

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

(51) 。 Int. Cl. ⁶
C07J 9/00 (45) 2001 11 30
(11) 10 - 0305739
(24) 2001 08 01

(21) 10 - 1995 - 0013868 (65) 1996 - 0000916
(22) 1995 05 30 (43) 1996 01 25

(30) P4420501.5 1994 06 13 (DE)

(73)

00144 47

(72)

, 20060, 7

, 20133, 4

, 21100, 가 57

, 20147, 99

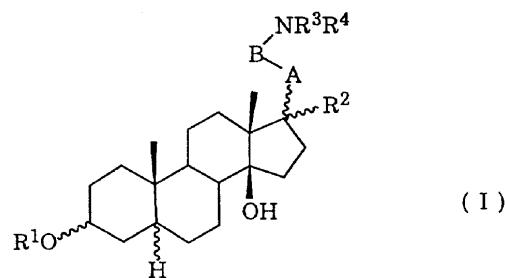
, 20091, 1

(74)

14 -

(54) -

- , 17 - - , 17 - - , 17 - - , 17 - (1) 17 -
- , 17 - - , 17 - - , 17 - - , 17 - - , 17 - 17 -
- 14 -



~~~

,

A  $\leftarrow \text{CH}_2 \rightarrow \text{m}$ ,  $\leftarrow \text{CH} = \text{CH} \rightarrow \text{n}$        $\leftarrow \text{CH} = \text{CCH}_3 \rightarrow \text{n}$  ,      m 0 4 , n 0 2 ;  
 B       $\text{CHR}^5$  ,      n=0      B      m 0      R<sup>2</sup> ;  
 R<sup>5</sup>      ; R<sup>1</sup>      , NR<sup>6</sup>R<sup>7</sup>      NHC(=NH)NH<sub>2</sub>      C<sub>2</sub> ~ C<sub>4</sub> ;  
 NR<sup>6</sup>R<sup>7</sup>      C<sub>2</sub> ~ C<sub>4</sub> ;      R<sup>6</sup>      R<sup>7</sup> ; R<sup>6</sup>      R<sup>7</sup> ; C<sub>2</sub> ~ C<sub>4</sub> ;  
 , NR<sup>6</sup>R<sup>7</sup>      ; R<sup>3</sup>      5      6      ; R<sup>2</sup> ; R<sup>8</sup> ;  
 , NR<sup>6</sup>R<sup>7</sup>      ; R<sup>3</sup>      C<sub>2</sub> ~ C<sub>4</sub>      NHC(=NR<sup>8</sup>)NR<sup>9</sup>R<sup>10</sup>      OR<sup>1</sup> ; R<sup>9</sup>      R<sup>10</sup> ;  
 , NR<sup>6</sup>R<sup>7</sup>      ; R<sup>3</sup>      5 , 6      7      C<sub>2</sub> ~ C<sub>4</sub> ; R<sup>8</sup>, R<sup>9</sup>      R<sup>10</sup> ;

[ ]

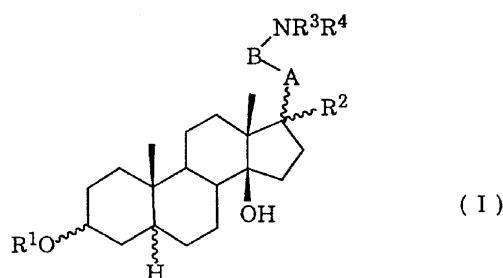
- 14 -

[ ]

|          |          |          |          |
|----------|----------|----------|----------|
| - , 17 - | - , 17 - | 17 -     | - , 17 - |
| - , 17 - | - , 17 - | - , 17 - | - , 17 - |
| - 14 -   | - 17 -   | 17 -     | - 17 -   |

17 - - 5 - - 3 ,14 - 17 - - 5 - -  
 - 3 ,14 - Na<sup>+</sup>, K<sup>+</sup> - ATPase (intropic agents)  
 [Gelbart A. and Thomas R., J. Med. Chem., 1978, 21, 283; Schonfeld W. and Repke K., Qu  
 ant. Struct. - Act. Relat., 1988, 7, 160]. 17 - - 5 ,14 -  
 ( 4,227,605 ), - 5 ,14 - ( 4,227,626 ), 17  
 - - 17 - - 14 - - 5 - ( 4,344,236 ) Na  
<sup>+</sup>, K<sup>+</sup> - ATPase N - 17 - - 14 -  
 - 5 - ( Templeton J.F. et al., J. Med. Chem., 1993, 36, 42; Templeton J.F. et al., J. C  
 hem. Soc. Perkin Trans., 1992, 2503; Beard N.A. et al., Br. J. Pharmacol., 1975, 54, 65; Boutagy J. and T  
 homas R., Aust. J. Pharm. Sci., 1973, [NS]2, 9; US 5,144,017 - A] N - 17 - - 14 -  
 - 5 - [Schonfeld W. et al., Biochem. Pharmacol. 1986, 35, 3221; DD 235, 649 - A1)가

(I)



A -CH<sub>2</sub>- , -CH = CH- , -CH = CCH<sub>3</sub>- , m 0 4 , n 0 2 ;  
 B CHR<sup>5</sup> , n=0 B , m 0 R<sup>2</sup> ;  
 R<sup>5</sup> ; R<sup>1</sup> , NR<sup>6</sup>R<sup>7</sup> NHC(=NH)NH<sub>2</sub> C<sub>2</sub>~C<sub>4</sub> ; R<sup>6</sup> R<sup>7</sup> C<sub>2</sub>~C<sub>4</sub> ; R<sup>2</sup>  
 NR<sup>6</sup>R<sup>7</sup> , C<sub>2</sub>~C<sub>4</sub> R<sup>6</sup> R<sup>7</sup> R<sup>2</sup>  
 ; R<sup>3</sup> ; R<sup>4</sup> NHC(=NR<sup>8</sup>)NR<sup>9</sup>R<sup>10</sup> OR<sup>1</sup> ; R<sup>8</sup>  
 , NR<sup>6</sup>R<sup>7</sup> C<sub>2</sub>~C<sub>4</sub> ; R<sup>9</sup> R<sup>10</sup>  
 , NR<sup>6</sup>R<sup>7</sup> C<sub>2</sub>~C<sub>4</sub> ; R<sup>8</sup>, R<sup>9</sup> R<sup>10</sup>  
 5 , 6 7

(I) (tautomer), 가 (I)

가

(1) (hydrate)

R<sup>1</sup> , 2 - , 3 - , 4 - , 2 - , 3 - , 4 - , 2 - , 3 - , 4 - (1 - ) , 3 - (1 - ) , 4 - (1 - ) , 2 - [2 - (1 - )] .

NR<sup>8</sup> , , , , i - , .

$$\begin{aligned}
& \mathbf{NR^9 R^{10}} \\
& , -1 - , 4 - , -1 - , 4 - (2 - ) , 1 - , 2 - , \\
& , 2 - , (2 - ) , (2 - ) , (3 - ) , 2 - (1 - ) , 3 - (1 - ) \\
& , [2 - (1 - )] , [3 - (1 - )] .
\end{aligned}$$

(1)

$$17 - (2 - \dots) - 5 - \dots - 3, 14 - \dots,$$

$$17 - [2 - (2 - \dots - 2 - \dots) - 5 - \dots - 3, 14 - \dots]$$

17 - - 5 - - 3 ,14 - ,

17 - (2 - ) - 5 - - 3 ,14 - ,

$$17 - (3 - ) - 5 - - 3 , 14 - ,$$

17 - (4 - ) - 5 - - 3 ,14 - ,

$$17 - (2 - ) - 5 - - 3 , 14 - ,$$

$$17 - (3 - ) - 5 - - 3 , 14 - ,$$

$$17 - (4 - ) - 5 - - 3 , 14 - ,$$

17 - [N - - N - (2 - ) ] - 5 - - 3 , 14 - ,

