

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

(51) Int. Cl.⁷
C07D 471/04

(11)
(43)

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2003 08 27

(21)	10-2003-7007537		
(22)	2003 06 05 2003 06 05		
(86)	PCT/US2001/46704	(87)	WO 2002/46193
(86)	2001 12 06	(87)	2002 06 13

(81) : , , , , , () ,
, , , - , , 가 , , , ,
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, , , , 가 , , , , 가 , , , , ,
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, 가 , , , () , , , , , , , ,
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AP ARIPO : , , , , , , , , , , 가 ,
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EA : , , , , , , , , , , , , , ,

EP : , , , , , , , , , , , , , , , , , ,

OA OAPI : , , , , , , , , , , , , , , , 가 ,
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(30)	60/254,218	2000 12 08	(US)
(71)	55133-3427	. .	33427
(72)	, , , , ,	54016	787
	, , , , ,	55129	10423
	, , , , ,	55123	631
	, , , , ,	55125	607

55409 4317
 49071 60887
 55128 2460

(74)

(54)

1-

1-

가 ,

1H- [4,5-c] (Backman) [J . org. Che
 m. 15, 1278-1284 (1950)] 가 1-(6- -8-)-2- -1H- [4,5
 -c] 1H- [4,5-c]
 , (Jain) 가 1-[2-(4-)]-1H- [4,5-c]
 [J . Med. Chem. 11, pp. 87-92 (1968)]. (Baranov) 2-
 [4,5-c] [Chem. Abs. 85, 94362 (1976)], (Berenyi) 2- [4,5-c]
 [J . heterocyclic Chem. 18, 1537-1540 (1981)].

1H- [4,5-c] -4- 1- 2- 가 , 4,689,338 , 4,6
 98,348 , 4,929,624 , 5,037,986 , 5,268,376 , 5,346,905 5,389,640

[4,5-c] -4- , 1H- [4,5-c] -4- , 1H- [4,5-c] 1H-
 U.S. 5,268,376; 5,389,640; 5,494,916; WO 99/29693 -4-

[4,5-c]

-4-

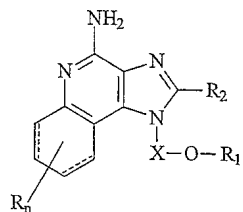
(I), (II), (III)

(IV)

[4,5-c]

1

-4-



(, X, R₁, R₂, R_n)

(), (II), (III) (IV)

(I), (II), (III), (IV)

가 ,

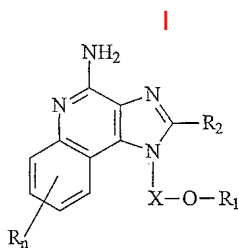
(I), (II), (III), (IV)

()

(I), (II), (III), (IV)

1-

(I)



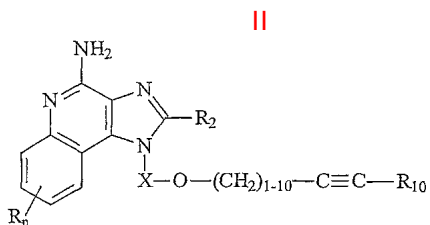
(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

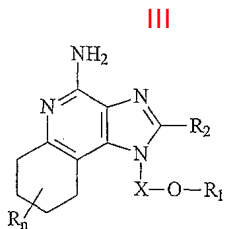
R₁ , -R₄ - , -R₄ - ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, -CO-O-C₁₋₁₀ , -N₃ ,

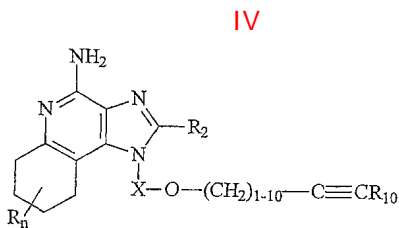
, -CO- -CO- ;
 R₄ -O- ;
 R₃ H C₁₋₁₀ ;
 Y -O- -S(O)₀₋₂ - ;
 n 0 4 ;
 R C₁₋₁₀ , C₁₋₁₀ , ,
)
 , 1- , 가
 (II)



(,
 X -CHR₃ -, -CHR₃ - , -CHR₃ - ;
 R₁₀ ;
 R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH,
 , -CO- -CO- , -CO-O-C₁₋₁₀ , -N₃ , ,
 ;
 n 0 4 ;
 R₃ H C₁₋₁₀ ;
 Y -O- -S(O)₀₋₂ - ;
 R C₁₋₁₀ , C₁₋₁₀ , ,
)
 , 1- .
 (III)



(,
 X -CHR₃ -, -CHR₃ - , -CHR₃ - ;
 R₁ , -R₄ - , -R₄ - ;
 R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -CO-O-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH,
 , -CO- , -CO- ;
 R₄ -O- ;
 R₃ H C₁₋₁₀ ;
 Y -O- -S(O)₀₋₂ - ;
 n 0 4 ;
 R C₁₋₁₀ , C₁₋₁₀ ,
)
 가 가 1- 가
 가
 (IV)



(,
 X -CHR₃ -, -CHR₃ - , -CHR₃ - ;
 R₁₀ ;
 R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -CO-O-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH,
 , -CO- , -CO- ;

R₃ H C₁₋₁₀ ;
 Y -O- -S(O)₀₋₂ - ;
 n 0 4 ;

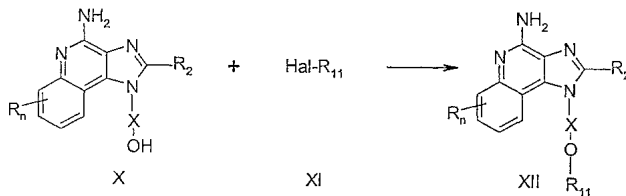
R C₁₋₁₀ , C₁₋₁₀ , ,)

(I , R, R₂, X, n , R₁₁)
 , R₁₁ , R₁₁)

I , 4- -1H- [4,5-c] -1- I
 II 1H- [4,5-c] -4- . N,N-

가 . 가 (50) .

(, Gerster, U.S. 4,689,338 Gerster , U.S.
 5,605,899 ,
 Andre , U.S. 5,578,727 ; Gerster, U.S. 5,175,296 ; Nikolaides , U.S. 5,395,937
 ; Gerster , U.S. 5,741,908 ,)
 I 가 ,

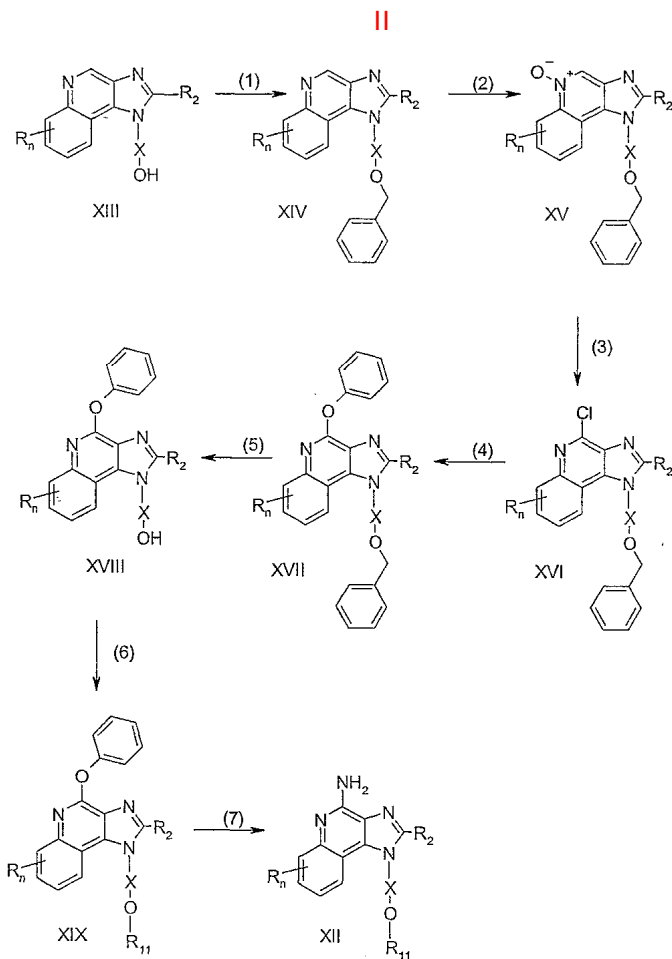


(II(, R, R₂, R₁₁, X n)
 II III (1) , N,N- III 1H- [4,5-c] -1-
 III ,
 III (, Gerster , U.S. 5,605,899 Gerster, U.S. 4,689, 338
) , 5,175,296)

II (2) , N- IV
 V 1H- [4,5-c] -5N- , IV 3-

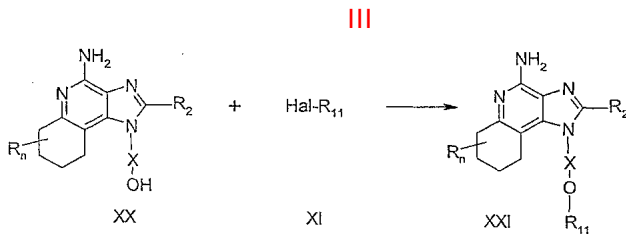
II (3) , V 1H- [4,5-c] -5N- VI 4-
 -1H- [4,5-c] , V

II (4) , VI 4- -1H- [4,5-c] VII
 4- -1H- [4,5-c] , VI
 II (5) , VII VIII 4- -1H-
 [4,5-c] -1- 가
 VII
 II (6) , VIII 4- -1H- [4,5-c] -1- Hal-R₁₁
 4- -1H- [4,5-c] -1- 50%
 (biphasic) 가 VIII
 II (7) , II 1H- 4- -1H- [4,5-c] -1-
 I II 1H- [4,5-c] -4- 150 가



III , 4- -6,7,8,9- -1H- [4,5-c] -1-
 I III I 6,7,8,9- -1H- [4,5-c] -
 4- N,N-

5,352,784), (-1H- [4,5-c] (, Lindstrom, U.S. (, Nikolaides , U.S. 5,693,811)).



IV(, R, R₂, X_n) , R₁₂

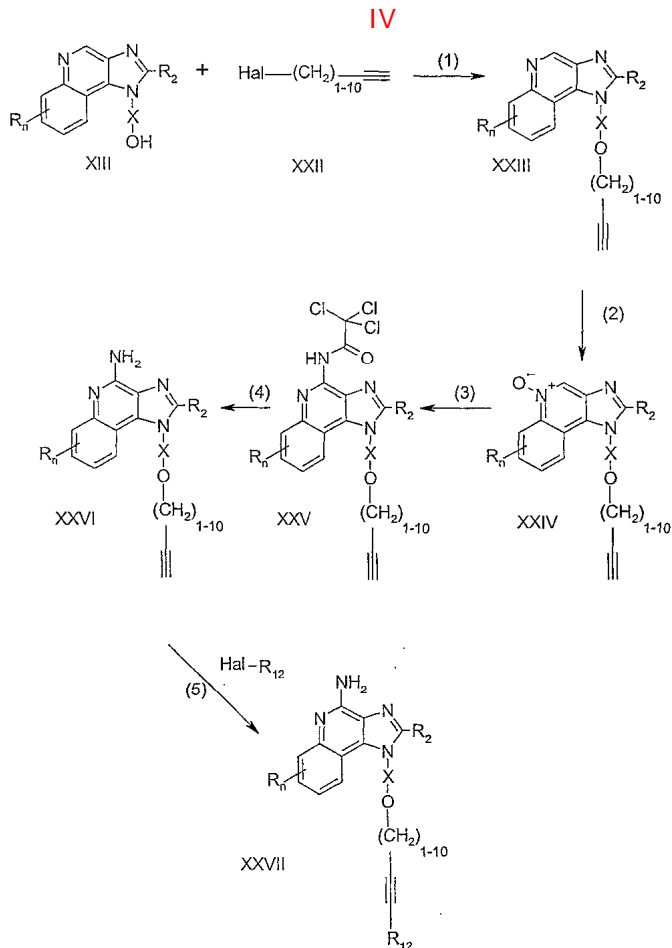
IV (1) , III 1H- [4,5-c] -1- II 50%

IV (2) , IV 1H- II (2) [4,5-c] -5N- III 1H- [4,5-c]

