

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

(51) 。 Int. Cl.<sup>6</sup>  
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(11)  
(24)

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10-0389497  
2003 06 17

(21) 10-1995-0035240

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1996-0014123

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(43)

1996 05 22

(30) 94116223.2 1994 10 14 EP(EP)

(73) 64293 250

(72) 64271 250

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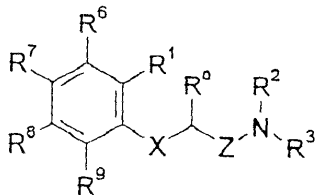
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(74)

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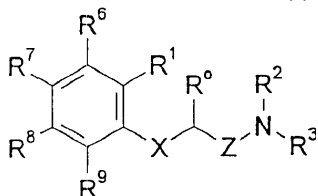
(54) ( )

(I) ( ) :



(I) R<sup>0</sup>, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, X, Z

(I) ( ) 가 :



X, R<sup>0</sup>, R<sup>1</sup>, 1, 3, CH<sub>2</sub>, Z -(CH<sub>2</sub>)<sub>n1</sub> -(CHA)<sub>n2</sub> -(CH<sub>2</sub>)<sub>n3</sub> (n1 0, 1, 2, 3; n2 0, 1; n3 0, 1, 2), R<sup>0</sup>, A, R<sup>1</sup>, A, OA, Ph, OH, F, Cl, Br, CN, CF<sub>3</sub>, COOH, COOA, -CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>NHA, -CH<sub>2</sub>NA<sub>2</sub>, -CH<sub>2</sub>NHAc, -CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, R<sup>0</sup>, R<sup>1</sup>, R<sup>2</sup>, A, Ac, -CH<sub>2</sub>-R<sup>4</sup>, R<sup>3</sup>, -CH<sub>2</sub>-R<sup>4</sup>, -CHA-R<sup>4</sup>, R<sup>4</sup>, Ph, R<sup>5</sup>, 2-, 3-, 4-, A, OA, OH, F, Cl, Br, CN / CF<sub>3</sub>, R<sup>5</sup>, F, CF<sub>3</sub>, A, A / OA, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, H, A, OA, OH, F, Cl, Br, I, CN, CF<sub>3</sub>, NO<sub>2</sub>, NH<sub>2</sub>, NHA, N, A<sub>2</sub>, Ac, Ph, -CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>NHA, -CH<sub>2</sub>NA<sub>2</sub>, -CH<sub>2</sub>NHAc, -C, H<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, R<sup>1</sup>, R<sup>6</sup>, A, 1, 6, Ac, 1, 10, Ph, R<sup>5</sup>, 2-, 3-, 4-

(I) 가 가

(Cossery) [European J. Pharmacol. 140 (1987), 143-155]  
 DOPA 5-HTP (Seyfried)  
 [European J. Pharmacol. 160 (1989), 31-41].  
 (Weeks) (Jones) [Proc. Soc. Exptl. Biol. Med. 104 (1960), 646-648] (Kisslegg);  
 ( )

(D2 ) , 5- (5HTIA )

(I) 가 /

(I) 가

A 1 6, 1 2 , n- , n- , n- , 2 - , 2 3 - .OA , n- , , n- , 2 - , 3 - .NHA , 2 - 3 - .NA

n- , n- , n- N- -N- , - n- ,

Ac -n- 1 6, 1 4 , , o-, m-, p-

X , 1- 2- , Z -CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>3</sub>-, -(CHCH<sub>3</sub>)-, -CH<sub>2</sub>-(CHCH<sub>3</sub>)-, -(CH<sub>2</sub>)<sub>2</sub>-(CHCH<sub>3</sub>)-, -CH<sub>2</sub>-(CHCH<sub>3</sub>)-CH<sub>2</sub>- -(CHCH<sub>3</sub>)-(CH<sub>2</sub>)<sub>2</sub>-

R<sup>0</sup> H , R<sup>0</sup> R<sup>1</sup> 2

R<sup>1</sup> , A, OA, CONH<sub>2</sub> CN .