17 - [N - - N - (3 - ) 1 - 5 - - 3 , 14 - ,

17 - [N - - N - (4 - )] - 5 - - 3 ,14 - ,  
 17 - [2 - ( )] - 5 - - 3 ,14 - ,  
 17 - [2 - (N - )] - 5 - - 3 ,14 - ,  
 17 - [2 - (N,N - )] - 5 - - 3 ,14 - ,  
 17 - [2 - (2 - - 2 - )] - 5 - - 3 ,14 - ,  
 17 - [2 - (1 - - 2 - - 2 - )] - 5 - - 3 ,14 - ,  
 17 - [2 - (1,4,5,6 - - 2 - )] - 5 - - 3 ,14 - ,  
 17 - [2 - (N - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [2 - (N - )] - 5 - - 3 ,14 - ,  
 17 - - - 5 - - 3 ,14 - ,  
 17 - - - 5 - - 3 ,14 - ,  
 17 - (2 - ) - 5 - - 3 ,14 - ,  
 17 - (3 - ) - 5 - - 3 ,14 - ,  
 17 - (4 - ) - 5 - - 3 ,14 - ,  
 17 - (2 - ) - 5 - - 3 ,14 - ,  
 17 - (3 - ) - 5 - - 3 ,14 - ,  
 17 - (4 - ) - 5 - - 3 ,14 - ,  
 17 - [N - - N - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [N - - N - (3 - )] - 5 - - 3 ,14 - ,  
 17 - [N - - N - (4 - )] - 5 - - 3 ,14 - ,  
 17 - (2 - ) - 5 - - 3 ,14 - ,  
 17 - (3 - ) - 5 - - 3 ,14 - ,  
 17 - (4 - ) - 5 - - 3 ,14 - ,  
 20 - (2 - ) - 5 - - 3 ,14 - ,  
 20 - [2 - (2 - - 2 - )] - 5 - - 3 ,14 - ,

20 - [2 - (1 - - 2 - - 2 - )] - 5 - - 3 ,14 - ,  
 20 - [2 - (1,4,5,6 - - 2 - )] - 5 - - 3 ,14 - ,  
 20 - - 5 - - 3 ,14 - ,  
 20 - (2 - ) - 5 - - 3 ,14 - ,  
 20 - (3 - ) - 5 - - 3 ,14 - ,  
 20 - (4 - ) - 5 - - 3 ,14 - ,  
 20 - (2 - ) - 5 - - 3 ,14 - ,  
 20 - (3 - ) - 5 - - 3 ,14 - ,  
 20 - (4 - ) - 5 - - 3 ,14 - ,  
 20 - [N - - N - (2 - )] - 5 - - 3 ,14 - ,  
 20 - [N - - N - (3 - )] - 5 - - 3 ,14 - ,  
 20 - [N - - N - (4 - )] - 5 - - 3 ,14 - ,  
 20 - (2 - ) - 5 - - 3 ,14 - ,  
 20 - (3 - ) - 5 - - 3 ,14 - ,  
 20 - (4 - ) - 5 - - 3 ,14 - ,  
 21 - (2 - ) - 5 - - 3 ,14 - ,  
 21 - [2 - (2 - - 2 - )] - 5 - - 3 ,14 - ,  
 21 - [2 - (1 - - 2 - - 2 - )] - 5 - - 3 ,14 - ,  
 21 - [2 - (1,4,5,6 - - 2 - )] - 5 - - 3 ,14 - ,  
 21 - - 5 - - 3 ,14 - ,  
 21 - (2 - ) - 5 - - 3 ,14 - ,  
 21 - (3 - ) - 5 - - 3 ,14 - ,  
 21 - (4 - ) - 5 - - 3 ,14 - ,  
 21 - (2 - ) - 5 - - 3 ,14 - ,  
 21 - (3 - ) - 5 - - 3 ,14 - ,

21 - (4 - ) - 5 - - 3 ,14 - ,  
 21 - [N - - N - (2 - )] - 5 - - 3 ,14 - ,  
 21 - [N - - N - (3 - )] - 5 - - 3 ,14 - ,  
 21 - [N - - N - (4 - )] - 5 - - 3 ,14 - ,  
 21 - (2 - ) - 5 - - 3 ,14 - ,  
 21 - (3 - ) - 5 - - 3 ,14 - ,  
 21 - (4 - ) - 5 - - 3 ,14 - ,  
 17 - [3 - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - [2 - (2 - - 2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - [2 - (1 - - 2 - - 2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - [2 - (1,4,5,6 - - 2 - )] - 5 - - 3 ,14 - ,  
 17 - (3 - ) - 5 - - 3 ,14 - ,  
 17 - [3 - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (3 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (4 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (3 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (4 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - [N - - N - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - [N - - N - (3 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - [N - - N - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (2 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (3 - )] - 5 - - 3 ,14 - ,  
 17 - [3 - (4 - )] - 5 - - 3 ,14 - ,  
 (E) - 17 - [3 - [2 - ( )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - (2 - - 2 - )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - (1 - - 2 - - 2 - )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - (1,4,5,6 - - 2 - )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - (3 - - 1 - ) - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (2 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (3 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (4 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (2 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (3 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (4 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [N - - N - (2 - )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [N - - N - (3 - )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [N - - N - (4 - )] - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (2 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (3 - ) - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - ( )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - (2 - - 2 - )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - (1 - - 2 - - 2 - )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [2 - (1,4,5,6 - - 2 - )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - (3 - - 2 - - 1 - ) - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (2 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (3 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (4 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (2 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (3 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (4 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [N - - N - (2 - )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [N - - N - (3 - 4 - )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - [N - - N - (4 - )] - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (2 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E) - 17 - [3 - (3 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - ,

(E,E) - 17 - [5 - [2 - ( )] - 1,3 - ] - 5 - - 3 ,14 - ,

(E,E) - 17 - [5 - [2 - (2 - )] - 2 - )] - 1,3 - ] - 5 - - 3 ,14 - ,

(E,E) - 17 - [5 - [2 - (1,4,5,6 - ,14 - )] - 2 - )] - 1,3 - ] - 5 - - 3 ,14 - ,

(E,E) - 17 - (5 - - 1,3 - ) - 5 - - 3 ,14 - ,

(E,E) - 17 - [5 - (2 - ) - 1,3 - ] - 5 - - 3 ,14 - ,

(E,E) - 17 - [5 - (3 - ) - 1,3 - ] - 5 - - 3 ,14 - ,

(E,E) - 17 - [5 - (2 - ) - 1,3 - ] - 5 - - 3 ,14 - ,

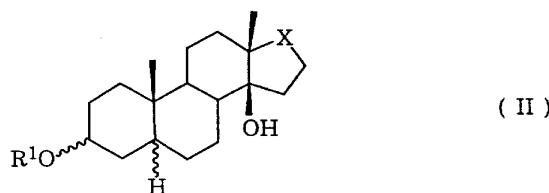
(E,E) - 17 - [5 - (3 - ) - 1,3 - ] - 5 - - 3 ,14 - ,

$$\begin{aligned}
& - (1 - 3 - (2 - 3, 3 - (2 - 3, 3 - (2 - 3, 3 - [2 \\
& - [3 - (1 - 3 - (3 - 3, 3 - (3 - 3, 3 - (3 - 3, 3 - ( \\
& - [3 - [2 - (2 - 2, 3 - [3 - [2 - (1 - 3, 3 - [3 - [2 - 3, 3 \\
& - [2 - [2 - (1 - 3, 3 - 3, 3 - 3, 17 - 17, 3 - 3; \\
& 5 - 5 - 5 - .
\end{aligned}$$

5

(11)

(1)



$R^1$  ~~~~~ ;

$X$   $\text{H}_3\text{C}-\text{C}\equiv\text{N}R^4$  ,  $\text{H}_3\text{C}-\text{CH}=\text{N}R^4$  ;  $\text{H}_3\text{C}-\text{C}=\text{N}R^4$  ;  $A$ ,

$R^2$   $R^4$

(II)  
(acid)

(II)

$\text{Pd/C, PtO}_2$   
 $\text{Pd/C, PtO}_2$   
(hydrogen transfer condition)  
 $\text{NaBH}_4$ ,  $\text{NaBH}_3\text{CN}$ ,  $\text{BH}_3$

, , 0  
, ,  
가 .

, ,  
가 .  
pH ,  
(base)

(I)  
(I)

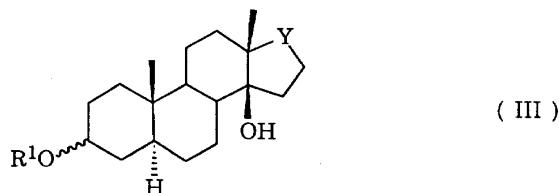
,  $R^3$  (I)  $R^6 R^7$  ,  $R^3$  (I)  $R^6 R^7$  (I)  
(I) (alkylation) (reductive alkylation) , ,  
 $a\text{BH}_3\text{CN}$  , , N

(transformation) 가 (J. March "Advanced Organic Chemistry", J. Wiley & Sons, 1985; D. Barton and W. D. Ollis "Comprehensive Organic Chemistry", Pergamon Press, 1979)

(transformation) ,  $R^4$

(II) C - 5 가 -  
 [ 4,227,605 (92. 8. 20 ); 4,227,626 (92. 8. 20 )];  
 ); 4,344,236 (93. 12. 23 )].

, (II) C - 5 가 - (III)  
 R<sup>4</sup> NH<sub>2</sub>



, Y H<sub>3</sub>O-C≡C-R<sup>2</sup>,  $\text{C}_6\text{H}_5\text{CHO}-\text{C}(\text{R}^2)-$ ;

A, R<sup>1</sup>, R<sup>2</sup> ~~~~~

12. 23 ( 4,227,605 (92. 8. 20 ); 4,227,626 (92. 8. 20 ); 4,344,236 (93. )];

(III) 3,14 - -5 - -17 - 3,14 - -  
 5 - -20 - [Chambers V.E.M. et al., J. Chem. Soc., Perkin Trans. 1, 1975, 1, 55  
 ; Templeton J.F. et al., Steroids, 1993, 58, 518]. 3,14 - -5 - -17 -  
 (Uzarigenin) , (Boutagy)  
 (digitoxigenin) 3,14 - -5 - -17 -  
 (transformation) [Boutagy J.S. and Thomas R.E., Aust.  
 J. Chem., 1971, 24, 2723]. (III) 5 -  
 [ 4,227,605 ; 4,227,626 ; 4,344,236 ].

(I) 가

(I) 4,227,605 4,227,626  
 (ouabain), (digitoxin)  
 (therapeutic index) 가

(I) Na<sup>+</sup>,K<sup>+</sup> - ATPase

## Na<sup>+</sup>,K<sup>+</sup> - ATPase

(a) (Jorgensen) (Erdmann)  $\text{Na}^+, \text{K}^+ - \text{ATPase}$   
 $^3\text{H} -$  ( $^3\text{H}$  - ouabain) (Jorgensen P., BBA, 1974, 356, 36; Erdmann E. et al., Arzneim. Forsh., 1984, 34, 1314),

(b) 가 가  $Na^+, K^+$  - ATPase  $^{32}P$  - ATPase 가  
 (%) (Doucet A. et al., Am. J. Physiol, 1986, 251, F851).

4 (MHS SHR) - (tail - cuff)  
 (Systolic blood pressure, SBP ) (heart rate, HR )  
 . 7 ,  
 (11.1, 11.0, 0.701, 0.61)

6 24 SBP HR , 9  
5 1 ,

(SHR) - ( - blocker) 5

[Takeda K. et al., Japan J. Pharmacol, 1979, 29, 171; Takeda K. et al., Japan J. Pharmacol, 1982, 32, 283; Richer C. et al., Eur. J. Pharmacol., 1978, 47, 393].