IV (3) , V 1H- IV 1H- [4,5-c] -5N- [4,5-c] -4- 5N- 가

IV (4) , VI 1H- V 1H- [4,5-c] -4- 가 가

IV (5) , Hal-R₁₂ VI 1H- II VI 1H- [4,5-c] -4- VII 1H- [4,5-c] -4- (I), (II), VI , N,N- (60-80)



V(, R, R₂, R₁₂, X n , BOC 3 -)

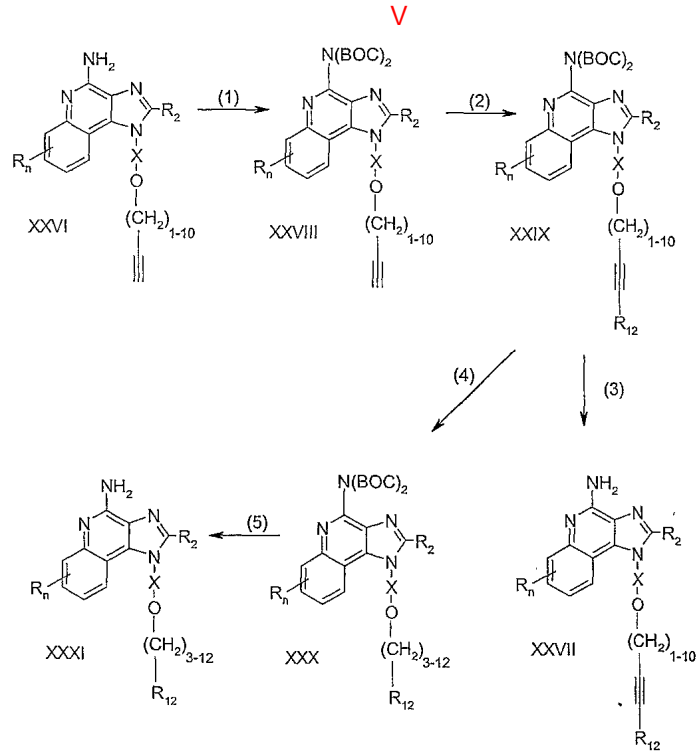
V (1) , VI 1H- [4,5-c] -4- 3 -
 . 4-(VI) -3 - N,N- (80-85)

V (2) , VIII 1H- [4,5-c] -4-
 Hal-R₁₂ (I), () (II), VIII
 N,N- (40-80)

V (3) , 가 II VII 1
 H- [4,5-c] -4- (0)

V (4) , 1H- [4,5-c] 1H- [4,5-c] -4- , (Parr)

V (5) , (3) I
 I 1H- [4,5-c] -4- I



VI(, R, R₂, R₁₂, X_n , CBZ)

VI (1) , VI 1H- [4,5-c] -4-
 N,N- VI

가 (40)

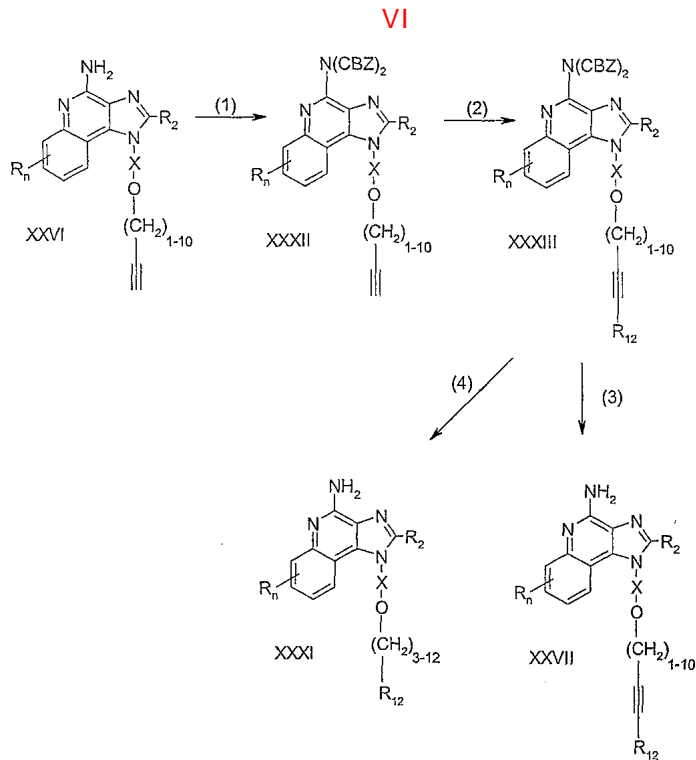
VI (2) , VI 1H- [4,5-c] -4-
 Hal-R₁₂ III II 1H- [4,5-c] -4-
 N,N- (I), (II), II

N,N-

(40-80)

VI (3) , 가 VII 1H- [4,5-c]
 -c] -4- III II

VI (4) , III 가
 I 1H- [4,5-c] -4- , 가 /



VII(, R, R₁, R₂, X_n)

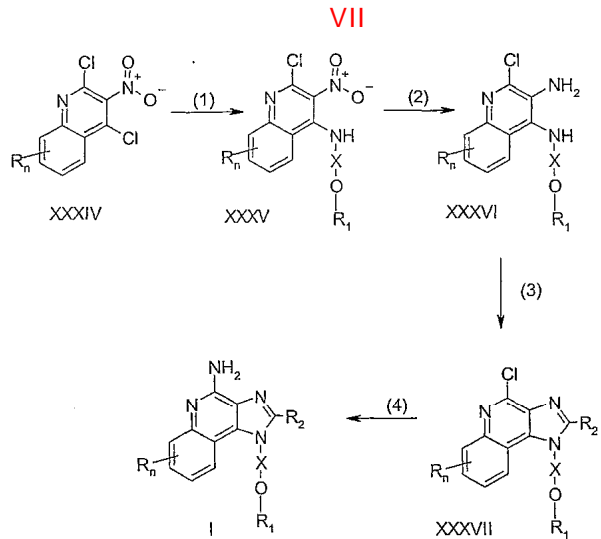
VII (1) , V 2- IV 2,4- -3- R₁-O-X-NH₂
 -3,4- IV -3- -4- 가 가
 (, Andre , U.S. 4,9
 88,815)

VII (2) , V 2- -3- -4- VI 2
 -3,4- ,

VII (3) , VI 2- -3,4- VII 4- -1H- [4,5-c]
 R₂ 가 R₂ 가 VII R₂ 가
 가

(3) (i) VI R₂C(O)C1 , (ii)
 (i) 가 (ii) ,
 (ii) (i) 가 (i)

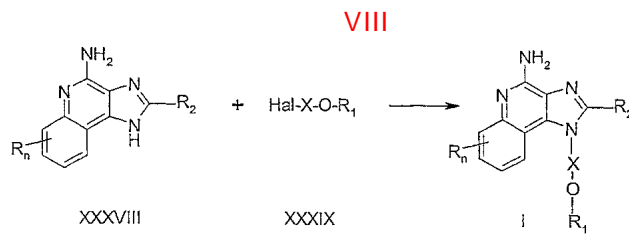
VII (4) , VII 4- -1H- [4,5-c]
 1H- [4,5-c] -4- 가 (, 125-175)



VIII (, R, R₁, R₂, X n) .

VIII , VIII 1H- [4,5-c] -4- VIII N,N-
 I 1H- [4,5-c] -4- ; 가
 (100) N¹ N³ 3- 1-

Gerster, U.S. VIII 1H- [4,5-c] -4- ; (,
 5,756,747) .



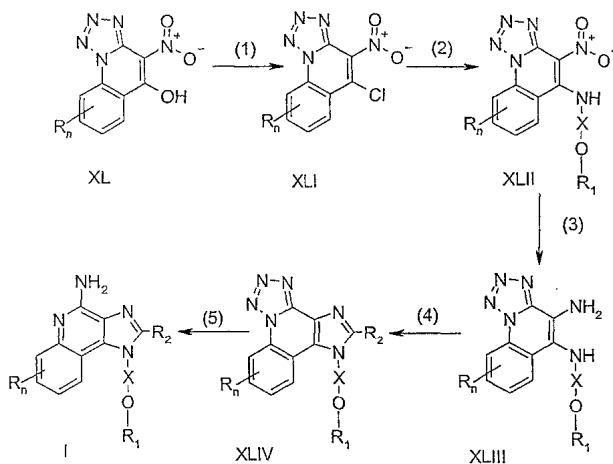
(, R, R₁, R₂, X n) .

(1) , L 4- [1,5-a] -5- LI 5-N
 ,N- [1,5-a] -5- 가 , N
) (, Gerster, , U.S. L 4- 5,741,908

(2) , LI 5- -4- [1,5-a] R₁-O-X-NH₂
 LII 4- [1,5-a] -5- 가

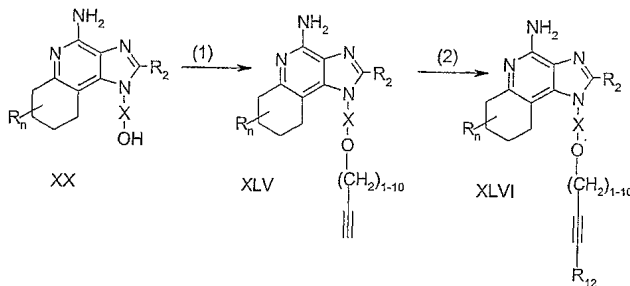
(3) , VII (2) LII 4- [1,5-a]
 LIII [1,5-a] -4,5-] -5-

(4) , VII L 6H- (3) [4,5-c] LIII [1,5-a] [1,5-a] -
 4,5-
 (5) , L 6H- [4,5-c] [1,5-a] I 1
 H- [4,5-c] -4- (5) (i) L (i)
 , (ii) 가 (i) 1,2- (ii) (i) L 가
 . 가 , 가 .



(, R, R₂, R₁₂, X_n)

(1) , III 4- -6,7,8,9- -1H-
 [4,5-c] -1- Hal-(CH₂)₁₋₁₀-CH CH LV 6,7,8
 ,9- -1H- [4,5-c] -4- .
 (2) , IV (5) LV 6,7,8,9- -1H-
 [4,5-c] -4- Hal-R₁₂ IV L 6,7,8,
 9- -1H- [4,5-c] -4- .



I (, R, R₁, R₂, X n) .

I (1) , LVIII 2,4- , -3- LVII 2,4- -3- -6,7,8,9- 가
 LVIII 2,4- -3- LVII 가 (55-65)
 LVII (, Nikolaides , U.S. 5,352,
 784)

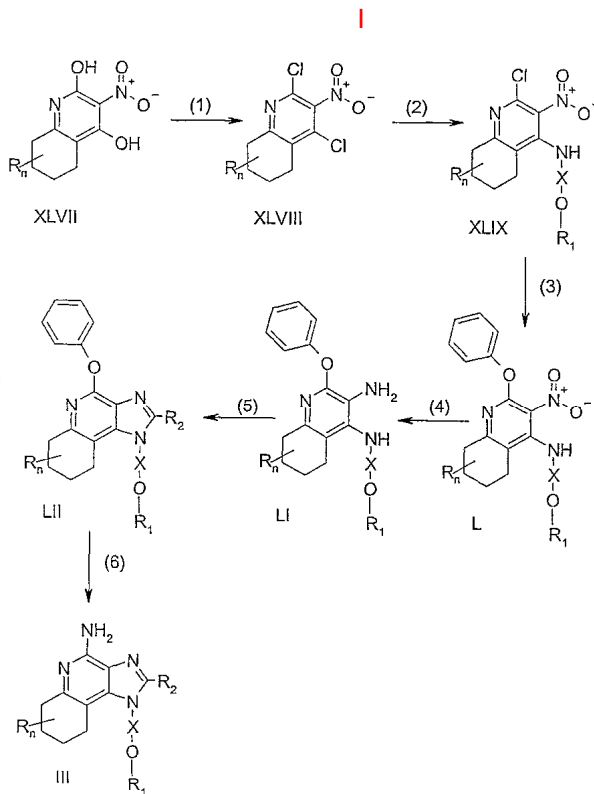
I (2) , LVIII 2,4- -3- -6,7,8,9- R₁ -
 O-X-NH₂ L 2- -3- -6,7,8,9- -4- 가 가
 N,N- (55-65) LVIII 가 가

