

(19) (KR)  
(12) (A)

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C07D 401/06  
C07D 211/16

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10-2004-0104672  
2004 12 10

(21) 10-2004-7017452

(22) 2004 10 29

2004 10 29

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(87)

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(86) 2003 04 16

(87)

2003 11 13

(30) 60/376,585 2002 04 30 (US)

(71) 45202 1

(72) 45249 11249

92126 10232 91

45208 3 150

45039 7231

(74)

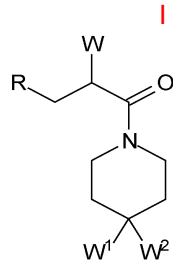
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(54)

4-

가

l:



(I)

( , R , W ,  
—L—Q

, L W 2 , Q ) 가 . ; W 1

4- 가 (melanocortin, MC)  
( , MC-1 ) MC-3 / MC-4

( ) -MSH( MC ), -MSH, -MSH, ACTH( A  
) . MSH (steroidoneogenesis)

FSH (food motivated)

MC-4 MC-3  
. MC-3/MC-4

. MC-4 MC-3

-3

, MC-4

가  
. MC

가 MC-3 MC-4 가 MC-3 MC-4

MC-1

MC-4 /  
MC

MC-3

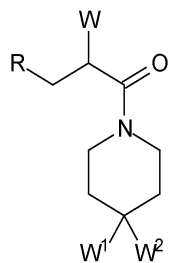
가

[            ]

4,4-

가

가 :



, R

a)    ;

b)    ;

c)    ;

d)    ;

W            가    :

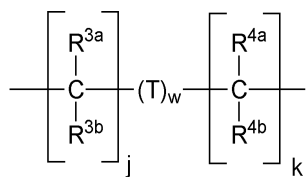
—L—Q

Q

i) C<sub>1</sub> -C<sub>22</sub>    ;ii) C<sub>2</sub> -C<sub>22</sub>    ;iii) C<sub>2</sub> -C<sub>22</sub>    ;iv) C<sub>3</sub> -C<sub>13</sub>    ;v) C<sub>3</sub> -C<sub>8</sub>    ;vi) C<sub>6</sub> -C<sub>14</sub>    ;vii) C<sub>1</sub> -C<sub>7</sub>    ;viii) C<sub>3</sub> -C<sub>13</sub>    ;xix) -(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>8</sup> ;xx) -(CH<sub>2</sub>)<sub>m</sub>C(O)N(R<sup>8</sup>)<sub>2</sub> ;xxi) -SO<sub>2</sub>R<sup>9</sup>    ;R<sup>8</sup>            ;    C<sub>1</sub> -C<sub>6</sub>            ,    ; -OH; -SO<sub>2</sub>R<sup>9</sup>

; R<sup>9</sup> C<sub>1</sub>-C<sub>4</sub> ; m 0, 1 2 ;

L 가 :



T

i) -NR<sup>6</sup>S(O)<sub>2</sub>-;

ii) -S(O)<sub>2</sub>NR<sup>6</sup>-;

iii) ;

w 0 1 ;

R<sup>3a</sup>, R<sup>3b</sup>, R<sup>4a</sup> R<sup>4b</sup>

i) ;

ii) C<sub>1</sub>-C<sub>4</sub> , ;

iii) -N(R<sup>6</sup>)<sub>2</sub>;

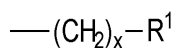
iv) -NR<sup>6</sup>C(Y)R<sup>6</sup>;

v) R<sup>3a</sup> R<sup>3b</sup> R<sup>4a</sup> R<sup>4b</sup> 가 ;

vi) ;

Y -O-, -S-, =O, =S, =NR<sup>6</sup>, =NOH ; j 0 3 ; k 0 3 ;

W<sup>1</sup> 가 :



R<sup>1</sup>

i) ;

ii) C<sub>3</sub>-C<sub>8</sub> ;

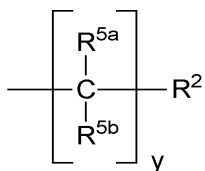
iii) C<sub>6</sub>-C<sub>14</sub> ;

iv) C<sub>1</sub>-C<sub>7</sub> ;

v) C<sub>3</sub>-C<sub>13</sub> ;

x 0 10 ;

W<sup>2</sup> 가 :

R<sup>2</sup>

i) ;

ii) C<sub>3</sub> - C<sub>8</sub> ;iii) C<sub>6</sub> - C<sub>14</sub> ;iv) C<sub>1</sub> - C<sub>7</sub> ;v) C<sub>3</sub> - C<sub>13</sub> ;vi) -C(Y)R<sup>6</sup> ;vii) -C(Y)<sub>2</sub>R<sup>6</sup> ;viii) -C(Y)N(R<sup>6</sup>)<sub>2</sub> ;ix) -C(Y)NR<sup>6</sup>N(R<sup>6</sup>)<sub>2</sub> ;

x) -CN;

xi) -CNO;

xii) -[C(R<sup>7</sup>)<sub>2</sub>]C(R<sup>7</sup>)<sub>2</sub> ;xiii) -N(R<sup>6</sup>)<sub>2</sub> ;xiv) -NR<sup>6</sup>CN;xv) -NR<sup>6</sup>C(Y)R<sup>6</sup> ;xvi) -NR<sup>6</sup>C(Y)N(R<sup>6</sup>)<sub>2</sub> ;xvii) -NHN(R<sup>6</sup>)<sub>2</sub> ;xviii) -NHOR<sup>6</sup> ;

xix) -NCS;

xx) -NO<sub>2</sub> ;xxi) -OR<sup>6</sup> ;

xxii) -OCN;

xxiii) -OCF<sub>3</sub>, -OCCl<sub>3</sub>, -OCBr<sub>3</sub> ;

xxiv) -F, -Cl, -Br, -I ;

xxv) -SCN;

xxvi) -SO<sub>3</sub>M;

xxvii)-OSO<sub>3</sub>M;

xxviii) -SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>;xxix) -SO<sub>2</sub>R<sup>6</sup>;

xxx) -[C(R<sup>6</sup>)<sub>2</sub>]<sub>n</sub>P(O)(OR<sup>6</sup>)R<sup>6</sup>;

xxxi) -[C(R<sup>6</sup>)<sub>2</sub>]<sub>n</sub>P(O)(OR<sup>6</sup>)<sub>2</sub>;

xxxii) ;

R<sup>5a</sup> R<sup>5b</sup> , R<sup>5a</sup> R<sup>5b</sup> ; Y -O-, -S-, =O, =S, =NR<sup>6</sup>  
 , =NOH, ; R<sup>6</sup> , C<sub>1</sub>-C<sub>4</sub> , C<sub>2</sub>-C<sub>4</sub>  
 , -OH, -NO<sub>2</sub>, -CN, ; M ;

y 0 10 .

( ) .

(MC)

(MC)

MC

MC1, MC2

MC5

MC4

가

가

, MC4

MC3

가

.

, -3- , 7,7-

[2.2.1]- -1- , 3- -2- , 1,3-

( )

가

0.1.1]-  
2.2]-  
0.2.4]-

-[0.1.2]-  
-[0.1.4]- ( ),

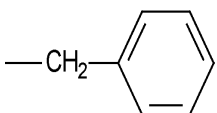
-[0.1.3]- ( (thujanyl)), -[0.  
-[2.2.1]- ( ), -[  
, 1,2,3,4- , 2H

가

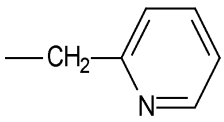
(

, 2H- , 1,2,3- , 1,2,4- , 2H- , 3H-  
, 2H- , 4H- , 2H- -2- - , , 1,2,4- , s-  
, 4H-1,2- , 2H-1,3- , 1,4- , 4H-1,2- ,  
2H- , , 3H- , 1H- , 2H-1- ,  
, , 2H-1,4- , , ,

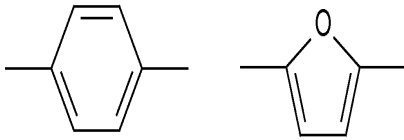
가 가 :



가 2- 가 :



가 :



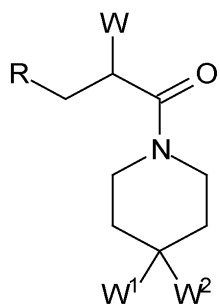
가 2 가 3  
 2 3  
 2 2  
 3 2  
 가 가  
 C<sub>3</sub> (N,N-5- ) C<sub>8</sub> 4- 3-  
 가

- i)  $-[C(R^6)_2]_p(CH=CH)_qR^6$  ( , p 0 12 ; q 0 12 );
- ii)  $-C(Y)R^6$ ;
- iii)  $-C(Y)_2R^6$ ;
- iv)  $-C(Y)CH=CH_2$ ;
- v)  $-C(Y)N(R^6)_2$ ;
- vi)  $-C(Y)NR^6N(R^6)_2$ ;
- vii)  $-CN$ ;
- viii)  $-CNO$ ;
- ix)  $-CF_3$  ,  $-CCl_3$  ,  $-CBr_3$  ;
- x)  $-N(R^6)_2$ ;
- xi)  $-NR^6CN$ ;
- xii)  $-NR^6C(Y)R^6$ ;
- xiii)  $-NR^6C(Y)N(R^6)_2$ ;
- xiv)  $-NHN(R^6)_2$ ;

- xv) -NHOR<sup>6</sup> ;
- xvi) -NCS;
- xvii) -NO<sub>2</sub> ;
- xviii) -OR<sup>6</sup> ;
- xix) -OCN;
- xx) -OCF<sub>3</sub> , -OCCl<sub>3</sub> , -OCBr<sub>3</sub> ;
- xxi) -F, -Cl, -Br, -I ;
- xxii) -SCN;
- xxiii) -SO<sub>3</sub> M;
- xxiv) -OSO<sub>3</sub> M;
- xxv) -SO<sub>2</sub> N(R<sup>6</sup>)<sub>2</sub> ;
- xxvi) -SO<sub>2</sub> R<sup>6</sup> ;
- xxvii) -[C(R<sup>7</sup>)<sub>2</sub>]<sub>n</sub> P(O)(OR<sup>6</sup>)R<sup>6</sup> ;
- xxviii) -[C(R<sup>7</sup>)<sub>2</sub>]<sub>n</sub> P(O)(OR<sup>6</sup>)<sub>2</sub> ;
- xxix) ,

, R<sup>6</sup> , C<sub>1</sub>-C<sub>4</sub> , , -OH, -NO<sub>2</sub>, -CN,  
 ; R<sup>7</sup> , ; M ; Y -O-, -S-, =O, =S, =NR  
<sup>6</sup>, =NOH, , 2- , 3- , 2- .

가 : 가



, R

- a) ;
- b) ;
- c) ;
- d) .



R , R , ,  
 -2- . , ,  
 , 4- , 4- , 4- 4- W 1  
 R MC-4 , 4- .  
 -2- 1- , 2- R -1- , -2- , 1-  
 R , R .  
 1,2,3,4- - 1,2,3,4- R  
 R 6- -1,2,3,4- 6- -1,2,3,4-  
 R C<sub>1</sub>-C<sub>4</sub> , , 2- -4- 4- , 2,4 .  
 R , , , , , ,

W 가 :

—L—Q

Q

- i) C<sub>1</sub>-C<sub>22</sub> ;
- ii) C<sub>2</sub>-C<sub>22</sub> ;
- iii) C<sub>2</sub>-C<sub>22</sub> ;
- iv) C<sub>3</sub>-C<sub>13</sub> ;
- v) C<sub>3</sub>-C<sub>8</sub> ;
- vi) C<sub>6</sub>-C<sub>14</sub> ;
- vii) C<sub>1</sub>-C<sub>7</sub> ;
- viii) C<sub>3</sub>-C<sub>13</sub> ;
- xix) -(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>8</sup> ;
- xx) -(CH<sub>2</sub>)<sub>m</sub>C(O)N(R<sup>8</sup>)<sub>2</sub> ;
- xxi) -SO<sub>2</sub>R<sup>9</sup> ;

R<sup>8</sup> ; R<sup>9</sup> ; C<sub>1</sub>-C<sub>6</sub> , C<sub>1</sub>-C<sub>4</sub> ; m 0, 1 ; -OH; -SO<sub>2</sub>R<sup>9</sup> R<sup>9</sup>

Q 1 3 .

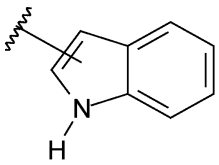
.Q 가 가 .Q

Q 5 12

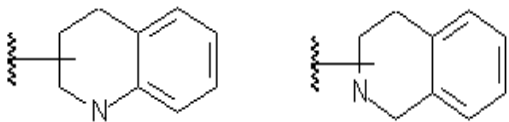
Q 가 :



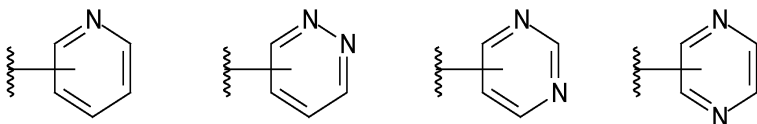
가 :



가 :

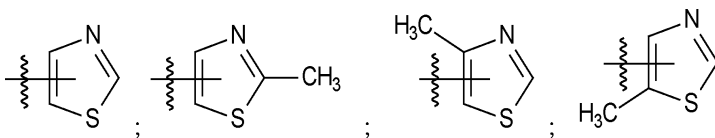


Q 6 , 가 :

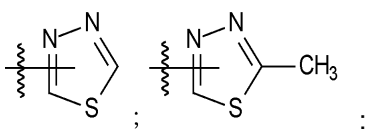


Q 5 .Q

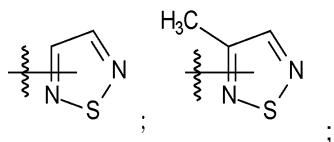
i) 가 , 2- , 4- , 5- :



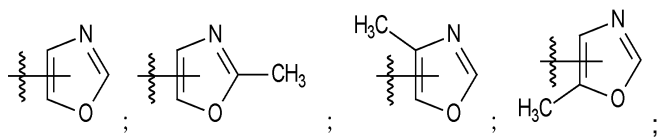
ii) 가 1,3,4- , 2- -1,3,4- :



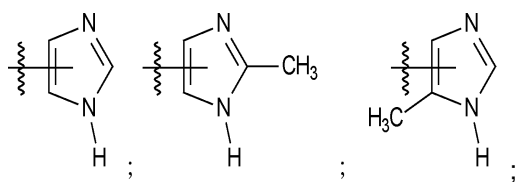
iii) 가 1,2,5- , 3- -1,2,5- :



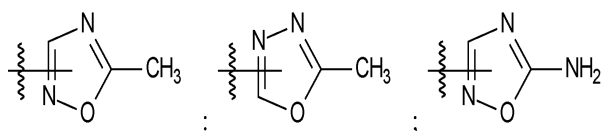
iv) 가 , 2- , 4- , 5- :



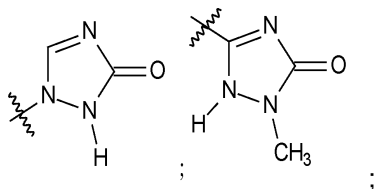
v) 가 , 2- , 5- :



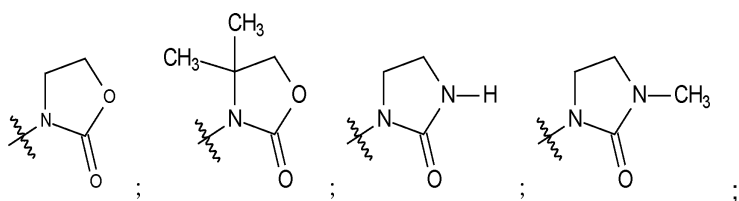
vi) 가 5- -1,2,4- , 2- -1,3,4- , 5- -1,2,4- :



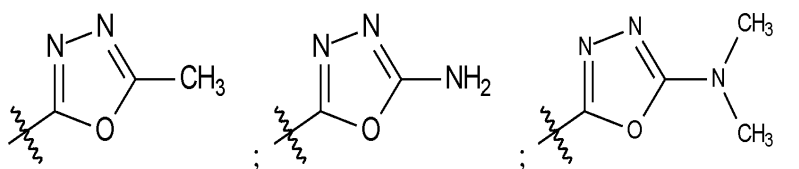
vii) 가 1,2- [1,2,4] -3- -1- , 2- -1,2- [1,2,4] -3- -5- :



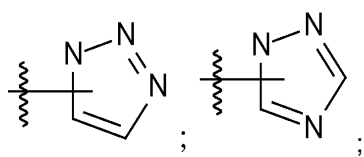
viii) 가 -2- -3- ; 4,4- -2- -3- ; -2- -1- ; 1- -2- -1- :



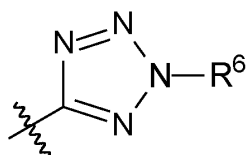
ix) 가 2- -1,3,4- , 2- -1,3,4- , 2-(N,N- ) -1,3, 4- :



i) 가 :



ii) 가



Q 가 :

i)  $-(CH_2)_m CO_2 R^8$  ;

i)  $-(CH_2)_m C(O)N(R^8)_2$  ;

,  $R^8$  ;  $R^9$  ;  $C_1-C_4$  ;  $C_1-C_6$  ; ,  $m$  0, 1 2 . ; -OH;  $-SO_2 R^9$

Q .

Q ,

i)  $C(O)NHCH_3$  ;

ii)  $-C(O)NHCH_2CH_3$  ;

ii)  $-C(O)NHCH(CH_3)_2$  ;

iv)  $-C(O)NHCH_2CH_2CH_3$  ;

v)  $-C(O)NHCH_2CH_2CH_2CH_3$  ;

vi)  $-C(O)NHCH_2CH(CH_3)_2$  ;

vii)  $-C(O)NH_2$  ;

viii)  $-C(O)NHCH_2CH=CHCH_3$  ;

xix)  $-C(O)NHCH_2CH_2CH(CH_3)_2$  ;

xx)  $-C(O)NHCH_2C(CH_3)_3$  .

$C_1-C_6$  , Q ,

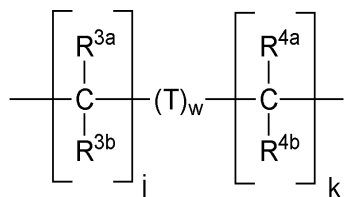
i)  $-C(O)NHCH_2COH(CH_3)_2$  ;

ii)  $-C(O)NHCH_2CNH_2(CH_3)_2$  ;

ii) -C(O)NHCH<sub>2</sub>CH(CH<sub>3</sub>)NH<sub>2</sub>;

iv) -C(O)NHCH<sub>2</sub>CH(CH<sub>3</sub>)OH ;

L 가 :



, T

i) -NR<sup>6</sup>S(O)<sub>2</sub>-;

ii) -S(O)<sub>2</sub>NR<sup>6</sup>-;

iii) .

w 0 1 .

R<sup>3a</sup>, R<sup>3b</sup>, R<sup>4a</sup> R<sup>4b</sup>

i) ;

ii) C<sub>1</sub>-C<sub>4</sub> , ;

iii) -N(R<sup>6</sup>)<sub>2</sub>;

iv) -NR<sup>6</sup>C(Y)R<sup>6</sup>;

v) R<sup>3a</sup> R<sup>3b</sup> R<sup>4a</sup> R<sup>4b</sup> 가 ;

vi) ;

Y -O-, -S-, =O, =S, =NR<sup>6</sup>, =NOH . R<sup>6</sup> , C<sub>1</sub>-C<sub>4</sub> ,  
 , -NH<sub>2</sub>, -OH, -NO<sub>2</sub>, -CN .

j 0 3 , k 0 3 .

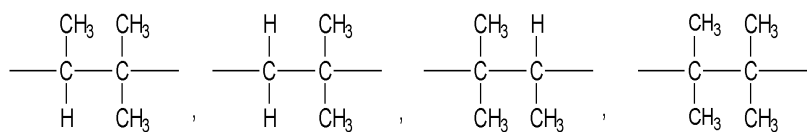
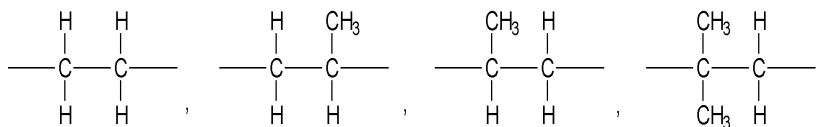
L R<sup>3a</sup> R<sup>3b</sup> R<sup>4a</sup> R<sup>4b</sup> w가 0 j k가 1 :

i) ;

ii) ;

iii) ;

( , , :



:

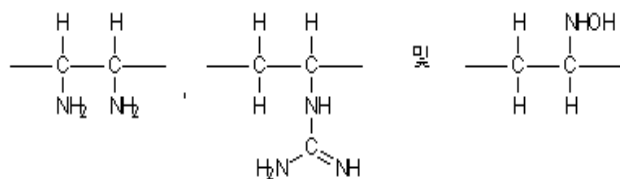
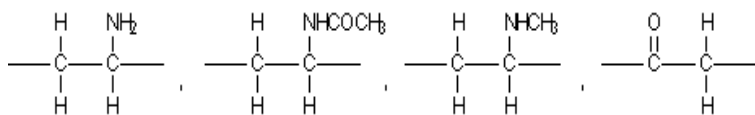
i)  $-\text{N}(\text{R}^6)_2$  ;

ii)  $-\text{NR}^6\text{C}(\text{Y})\text{R}^6$  ;

iii)  $\text{R}^{3a} \quad \text{R}^{3b} \quad \text{R}^{4a} \quad \text{R}^{4b}$  가

가

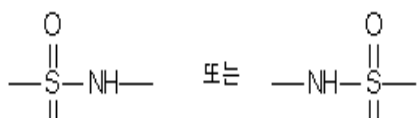
가 :



L

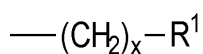
:

j k가 0 , w가 1 T가 가



I

W<sup>1</sup> 가 :



R<sup>1</sup>

i) ;

ii) C<sub>3</sub> - C<sub>8</sub> ;

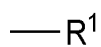
iii) C<sub>6</sub> - C<sub>14</sub> ;

iv) C<sub>1</sub> - C<sub>7</sub> ;

v) C<sub>3</sub>-C<sub>13</sub> ;

x 0 10 .