(1)

1

| 화합물  | 결합(-log IC <sub>50</sub> )<br><sup>3</sup> H-와이안 치환 | 억제활성<br>(-log IC <sub>50</sub> ) |
|------|-----------------------------------------------------|----------------------------------|
| I-aa | 6.9                                                 | 5.7                              |
| I-ab | 6.6                                                 | 5.5                              |
| I-ac | 6.0                                                 | 5.0                              |
| I-ad | 6.5                                                 | 5.4                              |
| I-ae | 5.4                                                 | 4.5                              |
| I-af | 5.0                                                 | 4.2                              |
| I-ag | 6.5                                                 | 5.2                              |
| I-ah | 5.0                                                 | 4.1                              |
| I-ai | 5.1                                                 | 4.1                              |
| I-aj | 7.2                                                 | 6.0                              |
| I-ak | 6.9                                                 | 5.6                              |
| I-al | 6.2                                                 | 4.8                              |
| I-am | 6.1                                                 | 4.3                              |
| I-an | 5.8                                                 | 4.2                              |
| I-ao | 5.9                                                 | 4.1                              |
| I-ap | 5.0                                                 | 4.0                              |
| I-aq | 6.0                                                 | 4.4                              |
| I-ar | 5.7                                                 | 4.2                              |
| I-as | 6.0                                                 | 4.5                              |
| I-at | 6.7                                                 | 5.0                              |
| I-au | 5.9                                                 | 4.4                              |
| I-av | 5.7                                                 | 4.2                              |
| I-ax | 5.7                                                 | 4.4                              |
| I/ay | 5.8                                                 | 4.4                              |
| I-ba | 5.2                                                 | 4.0                              |
| I-bb | 6.3                                                 | 4.5                              |
| I-bc | 6.1                                                 | 4.4                              |
| I-bd | 6.2                                                 | 4.5                              |
| I-be | 6.0                                                 | 4.3                              |

(1)

2

고혈압증에 걸린 쥐(MHS)에 대한 5주간 투약 후 고혈압의 진진도

| 화합물  | 쥐의 수<br>(마리) | 투여량* | SBP<br>(mmHg) | HR<br>(박동수/분) |
|------|--------------|------|---------------|---------------|
| 대조군  | 7            | 메토셀  | 170+/-5.5     | 378+/-12.0    |
| I-aj | 7            | 0.1  | 150+/-4.1     | 372+/-11.7    |
| I-am | 7            | 10   | 149+/-4.5     | 370+/-14.7    |
| I-am | 7            | 1    | 152+/-5.3     | 365+/-10.1    |
| I-bb | 7            | 10   | 150+/-4.2     | 375+/-12.1    |
| I-bb | 7            | 1    | 153+/-6.2     | 367+/-14.3    |

\* 메토셀에 0.5% (w/v) 농도로 혼탁시킴.

,

[ 1]

[17 - [2 - ( )] - 5 - - 3 , 14 - (I - aa)]

(25ml) (E) - 17 - - 5 - - 3 , 14 - (0.50g, 4.2  
 27,626 ) PtO<sub>2</sub> (0.40g) 가 , 4.2atm 8  
 , /  
 (I - aa, 0.30g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.80 (s, 3H), 0.85 (s, 3H), 2.68 (t, 1H), 2.78 (dd, 1H), 3.85 (bs, 1H)

[ 2]

[17 - [2 - (2 - )] - 5 - - 3 , 14 - (I - ab)]

(E) - 17 - (2 - ) - 5 - - 3 , 14 - (0.45g,  
 4,227,626 ) 1  
