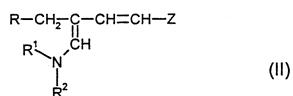
C₁ - C₄ -) .

2.

() 1 , R , R¹ R² 가 (II)
2 -

3.

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



- , R
 B C_7-C_{10} - , Z C_1-C_4 - , R^1 R^2
 - OR^1 , - OR^2 CN COOR³ (, R^3
 - NR^1R^2 (, R^1 R^2) C_1-C_4 - , A

4.

() 3 , R (III) (IV) 2 - 가

5.

() 3 , R^1 R^2 가 (V) - 가

6.

() 3 , 2 - ,

7.

() 3 ,