W<sup>1</sup> 가 :

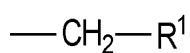


, x 0 .

.

-2- , -4- , -2- , -4-  
R<sup>1</sup>

W<sup>1</sup> 가 :

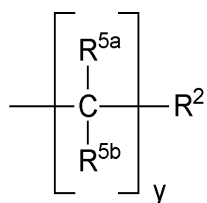


, x 1 .

.

-2- , -4- , -2- , -4-  
R<sup>1</sup>

W<sup>2</sup> 가 :



R<sup>2</sup>

i) ;

ii) C<sub>3</sub>-C<sub>8</sub> ;

iii) C<sub>6</sub>-C<sub>14</sub> ;

iv) C<sub>1</sub>-C<sub>7</sub> ;

v) C<sub>3</sub>-C<sub>13</sub> ;

vi) -C(Y)R<sup>6</sup> ;

vii) -C(Y)<sub>2</sub>R<sup>6</sup> ;

viii) -C(Y)N(R<sup>6</sup>)<sub>2</sub> ;

ix) -C(Y)NR<sup>6</sup>N(R<sup>6</sup>)<sub>2</sub> ;

x) -CN;

- xi) -CNO;
- xii) -[C(R<sup>7</sup>)<sub>2</sub>]C(R<sup>7</sup>)<sub>2</sub>;
- xiii) -N(R<sup>6</sup>)<sub>2</sub>;
- xiv) -NR<sup>6</sup>CN;
- xv) -NR<sup>6</sup>C(Y)R<sup>6</sup>;
- xvi) -NR<sup>6</sup>C(Y)N(R<sup>6</sup>)<sub>2</sub>;
- xvii) -NHN(R<sup>6</sup>)<sub>2</sub>;
- xviii) -NHOR<sup>6</sup>;
- xix) -NCS;
- xx) -NO<sub>2</sub>;
- xxi) -OR<sup>6</sup>;
- xxii) -OCN;
- xxiii) -OCF<sub>3</sub>, -OCCl<sub>3</sub>, -OCBr<sub>3</sub>;
- xxiv) -F, -Cl, -Br, -I ;
- xxv) -SCN;
- xxvi) -SO<sub>3</sub>M;
- xxvii) -OSO<sub>3</sub>M;
- xxviii) -SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>;
- xxix) -SO<sub>2</sub>R<sup>6</sup>;
- xxx) -[C(R<sup>6</sup>)<sub>2</sub>]<sub>n</sub>P(O)(OR<sup>6</sup>)R<sup>6</sup>;
- xxxii) -[C(R<sup>6</sup>)<sub>2</sub>]<sub>n</sub>P(O)(OR<sup>6</sup>)<sub>2</sub>;
- xxxii) ;

R<sup>5a</sup> R<sup>5b</sup> ; Y ; R<sup>6</sup> , -(CH<sub>2</sub>)- , R<sup>5a</sup> R<sup>5b</sup> , C<sub>1</sub>-C<sub>4</sub> , C<sub>2</sub>-C<sub>4</sub> ; M .  
 , -OH, -NO<sub>2</sub>, -CN, .  
 y 0 10 .

( ) W<sup>2</sup> , R<sup>2</sup> 가  
 :

-C(O)OR<sup>6</sup> ;  
 C(O)OCH<sub>3</sub> ; -C(O)OCH<sub>2</sub>CH<sub>3</sub> ; -C(O)OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub> ; -C(O)OCH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub> -



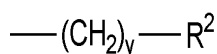
CH<sub>3</sub>; -C(O)OCH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>; -C(O)OCH<sub>2</sub>CH=CHCH<sub>3</sub>; -C(O)OCH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>; -C(O)OCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>.

가 R<sup>2</sup> :

-C(O)NHR<sup>6</sup> -NHC(O)R<sup>6</sup>

R<sup>6</sup> C<sub>1</sub>-C<sub>4</sub>,  
 C(O)NHCH<sub>3</sub>; -C(O)NHCH<sub>2</sub>CH<sub>3</sub>; -C(O)NHCH(CH<sub>3</sub>)<sub>2</sub>; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>; -C(O)NHCH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>; -C(O)NH<sub>2</sub>; -C(O)NHCH<sub>2</sub>CH=CHCH<sub>3</sub>; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>; -C(O)NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>OH; -NHC(O)CH<sub>3</sub>; -NHC(O)CH<sub>2</sub>CH<sub>3</sub>; -NHC(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>.

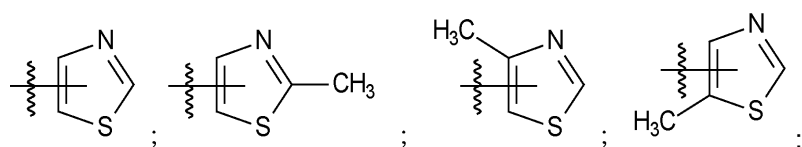
W<sup>2</sup> 가 :



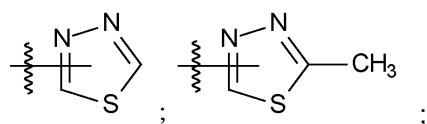
, y 1 3 .

R<sup>2</sup> :

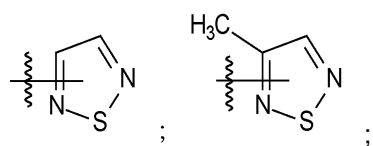
i) 가 , 2- , 4- , 5- :



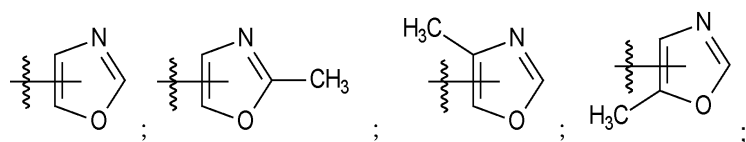
ii) 가 1,3,4- , 2- -1,3,4- :



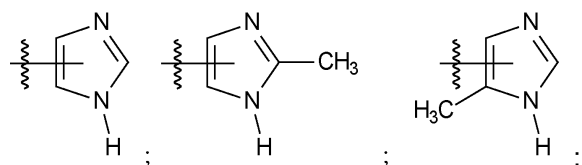
iii) 가 1,2,5- , 3- -1,2,5- :



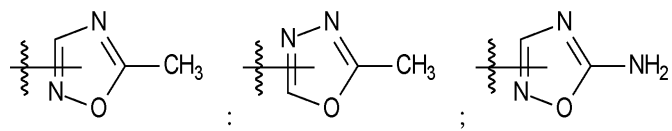
iv) 가 , 2- , 4- , 5- :



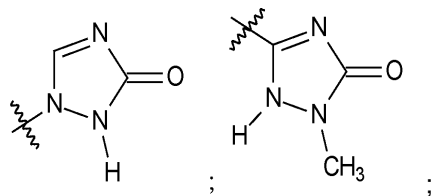
v) 가 , 2- , 5- :



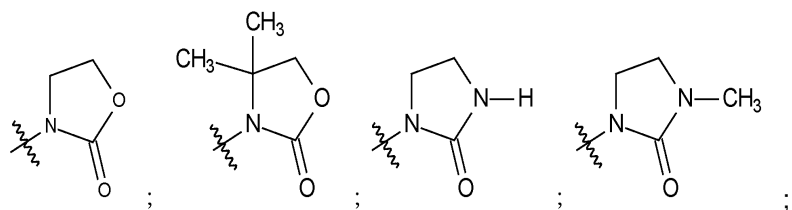
vi) 가 5- -1,2,4- , 2- -1,3,4- , 5- -1,2,4- :



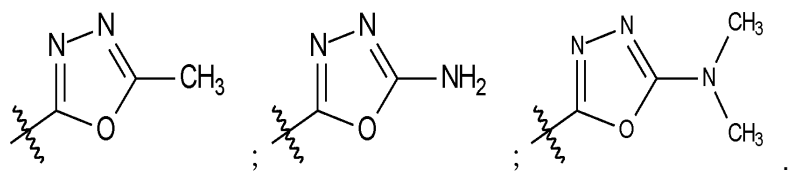
vii) 가 1,2- [1,2,4] -3- -1- , 2- -1,2- [1,2,4] -3- -5- :



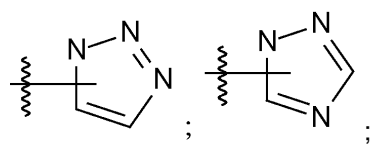
viii) 가 -2- -3- ; 4,4- -2- -3- ; -2- -1- ; 1- -2- -1- :



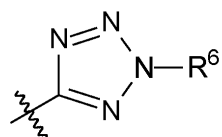
ix) 가 2- -1,3,4- , 2- -1,3,4- , 2-(N,N- ) -1,3,4- :



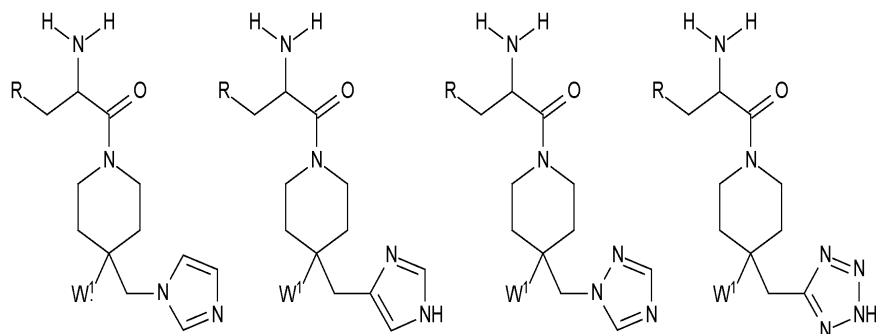
i) 가 :



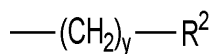
ii) 가



:



가 W<sup>2</sup> :



y 1, 2, 3, R<sup>2</sup>

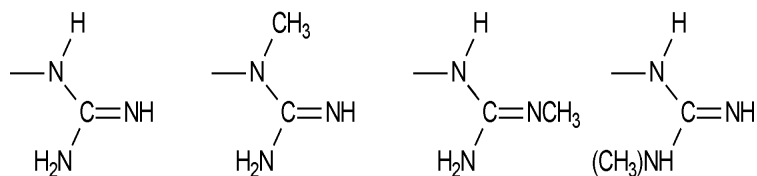
- a) -C(O)N(R<sup>7</sup>)<sub>2</sub>;
- b) -C(O)NR<sup>7</sup>N(R<sup>7</sup>)<sub>2</sub>;
- c) -NR<sup>7</sup>C(O)N(R<sup>7</sup>)<sub>2</sub>;
- d) -NR<sup>7</sup>C(=NR<sup>7</sup>)N(R<sup>7</sup>)<sub>2</sub> ;

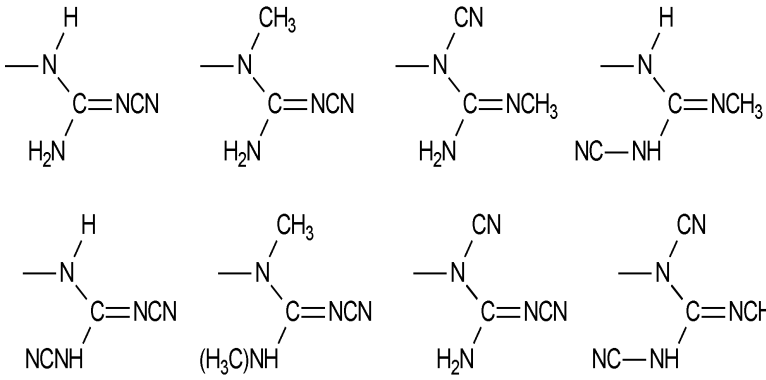
R<sup>4</sup> , ; R<sup>7</sup> , , -NO<sub>2</sub> , -CN .

W<sup>2</sup> 가 :

- a) -(CH<sub>2</sub>)<sub>y</sub>NHC(O)NH<sub>2</sub>;
- b) -(CH<sub>2</sub>)<sub>y</sub>NHC(=NH)NH<sub>2</sub>;
- c) -(CH<sub>2</sub>)<sub>y</sub>NHC(=NCH<sub>3</sub>)NHCN;
- d) -(CH<sub>2</sub>)<sub>y</sub>NHC(=NNO<sub>2</sub>)NHCN;
- e) -(CH<sub>2</sub>)<sub>y</sub>NHC(=NCH<sub>3</sub>)NHNO<sub>2</sub>;
- f) -(CH<sub>2</sub>)<sub>y</sub>NHC(=NCN)NHNO<sub>2</sub>;
- g) -(CH<sub>2</sub>)<sub>y</sub>NHC(=NCN)NH<sub>2</sub>

( , y 1, 2, 3 ). W<sup>2</sup> , y 3 R<sup>2</sup> 가 :

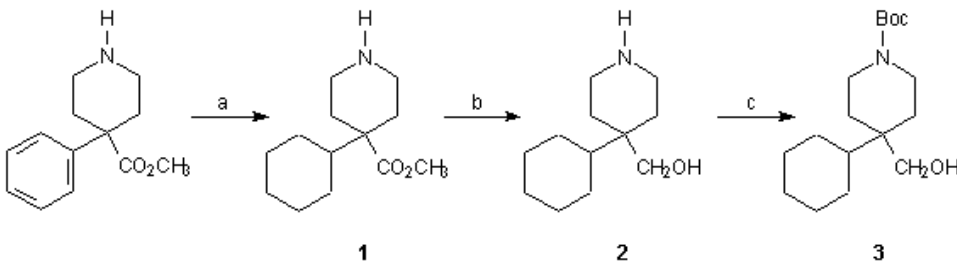




R<sup>2</sup> , , 1,4- , , , , , , , 6 , , 1,4-

가 : 4-

-4- - -1- tert-



: (a) H<sub>2</sub> : PtO<sub>2</sub> ; (b) LAH; (c) (Boc)<sub>2</sub>O

4- -4- (1) :

EtOH(700 mL) 4- -4- (56 g, 248 mmol) (IV)(10.2 g, 45 mmol) 가 . 40 psig(275.8 kPa) 18 (Parr) ig(275.8 kPa) 가 6 가 PtO<sub>2</sub> (2 g, 8.8 mmol) 가 40 ps NaHCO<sub>3</sub>

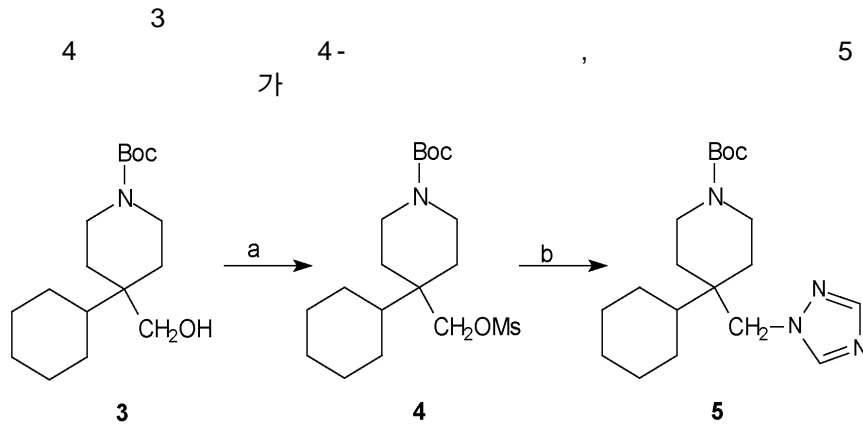
<sup>1</sup>H NMR (300MHz, CDCl<sub>3</sub>) 0.90-1.45 (m, 6H), 1.25-1.32 (t, 3H), 1.55-1.85 (m, 7H), 2.15-2.28 (m, 2H), 2.98-2.80 (m, 2H), 3.18-3.27 (m, 2H), 4.10-4.25 (m, 2H), 7.10 (broad s, 1H); MS (ESI) m/z 240, (M+H<sup>+</sup>).

(4- -4- )- (2) :

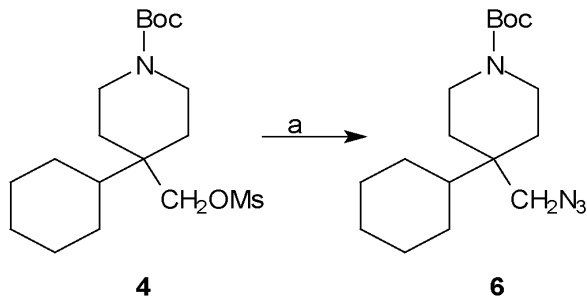
(900 mL, 0.90 , THF 1.0 M ) (-5 °C) (2000 mL) C +3 °C - -4- 1(59.5 g, 249 mmol) 가 . -5 ° 0 °C 1 (100 mL) 가 가 66 . (quenching) 10 87:10:3 : : (500 mL) 가 . 1:1 THF:EtOAc(2000 mL) 20 1 가 4- -4- 53.6 g 가

4- -4- -1- tert- (3) :

-tert- (79 g, 362 mmol) 0 ° C MeOH(1600 mL) (4- - )- 2(53.6 g) (180 mL) 가 . 가  
가 4 3:2 EtOAc/  
35.8 g(48% ) . <sup>1</sup> H NMR (300MHz, CDCl<sub>3</sub>) 1.00-  
1.32 (m, 5H), 1.35-1.60 (m, 14H), 1.65-1.88 (m, 5H), 3.15-3.30 (m, 2H), 3.48-3.65 (m, 2H), 3.63 (s, 2H); M  
S (ESI) m/z 298, (M+H<sup>+</sup>).



: (a) MsCl, Et<sub>3</sub>N; (b) , DMF



: (a) NaN<sub>3</sub>, DMF

4- -4- -1- tert- (4) :

(1.8 mL, 23.0 mmol) 0 ° C (30 mL) 4- -4-  
-1- tert- 3(3.42 g, 11.48 mmol) (4.8 mL, 2.8 mmol)  
가 . 가 1 NaHCO<sub>3</sub>  
(50 mL) 2 , ,

4- -4-[1,2,4] -1- -1- tert- (5) :

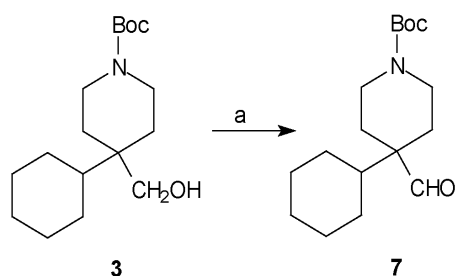
N,N- (200 mL) 4- -4- -1- tert-  
(39 g, 103.8 mmol) (38 g, 415.2 mmol) 가 . 100 ° C 24  
가 (80:20 E  
tOAc: ) 28.7g(79.7% ) . <sup>1</sup> H NMR (CD<sub>3</sub>OD) 0.95-1.9  
0 (m, 15H), 1.46 (s, 9H), 3.45-3.55 (m, 4H), 4.34 (s, 2H), 7.99 (s, 1H), 8.48 (s, 1H). MS (ESI) m/z 349, (M+H<sup>+</sup>), 371(M+Na<sup>+</sup>)

4- -4- -1- tert- (6) :

DMF(25 mL) 4- -4- -1- tert- 4(2.42 g, 6.

73 mmol) (1.32 g, 20.2 mmol) 가 EtOAc(30 mL) 100 °C 가  
 76% (1.91 g) 3:1 /EtOAc

7 W 2



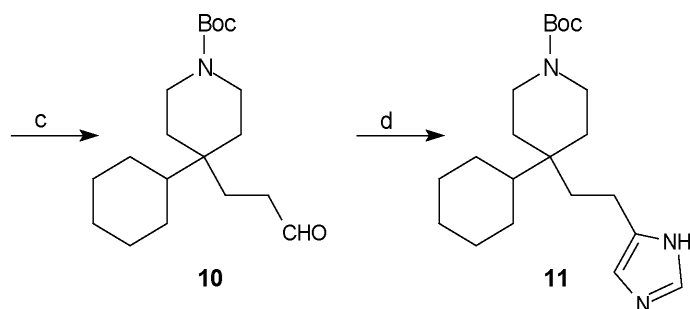
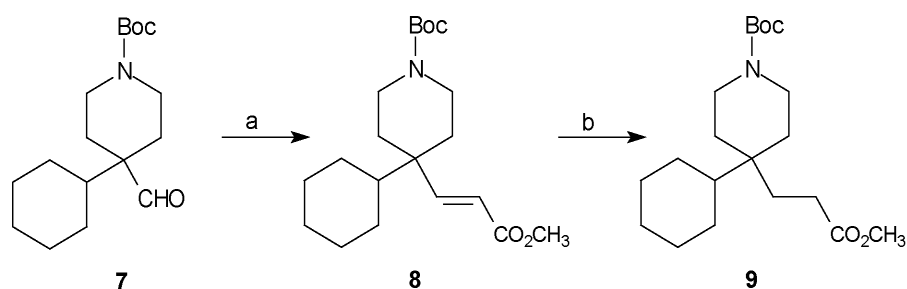
: (a)  $(\text{CH}_3\text{CH}_2\text{CH}_2)_4\text{NRuO}_4$ ; 4- N- ; 3 ; ,1 .

4- -4- - -1- tert- (7) :

mmol), 4- 4- N- -4- - -1- tert- 3(1.0 g, 3.36  
 (0.54 g, 4.64 mmol), (0.5 g)  
 (35.5 mg) 가 . 30 1

가 . MS (ESI)  $m/z$  318,  $(\text{M}+\text{Na}^+)$ .