 (I - ab, 0.29g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.70 (s, 3H), 0.86 (s, 3H), 2.68 (t, 1H), 2.78 (dd, 1H), 3.30 (s, 4H), 3.85 (bs, 1H)

[ 3]

[17 - [2 - (1 - - 2 - - 2 - )] - 5 - - 3 ,14 - (I - ac)]  
 (E) - 17 - (1 - - 2 - - 2 - ) - 5 - - 3 ,14 - (0.55  
 g, 4,227,626 ) 1  
 (I - ac, 0.35g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.80 (s, 3H), 0.85 (s, 3H), 2.70 (t, 1H), 2.80 (dd, 1H), 3.10 (s, 3H), 3.65 (s, 4H), 3.90 (bs, 1H)

[ 4]

[17 - [2 - (1,4,5,6 - - 2 - )] - 5 - - 3 ,14 - (I - ad)]  
 (E) - 17 - (1,4,5,6 - - 2 - ) - 5 - - 3 ,14 - (0.60g, 4,227,626 ) 1  
 (I - ad, 0.32g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.80 (s, 3H), 0.85 (s, 3H), 2.70 (t, 1H), 2.80 (dd, 1H), 3.25 (m 4H), 3.85 (bs, 1H)

[ 5]

[17 - [2 - [N - (2 - )] ] - 5 - - 3 ,14 - (I - ae)]  
 (E) - 17 - [3 - (2 - )] - 5 - - 3 ,14 - (0.5  
 5g, 4,227,626 ) 1  
 (I - ae, 0.38g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.81 (s, 3H), 0.85 (s, 3H), 2.65 (t, 1H), 2.75 (dd, 1H), 2.80 (s, 6H), 3.20 (m, 2H), 3.60 (m, 2H), 3.87 (bs, 1H)

[ 6]

[17 - [2 - (N - )] - 5 - - 3 ,14 - (I - af)]  
 (E) - 17 - (3 - ) - 5 - - 3 ,14 - (0.55g, 4,227,626 ) 1  
 (I - af, 0.37g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.85 (s, 3H), 0.87 (s, 3H), 2.70 (t, 1H), 2.80 (dd, 1H), 3.85 (bs, 1H), 7.00 (m, 1H), 7.30 (m, 2H), 7.60 (m, 2H)

[ 7 ]

[20 - (2 - ) - 5 - - 3 ,14 - (I - ag)]  
 (E) - 20 - - 5 - - - 3 ,14 - - (0.65g, 4,227,626 )  
 6 (I - ag, 0.38g)

<sup>1</sup>H-NMR (300 MHz, DMSO-d<sub>6</sub>) : δ 0.80 (s, 3H), 0.85 (s, 3H), 1.50 (bs, 3H), 2.70–2.80 (m, 1H), 3.85 (bs, 1H)

[ 8 ]

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.97(s, 3H), 0.98(s, 3H), 3.25~3.35(m, 2H), 4.05(bs, 1H)

[ 9 ]

[17 - - 5 - - 3 ,14 - (I - ai)]  
 (E) - 17 - - 5 - - 3 ,14 - (0.60g, 4,227,605  
 ) 8 (I - ai, 0.40g)

<sup>1</sup>H-NMR (300 MHz, CD<sub>3</sub>OD) : δ 0.97(s, 3H), 0.98(s, 3H), 3.25(dd, 1H), 3.33(dd, 1H), 3.80(s, 3H), 4.05(bs, 1H)

[ 10]

[17 - (2 - ) - 5 - - 3 ,14 - (I - aj)]

(E) - 17 - (2 - ) - 5 - - 3 ,14 - (0.40g, 4,227,605  
8 , //26% = 90/90/1 (造鹽)  
(I - aj, 0.23g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.00(s, 3H), 3.33(m, 2H),  
3.40~3.55(m, 2H), 4.05(m, 1H),  
4.42(t, 2H)

[ 11]

[17 - (3 - ) - 5 - - 3 ,14 - (I - ak)]

(E) - 17 - (3 - ) - 5 - - 3 ,14 - (0.45g, 4,227,605  
10 , (I - ak,  
0.29g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.00(s, 3H), 3.15(m, 2H),  
3.40~3.55(m, 2H), 4.05(m, 1H),  
4.20(t, 2H)

[ 12]

[17 - (4 - ) - 5 - - 3 ,14 - (I - al)]

(E) - 17 - (4 - ) - 5 - - 3 ,14 - (0.35g, 4,227,605  
10 , (I - al, 0.  
25g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.00(s, 3H), 3.05(m, 2H),  
3.40~3.55(m, 2H), 4.05(m, 3H)

[ 13]

[17 - (2 - ) - 5 - - 3 ,14 - (I - am)]

(E) - 17 - (2 - ) - 5 - - 3 ,14 - (1.70g, 4,227,605  
10 , (I -  
am, 1.37g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 0.99(s, 3H), 2.99(s, 6H),  
 3.40~3.55(m, 2H), 3.57(t, 2H),  
 4.05(m, 1H), 4.53(t, 2H)

[ 14]

[17 - (3 - ) - 5 - - 3 ,14 - (I - an)]  
 (E) - 17 - (3 - ) - 5 - - 3 ,14 - (0.50g, 4,227,60  
 5 ) 10 (I - an, 0.37g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 0.99(s, 3H), 2.97(s, 6H),  
 3.35(t, 2H), 3.40~3.55(m, 2H),  
 4.05(m, 1H), 4.20(t, 2H)

[ 15]

[17 - (4 - ) - 5 - - 3 ,14 - (I - ao)]  
 (E) - 17 - (3 - ) - 5 - - 3 ,14 - (0.48g, 4,227,60  
 5 ) 10 (I - ao, 0.27g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.00(s, 3H), 2.97(s, 6H),  
 3.20(t, 2H), 3.40~3.55(m, 2H),  
 4.05(m, 1H), 4.10(t, 2H)

[ 16]

[17 - [N - - N - (2 - ) ] - 5 - - 3 ,14 - (I - ap)]  
 (10ml) 17 - (2 - ) - 5 - - 3 ,14 - (I - am, 0.41g)  
 37% (1.0ml) 가 , NaBH<sub>3</sub>CN(0.20g) 가 28  
 0.1N , 2.5N NaOH pH 9.5  
 - ( : / 26% =90/9/1)  
 (I - ap, 0.33g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.97(s, 3H), 0.99(s, 3H), 2.60(s, 3H),  
 2.70~2.90(m, 2H), 2.90(s, 6H),  
 3.32(t, 2H), 3.95~4.00(m, 2H),  
 4.05(m, 1H)

[ 17]

[17 - (2 - ) - 5 - - 3 ,14 - (l - aq)]  
 (E) - 17 - (2 - ) - 5 - - 3 ,14 - (0.40g, 4,227,605  
 10 (l - aq,  
 0.17g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.00(s, 3H), 3.30(m, 2H),  
 3.40~3.55(m, 2H), 4.05(m, 1H),  
 4.40(t, 2H)

[ 18]

[20 - (2 - ) - 5 - - 3 ,14 - (l - ar)]  