R<sup>2</sup> H A , R<sup>3</sup> 2-, 3- 4-

R<sup>3</sup> 2-, 3-, 4- , 5- -3- , 5-( )-3- , 5-( )-3- , 4'- -3- , 3- 4-( )-2-

, R<sup>3</sup> 2-, 4-, 5- 6-(m- )-3- , 3-, 4-, 5- 6-(m- )-2- 2- 3-(m- )-4- ( , m -, -, -, - )

R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> R<sup>9</sup> H, A, OA, Cl, CN CF<sub>3</sub> , R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> R<sup>9</sup> 2 , R<sup>6</sup> 4 3 4 가 (I) (Ia) (Ii)

(I) (I) :

(Ia) X , R<sup>0</sup> R<sup>1</sup> -(CH<sub>2</sub>)<sub>2</sub>- , Z , R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> R<sup>9</sup> ;

(Ib) X , R<sup>0</sup> R<sup>1</sup> -(CH<sub>2</sub>)<sub>2</sub>- , Z , R<sup>4</sup> ;

(Ic) X , R<sup>0</sup> R<sup>1</sup> -(CH<sub>2</sub>)<sub>2</sub>- , Z , R<sup>4</sup> 5-(4- )-3- ;

(Id) X , R<sup>0</sup> R<sup>1</sup> , R<sup>4</sup> 5-(4- )-3- ;

(Ie) X , R<sup>0</sup> , Z , R<sup>4</sup> 5-(4- )-3- ;

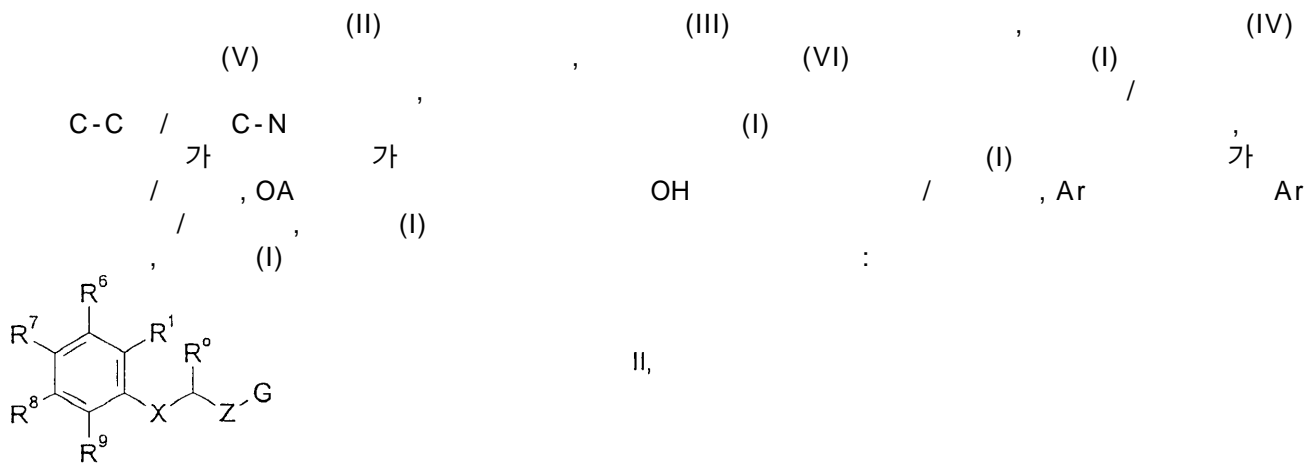
(If) X , R<sup>0</sup> R<sup>1</sup> , Z , R<sup>4</sup> 5-(4- )-3- ;

(Ig) X , R<sup>0</sup> , R<sup>1</sup> , Z , R<sup>4</sup> 4-(4- )-3- ;

(Ih) X , Z , R<sup>4</sup> 5- -3- ;

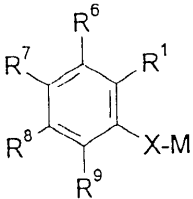
(Ii) X , Z -(CH<sub>2</sub>)<sub>2</sub>-, -(CH<sub>2</sub>)<sub>3</sub>- -(CHCH<sub>3</sub>)- , R<sup>4</sup> 5-(4- )-3-