I (3) , II (4) L 2- -3- -6,7,8,9-
 -4- L 2- -3- -6,7,8,9- -4-

I (4) , VII (2) L 2- -3- -6,7,8,9-
 -4- LI 2- -6,7,8,9- -3,4-

I (5) , LI 2- -6,7,8,9- -3,4- VII (3)
 LII 4- -6,7,8,9- -1H- [4,5-c]

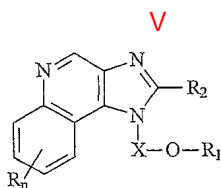
I (6) , II (7) LII 4- -6,7,8,9- -1
 H- [4,5-c] III 6,7,8,9- -1H- [4,5-c] -4-



(I), (II), (III), (IV)

(V)- () (L)

(V)



() ,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁ , -R₄ - , -R₄ - -(CH₂)₁₋₁₀ -C C-R₁₀ ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -N₃ , , ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

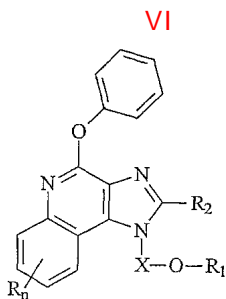
R₁₀ ;

Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R) C₁₋₁₀ , C₁₋₁₀ ,

(VI) -4-



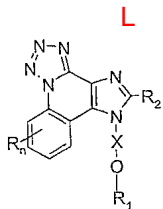
() ,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R_1 , , $-R_4-$, $-R_4-$ - $(CH_2)_{1-10}-C-C-R_{10}$;
 R_2 , $-N(R_3)_2$, $-CO-N(R_3)_2$, $-CO-C_{1-10}$, $-Y-$, $-Y-$, $-Y-$, $-OH$,
 $-CO-$, $-CO-$, $-CO-O-C_{1-10}$, $-N_3$, , , ;
 R_4 -O- ;
 R_3 H C_{1-10} ;
 R_{10} ;
 Y -O- -S(O)₀₋₂- ;
 n 0 4 ;
 R) C_{1-10} , C_{1-10} , ,
(VII) .



(,
 Z NH_2 NO_2 ;
 X $-CHR_3-$, $-CHR_3-$, $-CHR_3-$;
 R_1 , , $-R_4-$, $-R_4-$;
 R_4 -O- ;
 R_3 H C_{1-10} ;
 n 0 4 ;
 R) C_{1-10} , C_{1-10} , ,
 (L) .



(,

X -CHR₃ -, -CHR₃ - -, -CHR₃ - - ;

R₁ , , -R₄ - , -R₄ - ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , , ;

R₄ -O- ;

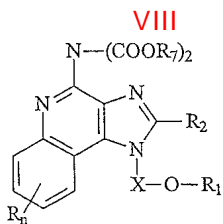
R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R) C₁₋₁₀ , C₁₋₁₀ , ,

가 (VIII)



(,

X -CHR₃ -, -CHR₃ - -, -CHR₃ - - ;

R₁ , , -R₄ - , -R₄ - ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , , ;

R₄ -O- ;

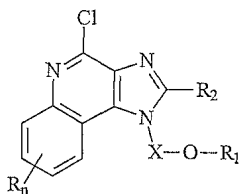
R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;
 n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ , ;

R₇ 3 -)

가 () -4-



(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁ , -R₄ - , -R₄ - ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ ,)

20) , 10 1 20 (, 2 , 3 10 ,

가, -X-

(, O, S, N)

(, O, S, N)

가

1 5- 4 (, R 2- , 3- , 4- , 2- (, n=0). R 2 , sec-

(polymorph)

()

100 ng/kg

50 mg/kg,

10 µg/kg

5 mg/kg

가

- (IFN-) ()

- (TNF-)가

(IL)

IFN- γ , TNF- α , IL-1, IL-6, IL-10, IL-12,

pDC2 (- 2) PBMCs()

가,

B-

가

IFN-

가

, T
Th1

2 (Th2)

() Th2

, T

IL-4, IL-5

1 (Th1)
IL-13

Th2

가

. IFN- () TNF-

I, II,
; H ; CMV; VZV
(HPV)

, B , C ;

T

()

가 ,

가

가

HIV

가,

IFN- γ , TNF- α , IL-1, IL-6, IL-10, IL-12

B

1

100 ng/kg

50 mg/kg,

10 μ g/kg

5 mg/kg

g/kg,

10 μ g/kg

5 mg/kg

100 ng/kg

50 m

100 ng/kg

50 mg/kg,

10 μ g/kg

5 mg/kg

(semi-preparative) HPLC

가

A-100 (Gilson)-6 900 HPLC (900 Series Intelligent Interface) LC-APCI/MS

A

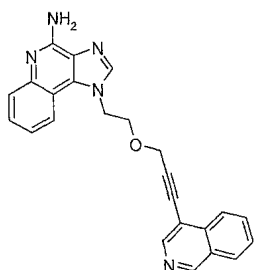
: (Microsorb) C 18, 21.4 × 250 mm, 8, 60 ; : 10 mL/ ;
: 25 2-95%B, 5 95% B (, A = 0.1 % / , B = 0.1%
/); 254 nm

B

: (Phenomenex Capcell PAK) C 18, 35 × 20 mm, 5 ; : 20 mL/ ;
: 10 5-95%B, 2 95% B , (, A = 0.1 % / , B = 0.1%
/); 254 nm

1

1-(2-{{3-(-4-)-2- [] } })-1H- [4,5-c] -4-



A

2-(1H- [4,5-c] -1-)-1- 28.5 g (0.133 mol) 50% 240 mL,
240 mL, 80% 가 39.6 g (0.266 mol) 16 2.46 g (0.013 mmol)
1 가 16

19.8 g 2-(1H- [4,5-c] -1-) (2-) ()
m. p. 124-126).

: C₁₅ H₁₃ N₃ O : % C, 71.70; % H, 5.21; % N, 16.72. : % C, 71.85; % H, 5.25; % N, 16.90

¹ H NMR (300 MHz, DMSO) 9.21 (s, 1 H), 8.44 (m, 1 H), 8.36 (s, 1 H), 8.18 (m, 1 H), 7.71 (m, 2 H), 4.93 (t, J = 5.1 Hz, 2 H), 4.14 (d, J = 2.4 Hz, 2 H), 3.98 (t, J = 5.1 Hz, 2 H), 3.35 (t, J = 2.2 Hz, 1 H)

HRMS (ESI) C₁₅ H₁₄ N₃ O (MH⁺) 252.1137, 252.1141

B

2-(1H- [4,5-c] -1-) (2-) 19.7 g (78.4 mmol) 0
. 57-86% 3- 가 15.7 g 가 , 0.5
가

3- (TLC) 가 . 0.5 가 , TLC
(4 g) 가 . 10%

18.5 g 1-[2-(2-)]-1H- [4,5-c] -5N-

HRMS (ESI) C₁₅H₁₄N₃O₂ (MH⁺) 268.1086, 268.1098

C

15.5 g (82.2 mmol) 1-[2-(2-)]-1H- [4,5-c] -5N- 18.3 g (68.5 mmol) 300 mL 가 . TLC 0.5 , 1 4.5 g T LC 가 . 1 TLC N-{1-[2-(2-)]-1H- [4,5-c] -4- }-2,2,2-

D

150 mL C 200 mL 가 , 25% 50 g 가 100 mL 가 , 60 16 16.4 g 1-[2-(2-)]-1H- [4,5-c] -4- (m. p. 225-227). : C₁₅H₁₄N₄O(H₂O)_{1/4} : % C, 66.53; % H, 5.40; % N, 20.69. : % C, 66.33; % H, 5.18; % N, 21.12

¹H NMR (300 MHz, DMSO) 8.13 (s, 1 H), 8.08 (br d, J = 7.8 Hz, 1 H), 7.62 (br d, J = 8.3 Hz, 1 H), 7.44 (br t, J = 7.6 Hz, 1 H), 7.24 (br t, J = 7.5 Hz, 1 H), 6.54 (s, 2 H), 4.81 (t, J = 5.4 Hz, 2 H), 4.14 (d, J = 2.4 Hz, 2 H), 3.93 (t, J = 5.1 Hz, 2 H), 3.38 (t, J = 2.4 Hz, 1 H)

HRMS (ESI) C₁₅H₁₅N₄O (MH⁺) 267.1246, 267.1253

E

1-[2-(2-)]-1H- [4,5-c] -4- 16 g (60.1 mmol), -3 32.7 g (150 mmol), 21 mL (150 mol), N,N- 150 mL 4 -() 0.1 g 80-85 가 1 가 가 , TLC)-1-[2-(2-)]-1H- [4,5-c] -4- 22.6 g N,N-(3 - (m. p. 139-142).

: C₂₅H₃₀N₄O₅ : % C, 64.36; % H, 6.48; % N, 12.01. : % C, 64.40; % H, 6.43; % N, 12.06

¹H NMR (300 MHz, DMSO) 8.44 (m, 1 H), 8.35 (s, 1 H), 8.08 (m, 1 H), 7.73 (m, 2 H), 4.94 (t, J = 4.9 Hz, 2 H), 4.12 (d, J = 2.4 Hz, 2 H), 3.98 (t, J = 5.1 Hz, 2 H), 3.31 (t, J = 2.4 Hz, 1 H), 1.34 (s, 18 H)

HRMS (ESI) C₂₅H₃₁N₄O₅ (MH⁺) 467.2294, 467.2307

F

N,N-(3 -)-1-[2-(2-)]-1H- [4,5-c] - 4- 1.0 g (2.14 mmol), 0.8 mL (5.56 mmol) N,N- 25 mL , 80-85 가 () (II) 0.08 g (0.11 mol), (I) 0.04 g (0.21 mmol) 4- 0.49 g (2.35 mmol) 가 . 3 ,

() ,
 (< 40) 16 1.21 g N,N-(3 -)-1-(2-{[3-(
 -4-)-2-] })-1H- [4,5-c] -4-

HRMS (EI) C₃₄H₃₅N₅O₅ (M⁺) 594.2716, 594.2732

G

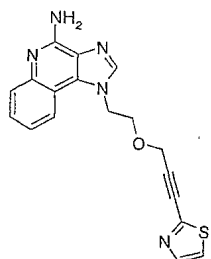
가 , F 5 mL 5 mL
 2 , TLC (4/1) 20%
 / (4/1)
 0.15 g 1-(2-{[3-(-4-)-2-] })-1H- [4,5-c] -4-
 (m. p. dec > 205).

¹H NMR (300 MHz, DMSO) 9.30 (s, 1 H), 8.43 (s, 1 H), 8.35 (s, 1 H), 8.19 (m, 2 H), 7.88 (br d, J = 8.0 Hz, 1 H), 7.65-7.80 (m, 4 H), 7.60 (d, J = 8.3 Hz, 1 H), 7.49 (t, J = 7.8 Hz, 1 H), 7.34 (t, J = 7.8 Hz, 1 H), 4.93 (t, J = 4.9 Hz, 2 H), 4.57 (s, 2 H), 4.14 (t, J = 5.1 Hz, 2 H)

HRMS (ESI) C₂₄H₁₉N₅O (MH⁺) 394.1668, 394.1669

2

1-(2-{[3-(1,3- -2-)-2-] })-1H- [4,5-c] -4-



A

1, F , N,N-(3 -)-1-[2-(2-)]-1H
 - [4,5-c] -4- 1.0 g (2.14 mmol) 2- 0.97 g N,N-(3 -)
)-1-(2-{[3-(1,3- -2-)-2-] })-1H- [4,5-c] -4-

MS (CI) 550,450,350

B

1, G B 가 0.11 g 1-(2-{[3-(1,3-
 -2-)-2-] })-1H- [4,5-c] -4- (m. p. 157-159
).