 (E) - 20 - (2 - ) - 5 - - 3 ,14 - (0.41g, 4,227,605  
 10 (l - ar, 0.23  
 g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 0.99(s, 3H), 1.55(s, 3H),  
 2.99(s, 6H), 3.35~3.55(m, 2H),  
 3.55(t, 2H), 4.05(m, 1H), 4.50(t, 2H)

[ 19]

[(E) - 17 - [3 - [2 - ( )] - 1 - ] - 5 - - 3 ,14 - (l - as)]  
 17 - [3 - [ - 1 - (E) - ] - 5 - - 3 ,14 - (0.40g, 4,227,6  
 05 ) 10 (l - as, 0.18g)

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.85(s, 3H), 0.95(s, 3H), 3.50(dd,  
 2H), 4.05(m, 1H), 5.40(m, 1H),  
 5.6(dd, 1H)

[ 20]

[(E) - 17 - [3 - (2 - ) - 1 - ] - 5 - - 3 ,14 - (I - at)]  
 17 - [3 - (2 - ) - 1 - (E) - ] - 5 - - 3 ,14 - (0.50g, 4,3  
 44,236 ) 10 , (造鹽)  
 . (I - at, 0.30g) .

<sup>1</sup>H-NMR(300 MHz, CDCl<sub>3</sub>) : δ 0.83(s, 3H), 0.95(s, 3H), 2.65(t, 2H),  
 3.45(d, 2H), 3.66(t, 2H), 4.10(bs, 1H), 5.30(dt, 1H), 5.55(dd, 1H)

[ 21]

[(E) - 17 - [3 - (2 - ) - 1 - ] - 5 - - 3 ,14 - (I - au)]  
 (E) - 17 - [3 - (2 - ) - 1 - (E) - ] - 5 - - 3 ,14 - (0.65g,  
 4,344,236 ) 20  
 . (I - au, 0.36g) .

<sup>1</sup>H-NMR(300 MHz, CDCl<sub>3</sub>) : δ 0.83(s, 3H), 0.95(s, 3H), 2.25(m, 6H),  
 2.49(t, 2H), 3.47(d, 2H), 3.77(t, 2H),  
 4.10(bs, 1H), 5.30(dt, 1H), 5.57(dd, 1H)

[ 22]

[(E) - 17 - [3 - (2 - ) - 2 - - 1 - ] - 5 - - 3 ,14 - (I - av)]  
 17 - [3 - (2 - ) - 2 - - 1 - (E) - ] - 5 - - 3 ,14 - (0.37g,  
 4,344,236 ) 20  
 . (I - av, 0.22g) .

<sup>1</sup>H-NMR(300 MHz, CDCl<sub>3</sub>) : δ 0.83(s, 3H), 0.95(s, 3H), 1.50(s, 3H),  
 2.25(m, 6H), 2.50(t, 2H), 3.45(m, 2H), 3.75(t, 2H), 4.10(bs, 1H),  
 5.30(m, 1H)

[ 23]

[ (E),(E) - 17 - [5 - (2 - ) - 1,3 - ] - 5 - - 3 ,14 - (I - aw) ]

17 - [5 - (2 - ) - (E,E) - 1,3 - ] - 5 - - 3 ,14 - (0.42g, 4,344,236 ) (I - aw, 0.28g) .

<sup>1</sup>H-NMR(300 MHz, CDCl<sub>3</sub>) : δ 0.83(s, 3H), 0.95(s, 3H), 2.25(m, 6H), 2.50(t, 2H), 3.50(d, 2H), 3.75(t, 2H), 4.10(bs, 1H), 5.20~5.70(m, 4H)

[ 24]

[17 - [2 - (2 - )] - 5 - - 3 ,14 - (I - ax) ]

17 - [2 - (2 - )] - 5 - - 3 ,14 - (0.32g, 4,344,236 ) (I - ax, 0.24g) .

<sup>1</sup>H-NMR(300 MHz, CDCl<sub>3</sub>) : δ 0.98(s, 3H), 1.00(s, 3H), 3.00(s, 6H), 3.35~3.50(m, 2H), 3.55(t, 2H), 4.05(m, 1H), 4.55(t, 2H)

[ 25]

[17 - [3 - (2 - )] - 5 - - 3 ,14 - (I - ay) ]

17 - [2 - (2 - )] - 5 - - 3 ,14 - (0.47g, 4,344,23 ) (6 ) (I - ay, 0.32g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.97(s, 3H), 1.00(s, 3H), 2.99(s, 6H), 3.35~3.50(m, 2H), 3.55(t, 2H), 4.05(m, 1H), 4.55(t, 2H)

[ 26]

[17 - (2 - ) - 5 - - 3 ,14 - (I - az) ]

17 - (2 - ) - 5 - - 3 ,14 - (0.40g, 4,227,626 ) (I - az, 0.12g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.10(s, 3H), 3.00(s, 6H),  
 3.55(t, 2H), 3.60~3.75(m, 2H),  
 4.05(m, 1H), 4.50(t, 2H)

[ 27]

[17 - (2 - ) - 5 - - 3 , 14 , 17 - (I - ba)]  
 (E) - 17 - (2 - ) - 5 - - 3 , 14 , 17 - (0.38g, 4.2  
 27,605 ) 10  
 (I - ba, 0.17g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.98(s, 3H), 1.00(s, 3H), 3.00(s, 6H),  
 3.50~3.65(m, 2H), 3.60(t, 2H),  
 4.05(m, 1H), 4.55(t, 2H)

[ 28]

[3 - (3 - ) - 17 - (2 - ) - 5 - - 14 - (I - bb)]  
 3 - (3 - ) - 17 - (2 - ) - 5 - - 14 - (0.44g,  
 4,227,605 ) 20  
 (I - bb, 0.20g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.95(s, 3H), 0.97(s, 3H), 2.25(t, 2H),  
 2.30(s, 6H), 2.55(t, 2H), 2.90~3.10  
 (m, 4H), 3.70(m, 1H), 3.80(t, 2H)

[ 29]

[3 - (2 - ) - 17 - (2 - ) - 5 - - 14 - (I - bc)]  
 3 - 2 - ) - 17 - (2 - ) - 5 - - 14 - (0.43g,  
 4,227,605 ) 20  
 (I - bc, 0.18g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.95(s, 3H), 0.97(s, 3H), 2.30(s, 6H),  
 2.35(t, 2H), 2.55(t, 2H), 2.90(dd,  
 1H), 3.10(dd, 1H), 3.60(m, 1H),  
 3.80(t, 2H)

[ 30]

[3 - [2 - (1 - )] - 17 - (2 - )] - 5 - - - 3 ,14 - - ( (I - bd) ]

3 - [2 - (1 - )] - 17 - (2 - )] - 5 - - - 3 ,14 - - (0.48g, 4,227,605 ) 20  
(I - bd, 0.17g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.95(s, 3H), 0.97(s, 3H), 2.25(m, 4H),  
2.30(s, 6H), 2.55(t, 2H),  
2.85~3.10(m, 5H), 3.70(m, 1H),  
3.80(t, 2H)

[ 31]

[3 - [3 - (1 - )] - 17 - (2 - )] - 5 - - - 3 ,14 - - (I - be) ]

3 - [3 - (1 - )] - 17 - (2 - )] - 5 - - - 3 ,14 - - (0.42g, 4,227,605 ) 20  
(I - be, 0.22g) .

<sup>1</sup>H-NMR(300 MHz, CD<sub>3</sub>OD) : δ 0.95(s, 3H), 0.97(s, 3H), 2.15(m, 6H),  