7



: (a)  $(\text{CH}_3\text{O})_3\text{P}(\text{O})\text{CH}_2\text{CO}_2\text{CH}_3$ , DBU,  $\text{CH}_3\text{CN}$ ; ,1 . (b)  $\text{H}_2$ :Pd/C, MeOH; , 2  
 . (c) DIBAL,  $\text{CH}_2\text{Cl}_2$ ; , 40 . (d) TosMIC, NaCN, EtOH; , 3 .

4- -4- (2- - )- -1- tert- (8) :

(477 mg, 11.3 mmole) 1,8- (25 ml) (1.41 ml, 8.72 mmole),  
 - -4- - -1- tert- [4.3.0] -7- (DBU)(1.55 ml, 11.3 mmole) 4  
 1 7(2.58 mg, 8.72 mmole) 가 .  
 = 15:1, Rf = 0.78) 2.64 g(86%) ) ( :

4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (9) :

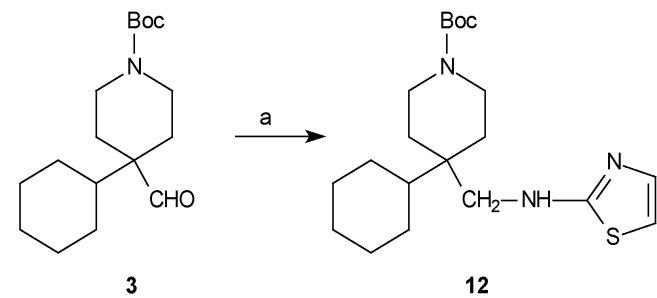
(30 ml) 4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (120 mg) 가 2.57 g(97% )

4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (10) :

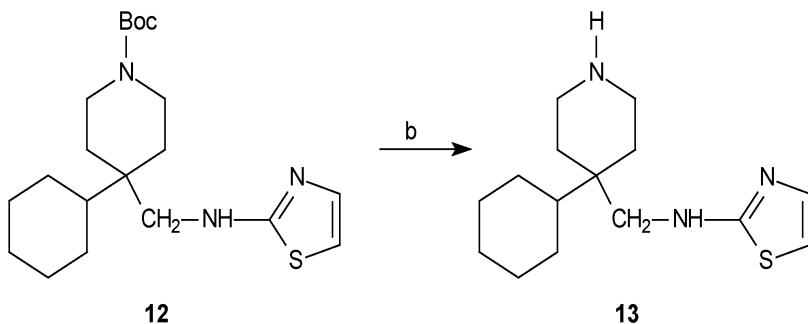
40 ml 9(1.0 g, 2.833 mmol) (-78 °C) (5.75 ml, 1 M, 5.75 mmol) 가 (3mL) (20mL) 가 915 mg(>99% )

4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (11) :

3 (10 ml) 4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (176 mg, 0.93 mmole) (6 mg) (2 M, 10 ml) 가 141 mg(42% ) = 15:1, R<sub>f</sub> = 0.58



(a) (i) 2- ; 18 ;(ii) HB(AcO)<sub>3</sub>, 3



(b) TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O; 1

4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (12) :

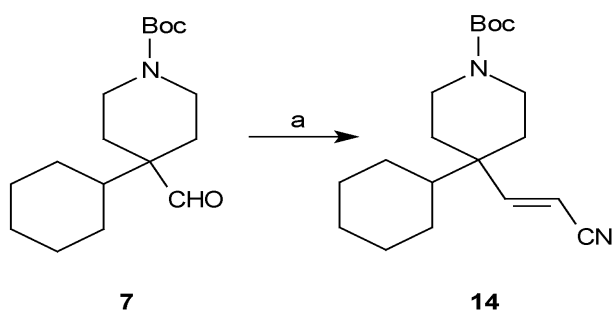
4-tert-butyl-2-(4-(tert-butylphenyl)phenyl)pyridine (15 mL) 3(296 mg, 1.0 mmol) 2- (Dean-Stark) 가 312 mg(82% ) HPLC

MS (ESI) m/z 380 (M+H<sup>+</sup>)

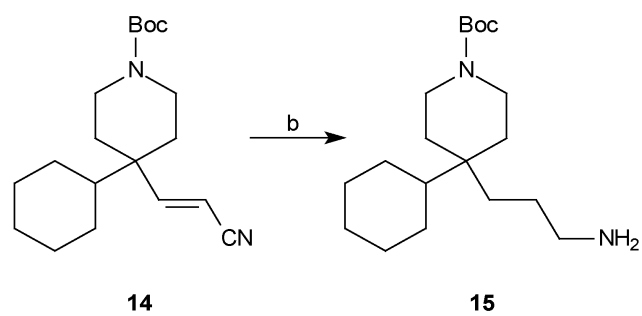
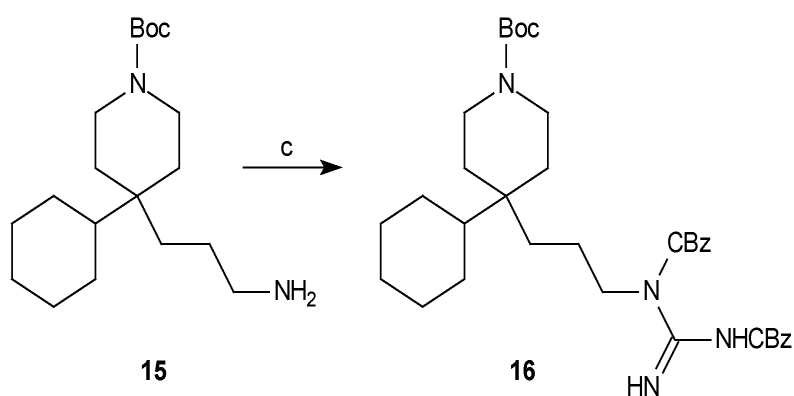
(4- -4- )- -2- - (13) :

가 (ready-to-use) : (1:1:0.1, 7 mL) 4-  
 -4-( -2- )- -1- tert- 12(312 mg, 0.82 mmol)  
 가 , 0.5-1.0 .  
 220 mg(96 % ) HPLC

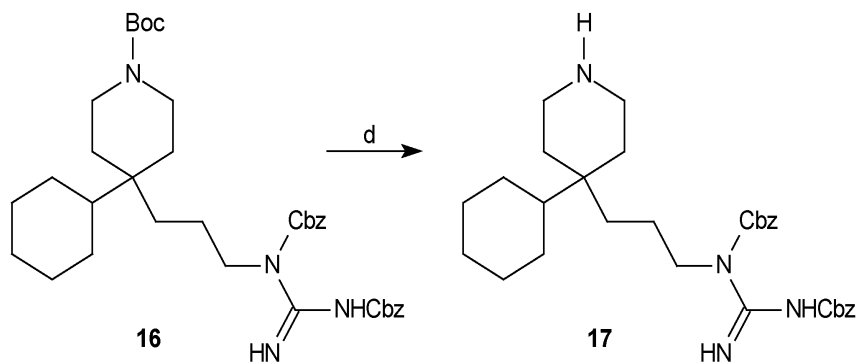
7



: (a) , LiCl, DBU; 1 .

: (b) H<sub>2</sub>, NH<sub>3</sub>, (Raney) Ni; 6 .: (c) HgCl<sub>2</sub>, CBzNHC(SCH<sub>3</sub>)=NCBz, TEA, DMF; 1 .





: (d) TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O; 1 .

4-(2- )-4- -1- tert- (14) :

(25 mL) (0.78 mL, 4.02 mmol)  
 ol), LiCl (184 mg, 4.02 mmol), DBU(0.55 mL, 4.02 mmol) 4- -4- -1-  
 tert- 7(992 mg, 3.35 mmol) 가 1  
 15:1 /

4-(3- )-4- -1- tert- (15) :

MeOH(33 mL) 4-(2- )-4- -1- tert- 14(800 mg, 2.3  
 5 mmol) (16 mL) Ni(50 mg) 가 . 6  
 (45 psi (310 kPa))

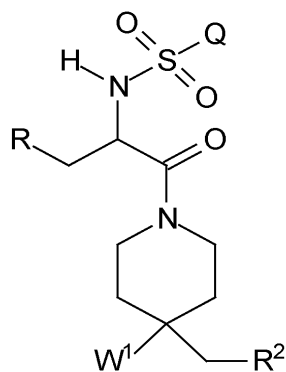
4- -4-(3- - - )- -1- tert- (16)  
 \_\_\_\_\_:

(II)(401 mg, 0.48 mmol) N,N- (15 ml) 4-(3- - )-4- -  
 -1- tert- 15(425 mg, 1.23 mmole), 1,3- ( )-2- -2-  
 (441 mg, 1.23 mmol) (0.62 ml, 5.64 mmol) 가 .  
 1.0 ( / , 3:1) 629 mg(78 % )

N-[3-(4- - -4- )- ]- (17) :

가 : (1:1:0.1, 11 ml) 4- -4-(3-  
 - )- -1- tert- 16(300 mg, 0.46 mmole)  
 가 , 0.5-1.0 .  
 HPLC  
 254 mg(>99% )

I 가 4-  
 :

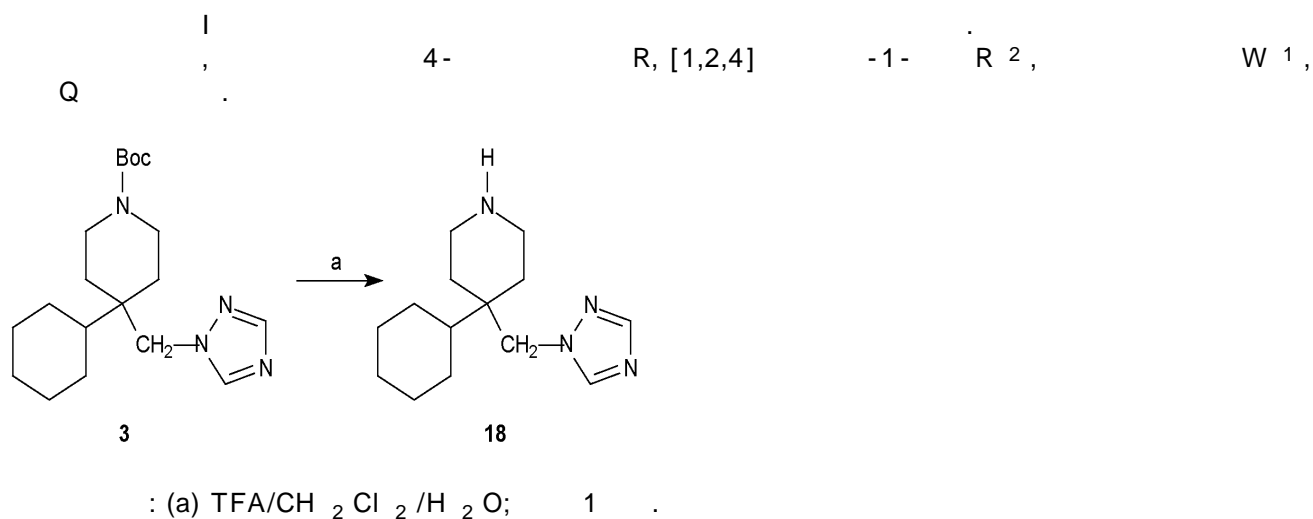
, W<sup>1</sup>, R, R<sup>2</sup> Q

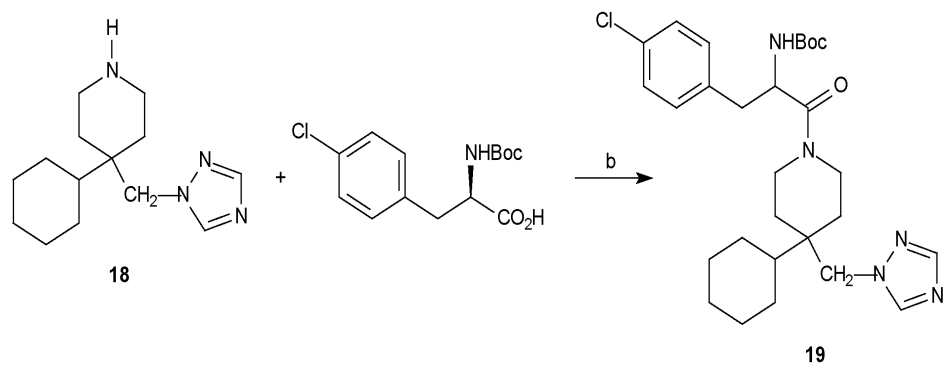
la lb

화합물 번호	[ la ]			
	R	R <sup>2</sup>	W <sup>1</sup>	Q
1	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	메틸
2	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	에틸
3	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	프로필
4	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	아이소-프로필
5	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	부틸
6	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	아이소-부틸
7	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	tert-부틸
8	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	트라이플루오로 메틸
9	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	페닐
10	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	나프탈렌-2-일
11	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	메틸
12	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	에틸
13	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	프로필
14	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	아이소-프로필
15	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	부틸
16	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	아이소-부틸
17	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	tert-부틸
18	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	트라이플루오로 메틸
19	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	페닐
20	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	4-메틸페닐
21	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	메틸
22	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	에틸
23	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	프로필
24	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	아이소-프로필
25	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	부틸
26	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	아이소-부틸
27	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	tert-부틸
28	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
29	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	페닐
30	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	나프탈렌-2-일

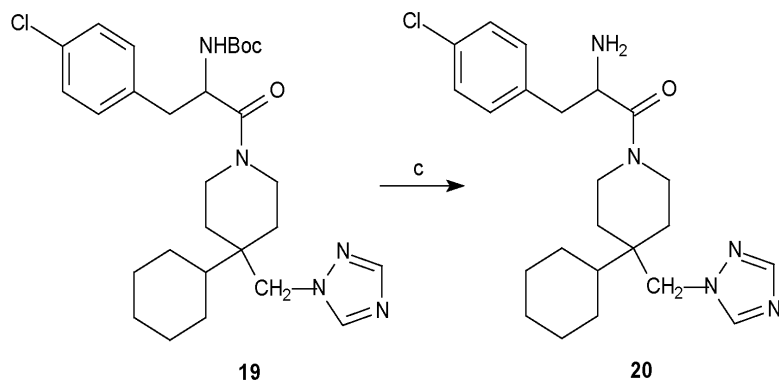
## [ Ib ]

31	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	메틸
32	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	에틸
33	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	프로필
34	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	아이소-프로필
35	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	부틸
36	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	아이소-부틸
37	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	tert-부틸
38	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
39	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	페닐
40	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	나프타넨-2-일
41	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	메틸
42	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	에틸
43	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	프로필
44	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	아이소-프로필
45	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	부틸
46	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	아이소-부틸
47	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	tert-부틸
48	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
49	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	페닐
50	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	나프타넨-2-일
51	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	메틸
52	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	에틸
53	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	프로필
54	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	아이소-프로필
55	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	부틸
56	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	아이소-부틸
57	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	tert-부틸
58	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
59	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	페닐
60	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	나프타넨-2-일

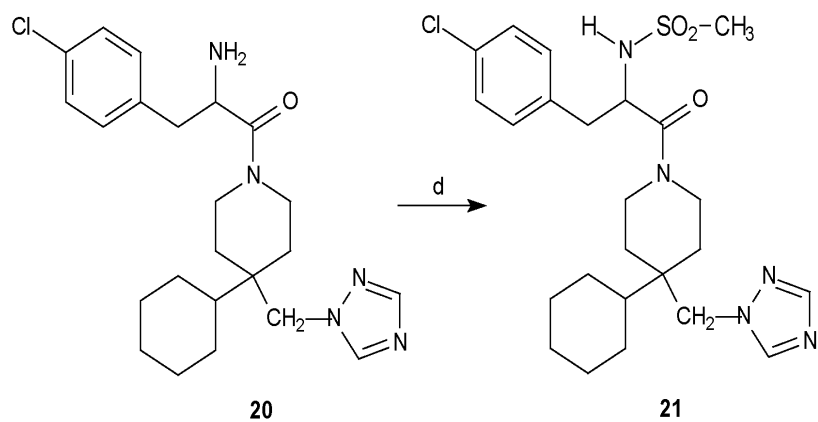




: (b) HOBt, NMM, EDCI, DMF; 6 .



: (c) TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O; 1 .



: (d) CH<sub>3</sub>SO<sub>2</sub>Cl, TEA, THF; 0 °C to 18 .

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N-[1-(R)-(4- )]-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]-

4- -4-[1,2,4] -1- (18) :

- 1- / tert- / (1:1:0.1, 10 mL) 4- -4-[1,2,4] -1-  
 - 가 , 3(3.5 g, 10 mmol) 가 ,  
 NaHCO<sub>3</sub> EtOAc 30 60 . HPL

C

[1-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]

tert- (19) :

DMF(30 mL) 4- -4-[1,2,4] -1- 18(2.16 g, 8.74 mmol), (R)-2-N-(tert-  
 )-3-(4- )- [Boc-D-Ph(p-Cl)-OH] (2.65 g, 9.18 mmol), 1-  
 (2.36 g, 17.5 mmol), N- (35.0 mmol, 3.83 mL) 1-(3-  
 )-3- (2.16 g, 11.4 mmol) 가 6  
 NH<sub>4</sub>Cl 가 EtOAc ,

2- -3-(4- )-1-(4- -4-[1,2,4] -1- -1- ) -1- (20)  
 ) :

1,2,4] -1- / / (1:1:0.1, 5 mL) [1-(4- )-2-(4- -4-[  
 가 30 60 -1- )-2- - ] tert- 19(3.5 g, 6.65 mmol) -4-[  
 EtOAc NaHCO<sub>3</sub>  
 HPLC

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-  
 (21) :

0 °C (10 mL) 2-(R)- -3-(4- )-1-(4- -4-[1,2,4]  
 -1- -1- )- -1- 20(400 mg, 0.93 mmol) (0.78 mL, 5.58 m  
 mol) (0.09 mL, 1.11 mmol) 가  
 HPLC 314.5 mg(54 % )  
 . <sup>1</sup>H NMR (300MHz, CD<sub>3</sub>OD) 0.80-1.92 (m, 15H), 2.78-3.08 (m, 5H), 3.30-3.90  
 (m, 4H), 4.25-4.40 (m, 2H), 4.65-4.75 (m, 1H), 7.25-7.40 (m, 4H), 8.00-8.08 (m, 1H), 8.52 (s, 1H).

<sup>13</sup>C NMR (75MHz, CD<sub>3</sub>OD) ppm 27.75, 27.79, 27.85, 27.96, 28.55, 31.08, 31.76, 39.31, 39.41, 40.16, 40.4  
 9, 41.89, 42.96, 43.82, 52.61, 53.28, 54.62, 55.29, 130.08, 130.22, 132.81, 132.92, 134.40, 134.58, 136.86, 1  
 37.04, 146.62, 151.80, 151.94, 172.50. ( 가 ); <sup>19</sup>F NMR (282MHz, CD<sub>3</sub>OD) ppm 85.60, 9  
 2.52. MS (ESI) m/z 508, (M+H<sup>+</sup>). : C<sub>24</sub>H<sub>34</sub>N<sub>5</sub>O<sub>3</sub> CIS 0.30 TFA : C, 54.49; H, 6.37; N,  
 12.91. : C, 54.46; H, 5.93; N, 11.97.