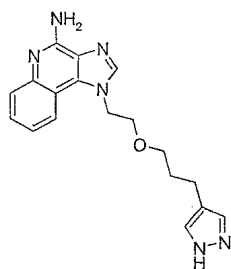
: C₁₈H₁₅N₅OS · (H₂O)_{1/4} : % C, 61.09; %H, 4.42; % N, 19.79. : % C, 61.06; %
 H, 4.37; % N, 19.53

¹H NMR (500 MHz, DMSO) 8.18 (s, 1 H), 8.11 (d, J = 7.9 Hz, 1 H), 7.89 (dd, J = 17.7, 2.9 Hz, 1 H), 7.62 (d, J = 7.9 Hz, 1 H), 7.43 (t, J = 7.5 Hz, 1 H), 7.23 (t, J = 7.5 Hz, 1 H), 6.64 (s, 2 H), 4.83 (m, 2 H), 4.50 (s, 2 H), 4.01 (m, 2 H)

HRMS (EI) C₁₈H₁₅N₅OS(M⁺) 349.0997, 349.0988

3

1-{2-[3-(1H- [4,5-c] -4-



A

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

[4,5-c] -4- 2.25 g (4.82 mmol), 1.34 mL (9.64 mmol), 4- 1.02 g (5.30 m
 mol) 40 mL 10
 () (II) 68 mg (0.096 mol) (I) 37 mg (0.192 mmol) 가
 40 가 .1 , HPLC ()
 90 가 .4 , HPLC

(3 -)-1-(2- {[3-(1H- -4-)-2- (9/1 /) })-1H- 1.2 g N,N-
 - [4,5-c] -4-

B

A 20 mL 0.25 g (10%) 4
 LC-MS LC-MS , 0.25 g 가

(9/1 /) 0.9 g N,N-(3 -)-1-{2-[3-(1H-
 -4-)] }-1H- [4,5-c] -4-

¹ NMR (300 MHz, DMSO) 12.43 (br s, 1H), 8.48 (br d, J = 7.1 Hz, 1 H), 8.37 (s, 1H), 8.08 (br d, J = 7.3 Hz, 1 H), 7.72 (m, 2 H), 7.30 (br s, 1 H), 7.14 (br s, 1 H), 4.92 (t, J = 4.9 Hz, 2 H), 3.88 (t, J = 4.9 Hz, 2 H), 2.22 (t, J = 7.8 Hz, 2 H), 1.56 (m, 2 H), 1.31 (s, 18 H)

MS (EI) 537,437,337

C

N,N-(3 -)-1-{2-[3-(1H- -4-)] }-1H- [4,5-c] -4- 0.5 g (0.93 mmol) 5 mL 가
 LC-MS 10 mL 2 mL 가
 (9/1 8/2 /) 0.18 g 1-{2-[3-(1H- -4-)] }-1H-
 - [4,5-c] -4- (m. p. 163-169).

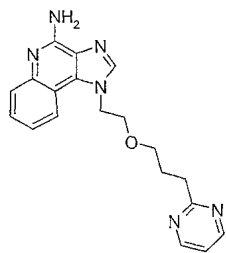
: C₁₈H₂₀N₆O • (CF₃CO₂H)_{0.15} % C, 62.18; % H, 5.75; % F, 2.42; % N, 23.77.
 : % C, 61.86; % H, 5.70; % F, 2.52; % N, 23.44

¹ H NMR (300 MHz, DMSO) 12.50 (br s, 1 H), 8.20 (s, 1 H), 8.15 (d, J = 8.3 Hz, 1 H), 7.66 (d, J = 8.3 Hz, 1 H), 7.49 (t, J = 7.6 Hz, 1 H), 7.29 (t, J = 7.6 Hz, 1 H), 7.15-7.40 (br s, 2 H), 7.00 (br s, 2 H), 4.81 (t, J = 4.6

H_z, 2 H), 3.84 (t, J = 4.6 Hz, 2 H), 3.34 (t, J = 6.1 Hz, 2 H), 2.27 (t, J = 7.6 Hz, 2 H), 1.60 (m, 2 H)

4

1-[2-(3-)]-1H- [4,5-c] -4-



A

c] -4- 16.4 g (61.6 mmol) 50 g (174 mmol) 1-[2-(2-)]-1H- [4,5-c] N,N- 200 mL 가 .
 27.4 g N,N-()-1-[2-(2-)]-1H- [4,5-c] -4- .

B

N,N-()-1-[2-(2-)]-1H- [4,5-c] -4- 1.00 g (1.87 m mol), 10 mL, 0.68 mL (4.86 mmol), 2- 0.327 g (2.06 mmol)
 (I) 0.014 g () (II) 0.026 g 가 .
 15 80 1.5 가 .
 UV
 98/2 /
 H- [4,5-c] -4- 0.68 g 1-{2-[(3-)-2-)] }-1

¹H NMR (300 MHz, DMSO-d₆) 8.78 (d, J= 4.9 Hz, 2 H), 8.49 (m, 1 H), 8.45 (s, 1 H), 8.12 (m, 1 H), 7.73-7.78 (m, 2 H), 7.50 (t, J= 4.9 Hz, 1 H), 7.23-7.28 (m, 6 H), 7.14-7.17 (m, 4 H), 5.20 (s, 4 H), 5.02 (t, J=5.0 Hz, 2H), 4.51 (s, 2 H), 4.10 (t, J=5.0 Hz, 2H),

C ₃₅H₂₈N₅O₅ MS (CI) m/z 613 (MH⁺), 569,461,345

C

B 20% 0.25 g 25 mL , 47 psi (3.3 Kg/cm²)
 2) 3 가 .
 25% 1 mL 16 가 .
 1/1/1 / / 0.235 g
 61 mg 1-[2-(3-)-2-)]-1H- [4,5-c] -4- (m. p. 126-127).

: C₁₉H₂₀N₆O : % C, 65.5; % H, 5.79; % N, 24.12. : % C, 65.65; % H, 5.78; % N, 24.15

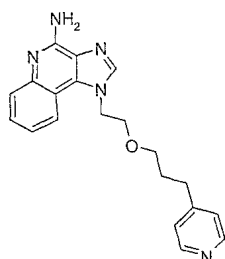
$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.66 (d, $J=4.7$ Hz, 2 H), 8.14 (s, 1 H), 8.08 (d, $J=8.0$ Hz, 1 H), 7.62 (d, $J=8.2$ Hz, 1 H), 7.45 (t, $J=7.0$ Hz, 1 H), 7.24-7.31 (m, 2 H), 6.58 (s, 2 H), 4.77 (t, $J=4.7$ Hz, 2 H), 3.84 (t, $J=4.5$ Hz, 2 H), 3.42 (t, $J=6.2$ Hz, 2 H), 2.82 (t, $J=7.5$ Hz, 2 H), 1.89 (m, 2H)

IR (KBr) 3302,3187,2868,1637,1561,1418,1139 cm^{-1}

HRMS (EI) $\text{C}_{19}\text{H}_{20}\text{N}_6\text{O}$ (M^+) 348.1699, 348.1700.

5

1-[2-(3- -4-)]-1H- [4,5-c] -4-



A

4, B N,N-()-1-[2-(2-) -1H-
 [4,5-c] -4- 2.00 g (3.74 mmol) 4- 0.8 g (4.12 mmol)
 1-{2-[(3- -4- -2-)) }-1H- [4,5-c] -4-
 1.47 g .

$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.46 (m, 3 H), 8.43 (s, 1 H), 8.12 (m, 1 H), 7.72-7.76 (m, 2 H), 7.22-7.28 (m, 5 H), 7.14-7.17 (m, 6 H), 5.18 (s, 4 H), 5.00 (t, $J=5.0$ Hz, 2 H), 4.45 (s, 2 H), 4.12 (t, $J=4.0$ Hz, 2H)

$\text{C}_{36}\text{H}_{29}\text{N}_5\text{O}_5$ MS (CI) m/z 612 (MH^+), 568,344

B

20% 0.57 g 10 mL A 가 .
 50 psi (3.5 Kg/cm 2) 5 . 0.07 g 가 .
 6/3/1 / /
 9/1 /
 가 0.20 g 1-[2-(3- -4-) -1H-
 - [4,5-c] -4- (m. p. 160-162).

: $\text{C}_{20}\text{H}_{21}\text{N}_5\text{O}$: % C, 69.14; % H, 6.09; % N, 20.16. : % C, 69.17; % H, 6.09; % N, 19.79

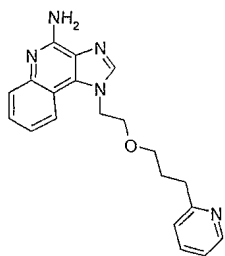
$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.29 (dd, $J=2.6, 1.8$ Hz, 2 H), 8.18 (s, 1 H), 8.11 (d, $J=8.2$ Hz, 1 H), 7.62 (dd, $J=7.1, 1.4$ Hz, 1 H), 7.45 (dt, $J=6.9, 1.7$ Hz, 1 H), 7.23 (dt, $J=6.7, 1.3$ Hz, 1 H), 6.91 (dd, $J=4.4, 1.3$ Hz, 2 H), 6.62 (s, 2 H), 4.81 (t, $J=5.0$ Hz, 2H), 3.82 (t, $J=5.0$ Hz, 2 H), 2.38 (t, $J=7.6$ Hz, 2 H), 3.28 (t, $J=6.1$ Hz, 2 H), 1.64 (m, 2 H)

IR (KBr) 3418,3100,1698,1595,1531,1094,767 cm^{-1}

HRMS (EI) $\text{C}_{20}\text{H}_{21}\text{N}_5\text{O}$ (M^+) 347.1746, 347.1747

6

1-[2-(3- -2-)]-1H- [4,5-c] -4-



A

2.5 g (4.68 mmol), N,N-()-1-[2-(2-)]-1H- [4,5-c] -4-
 (5.14 mmol), 20 mL, 1.7 mL (12.2 mmol), 2- 0.5 mL
 () (II) 0.066 g 가 . 18.5 가 . (I) 0.036 g
 . 1/9 /
 0.9 g 1-{2-[3- -2- -2-)] }-1H- [4,5-c] -4-

¹H NMR (300 MHz, DMSO-d₆) 8.50-8.54 (m, 2 H), 8.44 (s, 1H), 8.12 (m, 1 H), 7.71-7.77 (m, 3 H), 7.34-7.39 (m, 1 H), 7.23-7.29 (m, 7 H), 7.14-7.17 (m, 4 H), 5.19 (s, 4 H), 5.01 (t, J = 4.6 Hz, 2 H), 4.46 (s, 2 H), 4.10 (t, J = 4.8 Hz, 2 H)

C₃₆H₂₉N₅O₅ MS (CI) m/z 612 (MH⁺), 568,460

B

20% 0.776 g A 가 . 4
 5 psi (3.2 Kg/cm²) 2.5 .
 78 . 9/1 /
 5-c] -4- 가 25 mg 1-[2-(3- -2-)]-1H- [4,
 (m. p. 138-140).

: C₂₀H₂₁N₅O · (H₂O)_{1/5} : % C, 68.43; % H, 6.15; % N, 19.95. : % C, 68.47; % H, 5.95; % N, 19.63

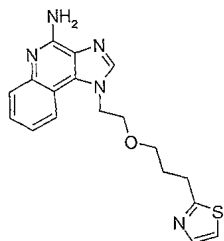
¹H NMR (300 MHz, DMSO-d₆) 8.41 (d, J= 4.4 Hz, 1 H), 8.16 (s, 1 H), 8.10 (d, J= 7.7 Hz, 1 H), 7.63 (d, J= 8.4 Hz, 1 H), 7.54 (dt, J= 9.7, 1.7 Hz, 1 H), 7.43 (t, J= 7.3 Hz, 1 H), 7.24 (t, J= 7.5 Hz, 1 H), 7.13 (t, J= 5.5 Hz, 1 H), 6.93 (d, J= 7.6 Hz, 1 H), 6.59 (s, 2 H), 4.77 (t, J= 5.1 Hz, 2 H), 3.82 (t, J= 5.5 Hz, 2 H), 3.34 (t, J= 6.3 Hz, 2H), 2.57 (t, J= 7.3 Hz, 2 H), 1.75 (m, 2H)

IR (KBr) 3361,3302,3188,1638,1526,1119,751 cm⁻¹

HRMS (EI) C₂₀H₂₁N₅O (M⁺) 347.1746, 347.1747.