2.25(t, 2H), 2.30(s, 6H), 2.55(t, 2H),  
2.90(dd, 1H), 3.10(dd, 1H), 3.70(m, 1H),  
3.80(t, 2H)

[ 32]

[(E,E) - 17 - [3 - (2 - )] - 1 - ] - 5 - - - 3 ,14 - - (I - bf) ]

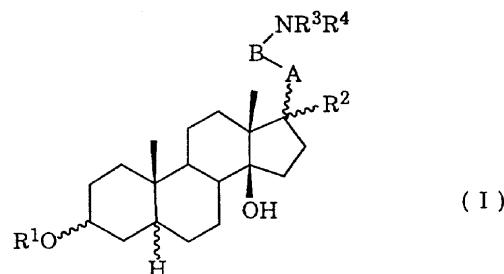
(E,E) - 17 - [3 - (2 - )] - 1 - ] - 5 - - - 3 ,14 - - (0.37g, 4,344,236 ) 20  
(I - bf, 0.16g) .

<sup>1</sup>H-NMR(300 MHz, CDCl<sub>3</sub>) : δ 0.83(s, 3H), 0.95(s, 3H), 2.25(m, 6H),  
2.50(t, 2H), 3.47(d, 2H), 3.77(t, 2H),  
3.70(s, 1H), 5.30(dt, 1H), 5.55(dd, 1H)

(57)

1.

(1)

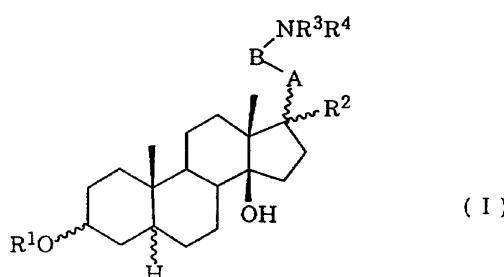


,  $\sim\sim$  , A  $\leftarrow\text{CH}_2\rightarrow_m$  ,  $\text{CH} = \text{CH}\rightarrow_n$   $\leftarrow\text{CH} = \text{CCH}_3\rightarrow_n$  , m  
 0 4 , n 0 2 ; B  $\text{CHR}^5$  , B A가  $\text{NR}^3\text{R}^4$   
 , n=0 B A가  $\text{NR}^3\text{R}^4$  , m 0  $\text{R}^2$  ;  $\text{R}^5$   
 ;  $\text{R}^1$  ,  $\text{NR}^6\text{R}^7$   $\text{NHC}(\text{=NH})\text{NH}_2$   $\text{C}_2 \sim \text{C}_4$   $\text{NR}^6\text{R}^7$   
 $\text{C}_2 \sim \text{C}_4$  ;  $\text{R}^6$   $\text{R}^7$   $\text{R}^7$  ,  $\text{C}_2 \sim \text{C}_4$   
 5 6 ;  $\text{R}^4$   $\text{NHC}(\text{=NR}^8)\text{NR}^9\text{R}^{10}$  ;  $\text{R}^2$  ;  $\text{R}^3$   
 2 4 OR<sup>1</sup> ;  $\text{R}^8$  , ,  $\text{NR}^6\text{R}^7$   
 ;  $\text{R}^9$   $\text{R}^{10}$  2 4 ,  $\text{R}^8, \text{R}^9 \text{R}^{10}$   
 , ,  $\text{NR}^6\text{R}^7$  5 , 6 7 .

2.

(1)

가



, A, B, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> R<sup>4</sup>

1

3.

1 , 17 - [2 - (2 - ) - 2 - ) - 5 - - 3 , 14 - , 17 - - 5 - - 3 , 14 - - 5  
 - - 3 , 14 - , 17 - (2 - ) - 5 - - 3 , 14 - , 17 - (4 - ) - 5 - - 3 , 14 -  
 - , 17 - (2 - ) - 5 - - 3 , 14 - , 17 - (3 - ) - 5 - - 3 , 14 -  
 - , 17 - (2 - ) - 5 - - 3 , 14 - , 17 - (4 - ) - 5 - - 3 , 14 -  
 , 17 - [N - - N - (2 - )] - 5 - - 3 , 14 - , 17 - [N - - N - (4 - )]  
 (3 - ) - 5 - - 3 , 14 - , 17 - [2 - ( )] - 5 - - 3 , 14 - , 17 - [N - - N - (4 - )]  
 , 17 - [2 - (N - )] - 5 - - 3 , 14 - , 17 - [2 - (2 - )] - 5 - - 3 , 14 -  
 ) - 5 - - 3 , 14 - , 17 - [2 - (1 - - 2 - )] - 5 - - 3 , 14 -  
 , 17 - [2 - (1,4,5,6 - ) - 2 - )] - 5 - - 3 , 14 - , 17 - [2 - (N - )]  
 - [2 - (N - (2 - )] - 5 - - 3 , 14 - , 17 - - 5 - - 3 , 14 - , 17 - [2 - (N - )]  
 - , 17 - - 5 - - 3 , 14 - , 17 - (2 - ) - 5 - - 3 , 14 - , 17 - (4 - )  
 - 3 , 14 - , 17 - (3 - ) - 5 - - 3 , 14 - , 17 - (2 - ) - 5 - - 3 , 14 -  
 - 3 , 14 - , 17 - (3 - ) - 5 - - 3 , 14 - , 17 - [N - - N - (2 - )]  
 ] - 5 - - 3 , 14 - , 17 - [N - - N - (3 - )] - 5 - - 3 , 14 -  
 , 17 - (2 - ) - 5 - - 3 , 14 - , 17 - (4 - ) - 5 - - 3 , 14 -  
 - , 20 - (2 - ) - 5 - - 3 , 14 - , 20 - [2 - (2 - ) - 2 - )]  
 - 5 - - 3 , 14 - , 20 - [2 - (1 - - 2 - )] - 5 - - 3 , 14 -  
 - , 20 - [2 - (1,4,5,6 - ) - 2 - )] - 5 - - 3 , 14 - , 20 -  
 - 5 - - 3 , 14 - , 20 - (2 - ) - 5 - - 3 , 14 - , 20 - (4 - ) - 5 - - 3 , 14 -  
 , 20 - (2 - ) - 5 - - 3 , 14 - , 20 - (3 - ) -  
 5 - - 3 , 14 - , 20 - (4 - ) - 5 - - 3 , 14 - , 20 - [N - - N - (3 - )]  
 - N - (2 - )] - 5 - - 3 , 14 - , 20 - [N - - N - (4 - )] - 5 - - 3 , 14 -  
 ) - 5 - - 3 , 14 - , 20 - (2 - ) - 5 - - 3 , 14 - , 20 - (3 - )  
 3 , 14 - , 20 - (2 - ) - 5 - - 3 , 14 - , 20 - (4 - ) - 5 - - 3 , 14 - , 21 - (2 - )  
 - - 5 - - 3 , 14 - , 20 - (4 - ) - 5 - - 3 , 14 - , 21 - [2 - (2 - ) - 2 - )] - 5 - - 3 , 14 -  
 - 3 , 14 - , 21 - [2 - (1 - - 2 - )] - 5 - - 3 , 14 - , 21 -  
 - (1,4,5,6 - ) - 2 - )] - 5 - - 3 , 14 - , 21 - - 5 - - 3 , 14 -  
 - 3 , 14 - , 21 - (2 - ) - 5 - - 3 , 14 - , 21 - (4 - ) - 5 - - 3 , 14 - , 21 - (2 - )  
 ) - 5 - - 3 , 14 - , 21 - (4 - ) - 5 - - 3 , 14 - , 21 - (3 - ) - 5 - - 3 , 14 -  
 - 3 , 14 - , 21 - (4 - ) - 5 - - 3 , 14 - , 21 - [N - - N - (3 - )] - 5 - - 3 , 14 -  
 - 3 , 14 - , 21 - [N - - N - (4 - )] - 5 - - 3 , 14 - , 21 - - 5 - - 3 , 14 -  
 21 - (2 - ) - 5 - - 3 , 14 - , 21 - (3 - ) - 5 - - 3 , 14 -  
 - 3 , 14 - , 21 - (4 - ) - 5 - - 3 , 14 - , 17 - [3 - [2 - (1 - - 2 - ) - 2 - )]] - 5 - - 3 , 14 -  
 ] - 5 - - 3 , 14 - , 17 - [3 - [2 - (1,4,5,6 - ) - 2 - )]] - 5 - - 3 , 14 -  
 - 3 , 14 - , 17 - [3 - [2 - (1,4,5,6 - ) - 2 - )]] - 5 - - 3 , 14 -

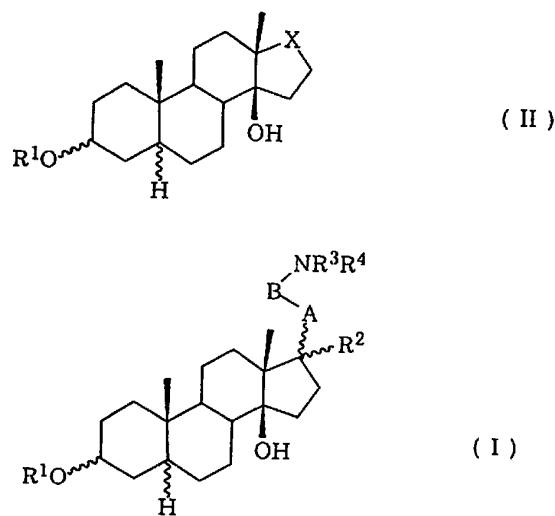