(Ik) (Iak) (Iik) (I) (Ia) (Ii) X가 ,

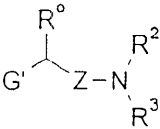


HNR<sup>2</sup>R<sup>3</sup>

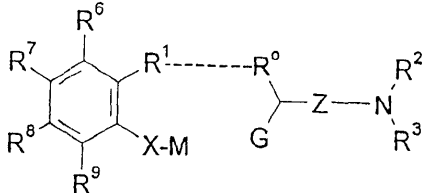
III



IV,



V,



VI

G Cl, Br, I, OH  
 R<sup>0</sup>, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, X Z  
 M H, Li<sup>+</sup>, Na<sup>+</sup>, K<sup>+</sup>, NH<sub>4</sub><sup>+</sup>  
 G' G

OH , ,

(VI) , R<sup>0</sup> R<sup>1</sup> , 1 3  
 (I) ( , - (Houben-Weyl) [Methoden der Organischen Chemie (Methods of Organic Chemistry), Georg-Thieme-Verlag, Stuttgart; Organic Reactions, Kohn Wiley amp; Sons, Inc. New York])

(I)

(II) , G Cl Br , I, OH  
 OH , 1 6 ( , ) 6 10  
 ( , , p- , -1- -2- )  
 (II) (III)

(II) 1

(II)

(II)

(7)

p-



(Angew) [Chem. 92, 129 (1980)]  
 2- -N- -1,2-  
 가  
 LiAlH<sub>4</sub>, NaBH<sub>4</sub>, NaAl(OC  
 H<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>)<sub>2</sub>H<sub>2</sub> 가 BF<sub>3</sub>, AlCl<sub>3</sub>, LiBr 1  
 ,2- , NaBH<sub>4</sub> , THF, -80 +150  
 0 100  
 ( , Z'가 -(CH<sub>2</sub>)<sub>n1</sub> (CHA)<sub>n2</sub> -CO (VI) ) -CO CH<sub>2</sub>  
 0 66 150 250 THF LiAlH<sub>4</sub>  
 - CH<sub>2</sub>  
 n) 3 4 (Huang-Minlo  
 200  
 (Raney) Ni Pd H<sub>2</sub>가  
 Cl, Br, I, SH OH  
 Pd/H<sub>2</sub>가 NH<sub>2</sub>  
 가 가 (I) 가  
 가 (II) (III) , H 가 가 1  
 ( 1 , , p- (I) 가  
 ) 0 10 200 , ,  
 2 ; THF ; ;  
 (I) , R<sup>2</sup>가 (I) -10 (I) 0 70  
 , THF , DMF  
 3 1 2  
 3 (I) Ar (I)  
 Ph가 O- (I)  
 , THF ,  
 150 250  
 (I) 30 150 , 50 150 Ph , THF  
 AlCl<sub>3</sub>, FeBr<sub>3</sub> Fe  
 (Friedel-Crafts-reaction) (I)  
 (I) ,  
 , 2 가

D L

(I) (I)

가

, 2- , 2-

, p-

(I)

(I)

1 , 2 3

(I)

가

(I)

/

.가

( , ),

가

/

가

가

(I)

/

( )

( , )

( )

(I)

가

0.2

500 mg,

0.2

50 mg

mg; kg 50 mg

0.001

0.005 mg)

kg

0.001

10 mg

( )

0.2

1

10

가

가

가

1

250 ml DMF

2.8 g 2-

[3-(2-

)- ( )-

KCN

2

1 g N-

. 100 ml

2.2 g 3-(

)-N-(3-

20 12

)-N-(2-

)-

. 100 ml

0.5

( 163-164 )

2-

3-(

)-5-(4-

)-

( 177-178 )

N-[(5-(4-

)-3-

]-N-(2-

)-

( 177-178 )

2-

3-(

)-5-

)-

( 184 )

N-(5-

-3-

)-N-(2-

)-

( 184 )

2-

3-(

)-

)-

( 162 )

N-3-

-N-(2-

)-

)-

( 162 )

2-

-6-

3-(

)-5-(4-

( 222-224 )