7

1-{2-[3-(1,3- -2-)] }-1H- [4,5-c] -4-



A

3.25 g (6.08 mmol), N,N-()-1-[2-(2-) -1H- [4,5-c] -4-
 0.6 mL (6.69 mmol) N,N- 15 mL, 2.2 mL (15.8 mmol) 2-
) (II) 0.085 g 가 . 2 가 (I) 0.046 g (8/2
 / 가 . 가 . 1
 -(2-{{[3-(1,3- -2-) -2-] } })-1H- [4,5-c] -4- 2 g

$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.47-8.50 (m, 1 H), 8.44 (s, 1 H), 8.11 (m, 1 H), 7.89 (d, $J=3.2$ Hz, 1 H),
 7.85 (d, $J=3.3$ Hz, 1 H), 7.31-7.77 (m, 2 H), 7.23-7.28 (m, 6 H), 7.14-7.17 (m, 4 H), 5.20 (s, 4 H), 5.00 (t, $J=$
 5.0 Hz, 2H), 4.52 (s, 2 H), 4.09 (t, $J=5.5$ Hz, 2 H)

C $_{24}\text{H}_{27}\text{N}_5\text{O}_5\text{S}$ MS (CI) m/z 618 (MH $^+$), 475,466

B

2 g (20%) A 가 . 45 p
 si (3.2 Kg/cm 2) 3 0.3 g 가 , 가 25
 1-{2-[3-(1,3- -2-)] }-1H- [4,5-c] -4- 1.3 g .
 5 mL 25% 25 mL 3
 0.28 g 1-{2-[3-(1,3- -2-)] }-1H-
 [4,5-c] -4- (m. p. 134-135).

: C $_{18}\text{H}_{19}\text{N}_5\text{OS}$: % C, 61.17; % H, 5.42; % N, 19.81. : % C, 61.20; % H, 5.23; N, % 1
 9.51

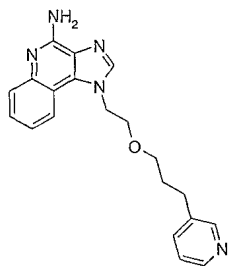
$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.16 (s, 1 H), 8.10 (d, $J=8.4$ Hz, 1 H), 7.63 (m, 2 H), 7.51 (d, $J=3.3$ Hz, 1
 H), 7.43 (t, $J=7.1$ Hz, 1 H), 7.23 (t, $J=8.0$ Hz, 1 H), 6.58 (s, 2 H), 4.79 (t, $J=4.7$ Hz, 2 H), 3.84 (t, $J=4.8$ Hz,
 2 H), 3.4 (t, $J=6.0$ Hz, 2 H), 2.86 (t, $J=7.8$ Hz, 2H), 1.83 (m, 2H)

IR (KBr) 3458,3358,3295,3191,1640,1538,1121, 752 cm^{-1}

HRMS (EI) C $_{18}\text{H}_{19}\text{N}_5\text{OS}$ (M $^+$) 353.1310, 353.1308.

8

1-[2-(3- -3-)]-1H- [4,5-c] -4- ()



A

1.75 g (3.75 mmol), 3- 0.85 g (4.13 mmol), 1.4 mL [4,5-c] -
 60 가 (I) 0.03 g (0.15 mmol) () 15 mL (II)
) 0.05 g (0.075 mmol) 가 30 .
 (98/2 /
) -BOC 1-{2-[(3- -3- -2-)] }
 -1H- [4,5-c] -4- 1.26 g .

$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.54 (bs, 1 H), 8.44-8.48 (m, 2 H), 8.4 (s, 1 H), 8.06 (m, 1 H), 7.69-7.73 (m, 2 H), 7.54 (d, $J=7.6$ Hz, 1 H), 7.35 (m, 1 H), 4.99 (t, $J=4.8$ Hz, 2 H), 4.40 (s, 2 H), 4.09 (t, $J=5.0$ Hz, 2 H), 1.31 (s, 18 H),

$\text{C}_{30}\text{H}_{33}\text{N}_5\text{O}_5$ MS (CI) m/z 544 (MH^+), 444,344

B

A 0.7 g (10%) , 45 psi (3.
 2 Kg/cm^2) 2 .
 1-[2-(3- -3-)]-1H- [4,5-c] -4-
 -BOC
 0.67 g

$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 8.50 (d, $J=7.3$ Hz, 1 H), 8.39-8.486 (m, 2 H), 8.29 (s, 1 H), 8.07 (d, $J=8.4$ Hz, 1 H), 7.71-7.75 (m, 2 H), 7.46 (d, $J=8.5$ Hz, 1 H), 7.31 (m, 1 H), 4.94 (t, $J=4.6$ Hz, 2 H), 3.88 (t, $J=5.0$ Hz, 2 H), 3.32 (t, $J=5.9$ Hz, 2 H), 2.38 (t, $J=7.5$ Hz, 2 H), 1.63 (m, 2 H), 1.30 (s, 18 H),

$\text{C}_{30}\text{H}_{37}\text{N}_5\text{O}_5$ MS (CI) m/z 548 (MH^+), 448,348

C

B 5 mL 5 mL
 1 .
 / 0.40 g 1-[2-(3- -3-)]-1H-
 [4,5-c] -4- () (m. p. 134-136).

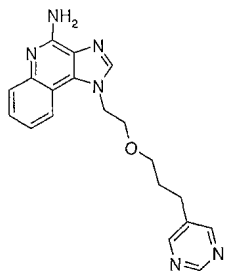
: $\text{C}_{20}\text{H}_{21}\text{N}_5\text{O} \cdot (\text{C}_2\text{HF}_3\text{O}_2)_2 \cdot (\text{H}_2\text{O})_{1/2}$: % C, 50.08; % H, 4.23; % N, 12.03. : % C, 49.87; % H, 3.82; % N, 12.16

$^1\text{H NMR}$ (300 MHz, DMSO- d_6) 9.00-9.25 (bs, 2 H), 8.50 (s, 2 H), 8.37 (d, $J=7.7$ Hz, 1 H), 7.82 (d, $J=7.4$ Hz, 1 H), 7.73-7.75 (m, 2 H), 7.55-7.58 (m, 2 H), 4.90 (t, $J=4.9$ Hz, 2 H), 3.86 (t, $J=4.8$ Hz, 2 H), 3.35 (t, $J=6.1$ Hz, 2 H), 2.49 (t, $J=7.0$ Hz, 2 H), 1.67 (m, 2 H)

IR (KBr) 3421,3212,2885,1699,1199,1120, 720 cm^{-1}

HRMS (EI) $\text{C}_{20}\text{H}_{21}\text{N}_5\text{O}(\text{M}^+)$ 347.1746, 347.1743.

1-[2-(3-(5-(2-(1H-[4,5-c]-4-



A

80, 8 A, N,N-(3-
)-1-[2-(2-)]-1H- [4,5-c] -4- 2.5 g (5.36 mmol) 5-
 0.94 g (5.89mmol) 1.59 g N,N-(3-)-1-{2-[(3- -5-
 2-)] }-1H- [4,5-c] -4- .

¹H NMR (300 MHz, DMSO-d₆) 9.19 (s, 1 H), 8.64 (s, 2 H), 8.44-8.47 (m, 1 H), 8.40 (s, 1 H), 8.02-8.06 (m, 1 H), 7.68-7.72 (m, 2 H), 4.99 (t, J= 5.0 Hz, 2 H), 4.43 (s, 2 H), 4.10 (t, J=5.2 Hz, 2 H), 1.32 (s, 18 H)

C₂₉H₃₂N₆O₅ MS (CI) m/z 545 (MH⁺), 445,345

B

A (5% , 10%
) 0.60 g N,N-(3-)-1-[2-(3- -5-
)]-1H- [4,5-c] -4- .

¹H NMR (300 MHz, DMSO-d₆) 8.98 (s, 1 H), 8.48-8.52 (m, 1 H), 8.45 (s, 2 H), 8.40 (s, 1 H), 8.06-8.09 (m, 1 H), 7.70-7.74 (m, 2 H), 4.94 (t, J= 5.1 Hz, 2 H), 3.89 (t, J= 5.0 Hz, 2 H), 3.34 (m, 2 H), 2.34 (t, J= 7.3 Hz, 2 H), 1.64 (m, 2 H), 1.29 (s, 18 H)

C₂₉H₃₂N₆O₅ MS (CI) m/z 549 (MH⁺), 449,349

C

8, C B 가 0.14 g 1-[2-(3-
 -5-)]-1H- [4,5-c] -4- (m. p. 159-161) .

: C₁₉H₂₀N₆O • (C₂HF₃O₂)^{1/10} • (H₂O)^{1/4} : % C, 63.27; % H, 5.70; % N, 23.0
 6. : % C, 63.47; % H, 5.35; % N, 22.88

¹H NMR (300 MHz, DMSO-d₆) 8.98 (s, 1 H), 8.48 (s, 2 H), 8.19 (s, 1 H), 8.15 (d, J= 8.0 Hz, 1 H), 7.63 (d, J= 8.6 Hz, 1 H), 7.46 (t, J= 6.0 Hz, 1 H), 7.28 (t, J= 8.4 Hz, 1 H), 6.79 (s, 2 H), 4.81 (t, J = 4.8 Hz, 2 H), 3.84 (t, J= 5.1 Hz, 2 H), 3.35 (t, J= 6.0 Hz, 2 H), 2.43 (t, J= 7.4 Hz, 2 H), 1.69 (m, 2 H)

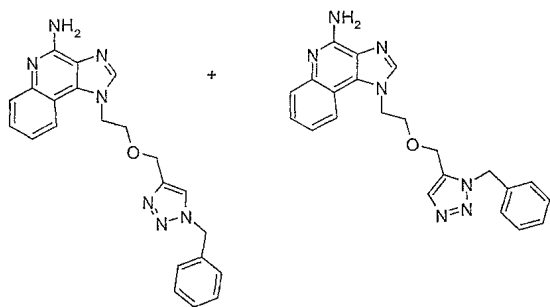
IR (KBr) 3310,3132,1647,1582,1531,1403, 1117 cm⁻¹

HRMS (EI) C₁₉H₂₀N₆O(M⁺) 348.1699, 348.1695

10

1-{2-[(1- -1H-1,2,3- -4-)] }-1H- [4,5-c] -4-

1-{2-[(1- -1H-1,2,3- -5-)] }-1H- [4,5-c] -4-



A

N,N-()-1-[2-(2-)]-1H- [4,5-c] -4- 1.5 g (2.81 m
mol), 30 mL 1.3 mL (9.12 mmol) , 28 100 가

B

가 25% 2.19 mL (9.52 mmol) A 20 mL
5%
1.0 M , 1
80 2 0.12 g , 1
-{2-[(1- -1H-1,2,3- -4-)] }-1H- [4,5-c] -4-
1-{2-[(1- -1H-1,2,3- -5-)] }-1H- [4,5-c] -4-
(m. p. 209-211).

: C₂₂H₂₁N₇O · 0.951 HCL · 0.615 H₂O : % C, 59.35; % H, 5.25 ; % N, 22.02; :
% C, 59.46; % H, 5.16; % N, 22.05.

¹H-NMR (300 MHz, DMSO-d₆) 9.00 (br s, 2 H), 8.46 (s, 1 H), 8.28 (d, J=7.8 Hz, 1 H), 7.98 (s, 1 H), 7.83 (br d, J=7.8 Hz, 1 H), 7.71 (br t, J=7.8 Hz, 1 H), 7.50 (br t, J=7.7 Hz, 1 H) 7.20-7.40 (m, 5 H), 5.52 (s, 1.88 H), 5.39 (s, 0.12 H), 4.88 (t, J=4.9 Hz, 2 H), 4.52 (s, 2 H), 3.95 (t, J=4.9 Hz, 1.88 H), 3.87 (t, J=5.1 Hz, 0.12 H)

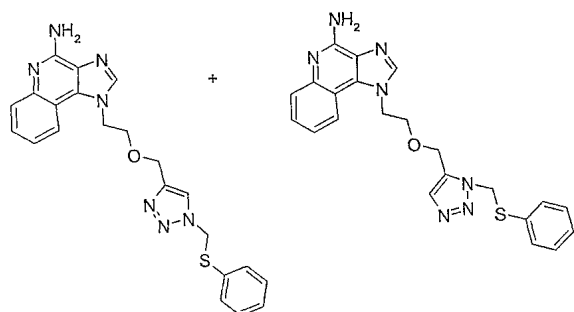
IR (KBr) 3152,2638,1672,1605,1126 cm⁻¹

HRMS (EI) C₂₂H₂₁N₇O(M⁺) 399.1808, 399.1802.