W 1 , , ,

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N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-  
 ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-  
 ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-  
 ;

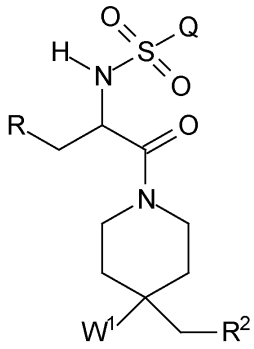
N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-  
 ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-  
 ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- -1- )-2- - ]-(  
 4- ) ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]-

I : 가 4-



, W 1

, R, R 2 Q

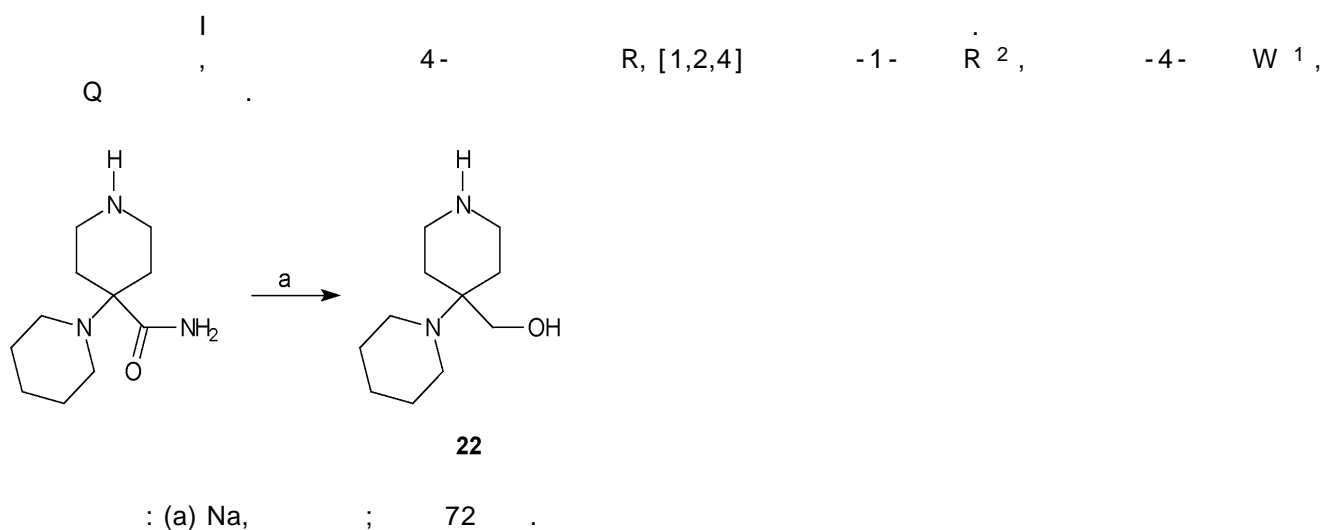
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## [ IIa ]

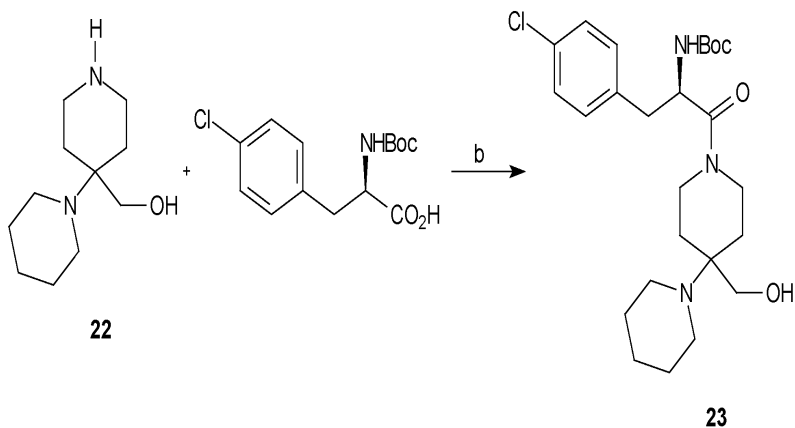
화합물 번호	R	R <sup>2</sup>	W <sup>1</sup>	Q
61	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	메틸
62	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	에틸
63	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	프로필
64	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	아이소-프로필
65	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	부틸
66	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	아이소-부틸
67	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	tert-부틸
68	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	트라이플루오로 메틸
69	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	페닐
70	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-1-일	4-메틸페닐
71	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	메틸
72	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	에틸
73	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	프로필
74	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	아이소-프로필
75	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	부틸
76	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	아이소-부틸
77	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	tert-부틸
78	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	트라이플루오로 메틸
79	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	페닐
80	4-클로로페닐	2H-테트라졸-5-일	피페리딘-1-일	4-메틸페닐
81	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	메틸
82	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	에틸
83	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	프로필
84	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	아이소-프로필
85	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	부틸
86	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	아이소-부틸
87	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	tert-부틸
88	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	트라이플루오로 메틸
89	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	페닐
90	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	피페리딘-1-일	나프타넨-2-일

## [ IIb ]

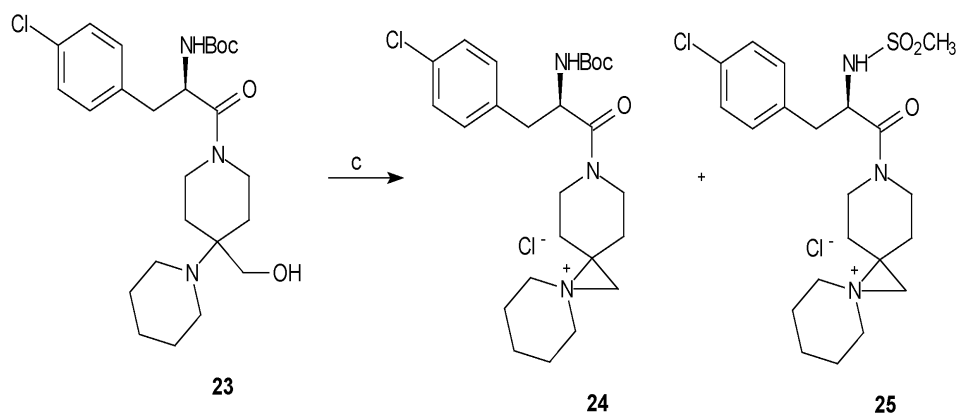
91	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	메틸
92	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	에틸
93	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	프로필
94	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	아이소-프로필
95	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	부틸
96	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	아이소-부틸
97	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	tert-부틸
98	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	트라이플루오로 메틸
99	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	페닐
100	4-클로로페닐	-NHC(O)NH <sub>2</sub>	피페리딘-1-일	나프타넨-2-일
101	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	메틸
102	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	에틸
103	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	프로필
104	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	아이소-프로필
105	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	부틸
106	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	아이소-부틸
107	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	tert-부틸
108	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	트라이플루오로 메틸
109	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	페닐
110	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	피페리딘-1-일	나프타넨-2-일
111	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	메틸
112	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	에틸
113	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	프로필
114	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	아이소-프로필
115	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	부틸
116	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	아이소-부틸
117	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	tert-부틸
118	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	트라이플루오로 메틸
119	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	페닐
120	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	피페리딘-1-일	나프타넨-2-일



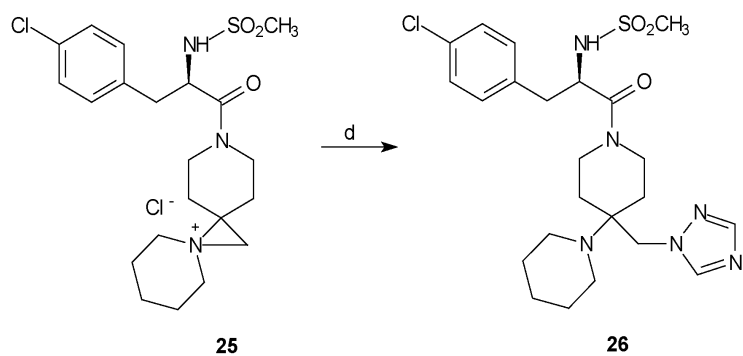




: (b) HOBt, NMM, EDCI, DMF, DIPEA; 0 °C 18 .



: (c) CH<sub>3</sub>SO<sub>2</sub>Cl, TEA, CH<sub>2</sub>Cl<sub>2</sub>; 0 °C 18 .



: (d) [1,2,4], DMF; 55 °C, 18 .

2

N-[1-(R)-(4- )]-2- -2-(4'-[1,2,4] -1- -

[1,4'] -1'- )- ]- (26)

[1,4'] -4'- (22) :

4.4 g (5.01g, 23.7 mmol) 3 140 mL 1- [1,4']  
 (~9.276 g, 403.4 mmol) 가 가 . 가  
 1 가 가 . 가  
 4.4 g 가 . 1 H NMR (CD<sub>3</sub>OD, 300 MHz) 1.48-

1.60 (m, 8H), 1.72-1.80 (m, 2H), 2.61-2.71 (m, 6H), 2.92-3.01 (m, 2H), 3.37 (s, 1H), 3.56 (s, 2H). MS (ESI) m/z 199 (M+H<sup>+</sup>).

[1-(R)-(4- )-2-(4'- [1,4']- -1'- )-2- - ]- tert-  
(23) :

가 [1,4'] -4'- - 22 (2.2 g, 11.1 mmol, 1.0 eq.) 2  
 -(R)-tert- -3-(4- )- (3.648 g, 12.2 mmol), 1-  
 (2.552 g, 18.9 mmol), N,N- (80 mL) 1-(3- )-3-  
 (3.71 g, 18.9 mmol) 0 N,N- (4.1 mL,  
 37.7 mmol) 가 HP  
 LC 2.83 g(43% ) . <sup>1</sup>H NMR (CD<sub>3</sub>OD,  
 300 MHz) 0.85-2.13 (m, 19H), 2.65-3.82 (m, 8H), 3.90-4.10 (m, 3H), 4.48 (m, 1H), 4.76 (m, 1H), 7.22-7.4  
 8 (m, 4H). MS (ESI) m/z 480 (M+H<sup>+</sup>).

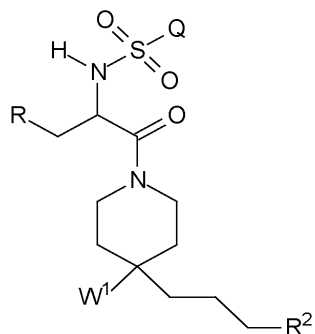
3-[3-(4- - )-2-R- - ]-3- -7- - [5.0.5.1]  
(25) :

(15 mL) [1-(R)-(4- - )-2-(4'- -[1,4'] -1'- )-2-  
 - ]- tert- 23(500 mg, 0.84 mmol, 1.0 eq.) (0 ) (0.24 m  
 L, 1.7 mmol) (0.13 mL, 1.7 mmol) 가 가  
 가  
 [2-(R)-tert- - -3-(4- - )- ]-3- -7- - [5.0.5.1]  
 24 HPLC 121.6 mg(30% )  
 231.9 mg(56% ) 24 : <sup>1</sup>H NMR (CD<sub>3</sub>OD, 300 MHz) 1.48-2.18  
 (m, 10H), 2.72-3.63 (m, 13H), 3.97 (m, 1H), 4.48 (m, 1H), 4.70 (m, 1H), 7.28-7.42 (m, 4H). MS (ESI) m/z 475  
 (M+H<sup>+</sup>). 24: <sup>1</sup>H NMR (CD<sub>3</sub>OD, 300 MHz) 1.32-2.15 (m, 19H), 2.86-3.72 (m, 10H), 3.95 (m, 1H  
 ), 4.78 (m, 1H), 4.78 (m, 1H), 7.23-7.48 (m, 4H). MS (ESI) m/z 498 (M+H<sup>+</sup>).

N-[1-(R)-(4- - )-2- -2-(4'-[1,2,4] -1- -[1,4'] -1'- )- ]-  
(26) :

N,N- (15 mL) 3-[3-(4- - )-2-R- - ]-3- -7-  
 - [5.0.5.1] 25(121.6 mg, 0.26 mmol) 1,2,4- ,  
 (91.0 mg, 1.0 mmol) 가 55 가  
 HPLC 81.9 mg(43% )  
 . <sup>1</sup>H NMR (CD<sub>3</sub>OD, 300 MHz) 1.17-2.35 (m, 10H), 2.  
 79-4.00 (m, 11H), 4.20 (m, 1H), 4.47-4.74 (m, 2H), 5.00 (m, 2H), 7.25-7.46 (m, 4H), 8.19 (s, 1H), 8.68 (m, 1  
 H). MS (ESI) m/z 509 (M+H<sup>+</sup>). <sup>13</sup>C NMR (CD<sub>3</sub>OD, 300 MHz) 15.50, 22.88, 25.16, 25.34, 29.10, 29.82,  
 38.64, 39.18, 39.68, 41.55, 41.63, 42.19, 42.53, 54.39, 54.60, 66.89, 68.54, 129.69, 129.88, 132.37, 132.69,  
 132.80, 133.97, 134.09, 136.58, 136.75, 147.44, 153.07, 153.53, 153.66, 162.35, 162.60, 171.95.

II 2 W<sup>1</sup> , -4- , -4- , -  
 4- , -1- , -4- .  
 I 가 4-  
 :

, W<sup>1</sup>, R, R<sup>2</sup> Q

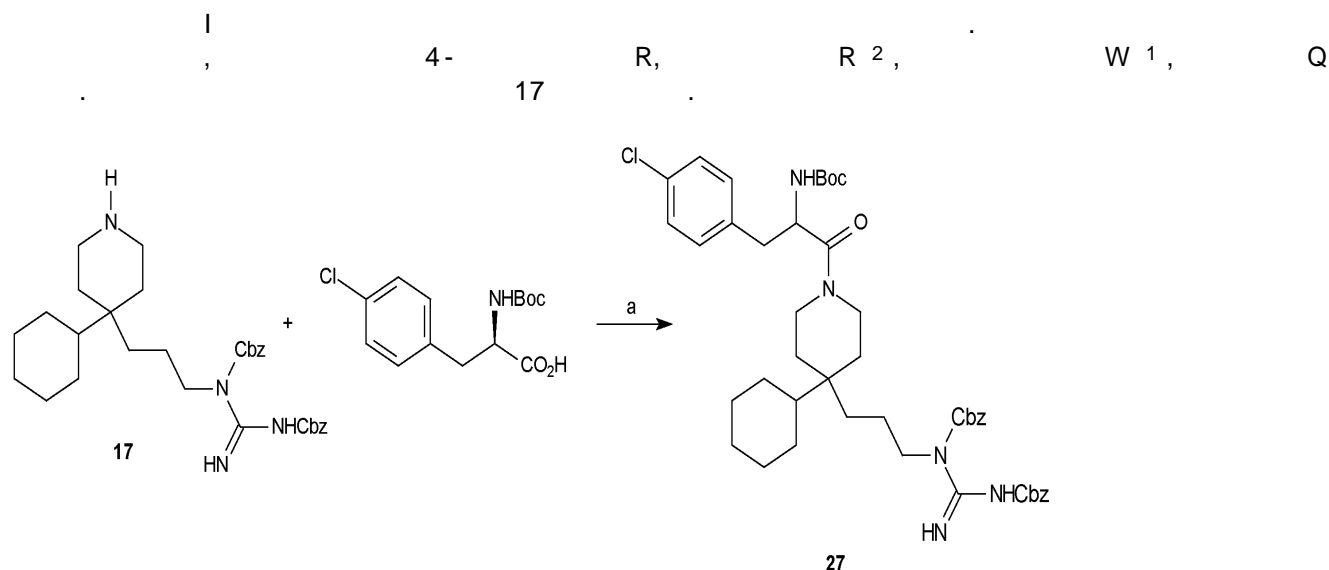
IIIa IIIb

## [ IIIa ]

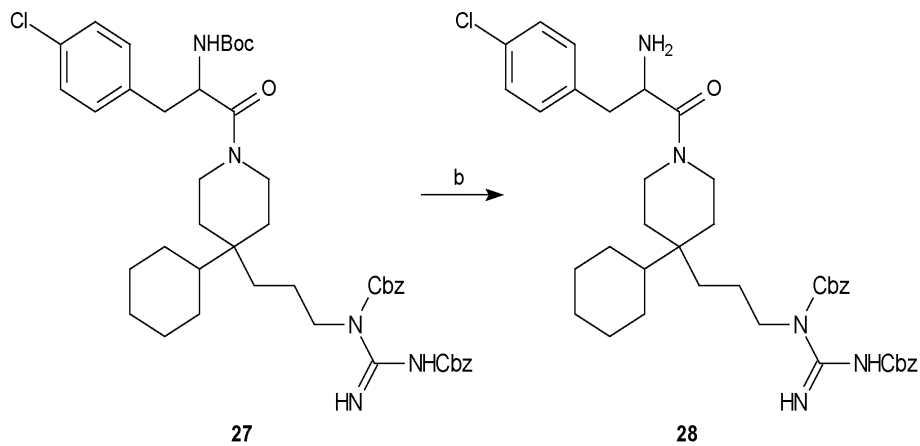
화합물 번호	R	R <sup>2</sup>	W <sup>1</sup>	Q
121	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	메틸
122	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	에틸
123	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	프로필
124	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	아이소-프로필
125	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	부틸
126	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	아이소-부틸
127	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	tert-부틸
128	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	트라이플루오로 메틸
129	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	페닐
130	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	4-메틸페닐
131	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	메틸
132	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	에틸
133	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	프로필
134	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	아이소-프로필
135	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	부틸
136	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	아이소-부틸
137	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	tert-부틸
138	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	트라이플루오로 메틸
139	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	페닐
140	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	4-메틸페닐
141	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	메틸
142	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	에틸
143	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	프로필
144	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	아이소-프로필
145	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	부틸
146	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	아이소-부틸
147	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	tert-부틸
148	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
149	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	페닐
150	4-클로로페닐	-NHC(=NH)NH <sub>2</sub>	사이클로헥실	나프타넨-2-일

## [ IIIb ]

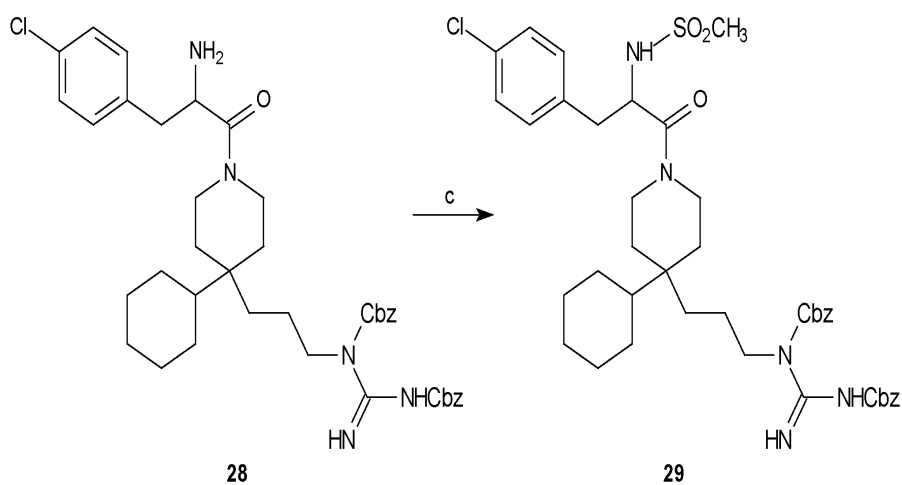
151	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	메틸
152	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	에틸
153	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	프로필
154	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	아이소-프로필
155	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	부틸
156	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	아이소-부틸
157	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	tert-부틸
158	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
159	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	페닐
160	4-클로로페닐	-NHC(O)NH <sub>2</sub>	사이클로헥실	나프타넨-2-일
161	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	메틸
162	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	에틸
163	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	프로필
164	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	아이소-프로필
165	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	부틸
166	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	아이소-부틸
167	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	tert-부틸
168	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
169	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	페닐
170	4-클로로페닐	-NHC(=NCH <sub>3</sub> )NH <sub>2</sub>	사이클로헥실	나프타넨-2-일
171	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	메틸
172	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	에틸
173	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	프로필
174	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	아이소-프로필
175	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	부틸
176	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	아이소-부틸
177	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	tert-부틸
178	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	트라이플루오로 메틸
179	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	페닐
180	4-클로로페닐	- NHC(=NCN)NHNO <sub>2</sub>	사이클로헥실	나프타넨-2-일



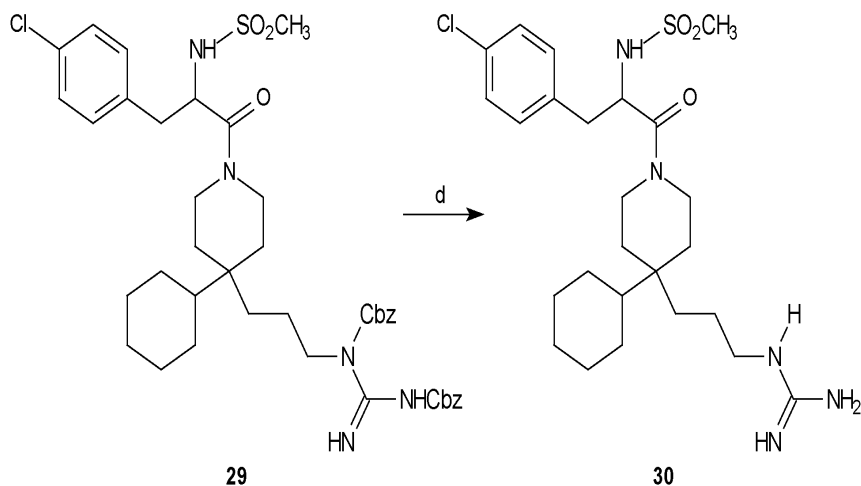
(a) HOBt, NMM, EDCI, DMF; 6



(b) TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O; 1 .



(c) CH<sub>3</sub>SO<sub>2</sub>Cl, TEA, THF; 0 °C 6 .



(d) H<sub>2</sub>, 10% Pd/C, MeOH; 2 .

3

N-[1-(R)-(4- )-2-(4- -4- -1- )-2- - ]-

(30)

{1-(4- )-2-[4- -4-(4-N',N''- )- -1- ]-2- - } tert- (27) :

DMF(30 mL) N-[3-(4- (R)-2-N-(tert- [Boc-D-Ph(p-Cl)-OH](2.65 g, 9 .18 mmol), 1- (2.36 g, 17.5 mmol), N- (35.0 mmol, 3.83 mL) 1- (3- )-3- NH<sub>4</sub>Cl 가 (2.16 g, 11.4 mmol) 가 EtOAc

2- -3-(4- )-1-[4- -4-(N',N''- )- -1- ]- -1- (28) :

4-(4-N',N''- )- -1- ]- 2- - } tert- 27(5.43 g, 6.65 mmol) 가 , 30 60 NaHCO<sub>3</sub> EtOAc HPLC

N-{1-(R)-(4- )-2-[4- -4-(N',N''- )- -1- ]- -2- - }- - (29) :

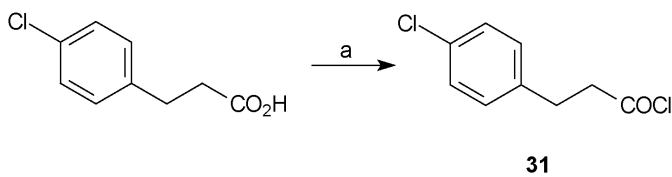
0 °C (10 mL) 2-(R)- -3-(4- )-1-(4- -4-[1,2,4] -1- - -1- )- -1- 28(666 mg, 0.93 mmol) (0.78 mL, 5.58 m mol) (0.09 mL, 1.11 mmol) 가 HPLC

N-[1-(R)-(4- )-2-(4- -4- - -1- )-2- - ]- (30) :

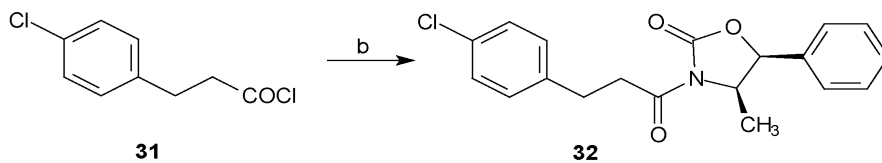
(3 mL) N-{1-(R)-(4- )-2-[4- -4-(N',N''- )- -1- )-2- - }- - 29(100 mg) 10% (1 2 mg) 가 HPLC

I II 4,4-

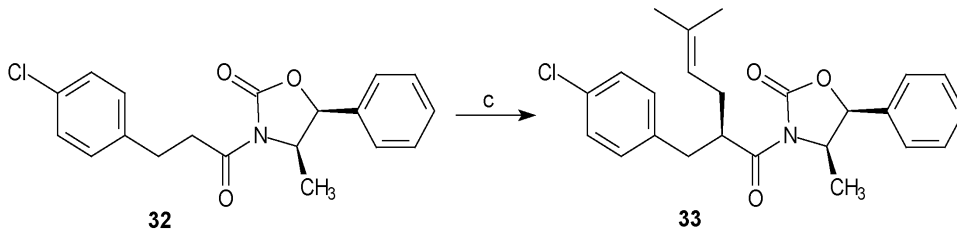
가 3-(4-



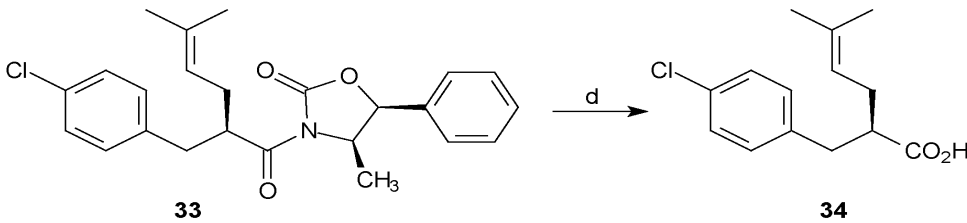
: (a) SOCl<sub>2</sub>, ; 24 .