N-[5-(4-

)-3-

]-N-(6-

-2-

( 222-224 )

2-

3-(

)-5-(4-

)-

( 222-224 )

N-[5-(4- )-3- ]-N-(2- - )- , ( 182-183 );  
 2- - 3-( )-  
 N-3- -N-(2- - )- , ( 174-175 );  
 2- - 3-( )-4'-  
 N-(4'- -3- )-N-(2- - )- , ( 183-184 );  
 2- -8- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(8- -2- )- ]- , ( 160-165 );  
 2- -7- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(7- -2- )- ]- , ( 170.5-172 );  
 2- -6- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(6- -2- )- ]- , ;  
 2- -5- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(5- -2- )- ]- , ( 181-183 );  
 2- -6- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(8- -2- )- ]- , ;  
 2- -2,3,4,5- -1- 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[2-(2,3,4,5- -1- )- ]- ,  
 ( 194-195 );  
 2- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-(2- )- , ( 160 );  
 3- -2,3,4,5- -1- 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-3-(2,3,4,5- -1- )- , ( 1  
 79-180 );  
 2- -8- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(8- -2- )- ]- , ( 173 );  
 2- -8- - 3-( )-4'-  
 N-(4'- -3- )-N-[(8- -2- )- ]- , ( 176 );  
 2- -6- - 3-( )-5-(4- )-  
 N-[5-(4- )-3- ]-N-[(6- -2- )- ]- , ( 169-170 );  
 2- - 3-(2- )-  
 N-[3-(2- )- ]-N-2- - - , ( 201 );  
 2- - 3-(3- )-  
 N-[3-(3- )- ]-N-2- - - , ( 120 );  
 2- -8- - 3-(3- )-  
 N-[3-(3- )- ]-N-[(8- -2- )- ]- , ( 85 );  
 2- -8- - 3-(2- )-  
 N-[3-(2- )- ]-N-[(8- -2- )- ]- , ( 167 ).  
 ( 0.1 n HCl )  
 :  
 2- - 3-( )-4'- -  
 N-(4'- -3- )-N-2- - - , ( 206-207 );  
 2- - 3-( )-4'- -  
 N-(4'- -3- )-N-2- - - , ( 191-192 );  
 2- - 3-( )-4'- -  
 N-(4'- -3- )-N-2- - - , ( 181-182 );  
 2- - 3-( )-3'- -  
 N-(3'- -3- )-N-2- - - , ( 161-162 );  
 2- -8- - 3-( )-4'- -  
 N-(3'- -3- )-N-[(8- -2- )- ]- , ( 2  
 06-207 );  
 2- -8- - 3-( )-3'- -  
 N-(3'- -3- )-N-[(8- -2- )- ]- , ( 20  
 6 );  
 2- -8- - 3-( )-4'- -  
 N-(4'- -3- )-N-[(8- -2- )- ]- , ( 188-189 );  
 2- -8- - 3-( )-4'- -  
 N-(4'- -3- )-N-[(8- -2- )- ]- , ( 186-187 );  
 2- -8- - 3-( )-  
 N-(3- )-N-[(8- -2- )- ]- , ( 211-212 );



2- -6- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(6- - -2- )- ]- , ;  
2- -7- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(7- - -2- )- ]- , ;  
2- -8- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(8- - -2- )- ]- , ;  
2- -6- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(6- - -2- )- ]- ( 78-110 );  
2- -7- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(7- - -2- )- ]- , ;  
2- -8- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(8- - -2- )- ]- , ;  
2- -6- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(6- - -2- )- ]- , ;  
2- -5- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(5- - -2- )- ]- , ;  
2- -5- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(5- - -2- )- ]- , ;  
2- -6- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(6- - -2- )- ]- , ;  
2- - 3-( )-5-(3,4- )-  
N-[5-(3,4- )-3- ]-N-[(2- - )- ]- , ( 175-177 );  
2- - 3- -  
N-(3- - )-N-(2- - )- , ( 150-152 );  
2- - 2-( )-4- -  
N-(4- -2- )-N-(2- - )- , ( 156-158 );  
2- -6- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[2-(6- - )- ]- , ;  
2- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(2- - )- ]- , ( 147 );  
2- -7- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(7- - -2- )- ]- , ;  
2- -8- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(8- - -2- )- ]- , ;  
2- -6- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(6- - -2- )- ]- , ;  
2- -8- - 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(8- - -2- )- ]- , .