11

1-[2-((1-[()]-1H-1,2,3- -4-))]-1H- [4,5-c] -4-

1-[2-((1-[()]-1H-1,2,3- -5-))]-1H- [4,5-c] -4-



A

[4,5-c] -4- 가 20 mL, N,N-(3 -)-1-[2-(2-)]-1H- , 72
 1.0 g (2.1 mmol) 0.61 mL (4.3 mmol)
 80/20 / 0.95 g

C₃₂H₃₇N₇O₅S MS (CI) m/z 632 (MH⁺), 532,458,432

B

15 mL A 0 7.4 mL
 6 mL 가 2 가
 . 6 , 20% .
 5%
 0.12 g , 1
 -[2-({1-[()]-1H-1,2,3- -4- })]-1H- [4,5-c] -4- 1-
 [2-{1-[()]-1H-1,2,3- -5- })]-1H- [4,5-c] -4-
 (m. p. 182-184).

: C₂₂H₂₁N₇OS : % C, 61.24; % H, 4.91; % N, 22.72; : % C, 60.94; % H, 4.94; % N, 22.38.

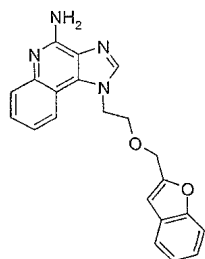
¹H-NMR (300 MHz, DMSO-d₆) 8.00-8.20 (m, 2 H), 7.87 (s, 0.8 H), 7.60-7.65 (m, 1 H), 7.52 (s, 0.2 H), 7.40-7.50 (m, 1 H), 7.20-7.40 (m, 6 H), 6.65 (s, 2 H), 5.87 (s, 1.6 H), 5.65 (s, 0.4 H), 4.83 (br t, J=4.6 Hz, 0.4 H), 4.78 (br t, J=4.9 Hz, 1.6 H), 4.49 (s, 1.6 H), 4.42 (s, 0.4 H), 3.80-3.90 (m, 2H)

IR (KBr) 3322,3205,1643,1527,1095 cm⁻¹

HRMS (EI) C₂₂H₂₁N₇OS(M⁺) 431.1528, 431.1522.

12

1-[2-([b] -2-)]-1H- [4,5-c] -4-



A

0.436 g 80% 6.07 mL 185 m
 L 50% 60 mL 가 가 2-(1H- [4,5-c] -1-) 10.0
 g (46.9 mmol) 가 . 21 가 가 HPLC
 46 가 가 가
) 7.0 g 1-[2-)]-1H- ([4,5-c] 5%

B

3 mL (10.96 mmol), 1-[2-()]-1H- [4,5-c] 1.0 g (4.21 mmol), 1.5
 3 mmol), (I) 0.08 g 20 mL () , 60 가 . 2- 1.02 g (4.6
 (5%) (II) 0.148 g 가 . 4 TLC
 LITE)()) 3%) 0.91 g 1-[

C

3- 0.65 g 15 mL A 5 가
 . TLC . 3- 0.2 g 가 . 1.5
 1-[2-([b] -2-) -1H- [4,5-c] -5N-

D

C , N- 0.60 g (3.18 mmol) 15 mL
 -{1-[2-([b] -2-)]-1H- 가 . 2,2,2-
 . 15 mL . 2.04 mL (9.01 mmol) 가 ,
 48 0.22 g
 1-[2-([b] -2-) -1H- [4,5-c] -4- (m. p. 2
 01-203).

: C₂₁H₁₈N₄O₂ : % C, 70.38; % H, 5.06; % N, 15.63; : % C, 70.36; % H, 4.80;
 % N 15.51.

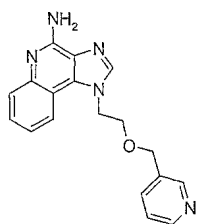
¹H-NMR (300 MHz, DMSO-d₆) 8.16 (s, 1H), 8.06 (d, J= 7.8 Hz, 1H), 7.49-7.62 (m, 3H), 7.42 (m, 1H), 7.1
 6-7.31 (m, 3H), 6.76 (s, 1H), 6.58 (br s, 2H), 4.83 (t, J= 5.4 Hz, 2H), 4.61 (s, 2H), 3.97 (t, J = 5.1 Hz, 2H)

IR (KBr) 3455,3069,1583,1530,1397,1254,1088

HRMS (EI) C₂₁H₁₈N₄O₂ (M⁺) 358.1430, 358.1428.

13

1-[2-(-3-)]-1H- [4,5-c] -4-



A

600 mL 2-(1H- [4,5-c] -1-) 16.88 g (422 mmol) N,N-
 1.5 . 60.0 g (281 mmol) 가 .
 50.2 mL (422 mmol) 30 가 .
]-1H- [4,5-c] , , 1-[2-() ,

¹H-NMR (300 MHz, DMSO-d6) 9.22 (s, 1H), 8.42 (s, 1H), 8.40 (s, 1H), 8.17 (m, 1H), 7.69 (m, 2H), 7.10-7.22 (m, 5H), 4.95 (t, J= 5.1 Hz, 2H), 4.45 (s, 2H), 3.93 (t, J= 5.1 Hz, 2H)

C₁₉H₁₇N₃₀ MS (CI) m/z 304 (MH⁺), 214

B

3- 69.36 g (77%) 800 mL 1-2-() -1H- [4,5-c]
85.36 g (281 mmol) 15 가 . 1 TLC (10%)
() ,
, 1-2-() -1H- [4,5-c] -5N-

C

mmol) 12.84 mL (138 mmol) 1-2-() -1H- [4,5-c] -5N- 40.0 g (125
600 mL 가 . 30 (gum)
, 500 mL , 25.34 g (250 mmol) .
, , 15%
(, , 2%
5%) 가 21 g 1-[2
-()]-4- -1H- [4,5-c]

¹H-NMR (300 MHz, DMSO-d6) 8.47 (s, 1H), 8.42 (dd, J= 8.3, 1.5 Hz, 1H), 8.08 (dd, J = 8.3, 1.5 Hz, 1H), 7.72 (m, 2H), 7.04-7.17 (m, 5H), 4.96 (t, J= 5.1 Hz, 2H), 4.44 (s, 2H), 3.92 (t, J= 5.1 Hz, 2H)

C₁₉H₁₆CIN₃O MS (CI) m/z 338 (MH⁺), 309,248,214

D

6.21 g (66 mmol) 25 mL 60% 2.79 g (69.7 mmol)
가 가 가 , 10 mL C
가 . 110 가 . TLC (3%
) 0 .
, 19.3 g 1-[2-()]
]-4- -1H- [4,5-c]

¹H-NMR (300 MHz, DMSO-d6) 8.39 (s, 1H), 8.32 (dd, J= 8.3, 1.5 Hz, 1H), 7.69 (dd, J= 8.3, 1.5 Hz, 1H), 7.46-7.59 (m, 4H), 7.12-7.33 (m, 8H), 4.93 (t, J= 5.1 Hz, 2H), 4.47 (s, 2H), 3.94 (t, J= 5.1 Hz, 2H)

C₂₅H₂₁N₃O₂ MS (CI) m/z 396 (MH⁺), 306,288

E

[4,5-c] 29.0 g 200 mL 1-[2-()]-4- -1H-
7.65 g 가 . TLC (5%)
, 10 가 , ,
. 8 g 2-(4- -1H- [4,5-c] -1-) .

4

$^1\text{H-NMR}$ (300 MHz, DMSO- d_6) 8.34 (m, 1H), 8.32 (m, 1H), 7.70 (m, 1H), 7.46-7.60 (m, 4H), 7.25-7.31 (m, 3H), 5.06 (t, $J=5.4$ Hz, 1H), 4.76 (t, $J=5.4$ Hz, 2H), 3.90 (q, $J=5.4$ Hz, 2H)

F

3-() 0.638 g (2.52 mmol) 2-(4- -1H- [4,5-c]
 -1-) 0.7 g (2.29 mmol), 가 .3 0.03 g, 50% 28 mL
 28 mL TLC (5%)
 100 mL 100 mL 100 mL
 () 5%) 0.74 g 4- -1-[2-(-3-
)]-1H- [4,5-c]

$^1\text{H-NMR}$ (300 MHz, DMSO- d_6) 8.42 (dd, $J=4.9, 2.0$ Hz, 1H), 8.38 (s, 2H), 8.33 (dd, $J=8.3, 1.5$ Hz, 1H), 7.70 (dd, $J=8.3, 2.0$ Hz, 1H), 7.46-7.59 (m, 5H), 7.22-7.33 (m, 4H), 4.96 (t, $J=4.9$ Hz, 2H), 4.52 (s, 2H), 3.98 (t, $J=4.9$ Hz, 2H)

$\text{C}_{24}\text{H}_{20}\text{N}_4\text{O}_2$ MS (CI) m/z 397 (MH $^+$), 306, 288, 212, 110

G

4- -1-[2-(-3-)]-1H- [4,5-c] 0.67 g (1.69 mmol)
 1.30 g (16.9 mmol) 150 가 .5 TLC (10%)
 100 mL (pH 9) 100 mL
 %) 0.40 g (10 mL
 / 5 가 , 1
 0.358 g 1-[2-(-3-)]
 -1H- [4,5-c] -4- (m. p. 229-231)

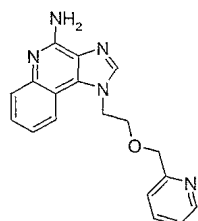
: $\text{C}_{18}\text{H}_{17}\text{N}_5\text{O} \cdot 2.75\text{HCl} \cdot 0.4\text{H}_2\text{O}$: % C, 50.62; % H, 4.85; % N, 16.40; : % C, 5
 0.44; % H, 4.96; % N, 16.19.

$^1\text{H-NMR}$ (300 MHz, DMSO- d_6) 8.78 (d, $J=5.4$ Hz, 1H), 8.71 (s, 1H), 8.56 (s, 1H), 8.34 (d, $J=8.3$ Hz, 1H), 8.26 (d, $J=7.8$ Hz, 1H), 7.90 (dd, $J=7.8, 5.9$ Hz, 1H), 7.84 (d, $J=8.3$ Hz, 1H), 7.72 (t, $J=7.8$ Hz, 1H), 7.54 (t, $J=7.8$ Hz, 1H), 4.98 (t, $J=4.9$ Hz, 2H), 4.69 (s, 2H), 4.04 (t, $J=4.9$ Hz, 2H)

$\text{C}_{18}\text{H}_{17}\text{N}_5\text{O} \cdot \text{HCl}$ (11/4) $\cdot \text{H}_2\text{O}$ (2/5) MS (CI) m/z 321 (MH $^+$), 229.

14

1-[2-(-2-)]-1H- [4,5-c] -4-



A

13, F, 2-(4- -1H- [4,5-c] -1-) 0.9 g (2.95 mmol)
 2- HCl 0.53 g (3.24 mmol), 0.65 g 4- -1
 -[2-(-2-)]-1H- [4,5-c]

¹H-NMR (300 MHz, DMSO-d₆) 8.41 (m, 2H), 8.34 (dd, J= 8.3,1.5 Hz, 1H), 7.70 (dd, J = 8.3, 1.5 Hz, 2H), 7.46-7.66 (m, 5H), 7.18-7.33 (m, 4H), 7.30 (d, J= 7.8 Hz, 1H), 4.98 (t, J=4.9 Hz, 2H), 4.55 (s, 2H), 4.04 (t, J= 4.9 Hz, 2H)

B

A 150 가 .5 TLC (10%) ,
 100 mL (pH 9)

0.18 g 1-[2-(-2-)]-1H- [4,5-c] -4-
 (m. p. 196-198).

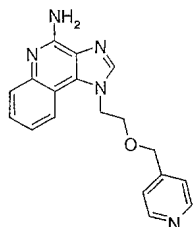
: C₁₈ H₁₇ N₅ O : % C, 67.70; % H, 5.37 ; % N, 21.93; : % C, 67.86; % H, 5.31 ; %N, 22.13.

¹H-NMR (300 MHz, DMSO-d₆) 8.43 (d, J= 4.9 Hz, 2H), 8.20 (s, 1H), 8.09 (d, J= 6.8 Hz, 1H), 7.63 (dt, J= 8.3,1.5 Hz, 2H), 7.43 (dt, J= 8.3, 1.5 Hz, 1H), 7.19-7.24 (m, 2H), 7.12 (d, J=7.8 Hz, 1H), 6.53 (br s, 2H), 4.87 (t, J= 5.1 Hz, 2H), 4.54 (s, 2H), 3.99 (t, J= 5.1 Hz, 2H)

C₁₈ H₁₇ N₅ O MS (CI) m/z 320 (MH⁺), 229,211.