: (b) 4- -5- - -2- , n-BuLi , THF; -78 °C 2 .



: (c) NaBTMSA, 4- -2- -2- , THF; -78 ° C 18 .



: (d) LiOH/30% H<sub>2</sub>O<sub>2</sub>, THF; 0 ° C 1 .

3-(4- ) (31) :

(50 mL) 3-(4- )- (1.5 g, 8.15 mmol) (1.18 mL, 16.3 m  
mol) 가 24 가 .  
1.45 g(88% ) 가 . <sup>1</sup>H NMR (CDCl<sub>3</sub> 300MHz) 3.01 (t, J = 7.2Hz, 2H), 3.22 (t, J = 6.9Hz, 2H), 7.12-7.20 (m, 2H), 7.26-7.35 (m, 2H).

3-[3-(4- )- ]-4-R- -5-S- - -2- (32) :

(20 mL) 4- -5- - -2- 31(600 mg, 3.39 mmol) (-78 ° C)  
n- (2.5 mL, 1.6 M , 4.07 mmol) 가 . -78 ° C 90  
3-(4- )- (894 mg, 4.41 mmol) 가 .  
30 가 (20:80  
: , R<sub>f</sub> ~ 0.3) 1.07 g(92% ) . <sup>1</sup>H NMR (CDCl<sub>3</sub> 300  
MHz) 0.91 (d, J = 6.6Hz, 3H), 3.01 (t, J = 7.8Hz, 2H), 3.18-3.40 (m, 2H), 4.77 (m, 1H), 5.67 (d, J = 7.2Hz, 1  
H), 7.18-7.48 (m, 9H). MS (ESI) m/z 344 (M+H<sup>+</sup>)

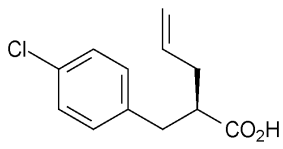
3-[2-S-(4- )-5- - -4- ]-4-R- -5-S- - -2- (33) :

THF(15 mL) 3-[3-(4- )- ]-4- -5- - -2- 32(500 mg, 1.46 mmol)  
(-78 ° C) ( )- (1.75 mL, THF 1.0 M , 1.75 mmol) 가  
-78 ° C 4- -2- -2- (0.20 mL, 1.75 mmol) 가  
HPLC 213  
mg(36% ) . <sup>1</sup>H NMR (CDCl<sub>3</sub> 300MHz) 0.83 (d, J = 6.6Hz, 3H), 1.  
62 (s, 3H), 1.70 (s, 3H), 2.20-2.55 (m, 2H), 2.77-3.10 (m, 2H), 4.20-4.35 (m, 1H), 4.55-4.68 (m, 1H), 5.15-  
5.25 (m, 1H), 5.38 (d, J = 7.2Hz, 1H), 7.15-7.45 (m, 9H). MS (ESI) m/z 412 (M+H<sup>+</sup>)

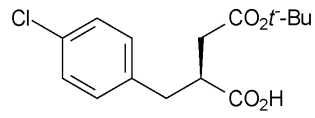
(S)-2-(4- )-5- - -4- (34) :

0 ° C THF(5 mL) 3-[2-S-(4- )-5- - -4- ]-4-R- -5-S- - -  
2- 33(1 mmol) LiOH/30% H<sub>2</sub>O<sub>2</sub> ( 1.5 mmol) 가 . 1  
, 1N HCl(pH~2)

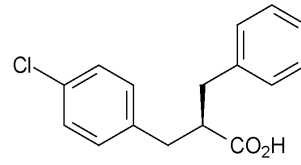
35 - 40 .



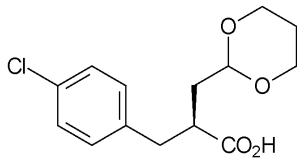
35



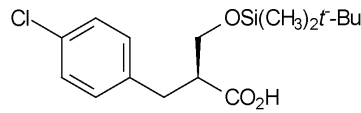
36



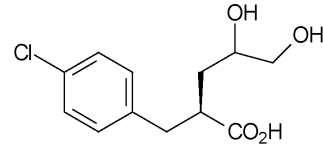
37



38



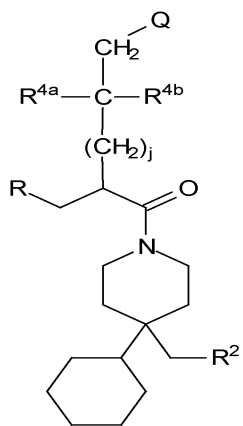
39



40

II  
:

가 4-

, R, R<sup>2</sup>, R<sup>4a</sup>, R<sup>4b</sup>, Q

j

IVa IVd

.



## [ IVa ]

화합물 번호	R	R <sup>2</sup>	R <sup>4a</sup>	R <sup>4b</sup>	j	Q
181	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	H	1	페닐
182	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	-CH <sub>3</sub>	1	페닐
183	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	NH <sub>2</sub>	1	페닐
184	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	-NHCH <sub>3</sub>	1	페닐
185	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	- NHC(O)CH <sub>3</sub>	1	페닐
186	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	-CH <sub>3</sub>	H	1	페닐
187	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	페닐
188	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	페닐
189	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	페닐
190	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	-CH <sub>3</sub>	- NHC(O)CH <sub>3</sub>	1	페닐
191	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	H	1	4-OH-페닐
192	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	-CH <sub>3</sub>	1	4-OH-페닐
193	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	NH <sub>2</sub>	1	4-OH-페닐
194	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	-NHCH <sub>3</sub>	1	4-OH-페닐
195	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	H	- NHC(O)CH <sub>3</sub>	1	4-OH-페닐
196	4- 플루오로페닐	[1,2,4]트리아졸- 1-일	-CH <sub>3</sub>	H	1	4-OH-페닐

## [ IVb ]

197	4-플루오로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	4-OH-페닐
198	4-플루오로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	4-OH-페닐
199	4-플루오로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	4-OH-페닐
200	4-플루오로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NHC(O)CH <sub>3</sub>	1	4-OH-페닐
201	4-클로로페닐	[1,2,4]트리아졸-1-일	H	H	1	페닐
202	4-클로로페닐	[1,2,4]트리아졸-1-일	H	-CH <sub>3</sub>	1	페닐
203	4-클로로페닐	[1,2,4]트리아졸-1-일	H	NH <sub>2</sub>	1	페닐
204	4-클로로페닐	[1,2,4]트리아졸-1-일	H	-NHCH <sub>3</sub>	1	페닐
205	4-클로로페닐	[1,2,4]트리아졸-1-일	H	-NHC(O)CH <sub>3</sub>	1	페닐
206	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	H	1	페닐
207	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	페닐
208	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	페닐
209	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	페닐
210	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NHC(O)CH <sub>3</sub>	1	페닐
211	4-클로로페닐	[1,2,4]트리아졸-1-일	H	H	1	4-OH-페닐
212	4-클로로페닐	[1,2,4]트리아졸-1-일	H	-CH <sub>3</sub>	1	4-OH-페닐
213	4-클로로페닐	[1,2,4]트리아졸-1-일	H	NH <sub>2</sub>	1	4-OH-페닐
214	4-클로로페닐	[1,2,4]트리아졸-1-일	H	-NHCH <sub>3</sub>	1	4-OH-페닐
215	4-클로로페닐	[1,2,4]트리아졸-1-일	H	-NHC(O)CH <sub>3</sub>	1	4-OH-페닐
216	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	H	1	4-OH-페닐

## [ IVc ]

217	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	4-OH-페닐
218	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	4-OH-페닐
219	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	4-OH-페닐
220	4-클로로페닐	[1,2,4]트리아졸-1-일	-CH <sub>3</sub>	- NHC(O)CH <sub>3</sub>	1	4-OH-페닐
221	4-플루오로페닐	이미다졸-1-일	H	H	1	페닐
222	4-플루오로페닐	이미다졸-1-일	H	-CH <sub>3</sub>	1	페닐
223	4-플루오로페닐	이미다졸-1-일	H	NH <sub>2</sub>	1	페닐
224	4-플루오로페닐	이미다졸-1-일	H	-NHCH <sub>3</sub>	1	페닐
225	4-플루오로페닐	이미다졸-1-일	H	- NHC(O)CH <sub>3</sub>	1	페닐
226	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	H	1	페닐
227	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	페닐
228	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	페닐
229	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	페닐
230	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	- NHC(O)CH <sub>3</sub>	1	페닐
231	4-플루오로페닐	이미다졸-1-일	H	H	1	4-OH-페닐
232	4-플루오로페닐	이미다졸-1-일	H	-CH <sub>3</sub>	1	4-OH-페닐
233	4-플루오로페닐	이미다졸-1-일	H	NH <sub>2</sub>	1	4-OH-페닐
234	4-플루오로페닐	이미다졸-1-일	H	-NHCH <sub>3</sub>	1	4-OH-페닐
235	4-플루오로페닐	이미다졸-1-일	H	- NHC(O)CH <sub>3</sub>	1	4-OH-페닐
236	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	H	1	4-OH-페닐

## [ IVd ]

237	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	4-OH-페닐
238	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	4-OH-페닐
239	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	4-OH-페닐
240	4-플루오로페닐	이미다졸-1-일	-CH <sub>3</sub>	- NHC(O)CH <sub>3</sub>	1	4-OH-페닐
241	4-클로로페닐	이미다졸-1-일	H	H	1	페닐
242	4-클로로페닐	이미다졸-1-일	H	-CH <sub>3</sub>	1	페닐
243	4-클로로페닐	이미다졸-1-일	H	NH <sub>2</sub>	1	페닐
244	4-클로로페닐	이미다졸-1-일	H	-NHCH <sub>3</sub>	1	페닐
245	4-클로로페닐	이미다졸-1-일	H	- NHC(O)CH <sub>3</sub>	1	페닐
246	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	H	1	페닐
247	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	페닐
248	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	페닐
249	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	페닐
250	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	- NHC(O)CH <sub>3</sub>	1	페닐
251	4-클로로페닐	이미다졸-1-일	H	H	1	4-OH-페닐
252	4-클로로페닐	이미다졸-1-일	H	-CH <sub>3</sub>	1	4-OH-페닐
253	4-클로로페닐	이미다졸-1-일	H	NH <sub>2</sub>	1	4-OH-페닐
254	4-클로로페닐	이미다졸-1-일	H	-NHCH <sub>3</sub>	1	4-OH-페닐
255	4-클로로페닐	이미다졸-1-일	H	- NHC(O)CH <sub>3</sub>	1	4-OH-페닐
256	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	H	1	4-OH-페닐
257	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	-CH <sub>3</sub>	1	4-OH-페닐
258	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NH <sub>2</sub>	1	4-OH-페닐
259	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	-NHCH <sub>3</sub>	1	4-OH-페닐
260	4-클로로페닐	이미다졸-1-일	-CH <sub>3</sub>	- NHC(O)CH <sub>3</sub>	1	4-OH-페닐

II

Q

4-

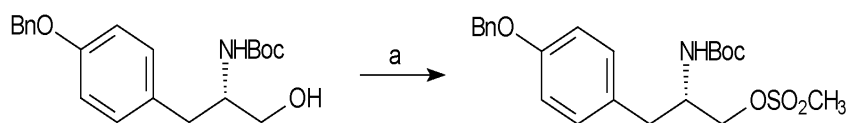
R, [1,2,4]

-1-

R<sup>2</sup>,W<sup>1</sup>, 4

4,4-

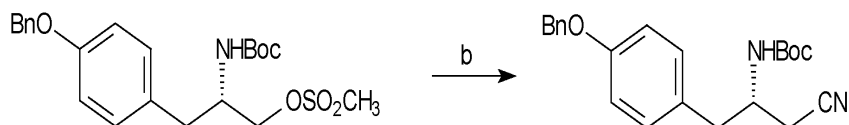
18



41

: (a) CH<sub>3</sub>SO<sub>2</sub>Cl, TEA, CH<sub>2</sub>Cl<sub>2</sub>; 0 °C

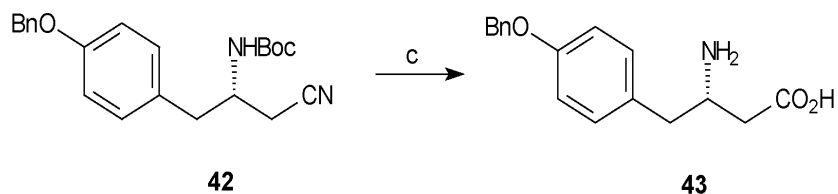
3



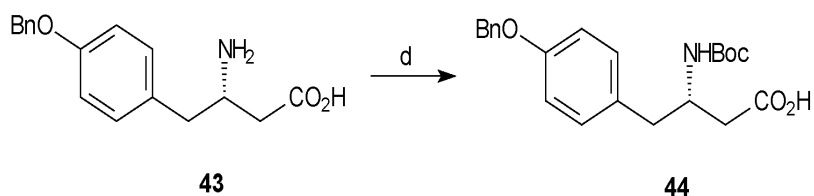
41

42

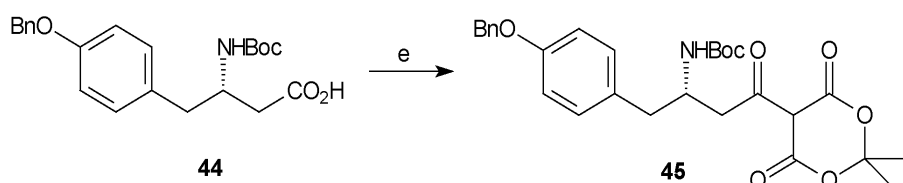
: (b) NaCN, DMF; 60 °C 18



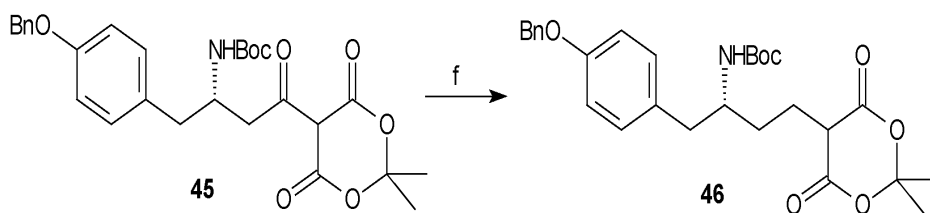
: (c) i) NaOH, MeOH/H<sub>2</sub>O; ii) H<sub>2</sub>O<sub>2</sub>, H<sub>2</sub>O; 95 °C.



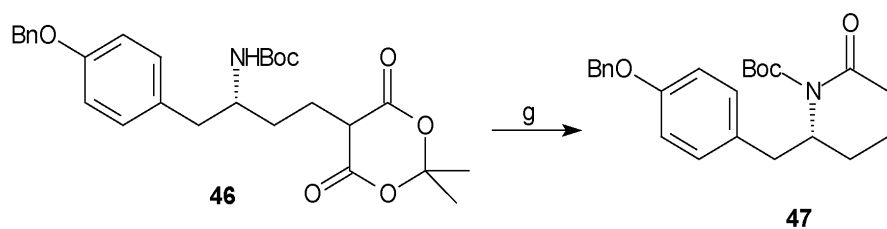
: (d) (Boc)<sub>2</sub>O, TEA, /H<sub>2</sub>O; 0 °C 18 .



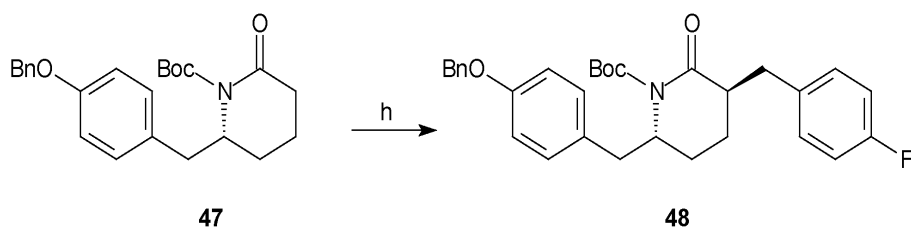
: (e) 2,2-1,3-4,6-, EDCI, DMAP, CH<sub>2</sub>Cl<sub>2</sub>; -1 °C 18 .



: (f) 2,2-1,3-4,6-, EDCI, DMAP, CH<sub>2</sub>Cl<sub>2</sub>; -1 °C 18 .

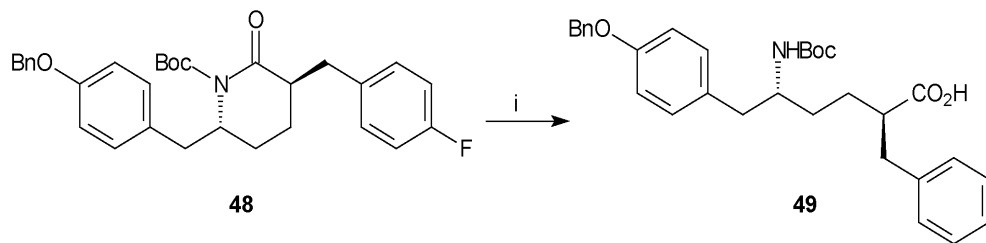


: (g) (Boc)<sub>2</sub>O, DMAP, ; 60 °C 2 .

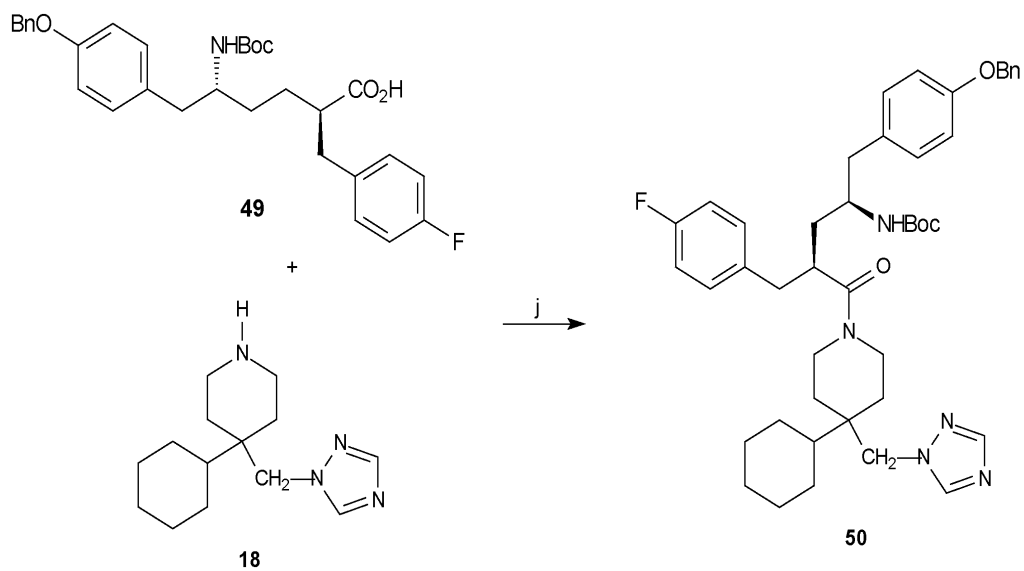


: (h) i) NaBTMSAglyme, THF;

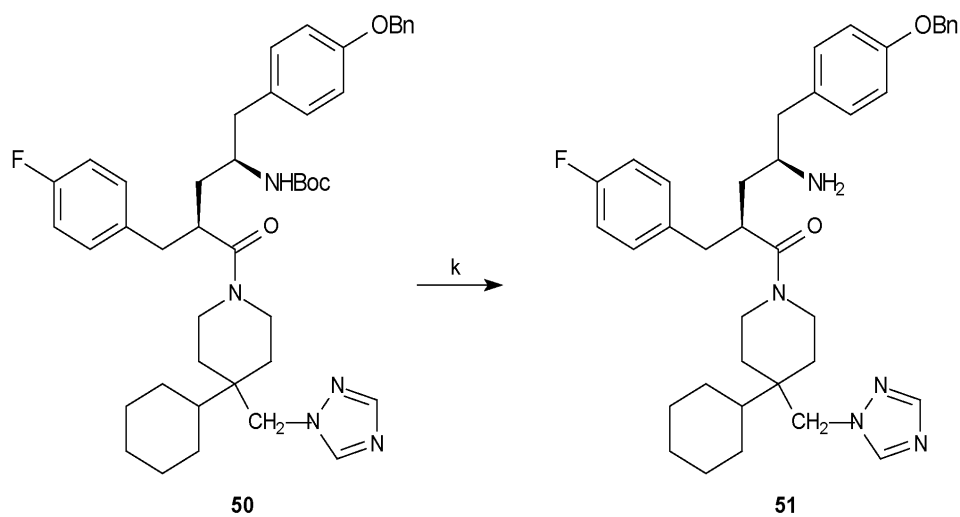
ii) 4-; -70 °C 0 °C, -70 °C 1 .