2  
1 2- -2,3- 3-( )-5-(4- )-  
, N-[5-(4- )-3- ]-N-[(2,3- -2- )- ]-  
( 178-180 ) .

:  
2- -2,3- 3-( )-5-(4- )-  
N-[5-(4- )-3- ]-N-[(2,3- - -2- )- ]- , ;  
2- -2,3- 3-( )-5-(3,4- )-  
N-[5-(3,4- )-3- ]-N-[(2,3- - -2- )- ]- , ;  
2- -2,3- 3-( )-5-(2,4- )-  
N-[5-(2,4- )-3- ]-N-[(2,3- - -2- )- ]- , ;  
2- -2,3- 3-( )-5-(3,4,5- )-  
N-[5-(3,4,5- )-3- ]-N-[(2,3- - -2- )- ]- , ;  
2- -2,3- 3-( )-5-(2,3,4,5,6- )-  
N-[5-(2,3,4,5,6- )-3- ]-N-[(2,3- - -2- )- ]- ,

3  
50 ml 2.2 g 3- - , 5.6 g N-(2- )-N-[5-  
(4- )-3- ]- ('A')[ 5-(4- )-3- - ]  
, 1,2- ]  
50 , 5 , .

N-[2-(3- )- ]-N-[5-(4- )-3- ]- . 100 ml 0.  
 5 ( 152-154 ).

2,4- 'A'  
 N-[2-(2,4- )- ]-N-[5-(4- )-3- - ]- , ( 148-150 );  
 3- 'A'

N-[2-(3- )- ]-N-[5-(4- )-3- ]- , ( 122-124 );  
 4- 'A'

N-[2-(4- )- ]-N-[5-(4- )-3- ]- , ( 94-96 );  
 3- 'A'

N-[2-(3- )- ]-N-[5-(4- )-3- ]- , ( 150-152 );  
 2- 'A'

N-[2-(2- )- ]-N-[5-(4- )-3- ]- , ( 153-155 );  
 2- 'A'

N-[2-(2- )- ]-N-[5-(4- )-3- ]- , ( 134-136 );  
 4- 'A'

N-[2-(4- )- ]-N-[5-(4- )-3- ]- , ( 163-164 );  
 2- 'A'

N-[2-(2- )- ]-N-[5-(4- )-3- ]- , ( 128-130 );  
 3- 'A'

N-[2-(3- )- ]-N-[5-(4- )-3- ]- , ( 245 );  
 4- 'A'

N-[2-(4- )- ]-N-[5-(4- )-3- ]- , ( 250 );

N-(3- - )-  
 N-(2- )-N-(3- - )- , ( 166-168 );  
 'A'

N-(2- - )-N-[5-(4- )-3- ]- ( 84-86 ).  
 4

1 2- -6- - 3-( )-5-(4- )-  
 , N-[5-(4- )-3- ]-N-[(6- -2- )- ]- .  
 ( 205-206 ).

5  
 1 2- - 3-( )-5-(4- )- , N-[5-  
 (4- )-3- ]-N-(2- - )- .  
 ( 210-213 ).

6  
 200 ml 1.8 g 3- - [3- - ] 1.6 g  
 2- [ - ] 8  
 , N-(3- )-N-2- - . 100  
 ml 0.5 ( 178-180 ).