15

1-[2-(-4-)]-1H- [4,5-c] -4-



A

13, F, 2-(4- -1H- [4,5-c] -1-) 1.1 g (3.61 mmol)
 4- HCl 0.649 g (3.96 mmol), 0.3 g 4-
 -1-[2-(-4-)]-1H- [4,5-c]

¹H-NMR (300 MHz, DMSO-d₆) 8.41 (s, 1H), 8.39 (s, 1H), 8.34 (dd, J= 7.8,1.5 Hz, 2H), 7.70 (dd, J= 7.8,1.5 Hz, 1H), 7.46-7.60 (m, 4H), 7.25-7.33 (m, 3H), 7.10 (d, J= 5.9 Hz, 2H), 5.00 (t, J= 4.9 Hz, 2H), 4.53 (s, 2H), 4.00 (t, J= 4.9 Hz, 2H)

C₂₄ H₂₀ N₄ O₂ MS (CI) m/z 397 (MH⁺), 306,288,212,110

B

14, B, 4- -1-[2-(-4-)]-1H- [4,5-c]
] 0.25 g 0.14 g 1-[2-(-4-)]-1H- [4,5-c] -4-
 (m. p. 159-161).

: C₁₈ H₁₇ N₅ O : % C, 67.70; % H, 5.37; % N, 21.93; : % C, 67.37; % H, 5.31; % N,

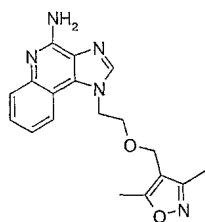
22.49.

$^1\text{H-NMR}$ (300 MHz, DMSO- d_6) 8.40 (dd, $J = 4.4, 1.5$ Hz, 2H), 8.20 (s, 1H), 8.09 (d, $J = 8.3$ Hz, 1H), 7.62 (d, $J = 8.3$ Hz, 1H), 7.43 (m, 1H), 7.21 (m, 1H), 7.10 (d, $J = 5.4$ Hz, 1H), 6.54 (br s, 2H), 4.87 (t, $J = 5.1$ Hz, 2H), 4.51 (s, 2H), 3.94 (t, $J = 5.1$ Hz, 2H)

$\text{C}_{18}\text{H}_{17}\text{N}_5\text{O}$ MS (CI) m/z 320 (MH^+), 229, 136

16

1-{2-[(3,5-)]-4- }-1H- [4,5-c] -4-



A

13, F, 2-(4- -1H- [4,5-c] -1-) 0.82 g (2.69 mmol), 4-()-3,5- 0.43 g (2.95 mmol), 0.59 g 1-{2-[(3,5- ,5- -4-)]-4- }-1H- [4,5-c]

$^1\text{H-NMR}$ (300 MHz, DMSO- d_6) 8.29-8.32 (m, 2H), 7.70 (dd, $J = 7.8, 1.5$ Hz, 1H), 7.46-7.60 (m, 4H), 7.25-7.32 (m, 3H), 4.89 (t, $J = 5.1$ Hz, 2H), 4.24 (s, 2H), 3.89 (t, $J = 4.9$ Hz, 2H), 2.16 (s, 3H), 1.93 (s, 3H)

$\text{C}_{24}\text{H}_{22}\text{N}_4\text{O}_3$ MS (CI) m/z 415 (MH^+), 306, 212, 112

B

14, B, A, 0.39 g 1-{2-[(3,5- -4-)]-4- }-1H- [4,5-c] -4- (m. p. 213-215).

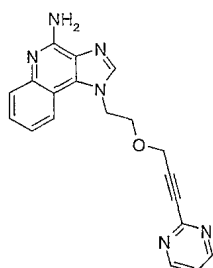
: $\text{C}_{18}\text{H}_{19}\text{N}_5\text{O}_2$: % C, 64.08 ; % H, 5.68 ; % N, 20.76 ; : % C, 64.02 ; % H, 5.53 ; % N, 21.01.

$^1\text{H-NMR}$ (300 MHz, DMSO- d_6) 8.12 (s, 1H), 8.05 (dd, $J = 8.3, 1.0$ Hz, 1H), 7.61 (dd, $J = 8.3, 1.0$ Hz, 1H), 7.43 (m, 1H), 7.21 (m, 1H), 6.52 (br s, 2H), 4.79 (t, $J = 5.1$ Hz, 2H), 4.23 (s, 2H), 3.85 (t, $J = 5.1$ Hz, 2H), 2.20 (s, 3H), 1.97 (s, 3H)

$\text{C}_{18}\text{H}_{19}\text{N}_5\text{O}_2$ MS (CI) m/z 338 (MH^+), 229, 112

17

1-(2-{[3-(-2-)-2-] })-1H- [4,5-c] -4-



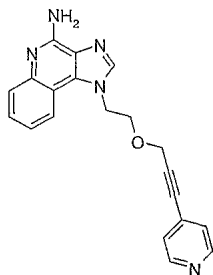
1.0 g (9.7 mmol) N,N- (I) 0.07 g (0.4 mmol), 20 mL (II) 0.13 g (0.2 mmol) 2
 가 . 가 .
 - 0.65 g (4.1 mmol) 가 , 65 . N,N-
 (tar) .
 -2-)-2-) })-1H- [4,5-c] -4- HPLC 0.05 g 1-(2- {[3-(
 (m. p. 214-215).

: C₁₉ H₁₆ N₆ O · 1.5C₂ HF₃ O₂ · 0.3H₂O : % C, 50.67; % H, 3.51; % N, 16.12;
 : % C, 50.67; % H, 3.11; % N, 16.14.

¹H-NMR (300 MHz; DMSO-D₆) (ppm) 9.169 (s, 1H), 8.646 (s, 2H), 8.497 (s, 1H), 8.328 (d, J=8.3Hz, 1H),
 , 7.773 (d, J=6.9Hz, 1H), 7.703 (t, J=6.7Hz, 1H), 7.558 (t, J=7.2Hz, 1H), 4.942 (t, J=4.8Hz, 2H), 4.447 (s, 2H),
 4.073 (t, J=4.9Hz, 2H).

18

1-(2- {[3-(-4-)-2-] })-1H- [4,5-c] -4- (



0.5 g (4.9 mmol), (I) 0.036 g (0.2 mmol), 4- 0.51 g (2.6 mmol)
 20 mL 가 . 가 . (II) 0.066 g (0.1 mmol)

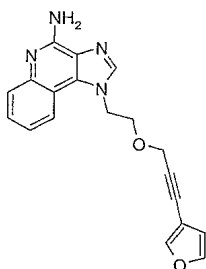
 HPLC 0.1 g 1-(2- {[3-(-4-)-2-] })-1H- A
 [4,5-c] -4- () (m. p. 135 (dec.)).

: C₂₀ H₁₇ N₅ O · 2.0C₂ HF₃ O₂ · 0.5H₂O : % C, 49.66; % H, 3.47 ; % N, 12.06;
 : % C, 49.59; % H, 3.51; % N, 12.22.

¹H-NMR (300 MHz; DMSO-d₆) (ppm) 9.063 (bs, 2H), 8.551 (d, J=5.2Hz, 2H), 8.498 (s, 1H), 8.335 (d, J
 =7.7Hz, 1H), 7.795 (d, J=6.9Hz, 1H), 7.727 (t, J=8.3Hz, 1H), 7.564 (t, J=8.3Hz, 1H), 7.139 (d, J=5.7Hz, 2H), 4
 .942 (t, J=4.8Hz, 2H), 4.427 (s, 2H), 4.056 (t, J=4.8Hz, 2H).

19

1-(2- {[3-(-3-)-2-] })-1H- [4,5-c] -4-



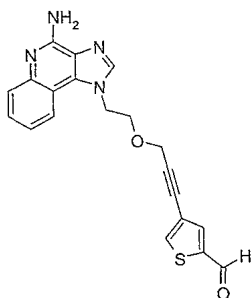
0.5 g (4.9 mmol), 1-[2-(2-)]-1H- [4,5-c] -4- 0.5 g (1.9 mmol),
 20 mL (I) 0.036 g (0.2 mmol), 3- 0.38 g (2.6 mmol) N,N-
 (0.1 mmol) 가 80 가 (II) 0.066 g
 N,N- A HPLC 0.1 g 1-(2-{[3-(
 -3-)-2-] })-1H- [4,5-c] -4-
 (m. p.160-162).

: C₁₉ H₁₆ N₄ O₂ · C₂ HF₃ O₂ · 0.25H₂ O : % C, 55.94; % H, 3.91; % N, 12.42;
 : % C, 55.57; % H, 3.43; % N, 12.45.

¹ H-NMR (300 MHz; DMSO-d₆) (ppm) 8.859 (bs, 2H), 8.473 (s, 1H), 8.32 (d, J=8.3Hz, 1H), 7.849 (s, 1H)
 , 7.813 (d, J=7.3Hz, 1 H), 7.714 (t, J=8.5Hz, 1H), 7.697 (d, J=2Hz, 1H), 7.551 (t, J=6.8Hz, 1H), 6.409 (d, J=1.9
 Hz, 1H), 4.919 (t, J=5.5Hz, 2H), 4.337 (s, 2H), 4.002 (t, J=4.8Hz, 2H).

20

4-{3-[2-(4- -1H- [4,5-c] -1-)]- -1- } -2-



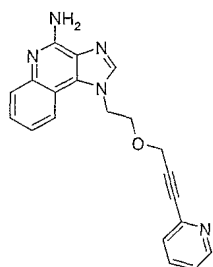
20 1.9 mmol) 3- -2- , 1-[2-(2-)]-1H- [4,5-c] -4- 0.5 g (
 HPLC 0.13 g 4-{3-[2-(4- -1H- [4,5-c] -1-)]- -1- } -2-
 1- }- -2- (m. p. 195).

: C₂₀ H₁₆ N₄ O₂ S · C₂ HF₃ O₂ : % C, 53.88; % H, 3.49; % N, 11.42; : % C, 54
 .16; % H, 3.21; % N, 11.36.

¹ H-NMR (300 MHz; DMSO-d₆) (ppm) 9.874 (s, 1H), 8.972 (bs, 2H), 8.483 (s, 1H), 8.322 (d, J= 7.9Hz, 1
 H), 8.076 (s, 1H), 7.771 (d, J= 8.3Hz, 1H), 7.736 (s, 1H), 7.71 (t, J= 8.4Hz, 1H), 7.555 (t, J= 6.9Hz, 1H), 4.928
 (t, J= 5.3Hz, 2H), 4.371 (s, 2H), 4.043 (t, J= 4.8Hz, 2H).

21

1-(2-{[3-(-2-)-2-] })-1H- [4,5-c] -4-



19 (1.9 mmol) 2- (0.1 g) 1-(2-([3-(2-)-2-)]-1H- [4,5-c] -4- 0.5 g (2.6 mmol) A HPLC (m. p. 129-131).

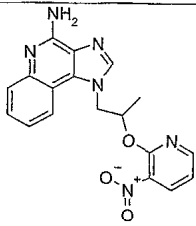
: C₂₀H₁₇N₅O • 1.75C₂H₃O₂ • 0.25H₂O : % C, 51.56; % H, 3.55; % N, 12 : 80;
 : % C, 51.80; % H, 3.20; % N, 13.11.

¹H-NMR (300 MHz; DMSO-d₆) (ppm) 9.013 (bs, 2H), 8.516 (s, 1H), 8.495 (s, 1H), 8.331 (d, J=8.2Hz, 1H), 7.75 (m, 3H), 7.553 (t, J=8.2Hz, 1H), 7.375 (dd, J=7.8; 4.9Hz, 1H), 7.23 (d, J=7.8Hz, 1H), 4.944 (t, J=5.4Hz, 2H), 4.418 (s, 2H), 4.059 [(t, J=4.8Hz, 2H).