```

3 - [2 - (1 -           )   ], 3 - (3 -           ), 3 - (3 -           ), 3 - (           ), 3 - (           ),
3 - [3 - (1 -           )   ], 3 - [2 - (2 -           )   ], 3 - [3 - (2 -           )   )
], 3 - [2 - [2 - (1 -           )   ]   ], 3 - [3 - [2 - (1 -           )   ]   ]
;           3 -           3 -           ;           17 -           ;
      5 -           5 -           .

```

4.



$$, X \quad \text{H}_3\text{O} \quad \text{NR}^4 \quad , \quad \text{CH}=\text{NR}^4 \quad \text{NR}^4 \quad ; \quad \text{m}, \text{A}, \text{B}, \text{R}^1, \text{R}^2, \text{R}^3 \quad \text{R}^4$$

1

5.

4 , Pd/C, PtO<sub>2</sub> (1)

6.

4 , Pd/C, PtO<sub>2</sub> , (1)

7

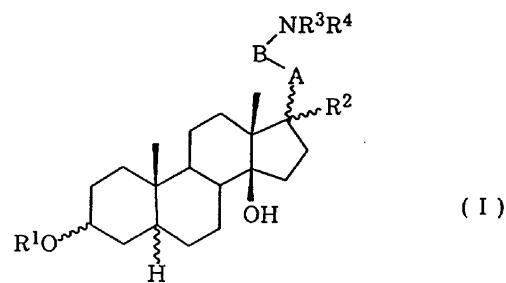
4 ,  $\text{NaBH}_4$ ,  $\text{NaBH}_3\text{CN}$   $\text{BH}_3$   
 (1) .

8.

4 , pH (base) , , (acid)  
 (I)

9.

(I)

, A, B, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> R<sup>4</sup>

1

10.

9 ,