3- -4'- - 2- -  
 N-(4'- -3- )-N-2- - , ( 194-196 );  
 3- -2',4'- - 2- -

N-(2',4'- -3- )-N-2- - ;  
 3- -5- 2- -

N-(5- -3- )-N-2- - ( 77-79 );  
 2- -4-(3- )- 2- -

N-[4-(3- )-2- ]-N-2- - ( 96-98 );  
 2- -4- - 2- -

N-(4- -2- )-N-2- - ;  
 2- -4- - 2- -

N-(4- -2- )-N-2- - ;  
 2- -4- - 2- -

N-(4- -2- )-N-2- - ;  
 2- -4- - 2- -

N-(4- -2- )-N-2- - ;  
 3- -4'- - 2- -(3- - )-  
 N-(4'- -3- )-N-2-(3- - )- , ( 158-160 );

3- (2- )-  
 N-(3- )-N-2-(2- )- ( 72-74 );  
 3- (2- )-  
 N-(3- )-N-(2- )- ( 146-148 );  
 3- -5-(4- )- 2- -(2- )-  
 N-[5-(4- -3- )]-N-2-(2- )- ( 134-136 );  
 3- - 2- -(2- )-  
 N-(3- )-N-2-(2- )- ( 88-90 ).

7  
 200 ml 1.2 g 2- - 2.5 g N-2- -N-(5- -3-  
 )- [2- - 3- -5- - , PCI<sub>3</sub>  
 2- - ]  
 N-[2-(2- )- ]-N-(5- -3- )- . 100 ml  
 0.5 ( 208 ).

2- - N-2- -N-(5- -3- )-  
 N-[2-(2- )- ]-N-(5- -3- )- ;  
 2- - N-2- -N-(5- -3- )-  
 N-[2-(2- )- ]-N-(5- -3- )- ;  
 4- - N-2- -N-(5- -3- )-  
 N-[2-(4- )- ]-N-(5- -3- )- ;  
 4- - N-2- -N-(5- -3- )-  
 N-[2-(4- )- ]-N-(5- -3- )- ;  
 3- - N-2- -N-(5- -3- )-  
 N-[2-(3- )- ]-N-(5- -3- )- ;  
 4- - N-2- -N-(5- -3- )-  
 N-[2-(4- )- ]-N-(5- -3- )- ;  
 2- - N-2- -N-(5- -3- )-  
 N-[2-(2- )- ]-N-(5- -3- )- ;  
 2- - N-2- -N-(5- -3- )-  
 N-[2-(2- )- ]-N-(5- -3- )- ;  
 4- - N-2- -N-(5- -3- )-  
 N-[2-(4- )- ]-N-(5- -3- )- ;  
 3- - N-2- -N-(5- -3- )-  
 N-[2-(3- )- ]-N-(5- -3- )- ;  
 4- - N-2- -N-(5- -3- )-  
 N-[2-(4- )- ]-N-(5- -3- )- .

8  
 3.1 g N-[2-(2- )- ]-N-(5- -3- )- , 3 g NaOH, 50 ml 40 ml  
 140 3  
 , N-[2-(2- )- ]-N-(5- -3- )- . 100  
 ml 0.5 ( 230 ).

9  
 8 , N-[2-(4- )- ]-N-(5- -3- )- N-[2-(4- )- ]-N-(5- -3- )-  
 가

10  
 8 N-[2-(4- )- ]-N-(5- -3- )- - 16  
 , N-[2-(4- )- ]-N-(5- -3- )-

11  
 8 N-[2-(4- )- ]-N-(5- -3- )- - 16  
 , N-[2-(4- )- ]-N-(5- -3- )-

12  
 7 , 200 ml 2.3 g 2.5 g N-3- -N-[5-  
 -(4- )-3- ]- [3- 3- -5-(4- )- ]  
 , PCI<sub>3</sub> 3- -  
 5 , N-(3- - )-N-[5-(4- )-3- ]  
 ]- . 100 ml / 0.5 -

( 217 ).

:

N-(4- - )-N-[5-(4- -N-(5-(4- -)-3- )-3- ]- , ( 143 );

N-(2- - )-N-[5-(4- -N-(5-(4- -)-3- )-3- ]- , ( 123-125 );

N-(2- - )-N-[5-(4- -N-(5-(4- -)-3- )-3- ]- , ( 230 );

N-(4- - )-N-(5- -3- -N-(5- -3- -)- )- ;

N-(3- - )-N-(5- -3- -N-(5- -3- -)- )- ;

N-(2- - )-N-(5- -3- -N-(5- -3- -)- )- .