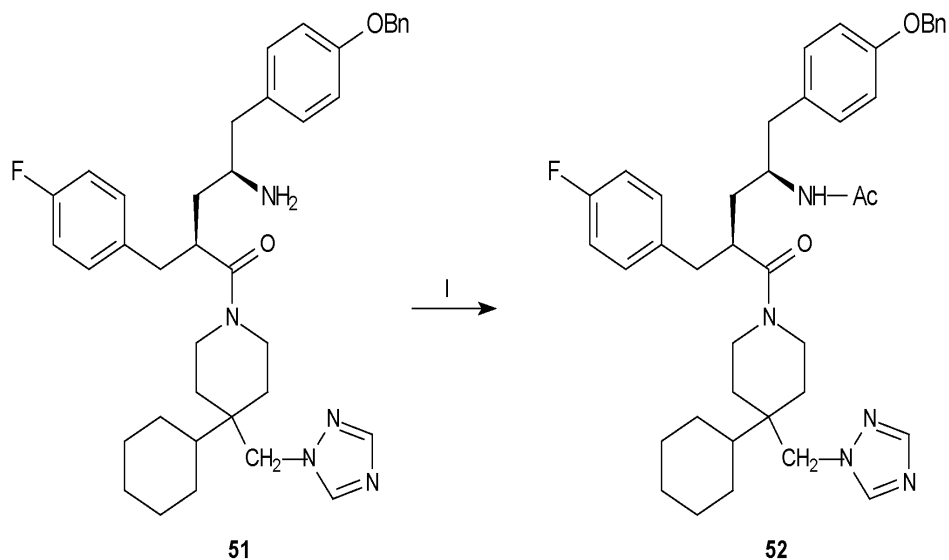
: (i) LiOH H<sub>2</sub>O<sub>2</sub>, THF, DMAP, CH<sub>2</sub>Cl<sub>2</sub>; -3 °C 18 .



: (j) HOBt, NMM, EDCI, DMF, DIPEA; 0 °C 18

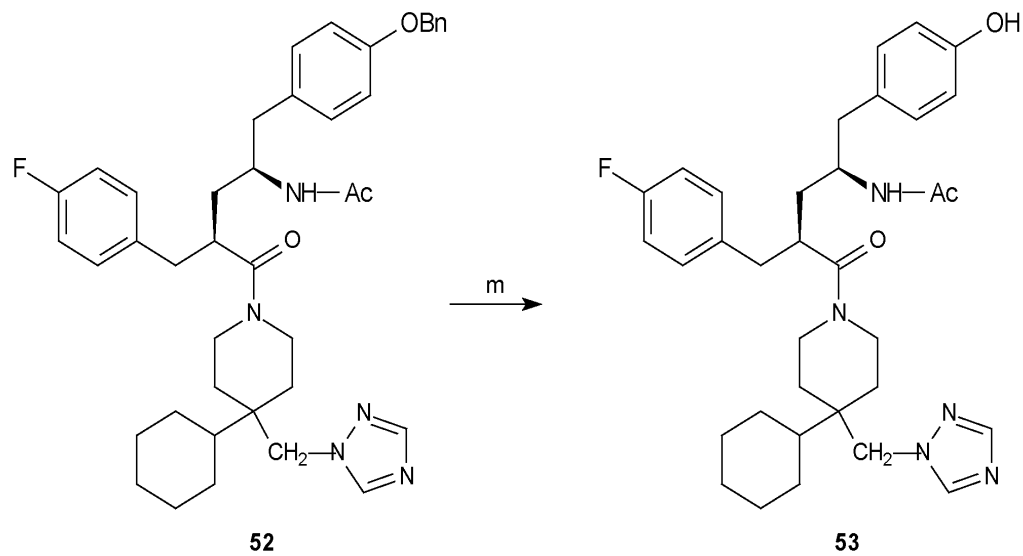


: (k) TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O. 1 .



: (I) Ac<sub>2</sub>O, MeOH TEA; 0 °C

1 .



: (m) H<sub>2</sub>, Pd/C, EtOH; 2 .

\_\_\_\_\_ 4

N-[5-(4-  
- )-5-  
-4-[1,2,4]  
]-  
-1-  
(53)

\_\_\_\_\_ 3-(4-  
- )-2-S-tert-  
-  
(41) \_\_\_\_\_ :

(2000 mL) [2-(4-  
- )-1-S-  
- ]- tert-  
(102.3 g, 286.2 mmol), (126 mL, 90.4 mmol) (0 °C) (55.4 g, 3  
1.8 mmol) 1 가 . 가 0 °C 30  
90 가 0 °C 1 N (1996 mL)  
0 °C 15 . (500 mL) (500 mL)  
(50 mL) (300 mL) 119.6 g(96% )

\_\_\_\_\_ [1-(4-  
- )-2-  
]- tert-  
(42) \_\_\_\_\_ :

N,N- (1020 mL) 3-(4- - )-2-S-tert- 가 60  
 41(119.5 g, 274.5 mmol) (30.0 g, 612 mmol) 가 (3  
 ° C 18 가 (4400 mL)  
 x 2400 mL) (2 x 2000 mL) (2000 mL)  
 (2:3 : ) 75.1 g(77.7% )

3-S- -4-(4- - )- (43) :  
 (1500 mL) [1-(4- - )-2- - ]- tert- 42(52.0 g, 142 mmol)  
 45 ° C 가 (156 mL) 50% (312 mL 5960 mmol) 가  
 5 75 ° C 가  
 (1200 mL) 90 ° C 가 (87 mL, 50 % , 1500 mmol  
 ) 40 가 95 ° C 가 18 가 가 (40 mL 690  
 mmol) 가 5 가 40 ° C (8000 mL)  
 2 M pH 2.1 15  
 가 (2 x 500 mL)

4-(4- )-3-S-tert- (44) :  
 1,4- (1500 mL) 3-S- -4-(4- - )- 43(40.47 g, 142 mmol)  
 (108.8 mL, 780.6 mmol), (1500 mL) (23.6 g, 281 mmol) 가  
 2 0 ° C 가 1,4- (300  
 mL) -tert- (53.3 g, 244 mmol) 30 가 가  
 0 ° C 1 (1000 mL) (1000 mL) 가 0 ° C 1 M  
 (~760 mL) 가 pH 2.1 (2 x 50  
 0 mL) (2 x 750 mL) (400 mL)  
 (400 mL)  
 (2 x 100 mL) 49.2 g(90% )  
 가

[1-S-(4- - )-3-(2,2- -4,6- -[1,3] -5- )-3- - ]- tert- (45) :  
 (2500 mL) 4-(4- )-3-S-tert- 44(96.4 g, 251  
 mmol) (-1 ° C) 4- (45.8 g, 375 mmol), 2,2- -1,3- -4,6-  
 (39.9 g, 277 mmol) 1-[3-( )]-3- 가 (72.5 g,  
 378 mmol) 가 -1 ° C 90 가  
 L) (1000 mL) , 0 ° C , 1M (3 x 700 mL), (1000 m  
 (1000 mL) 1:1 / (300 mL)  
 , (150 mL) ,  
 가 (100 mL) 120.0 g(94% )

[1-R-(4- - )-3-(2,2- -4,6- -[1,3] -5- )- ]- tert- (46) :  
 (2300 mL) [1-S-(4- - )-3-(2,2- -4,6- -[1,3] -5- )  
 -3- - ]- tert- 45(120.9 g, 236.3 mmol) (0 ° C) (150 mL  
 , 2620 mmol) (35.9 g, 949 mmol) 45 가 가 0  
 ° C 90 가 (1000 mL) 가  
 (3 x 1000 mL) (2 x 750 mL) (2 x 1000 mL)  
 ( , - : , 3:1-2:1)



400 mL) 0 °C, 1:1  
 : (2 x 75 mL) 46.8 g(50%)

2-R-(4- - )-6- - -1- tert- (47) :

(750 mL) [1-R-(4- - )-3-(2,2- -4,6- -[1,3] -5- )- ]-  
 tert- 46(38.5g, 77.4 mmol) 2 가  
 60 °C -tert- (11.5g, 52.7 mmol) 4-( - ) (4  
 .0g, 33 mmol) 가 , 60 °C 2 3 °C  
 1 M (230 mL), (200 mL), (200 mL) (100 mL)  
 )  
 (4:1-3:1 : )  
 (200 mL) (100 mL)  
 0 °C (100 mL)  
 5% 26.5 g(87% )  
 . <sup>1</sup>H NMR (500MHz) 1.52 (s, 9H), 1.65-1.80 (m, 3H), 1.90-2.05 (m, 1H), 2.45-2.58 (m, 2H), 2.60-2.70 (m,  
 1H), 3.00-3.08 (m, 1H), 4.35-4.40 (m, 1H), 5.05 (s, 2H), 6.93 (d, 2H), 7.13 (d, 2H), 7.28-7.35 (m, 1H), 7.35-  
 7.50 (m, 4H). <sup>13</sup>C NMR (125MHz) 17.11, 24.69, 28.19, 34.53, 39.14, 57.40, 70.23, 83.06, 115.18, 127.56, 12  
 8.07, 128.70, 130.29, 130.40, 137.20, 152.98, 157.80, 171.62. MS (ESI) m/z 418 (M+Na<sup>+</sup>). : C<sub>24</sub>H  
 29NO<sub>4</sub> : C, 72.89; H, 7.39; N, 3.54. : C, 72.97; H, 7.44; 3.53.

6-R-(4- - )-3-R-(4- - )-2- - -1- tert- (48)

THF(240 mL) (240 mL) 2-R-(4- - )-6- - -1-  
 tert- 47(12.0 g, 30.3 mmol) (-70 °C) ( )- (33  
 mL, THF 1M , 33 mmol) 가 30 0 °C 가 -70 °C  
 4- (5.2 g, 27.5 mmol) 가 -70 °C 40  
 (200 mL)  
 (1000 mL) (200 mL) (200 mL)

11.5 g(38%) . <sup>1</sup>H NMR (CDCl<sub>3</sub> 300MHz) 1.35-1.93 (m, 4H), 1.6  
 3 (s, 9H), 2.35-3.10 (m, 4H), 3.25-3.35 (m, 1H), 4.25-4.35 (m, 1H), 5.08 (s, 2H), 6.85-7.50 (m, 13H).

2-R- -6-(4- - )-5-R-tert- - - (49) :

THF(150 mL) 6-R-(4- - )-3-R-(4- - )-2- - -1- tert-  
 48(11.5g, 22.9 mmol) (-3 °C) (3.7g, 88 mmol) 가  
 -3 °C +3 °C 0 °C 5  
 30% (12 mL) 5 가 1  
 18 (1000 mL) (  
 400 mL) (200 mL, 1 M ) 가 10%  
 (2 x 500 mL), (500 mL) (500 mL)  
 10.8g(91%)

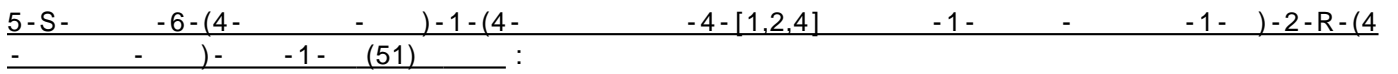
. <sup>1</sup>H NMR (DMSO 300MHz) 1.10-1.75 (m, 3H), 1.37 (s, 9H), 2.42-2.90 (m, 5H), 3.30-3.70 (m, 2H), 5.  
 08 (s, 2H), 6.68 (d, 1H), 6.90-7.55 (m, 13H). <sup>13</sup>C NMR (DMSO 75MHz) ppm 28.65, 28.95, 32.51, 37.68, 47.2  
 7, 52.12, 69.84, 77.96, 128.29, 128.42, 129.09, 130.73, 131.17, 131.28, 132.13, 136.40, 137.98, 156.04, 157.  
 36, 159.91, 163.12, 176.84.

MS (ESI) m/z 522 (M+H<sup>+</sup>)

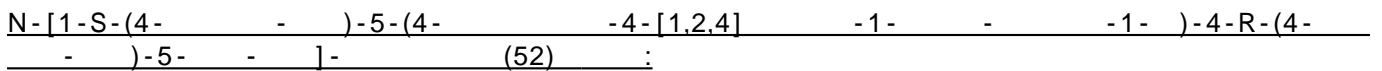
[1-S-(4- - )-5-(4- - -4-[1,2,4] -1- - -1- )-4-R-(4-  
 - )-5- - ]- tert- (50) :

N,N- (7 mL) 6-(4- - )-5-S-tert- -2-R-(4- -  
 )- 49(110 mg, 0.21 mmol), 4- -4-[1,2,4] -1- - 18(50 mg, 0.20 m

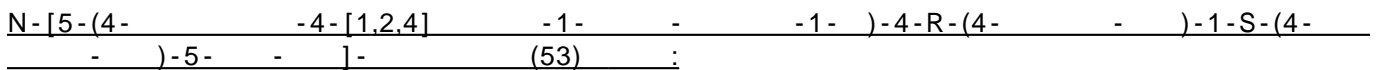
mol), 1- (54 mg, 0.40 mmol), 4- (88 l, 0.80 mmol) 1-(3- )-3- 가 . HPLC 111 mg(74% ) . MS (ESI) m/z 752, (M+H<sup>+</sup>).



가 : (1:1:0.1, 6 mL) [1-S-(4- )-5-(4- )-4-R-(4- )-5- ]- tert- (100 mg, 0.13 mmol) 가 , 0.5-1.0 .

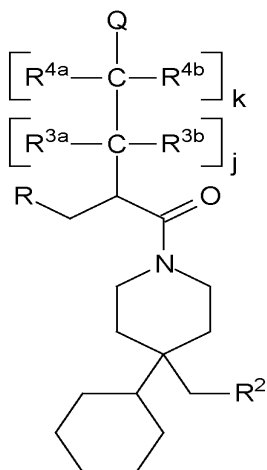


(5 mL) 5-S-(4- )-6-(4- )-1-(4- )-4-[1,2,4]-1- 51, (54 l, 0.39 mmol) (0 °C) (39 l, 0.41 mmol) 가 . MS (ESI) m/z 694, (M+H<sup>+</sup>).



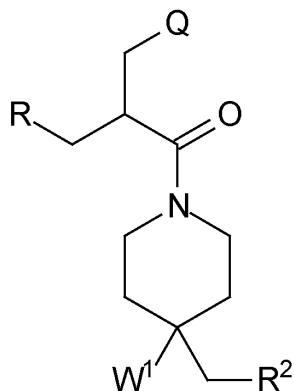
(4 mL) N-[1-S-(4- )-5-(4- )-4-[1,2,4]-1-)-4-R-(4- )-5- ]- 52(100 mg) 10% 가 . HPLC 170 mg . MS (ESI) m/z 604, (M+H<sup>+</sup>).

II 가 :



, R<sub>3a</sub> R<sub>3b</sub> R<sub>4a</sub> R<sub>4b</sub> II

R<sub>3a</sub> R<sub>3b</sub> 가 , j가 1 ; k가 0 가 :

, R, R<sup>2</sup> Q

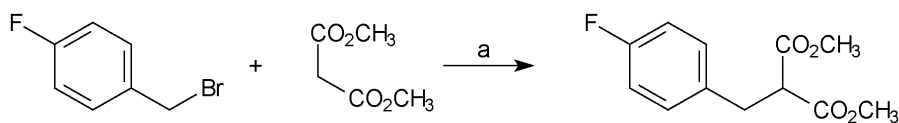
Va Vb

## [ Va ]

화합물 번호	R	R <sup>2</sup>	W <sup>1</sup>	Q
261	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CO <sub>2</sub> H
262	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONH <sub>2</sub>
263	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONHCH <sub>3</sub>
264	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONH(CH <sub>3</sub> ) <sub>2</sub>
265	4-클로로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONHSO <sub>2</sub> CH <sub>3</sub>
266	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	-CO <sub>2</sub> H
267	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	-CONH <sub>2</sub>
268	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	-CONHCH <sub>3</sub>
269	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	-CONH(CH <sub>3</sub> ) <sub>2</sub>
270	4-클로로페닐	2H-테트라졸-5-일	사이클로헥실	-CONHSO <sub>2</sub> CH <sub>3</sub>
271	4-클로로페닐	이미다졸-1-일	사이클로헥실	-CO <sub>2</sub> H
272	4-클로로페닐	이미다졸-1-일	사이클로헥실	-CONH <sub>2</sub>
273	4-클로로페닐	이미다졸-1-일	사이클로헥실	-CONHCH <sub>3</sub>
274	4-클로로페닐	이미다졸-1-일	사이클로헥실	-CONH(CH <sub>3</sub> ) <sub>2</sub>
275	4-클로로페닐	이미다졸-1-일	사이클로헥실	-CONHSO <sub>2</sub> CH <sub>3</sub>
276	4-플루오로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CO <sub>2</sub> H
277	4-플루오로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONH <sub>2</sub>
278	4-플루오로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONHCH <sub>3</sub>
279	4-플루오로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONH(CH <sub>3</sub> ) <sub>2</sub>
280	4-플루오로페닐	[1,2,4]트리아졸-1-일	사이클로헥실	-CONHSO <sub>2</sub> CH <sub>3</sub>
281	4-플루오로페닐	2H-테트라졸-5-일	사이클로헥실	-CO <sub>2</sub> H
282	4-플루오로페닐	2H-테트라졸-5-일	사이클로헥실	-CONH <sub>2</sub>
283	4-플루오로페닐	2H-테트라졸-5-일	사이클로헥실	-CONHCH <sub>3</sub>
284	4-플루오로페닐	2H-테트라졸-5-일	사이클로헥실	-CONH(CH <sub>3</sub> ) <sub>2</sub>
285	4-플루오로페닐	2H-테트라졸-5-일	사이클로헥실	-CONHSO <sub>2</sub> CH <sub>3</sub>
286	4-플루오로페닐	이미다졸-1-일	사이클로헥실	-CO <sub>2</sub> H
287	4-플루오로페닐	이미다졸-1-일	사이클로헥실	-CONH <sub>2</sub>
288	4-플루오로페닐	이미다졸-1-일	사이클로헥실	-CONHCH <sub>3</sub>
289	4-플루오로페닐	이미다졸-1-일	사이클로헥실	-CONH(CH <sub>3</sub> ) <sub>2</sub>
290	4-플루오로페닐	이미다졸-1-일	사이클로헥실	-CONHSO <sub>2</sub> CH <sub>3</sub>

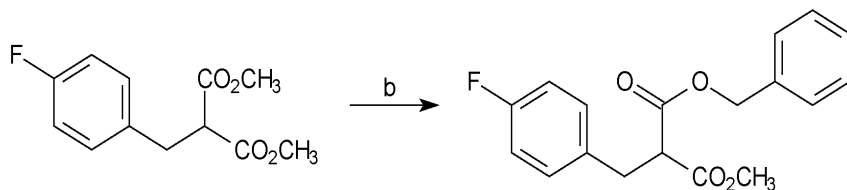
## [ Vb ]

291	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CO <sub>2</sub> H
292	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONH <sub>2</sub>
293	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONHCH <sub>3</sub>
294	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONH(CH <sub>3</sub> ) <sub>2</sub>
295	4-클로로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONHSO <sub>2</sub> CH <sub>3</sub>
296	4-클로로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CO <sub>2</sub> H
297	4-클로로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONH <sub>2</sub>
298	4-클로로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONHCH <sub>3</sub>
299	4-클로로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONH(CH <sub>3</sub> ) <sub>2</sub>
300	4-클로로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONHSO <sub>2</sub> CH <sub>3</sub>
301	4-클로로페닐	이미다졸-1-일	피페리딘-4-일	-CO <sub>2</sub> H
302	4-클로로페닐	이미다졸-1-일	피페리딘-4-일	-CONH <sub>2</sub>
303	4-클로로페닐	이미다졸-1-일	피페리딘-4-일	-CONHCH <sub>3</sub>
304	4-클로로페닐	이미다졸-1-일	피페리딘-4-일	-CONH(CH <sub>3</sub> ) <sub>2</sub>
305	4-클로로페닐	이미다졸-1-일	피페리딘-4-일	-CONHSO <sub>2</sub> CH <sub>3</sub>
306	4-플루오로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CO <sub>2</sub> H
307	4-플루오로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONH <sub>2</sub>
308	4-플루오로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONHCH <sub>3</sub>
309	4-플루오로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONH(CH <sub>3</sub> ) <sub>2</sub>
310	4-플루오로페닐	[1,2,4]트리아졸-1-일	피페리딘-4-일	-CONHSO <sub>2</sub> CH <sub>3</sub>
311	4-플루오로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CO <sub>2</sub> H
312	4-플루오로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONH <sub>2</sub>
313	4-플루오로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONHCH <sub>3</sub>
314	4-플루오로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONH(CH <sub>3</sub> ) <sub>2</sub>
315	4-플루오로페닐	2H-테트라졸-5-일	피페리딘-4-일	-CONHSO <sub>2</sub> CH <sub>3</sub>
316	4-플루오로페닐	이미다졸-1-일	피페리딘-4-일	-CO <sub>2</sub> H
317	4-플루오로페닐	이미다졸-1-일	피페리딘-4-일	-CONH <sub>2</sub>
318	4-플루오로페닐	이미다졸-1-일	피페리딘-4-일	-CONHCH <sub>3</sub>
319	4-플루오로페닐	이미다졸-1-일	피페리딘-4-일	-CONH(CH <sub>3</sub> ) <sub>2</sub>
320	4-플루오로페닐	이미다졸-1-일	피페리딘-4-일	-CONHSO <sub>2</sub> CH <sub>3</sub>



54

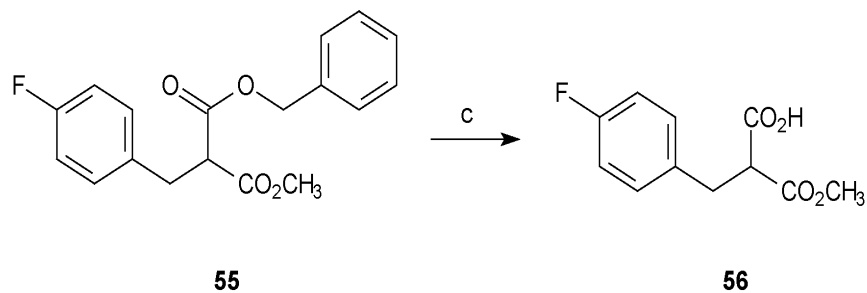
: (a) Na, MeOH; 2 .