13  
7

:

2- - N-2- -N-(5- -3- -)- )- ;

N-[2-(2- - )- ]-N-(5- -3- -)- )- ;

2- - N-2- -N-(5- -3- -)- )- ;

N-[2-(2- - )- ]-N-(5- -3- -)- )- ;

4- - N-2- -N-(5- -3- -)- )- ;

N-[2-(4- - )- ]-N-(5- -3- -)- )- ;

4- - N-2- -N-(5- -3- -)- )- ;

N-[2-(4- - )- ]-N-(5- -3- -)- )- ;

3- - N-2- -N-(5- -3- -)- )- ;

N-[2-(3- - )- ]-N-(5- -3- -)- )- ;

4- - N-2- -N-(5- -3- -)- )- ;

N-[2-(4- - )- ]-N-(5- -3- -)- )- ;

2- - N-2- -N-(5- -3- -)- )- ;

N-[2-(2- - )- ]-N-(5- -3- -)- )- ;

2- - N-2- -N-(5- -3- -)- )- ;

N-[2-(2- - )- ]-N-(5- -3- -)- )- ;

4- - N-2- -N-(5- -3- -)- )- ;

N-[2-(4- - )- ]-N-(5- -3- -)- )- ;

3- - N-2- -N-(5- -3- -)- )- ;

N-[2-(3- - )- ]-N-(5- -3- -)- )- ;

4- - N-2- -N-(5- -3- -)- )- ;

N-[2-(4- - )- ]-N-(5- -3- -)- )- .

14

125 ml 2.8 g N-[2-(2- - )- ]-N-[5-(4- -)-3- ]- ]- 3 [ 3 ] 1 3- -5-(4- -)- )- 40 6  
, N-[2-(2- - )- ]-N,N- -[5-(4- -)-3- ]- ]- ( 90-92 ).

3- -5-(4- -)- )- :

N-(4- - )-N-(5- -3- -)- )- ;

N-(4- - )-N-(5- -3- -)-N-[5-(4- -)-3- ]- ;

N-(2- - )-N-(5- -3- -)- )- ;

N-(2- - )-N-(5- -3- -)-N-[5-(4- -)-3- ]- ;

N-(2- - )-N-(5- -3- -)- )- ;

N-(2- - )-N-(5- -3- -)-N-[5-(4- -)-3- ]- ;

N-(4- - )-N-(5- -3- -)- )- ;

N-(4- - )-N-(5- -3- -)-N-[5-(4- -)-3- ]- .

15

7 200 ml 2.3 g 1- 2.9 g N-2-  
-N-[5-(4- -)-3- -N-(5- -3- -)- )- [2- 3- -5-(4- -)- )- ]-  
5 , PCI 3 2- - N-[2-(1- - )- ]-N-[5-(4- -)-3- ]- )-3  
]- ( 92-94 ).

N-2- -N-[5-(4- -3- )-  
 N-[2-(2- )- ]-N-[5-(4- )-3- ]- ( 128-130 );  
 N-2- -N-[5-(2,4- -3- )-  
 N-[2-(2- )- ]-N-[5-(2,4- )-3- ]- .

16  
 100 ml THF 2.1 g N-(2- - )-N-[5-(4- - )-3- ]- 3  
 2 ml N-(2- - )-N-[5-(  
 4- )-3- ]-N- , ( 159-161 ).  
 2 :  
 N-[5-(4- )-3- ]-N-(2- - )-N- ( 71 );  
 N-3- -N-(2- - )-N- .

17  
 1 N-[5-(4- )-3- ]- 1- -3-  
 , N-[5-(4- )-3- ]-N-(3- )- ( 50 ).

18  
 3 N-(2- -N-3-(2- )-  
 N-[3-(2- )- ]-N-[2-( )- ]- , ( 170 ) , N  
 -(2- )-N-3-(3- )- N-[3-(3- )- ]-N-[2-( )  
 - ]- , ( 123-125 ) .