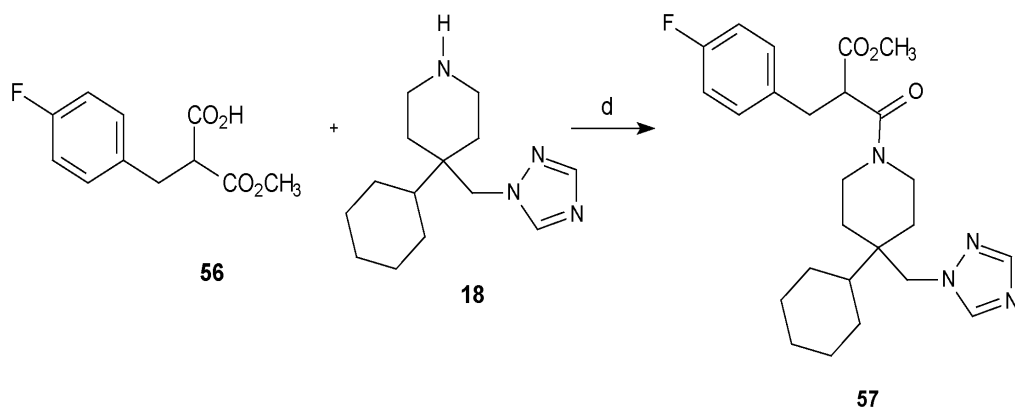
54

55

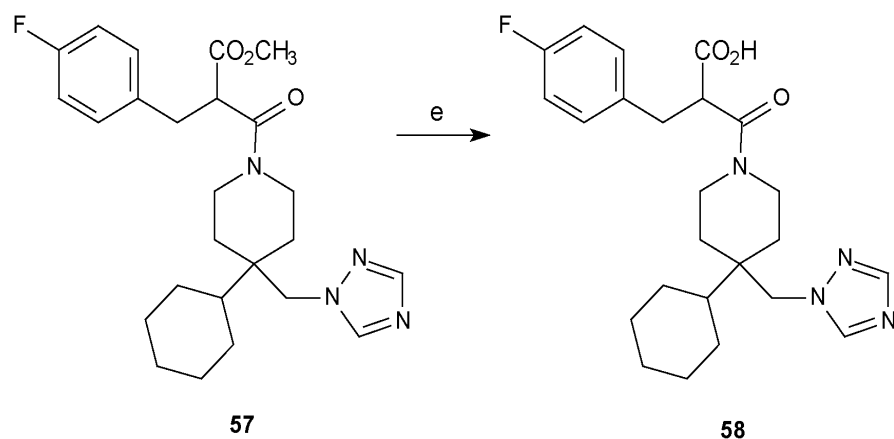
: (b) , ; 40 ° C 18 .



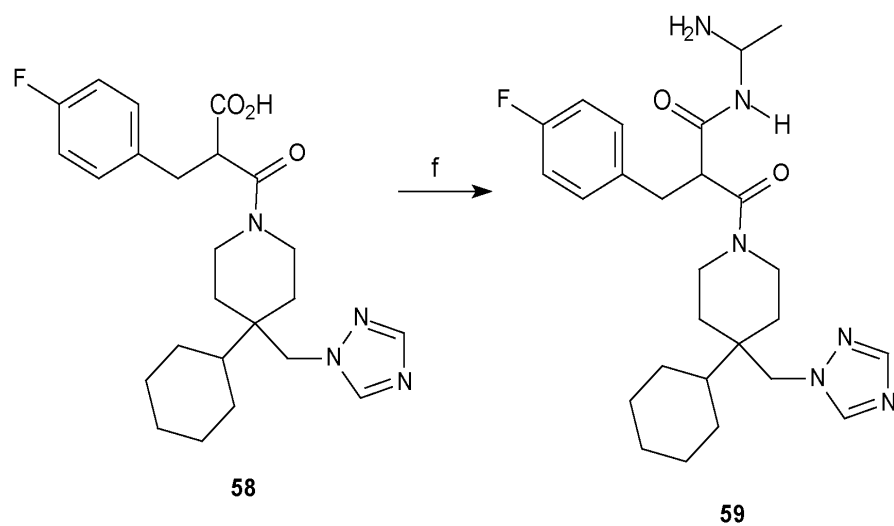
: (c) 5% Pd/C, / ; .



: (d) HOBt, NMM, EDCl; **18** .



: (e) LiOH, THF/H<sub>2</sub>O; **18** .



: (f) 1,1- , EDCI, NMM, HOBt; 12 .

5

N-(1- )-3-(4- -4-[1,2,4] -1- -1- -2-(4- )-3-

2-(4- )-(54) :

(250 mL) (2.875 g, 0.125 mol) (piecewise)  
 가 (16.5 g, 0.125 mol) 가 30 . 4-  
 (23.8 g, 0.126 mol) 가 2 .  
 HCl 가 CHCl<sub>3</sub> 가 , , .

2-(4- )-(55) :

(4.0 g) (30 mL) 2-(4- )- 54(1.0, 4.7 mmol)  
 (2.9 mL) 가 40 °C 200 rpm . 18 가

2-(4- )-(56) :

5% Pd/C (64 mg) 1:1 / (20 mL) 2-(4- )- 55(1  
 26 mg, 0.4 mmol) 가 . 가 .

3-(4- -4-[1,2,4] -1- -1- )-2-(4- )-3- -  
 (57) :

N,N- (7 mL) 2-(4- - )- 56(47.5 mg, 0.21 mmol), 4-  
 -4-[1,2,4] -1- - 18(50 mg, 0.20 mmol), 1- (54 mg,  
 0.40 mmol), 4- (88 l, 0.80 mmol) 1-(3- )-3- 가 .  
 (50 mg, 0.26 mmol) 가 .  
 HPLC , , ,

3-(4- -4-[1,2,4] -1- -1- )-2-(4- )-3- - (58)  
 :

THF/H<sub>2</sub>O(2:1) 3-(4- -4-[1,2,4] -1- -1- )-2-(4-  
 )-3- 57(456 mg, 1 mmol) LiOH(1.5 ) 가 .  
 HPLC

N-(1- )-3-(4- -4-[1,2,4] -1- -1- -2-(4- )-3-  
 (59) :

3-(4- -4-[1,2,4] -1- -1- )-2-(4- )-3- - 58(  
 442 mg, 1 mmol) 1,1- (60 mg, 1 mmol) N,N- (10 mL) 4-  
 (176 L, 1.6 mmol) 1- (48.5 mg, 1.1 mmol) 가 . 1-(3-  
 )-3- (200 mg, 1.04 mmol) 가 12  
 /CH<sub>2</sub>Cl<sub>2</sub> , ,  
 HPLC

II , R<sup>2</sup> -NHC(=NH)NH<sub>2</sub> , -NHC(O)NH<sub>2</sub> , -NHC(=NCH<sub>3</sub>)NH  
 2 -NHC(=NCN)NHNO<sub>2</sub> . Q , , ,

0,1 2 d II

a)

b)

3mg 1mg 750mg 500mg, 5mg 300mg (carrier)

가 (vehicle)

pH

가

(pyrogen-free water);

[Remington's Pharmaceutical Sciences, Mack Publishing Company, Easton, Pa., latest edition] [Peptide and Protein Drug Delivery, Marcel Dekker, NY, 1991]

[Modern Pharmaceutics, Chapters 9 and 10 (Banker and Rhodes, editors, 1979)]; [Lieberman et al., Pharmaceutical Dosage Forms]: Tablets (1981)]; [Ansel, Introduction to Pharmaceutical Dosage Forms 2d Edition (1976)].

가

가

가

가

가 / 가

, MC-3 MC-4

가 가 . MC-3 MC-4  
 (glucose intolerance), 2  
 ( ),

MC-3 MC-4 ( ),  
 ( [Zlokovic, B.V., Pharmaceutical Research  
 h, Vol. 12, pp. 13951406 (1995)] ).  
 (Fukuta, M., et al. Pharmaceutical Res., Vol. 11, pp. 16811688 (1994)).  
 ( [Zlokovic, B.V., P  
 harmaceutical Res., Vol. 12, pp. 13951406 (1995)] [Pardridge, WM, Pharmacol. Toxicol., Vol. 71, pp.  
 310 (1992)]).

가 , K<sub>i</sub> IC<sub>50</sub>

- i) [L. Al Reiter, Int. J. Peptide Protein Res., 43, 87-96 (1994) UV-가
- ii) [Thornberry , Nature, 356, 768-774 (1992)]
- iii) 2001 3 20 [ 6,204,261 B1, Batchelor ] PBMC
- iv) [Chen et al., Anal Biochem. 226, 34954, (1995)] cAMP 2 (messenger)

( (iv) , 2 , cAMP 가 . , ( Cytosensor Microphysiometer techniques)(Boyfield et al. 1996), MSH- 가 .

MC-4 / MC-3 ( , )

$$EC_{50} \text{ MC-1} \quad MC-3/MC-4 \quad MC-3 (EC_{50-MC-3}) / MC-4 (EC_{50-MC-4})$$

$$: \quad (EC_{50-MC-1}) \quad EC_{50} \quad , EC_{50}$$

$$MC-3 = [EC_{50-MC-1}] / [EC_{50-MC-3}]$$

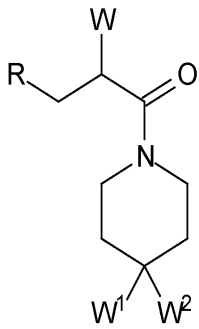
$$MC-4 = [EC_{50-MC-1}] / [EC_{50-MC-4}]$$



'MC-3 ( ) 'MC-3- '가 10 100  
 , ' 가 500 ,  
 'MC-3- '가 10 100 'MC-4 ,  
 가 500 ,

(57)

1. 가 : 가



( , R

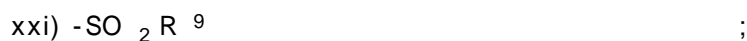
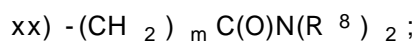
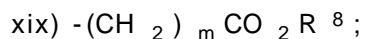
- a) ;
- b) ;
- c) ;
- d) ;

W 가 :

—L—Q

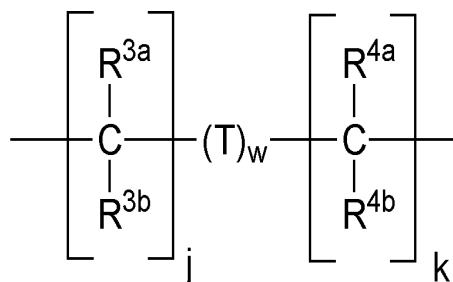
Q

- i) C<sub>1</sub> -C<sub>22</sub> ;
- ii) C<sub>2</sub> -C<sub>22</sub> ;
- iii) C<sub>2</sub> -C<sub>22</sub> ;
- iv) C<sub>3</sub> -C<sub>13</sub> ;
- v) C<sub>3</sub> -C<sub>8</sub> ;
- vi) C<sub>6</sub> -C<sub>14</sub> ;
- vii) C<sub>1</sub> -C<sub>7</sub> ;
- viii) C<sub>3</sub> -C<sub>13</sub> ;

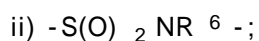
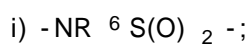


$R^8$  ;  $R^9$  ;  $C_1-C_4$  ;  $C_1-C_6$  ;  $m$  0, 1, 2 ; ; -OH;  $-SO_2 R^9$

L 가 :

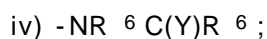
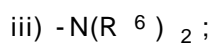


T

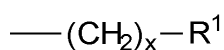


w 0, 1 ;

$R^{3a}, R^{3b}, R^{4a}, R^{4b}$



Y  $-O-, -S-, =O, =S, =NR^6, =NOH$  ; j 0, 3 ; k 0, 3 ;  
W  $^1$  가 :



$R^1$

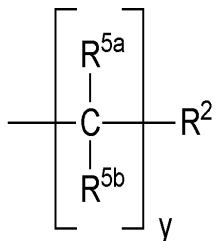


iv) C<sub>1</sub> - C<sub>7</sub> ;

v) C<sub>3</sub> - C<sub>13</sub> ;

x 0 10 ;

W<sup>2</sup> 가 :



R<sup>2</sup>

i) ;

ii) C<sub>3</sub> - C<sub>8</sub> ;

iii) C<sub>6</sub> - C<sub>14</sub> ;

iv) C<sub>1</sub> - C<sub>7</sub> ;

v) C<sub>3</sub> - C<sub>13</sub> ;

vi) -C(Y)R<sup>6</sup> ;

vii) -C(Y)<sub>2</sub>R<sup>6</sup> ;

viii) -C(Y)N(R<sup>6</sup>)<sub>2</sub> ;

ix) -C(Y)NR<sup>6</sup>N(R<sup>6</sup>)<sub>2</sub> ;

x) -CN;

xi) -CNO;

xii) -[C(R<sup>7</sup>)<sub>2</sub>]C(R<sup>7</sup>)<sub>2</sub> ;

xiii) -N(R<sup>6</sup>)<sub>2</sub> ;

xiv) -NR<sup>6</sup>CN;

xv) -NR<sup>6</sup>C(Y)R<sup>6</sup> ;

xvi) -NR<sup>6</sup>C(Y)N(R<sup>6</sup>)<sub>2</sub> ;

xvii) -NHN(R<sup>6</sup>)<sub>2</sub> ;

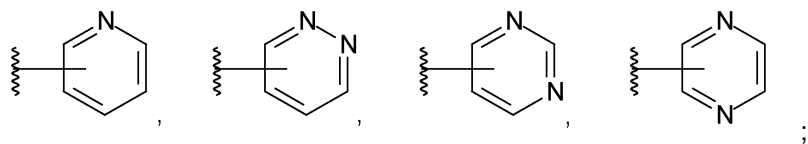
xviii) -NHOR<sup>6</sup> ;

xix) -NCS;

xx) -NO<sub>2</sub> ;

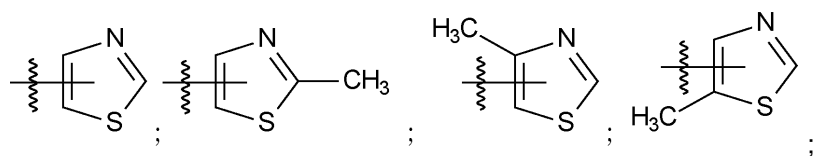


A) 가 6 :

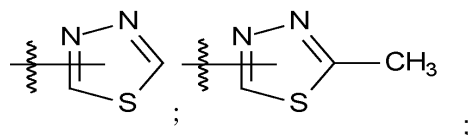


B) 가 5 :

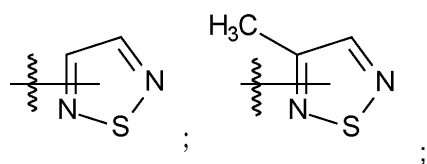
i) 가 , 2- , 4- , 5- :



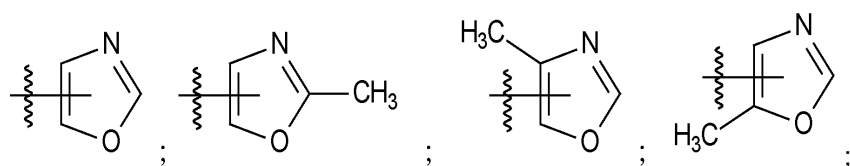
ii) 가 1,3,4- , 2- -1,3,4- :



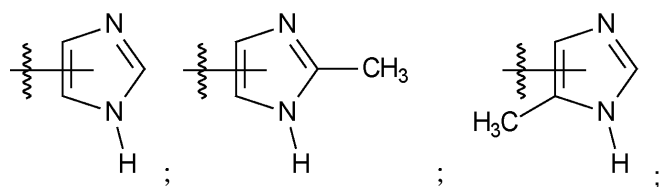
iii) 가 1,2,5- , 3- -1,2,5- :



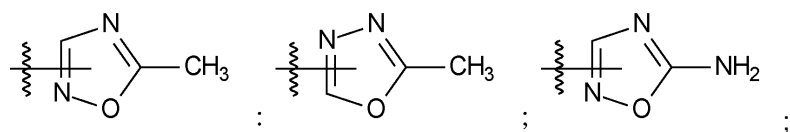
iv) 가 , 2- , 4- , 5- :



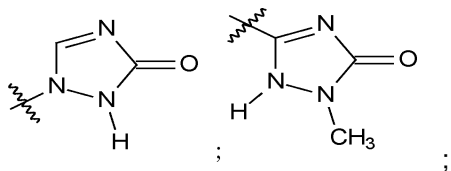
v) 가 , 2- , 5- :



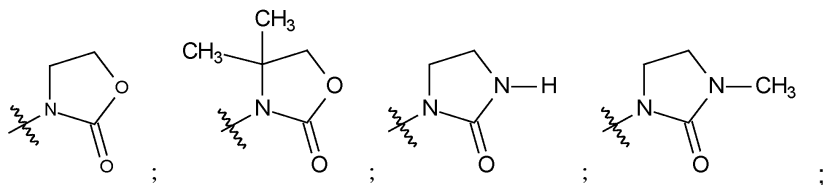
vi) 가 5- -1,2,4- , 2- -1,3,4- , 5- -1,2,4- :



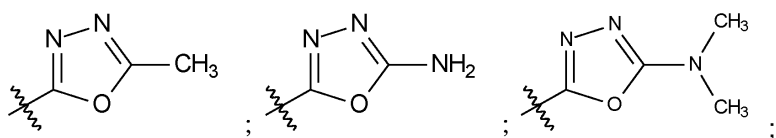
vii) 가 1,2- [1,2,4] -3- -1- , 2- -1,2- [1,2,4] -3- -5- :



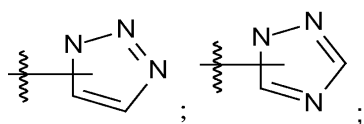
viii) 가 : -2- -3- ; 4,4- -2- -3- ; -2- -1- ; 1



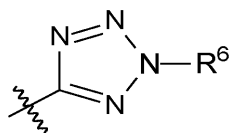
ix) 가 : 2- -1,3,4- , 2- -1,3,4- , 2-(N,N- ) -1,3, 4-



x) 가 :

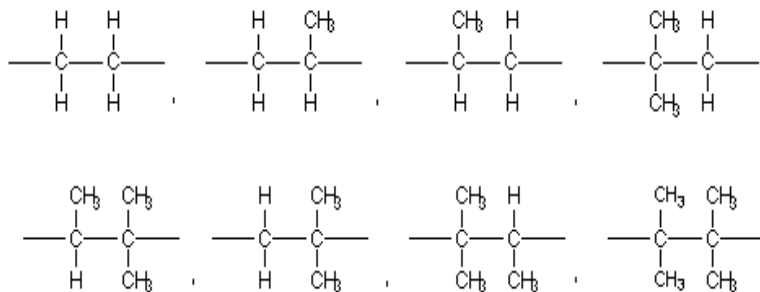


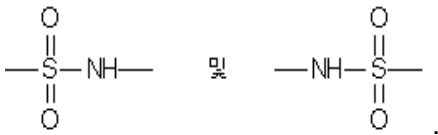
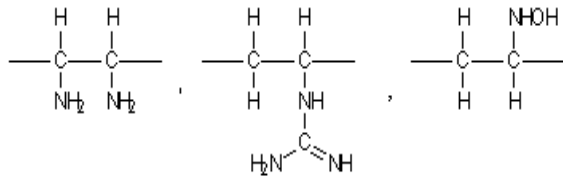
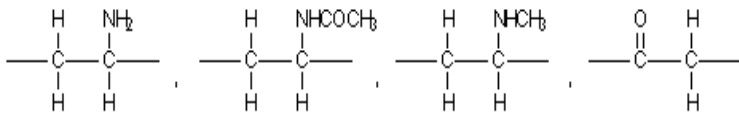
xi) 가 :



5.

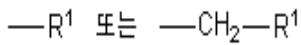
1 4 , L





6.

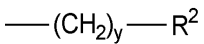
1 5 , W 1 가 :



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

7.

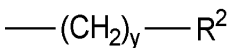
1 6 , W 2 가 가 :



( , y 1 3 ; R<sup>2</sup> -C(O)OCH<sub>3</sub> ; -C(O)OCH<sub>2</sub>CH<sub>3</sub> ; -C(O)OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub> ; -C(O)OCH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub> ; -C(O)OCH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)OCH<sub>2</sub>CH=CHCH<sub>3</sub> ; -C(O)OCH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)OCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub> ; -C(O)NHCH<sub>3</sub> ; -C(O)NHCH<sub>2</sub>CH<sub>3</sub> ; -C(O)NHCH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)NH<sub>2</sub> ; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub> ; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub> ; -C(O)NHCH<sub>2</sub>-CH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)NHCH<sub>2</sub>CH=CHCH<sub>3</sub> ; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub> ; -C(O)NH-CH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub> ; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub> ; -C(O)NHCH<sub>2</sub>CH<sub>2</sub>OH ; -NHC(O)CH<sub>3</sub> ; -NHC(O)CH<sub>2</sub>CH<sub>3</sub> ; -NHC(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub> ; R<sup>6</sup> C<sub>1</sub>-C<sub>4</sub> ) .