19  
 190 ml 4.5 g 2- [3-(2- - )- KCN ,  
 2- ] 3.9 g 15 가 .  
 5 (R)-2- 가 .  
 18 5 (R)-2- , 99%  
 , 1 (R)-2- - 3-( )-5-(4- )-  
 , (R)-(-)-2-[5-(4- )-3- ]- [= (R)-(-)-1N-[5-(4-  
 )-3- ]-N-(2- - )- ] .0.1 ml  
 ( 234-235 ); [a<sup>20</sup>]=-65° (c=1, ).  
 (S)-2- - 3-( )-5-(4- )- (S)-(+)-2-[5-  
 (4- )-3- ]- [= (S)-(+)-1N-[5-(4- )-3- ]-N-(2-  
 - )- ] 0.1 n ( )  
 227-228 ), [a<sup>20</sup>]=+62° (c=1, ).  
 (S)-2- -8- - 3-( )-5-(4- - )- (S)  
 -(+)-2-[5-(4- )-3- ]-8- - [= (S)-(+)-1N-[5-(4- )  
 -3- ]-N-[2-(8- - )- ]- ] .0.1 n  
 ( 214-215 ).  
 (R)-2- -8- - 3-( )-5-(4- - )- , (R)  
 -(-)-2-[5-(4- )-3- ]-8- - [= (R)-(-)-1N-[5-(4-  
 )-3- ]-N-[2-(8- - )- ]- ] .0.1 n  
 ( 214 ).

20  
 5g (R)-2- [2- - (+)- ,  
 (Chiracel) OJ™ ) , , HPLC ( )  
 , (R)-2- ] , THF (Vitride™) LiAlH<sub>4</sub>  
 , (R)-(-)-2-[5- -3- - ]- [= (R)-(-)-1N-(5- -3- )-  
 N-(2- - )- ] .0.1 n ( )  
 243-244 ).  
 (S)-2- - 3-( )-5- - , (S)-(+)-2-(5- -3-  
 - )- [= (S)-(+)-1N-(5- -3- )-N-(2- - )- ] .  
 0.1 n ( 244-245 ).  
 (S)-2- -8- - 3-( )-4'- - , (S)-(+)-2-  
 [4'- -3- - ]-8- - [= (s)-(+)-1N-[4'- -3- - ]-N-[2-(8-  
 - )- ]- ] .0.1 n  
 ( 189-190 ); [a<sup>20</sup>]=+74° (c=1, ).  
 (R)-2- -8- - 3-( )-4'- - , (R)-(-)-2-  
 [4'- -3- - ]-8- - [= (R)-(-)-1N-[4'- -3- - ]- ]

N-[2-(8- )- ]- . 0.1 n  
 ( 189-190 ); [a<sup>20</sup>]=-74.3 (c=1, ).

A :  
 3 2 100 g (l) 5 g 2N pH 6.5  
 , 5 mg

B :  
 20 g (l) 100 g 1400 g  
 , 20 mg

C :  
 1 g (l) , 9.38 g NaH<sub>2</sub>PO<sub>4</sub> · 2H<sub>2</sub>O, 28.48 g NaH<sub>2</sub>PO<sub>4</sub> · 12H<sub>2</sub>O 0.1 g  
 940 ml 2 pH 6.8 1

D :  
 500 mg (l) 99.5 g

E :  
 100 g (l) , 1 kg , 600 g , 600 g , 100 g  
 , 80 g 10 g 가

F :  
 E 가 , , ,

G :  
 (l) , 5 mg

H :  
 14 g (l) 10 NaCl , 가  
 가 ( 0.1 ml) 0.14 mg

(57)

1.  
 2-[5-(4- )-3- - ]- 가 .

2.  
 1 , 가 .

(a) 2-[5-(4- )-3- - ]- ,  
 (b) 2-[5-(4- )-3- - ]- .

3.  
 1 , (R)- 가 .

4.  
 1 , (S)- 가 .

5.  
 1 4 , ,

6.  
 3-( )-5-(4- )- 2- 가 2-[5-(4- )-3- - ]- .

7.  
 3-( )-5-(4- )- (R)-2- - (R)-(-)-  
 2-[5-(4- )-3- - ]- 가 .

8.  
 3-( )-5-(4- )- (S)-2- - (S)-(+)-  
 2-[5-(4- )-3- - ]- 가 .

5 9.

, , .