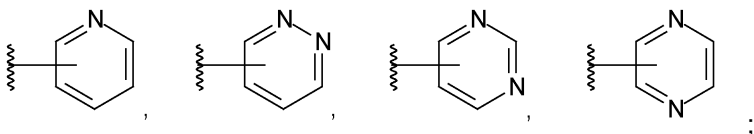
8.

1 6 , W 2 가 가 :



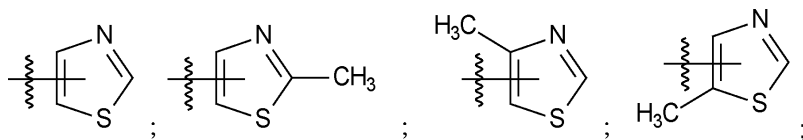
y 1 3 ; R<sup>2</sup> 2 :

A) 가 6 :

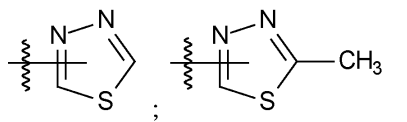


B) 가 5 :

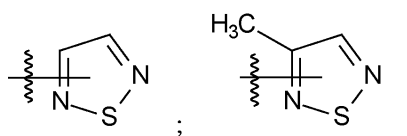
i) , 2- , 4- , 5- :



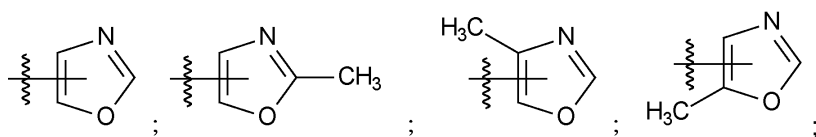
ii) 1,3,4- , 2- -1,3,4- :



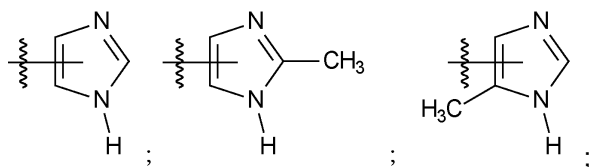
iii) 1,2,5- , 3- -1,2,5- :



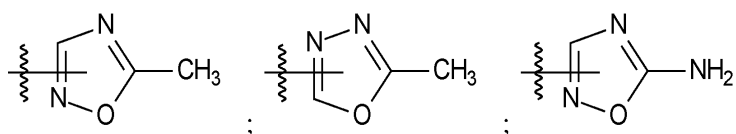
iv) , 2- , 4- , 5- :



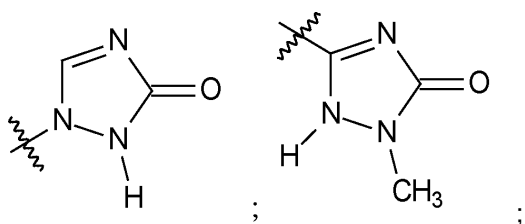
v) , 2- , 5- :



vi) 5- -1,2,4- , 2- -1,3,4- , 5- -1,2,4- :

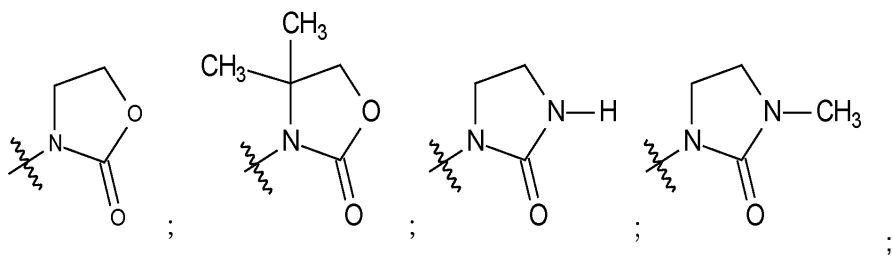


vii) 1,2- [1,2,4] -3- -1- , 2- -1,2- [1,2,4] -3- -5- :

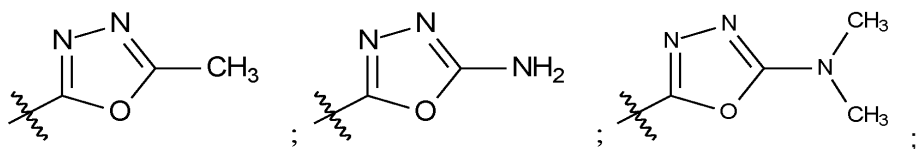


viii) -2- -1- : -2- -3- ; 4,4- -2- -3- ; -2- -1- ; 1-

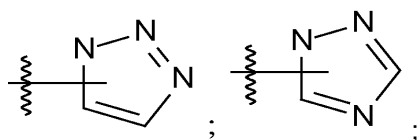




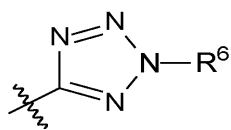
ix) 2-1,3,4- , 2-1,3,4- , 2-(N,N- )-1,3,4-



x) :



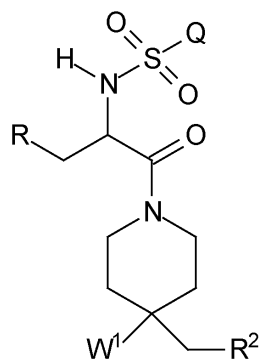
xi) :



9.

가

가

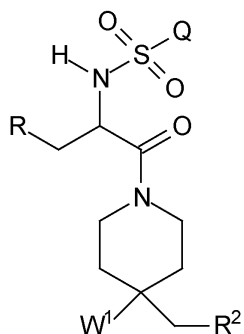


( , R 4- 4- ; R 2 [1,2,4] -1- , -NHC(=NH)NH 2 , -NHC(O)NH 2 , -NHC(=NCH 3 )NH 2 -1- , 2H- -5- , -NHC(=NCN)NHNO 2 ; W 1 -2- , tert- , -2- ).

10.

가

가

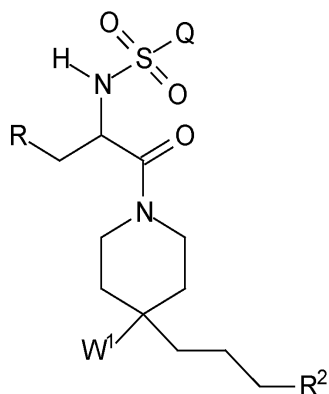


( R 4- ; R<sup>2</sup> [1,2,4] -1-, 2H- -5- ; W<sup>1</sup> -1-, -NHC(=NH)NH<sub>2</sub>, -NHC(O)NH<sub>2</sub>, -NHC(=NCH<sub>3</sub>)NH<sub>2</sub> -NHC(=NCN)NHNO<sub>2</sub> ; Q -1-, -4-, -4-, -4-, -1- -4- ; tert- -2- ).

11.

가

가

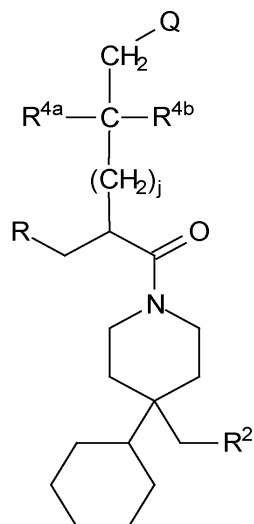


( R 4- ; R<sup>2</sup> [1,2,4] -1-, 2H- -5- ; W<sup>1</sup> -1-, -NHC(=NH)NH<sub>2</sub>, -NHC(O)NH<sub>2</sub>, -NHC(=NCH<sub>3</sub>)NH<sub>2</sub> -NHC(=NCN)NHNO<sub>2</sub> ; Q -2- -2- ; tert- ).

12.

가

가



( , R 4- ; R 2 [1,2,4] -1- , -NHC(=NH)NH 2 , -NHC(O)NH 2 , -NHC(=NCH 3 )NH 2 ; R 4b , , , -1- , 2H- -5- , ; R 4a ; Q , 4- , , j 0, 1 , 2 ).

## 13.

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 - ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 - ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 ]- ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 - ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 - ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 ]- ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 - ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]  
 - ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4-[1,2,4] -1- - -1- )-2- - ]- .

**14.**

:

N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]- ;

- N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(R)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-
- N-[1-(S)-(4- )-2-(4- -4- -1- - -1- )-2- - ]-

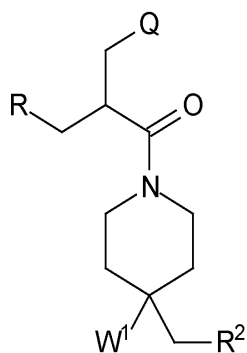
15.

- N-[1-(R)-(4- )-2- -2-(4'-[1,2,4] -1- -[1,4'] -1'- )- ]-
- N-[1-(S)-(4- )-2- -2-(4'-[1,2,4] -1- -[1,4'] -1'- )- ]-
- N-[1-(R)-(4- )-2- -2-(4'-[1,2,4] -1- -[1,4'] -1'- )- ]-
- N-[1-(S)-(4- )-2- -2-(4'-[1,2,4] -1- -[1,4'] -1'- )- ]-
- N-[1-(R)-(4- )-2- -2-(4'- -1- -[1,4'] -1'- )- ]-
- N-[1-(S)-(4- )-2- -2-(4'- -1- -[1,4'] -1'- )- ]-
- N-[1-(R)-(4- )-2- -2-(4'- -1- -[1,4'] -1'- )- ]-

- N-[1-(S)-(4- )-2- -2-(4'- -1- -[1,4'] -1'- )- ]-
- N-[1-(R)-(4- )-2- -2-(4-[1,2,4] -1- -[4,4'] -1'- )- ]-
- N-[1-(S)-(4- )-2- -2-(4-[1,2,4] -1- -[4,4'] -1'- )- ]-
- N-[1-(R)-(4- )-2- -2-(4-[1,2,4] -1- -[4,4'] -1'- )- ]-
- N-[1-(S)-(4- )-2- -2-(4-[1,2,4] -1- -[4,4'] -1'- )- ]-
- N-[1-(R)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -1'- )-
- N-[1-(S)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -1'- )-
- N-[1-(R)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -1'-
- N-[1-(S)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -1'-
- N-[1-(R)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -1'
- N-[1-(S)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -1'
- N-[1-(R)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -
- N-[1-(S)-(4- )-2- -2-(1'- -4-[1,2,4] -1- -[4,4'] -

16.

가 , 가



( , R 4- 4- , R 2 [1,2,4] -1- , 2H- -5- , -NHC(=NH)NH 2 , -NHC(O)NH 2 , -NHC(=NCH 3 )NH 2 -NHC(=NCN)NHNO 2 ; W 1 -4- , -1- , -2- , -4- -4- ;

Q

vii) C<sub>1</sub>-C<sub>7</sub> ;

viii) C<sub>3</sub>-C<sub>13</sub> ;

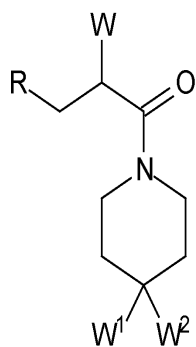
xix) -(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>8</sup> ;

xx) -(CH<sub>2</sub>)<sub>m</sub>C(O)N(R<sup>8</sup>)<sub>2</sub> ;

R<sup>8</sup> ; R<sup>9</sup> ; C<sub>1</sub>-C<sub>4</sub> ; C<sub>1</sub>-C<sub>6</sub> ; m 0, 1, 2 ). ; -OH; -SO<sub>2</sub>R<sup>9</sup>

17.

A) :



( , R

a) ;

b) ;

c) ;

d) 가 : ;W

— L — Q

Q

i) C<sub>1</sub>-C<sub>22</sub> ;

ii) C<sub>2</sub>-C<sub>22</sub> ;

iii) C<sub>2</sub>-C<sub>22</sub> ;

iv) C<sub>3</sub>-C<sub>13</sub> ;

v) C<sub>3</sub>-C<sub>8</sub> ;

vi) C<sub>6</sub>-C<sub>14</sub> ;

vii) C<sub>1</sub>-C<sub>7</sub> ;

viii) C<sub>3</sub>-C<sub>13</sub> ;

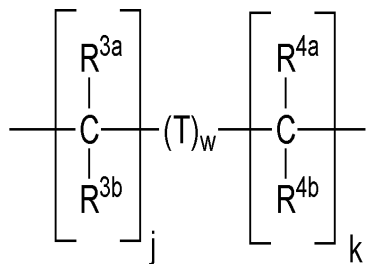
xix)  $-(CH_2)_m CO_2 R^8$  ;

xx)  $-(CH_2)_m C(O)N(R^8)_2$  ;

xxi)  $-SO_2 R^9$  ;

$R^8$  ;  $R^9$  ;  $C_1-C_4$  ;  $C_1-C_6$  ;  $m$  0, 1, 2 ; ; -OH;  $-SO_2 R^9$

L 가 :



T

i)  $-NR^6 S(O)_2-$  ;

ii)  $-S(O)_2 NR^6-$  ;

iii) ;

w 0, 1 ;

$R^{3a}, R^{3b}, R^{4a}, R^{4b}$

i) ;

ii)  $C_1-C_4$  ;

iii)  $-N(R^6)_2$  ;

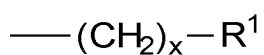
iv)  $-NR^6 C(Y)R^6$  ;

v)  $R^{3a}, R^{3b}, R^{4a}, R^{4b}$  가 ;

vi) ;

Y  $-O-, -S-, =O, =S, =NR^6, =NOH$  ; j 0, 3 ; k 0, 3 ;

$W^1$  가 :



$R^1$

i) ;

ii)  $C_3-C_8$  ;

iii)  $C_6-C_{14}$  ;

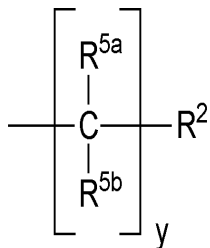


iv) C<sub>1</sub> - C<sub>7</sub> ;

v) C<sub>3</sub> - C<sub>13</sub> ;

x 0 10 ;

W<sup>2</sup> 가 :



R<sup>2</sup>

i) ;

ii) C<sub>3</sub> - C<sub>8</sub> ;

iii) C<sub>6</sub> - C<sub>14</sub> ;

iv) C<sub>1</sub> - C<sub>7</sub> ;

v) C<sub>3</sub> - C<sub>13</sub> ;

vi) -C(Y)R<sup>6</sup> ;

vii) -C(Y)<sub>2</sub>R<sup>6</sup> ;

viii) -C(Y)N(R<sup>6</sup>)<sub>2</sub> ;

ix) -C(Y)NR<sup>6</sup>N(R<sup>6</sup>)<sub>2</sub> ;

x) -CN;

xi) -CNO;

xii) -[C(R<sup>7</sup>)<sub>2</sub>]C(R<sup>7</sup>)<sub>2</sub> ;

xiii) -N(R<sup>6</sup>)<sub>2</sub> ;

xiv) -NR<sup>6</sup>CN;

xv) -NR<sup>6</sup>C(Y)R<sup>6</sup> ;

xvi) -NR<sup>6</sup>C(Y)N(R<sup>6</sup>)<sub>2</sub> ;

xvii) -NHN(R<sup>6</sup>)<sub>2</sub> ;

xviii) -NHOR<sup>6</sup> ;

xix) -NCS;

xx) -NO<sub>2</sub> ;

- xxi) -OR<sup>6</sup> ;
- xxii) -OCN;
- xxiii) -OCF<sub>3</sub> , -OCCl<sub>3</sub> , -OCBr<sub>3</sub> ;
- xxiv) -F, -Cl, -Br, -I ;
- xxv) -SCN;
- xxvi) -SO<sub>3</sub> M;
- xxvii) -OSO<sub>3</sub> M;
- xxviii) -SO<sub>2</sub> N(R<sup>6</sup>)<sub>2</sub> ;
- xxix) -SO<sub>2</sub> R<sup>6</sup> ;
- xxx) -[C(R<sup>6</sup>)<sub>2</sub>]<sub>n</sub> P(O)(OR<sup>6</sup>)R<sup>6</sup> ;
- xxxii) -[C(R<sup>6</sup>)<sub>2</sub>]<sub>n</sub> P(O)(OR<sup>6</sup>)<sub>2</sub> ;
- xxxii) ;

R<sup>5a</sup> R<sup>5b</sup> , R<sup>5a</sup> R<sup>5b</sup> ; Y ; R<sup>6</sup>  
 , C<sub>1</sub>-C<sub>4</sub> ; M , C<sub>2</sub>-C<sub>4</sub> , -OH, -NO<sub>2</sub> , -CN,

y 0 10 ) 가  
 가 가 ;

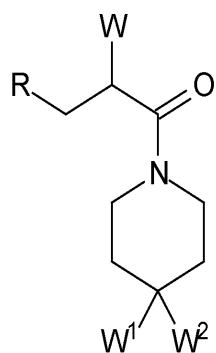
B) 가

**18.**

가 ,

가 가 가

:

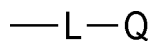


( , R

a) ;

- b) ;
- c) ;
- d) ;

W 가 :

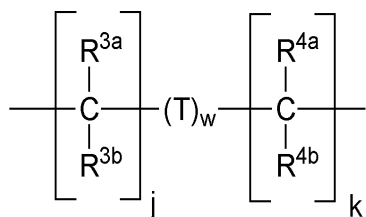


Q

- i) C<sub>1</sub>-C<sub>22</sub> ;
- ii) C<sub>2</sub>-C<sub>22</sub> ;
- iii) C<sub>2</sub>-C<sub>22</sub> ;
- iv) C<sub>3</sub>-C<sub>13</sub> ;
- v) C<sub>3</sub>-C<sub>8</sub> ;
- vi) C<sub>6</sub>-C<sub>14</sub> ;
- vii) C<sub>1</sub>-C<sub>7</sub> ;
- viii) C<sub>3</sub>-C<sub>13</sub> ;
- xix) -(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>8</sup> ;
- xx) -(CH<sub>2</sub>)<sub>m</sub>C(O)N(R<sup>8</sup>)<sub>2</sub> ;
- xxi) -SO<sub>2</sub>R<sup>9</sup> ;

R<sup>8</sup> ; R<sup>9</sup> C<sub>1</sub>-C<sub>4</sub> C<sub>1</sub>-C<sub>6</sub> ; m 0, 1 2 ; ; -OH; -SO<sub>2</sub>R<sup>9</sup>

L 가 :



T

- i) -NR<sup>6</sup>S(O)<sub>2</sub>-;
- ii) -S(O)<sub>2</sub>NR<sup>6</sup>-;
- iii) ;

w 0 1 ;

R<sup>3a</sup>, R<sup>3b</sup>, R<sup>4a</sup> R<sup>4b</sup>

i) ;

ii) C<sub>1</sub>-C<sub>4</sub> , ;

iii) -N(R<sup>6</sup>)<sub>2</sub> ;

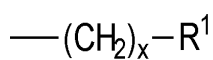
iv) -NR<sup>6</sup>C(Y)R<sup>6</sup> ;

v) R<sup>3a</sup> R<sup>3b</sup> R<sup>4a</sup> R<sup>4b</sup> 가 ;

vi) ;

Y -O-, -S-, =O, =S, =NR<sup>6</sup>, =NOH ; j 0 3 ; k 0 3 ;

W<sup>1</sup> 가 :



R<sup>1</sup>

i) ;

ii) C<sub>3</sub>-C<sub>8</sub> ;

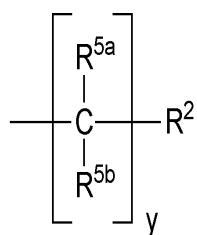
iii) C<sub>6</sub>-C<sub>14</sub> ;

iv) C<sub>1</sub>-C<sub>7</sub> ;

v) C<sub>3</sub>-C<sub>13</sub> ;

x 0 10 ;

W<sup>2</sup> 가 :



R<sup>2</sup>

i) ;

ii) C<sub>3</sub>-C<sub>8</sub> ;

iii) C<sub>6</sub>-C<sub>14</sub> ;

iv) C<sub>1</sub>-C<sub>7</sub> ;

v) C<sub>3</sub>-C<sub>13</sub> ;

vi) -C(Y)R<sup>6</sup> ;

- vii)  $-C(Y)_2 R^6$  ;
- viii)  $-C(Y)N(R^6)_2$  ;
- ix)  $-C(Y)NR^6 N(R^6)_2$  ;
- x)  $-CN$  ;
- xi)  $-CNO$  ;
- xii)  $-[C(R^7)_2]C(R^7)_2$  ;
- xiii)  $-N(R^6)_2$  ;
- xiv)  $-NR^6 CN$  ;
- xv)  $-NR^6 C(Y)R^6$  ;
- xvi)  $-NR^6 C(Y)N(R^6)_2$  ;
- xvii)  $-NHN(R^6)_2$  ;
- xviii)  $-NHOR^6$  ;
- xix)  $-NCS$  ;
- xx)  $-NO_2$  ;
- xxi)  $-OR^6$  ;
- xxii)  $-OCN$  ;
- xxiii)  $-OCF_3$  ,  $-OCCl_3$  ,  $-OCBr_3$  ;
- xxiv)  $-F$  ,  $-Cl$  ,  $-Br$  ,  $-I$  ;
- xxv)  $-SCN$  ;
- xxvi)  $-SO_3 M$  ;
- xxvii)  $-OSO_3 M$  ;
- xxviii)  $-SO_2 N(R^6)_2$  ;
- xxix)  $-SO_2 R^6$  ;
- xxx)  $-[C(R^6)_2]_n P(O)(OR^6)R^6$  ;
- xxxi)  $-[C(R^6)_2]_n P(O)(OR^6)_2$  ;
- xxxii) ;

$R^{5a}$  ,  $R^{5b}$  ,  $R^{5a}$  ,  $R^{5b}$  ; Y ;  $R^6$   
 $C_1 - C_4$  ,  $C_2 - C_4$  ,  $-OH$  ,  $-NO_2$  ,  $-CN$  ,  
 ; M ;

y 0 10 ).