22-26

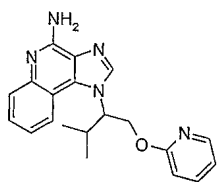
4- () -1H- [4,5-c] -1- () 25 mg 2 (7.4 mL) . 60%
 () 1.2 N,N- 1 mL 가 . 15 30
 120 . 1.2 가 , 15
 HPLC LC/MS HPLC LC-
 APCI/MS (MM) ¹H NMR (NM) (TM),

실시예 #	유리 염기의 구조	정제 방법	질량 측정 (Da.)
22		A	TM = 326.1743 MM = 326.1739
23		A	TM = 392.1597 MM = 392.1584
24		A	TM = 450.1281 MM = 450.1285
25		A	TM = 422.0968 MM = 422.0966

실시예 #	유리 염기의 구조	정제 방법	질량 측정 (Da.)
26		A	TM = 364 NM [M+H] ⁺ = 365

27

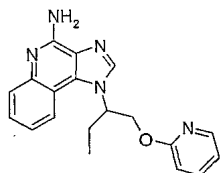
1-{2- -1-[(-2-)]] }-1H- [4,5-c] -4-



22-26 , 2-(4- -1H- [4,5-c] -1-)-3- -1
 - 2-() , A HPLC
 1-{2- -1-[(-2-)]] }-1H- [4,5-c] -4-
 . TM = 347.1746, MM = 347.1740

28

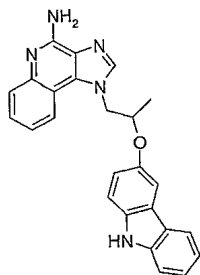
1-{1-[(-2-)]] }-1H- [4,5-c] -4-



22-26 , 2-(4- -1H- [4,5-c] -1-)-3- -1
 - 2-() , B HPLC
 1-{1-[(-2-)]] }-1H- [4,5-c] -4-
 . TM = 333.1590, MM = 333.1598

29

1-[2-(9H- -3-)] -1H- [4,5-c] -4-

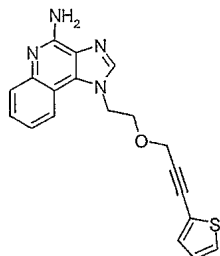


0.5 g 1-(4- -1H- [4,5-c] -1-) -2- N,N-
 1 mL 2- 38 mg (2) 2 20 mL
 (7.4 mL)

가 . N,N- 1 mL 54 mg (2) 가
) (neat) 가 30
 . A HPLC
 . TM = 407, NM [M + H] ⁺¹ = 408.

30

1-{2-[(3- 2- 2-)] }-1H- [4,5-c] -4-



A

1, B , 2-(1H- [4,5-c] -1-) (2-)
 67.5 g 1-[2-(2-)]-1H- [4,5-c] -5N-

C ₁₅ H ₁₄ N ₃ O ₂ MS (Cl) m/z 268 (MH ⁺), 252,214.

B

] -5N- , 57.5 g (215.1 mmol), 200 mL , 1-[2-(2-)]-1H- [4,5-c]
 23 mL (247.4 mmol) (40) 20 가 .
 1.25 10%
 60 , 4 , 36.6 g 4- -1-[2-(2-)]-1H-
 [4,5-c]

C ₁₅ H ₁₂ ClN ₃ O MS (Cl) m/z 286 (MH ⁺), 246,204.

C

mmol) 2- , , 100 mL . 20.7 g (220.2 mmol) 8.15 g (203.9
 가 , (, 100 mL . 20.7 g (220.2 mmol)
 2-(2-)]-1H- [4,5-c] 46.6 g (163.1 mmol) 가 , -1-[
 10 가 . 15.5 , 20 mL (5 g, 53.1 mmol 가 , 1
 1.91 g, 47.8 mmol) 가 , 165 가 . 1 , 165
 . 70 , ,
 ; , , 25 g 4- -1-[2
 -(2-)]-1H- [4,5-c]

C ₂₁ H ₁₇ N ₃ O ₂ MS (Cl) m/z 344 (MH ⁺), 306,288.

D

3, A, 4- -1-[2-(-2-)]-1H- [4,5-c]
 10 g (29.4 mmol) 2- 3.6 mL (32.3 mmol) (98/2 /
) 5.3 g 4- -1
)
 -{2-[(3- -3- -2-)] }-1H- [4,5-c]

C₂₅H₁₉N₃O₂S MS (CI) m/z 426 (MH⁺), 306,288.

E

3.2 g (7.52 mmol), 4- -1-{2-[(3- -3- -2-)] }-1H- [4,5-c]
 32 g (415 mmol) 가 , 150 가
 . 2 , 10 g (129 mmol) 가 . 4 ,
 , 1N pH 13 .
 (3); ,
) (98/2 /
) 0.812 g 1-{2-[(3- -2- -)] }-1H- [4,5-c] -4-
 2-)] }-1H- [4,5-c] -4- (m. p. 148-150).

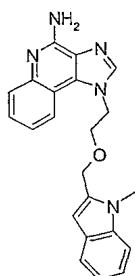
: C₁₉H₁₆N₄OS : % C, 65.50; % H, 4.63; % N, 16.08. : % C, 65.42; % H, 4.65; % N, 16.11

¹H NMR (300 MHz, DMSO) 8.16 (s, 1 H), 8.11 (d, J = 6.8 Hz, 1 H), 7.60 (m, 2 H), 7.43 (t, J = 6.8 Hz, 1 H), 7.20-7.25 (m, 2 H), 7.04 (dd, J = 4.9, 3.9 Hz, 1 H), 6.58 (s, 2 H), 4.84 (t, J = 5.4, 2 H), 4.41 (s, 2 H), 3.99 (t, J = 5.4, 2 H)

C₁₉H₁₆N₄OS MS (CI) m/z 349 (MH⁺), 229,185.

31

1-{2-[(1- -1H- -2-)] }-1H- [4,5-c] -4-



A

30, D, 4- -1-[2-(-2-)]-1H- [4,5-c]
 3.16 g (9.20 mmol) 2- -N,N- 2.5 g (10.1 mmol) 1.0 g 1-{2-[(1-
 -1H- -2-)] }-4- -1H- [4,5-c]

C₂₈H₂₄N₄O₂ MS (CI) m/z 449 (MH⁺), 306,186.

B

160 52 7% 20 mL , 1-{2-[(1- -1H-
 -2-)] }-4- -1H- [4,5-c] 0.78 g (1.74 mmol)
 가 , 7% 20 mL 160 80
 . (9/1 /)
) 0.121 g 1-{2-[(1- -1H- -2-)] }-1H- [4,5-c] -4-
 (m. p. 243-245).

: C₂₂H₂₁N₅O • (C₃H₇ON)_{0.20}

: % C, 70.50; % H, 5.81 ; % N, 18.75.

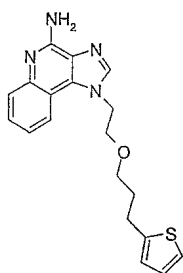
: % C, 70.72; % H, 5.70; % N, 18.36

¹H NMR (300 MHz, DMSO) 8.13 (s, 1 H), 8.05 (d, J = 8.3 Hz, 1 H), 7.60 (d, J = 9.3, 1 H), 7.36-7.47 (m, 3 H), 7.10-7.20 (m, 2 H), 6.98 (t, J = 7.3 Hz, 1 H), 6.58 (brs, 2 H), 6.36 (s, 1 H), 4.82 (t, J = 4.9, 2 H), 4.64 (s, 2 H), 3.92 (t, J = 4.9, 2 H), 3.52 (s, 3 H)

C₂₂H₂₁N₅O MS (CI) m/z 372 (MH⁺), 229,144.

32

1-[2-(3- -2-)]-1H- [4,5-c] -4-



A

11.78 g (46.88 mmol), 14 mL (121.9 mmol), 2- (2- 5.7 mL (51.57 mmol))
 (II) 0.658 g (0.937 mol) 130 mL, 80 가 .5 , (가) 50
 0.5N (3); (98/2 9
 .5 g 1-{2-[(3- -2- -2-)] }-1H- [4,5-c]

C₁₉H₁₅N₃OS MS (CI) m/z 334 (MH⁺), 290,214.

B

3, B , 1-[2-(3- -2- -2-)]-1H- [4,5-c]
 -c] 9.5 g (28.49 mmol) 25 mL 10% 1 g 9.1 g 1-[2-(3-
 -2-)]-1H- [4,5-c]

C₁₉H₁₉N₃OS MS (CI) m/z 338 (MH⁺), 214.

C

1, B , 1-[2-(3- -2-)]-1H- [4,5-c]
 4.4 g 1-[2-(3- -2-)]-1H- [4,5-c] -5N-

C₁₉H₁₉N₃OS MS (CI) m/z 354 (MH⁺), 338,214.

D

1, C , 1-[2-(3- -2-)]-1H- [4,5-c] -
 5N- 4.4 g (12.45 mmol) 1.8 mL (14.9 mmol) 2,2,2-
 -N-{1-[2-(3- -2-)]-1H- [4,5-c] -4- }

E

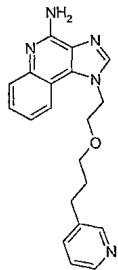
2,2,2-
 -N-{1-[2-(3-
]-1H- [4,5-c] -4- } 25% 11 mL (49.8 mmol)
 30
 A HPLC, (95/5 /)
 43 mg 1-[2-(3- -2-)]-1H- [4,5-c]
 -4- . (m. p. 130.1-131.6).
 : C₁₉ H₂₀ N₄ OS • (H₂O)_{0.30} : % C, 63.77; % H, 5.80; % N, 15.66. : % C, 63.84;
 % H, 5.79; % N, 15.57

¹H NMR (300 MHz, DMSO) 8.16 (s, 1 H), 8.12 (d, J = 8.3 Hz, 1 H), 7.62 (d, J = 8.3 Hz, 1 H), 7.43 (t, J = 7.3 Hz, 1 H), 7.20-7.26 (m, 2 H), 6.84 (dd, J = 4.9, 3.4 Hz, 1 H), 6.62 (d, J = 2.4 Hz, 1 H) 6.58 (s, 2 H), 4.79 (t, J = 5.4 Hz, 2 H), 3.83 (t, J = 5.4 Hz, 2 H), 3.35 (t, J = 6.4, 2 H), 2.64 (t, J = 7.8 Hz, 2 H), 1.69 (p, J = 6.8, 6.3 Hz, 2 H).

C₁₉ H₂₀ N₄ OS MS (CI) m/z 353 (MH⁺), 211,185.

33

1-[2-(3- -3-)]-1H- [4,5-c] -4-



A

400 ml (4.2 mol) 2- 100.0 g (0.73 mol) 2
 가 500 mL
 , NaN₃ 49.77 g (0.77 mol) 가 ,
 10% NaOH 500 mL , 3.5 가 ,
 2 L HC1 150 mL 가 ,
 130.5 g 2-(5- -1H- -1-)

¹H NMR (300 MHz, DMSO-d₆) 8.12 (d, J = 7.2 Hz, 1H), 7.91-7.78 (m, 2H), 7.72 (d, J = 7.4 Hz, 1H), 2.37 (s, 3H);

MS (CI) m/e 205 (MH⁺), 162 (M-N₃).

B

2-(5- -1H- -1-) 89.7 g (0.44 mol) 1 L ,
 214.7 g (0.66 mol) 가 70.3 ml (0.88 mol) 가 ,
 4 가 ,
 800 mL , 200 mL ,
 (Na₂SO₄), , 92.7 g 2-(5- -1H- -1-)

$^1\text{H NMR}$ (300 MHz, DMSO-d_6) 8.14 (d, $J = 7.8$ Hz, 1H), 7.89 (m, 2H), 7.79 (d, $J = 7.9$ Hz, 1H), 4.08 (q, $J = 7.4$ Hz, 2H), 2.40 (s, 3H), 1.04 (t, $J = 6.9$ Hz, 3H);

MS (CI) m/e 233 (MH^+), 159.

C

600mL 가 , 2-(5- -1H- -1-) 92.7 g (0.34 mol) N,N-
 3 67.2 g (0.80 mol)
 , 300-400 ml , 2 L 100 ml
 3 L 가 125 mL
 [1,5-a] -5- 63.25 g

$^1\text{H-NMR}$ (300 MHz, DMSO-d_6) 8.54 (d, $J = 8.4$ Hz, 1H). 8.27 (d, $J = 8.1$ Hz, 1H), 7.99 (t, $J = 7.4$ Hz, 1H), 7.80 (t, $J = 7.2$ Hz, 1H), 7.04 (s, 1H);

MS (CI) m/e 187 (MH^+), 159.

D

[1,5-a] -5- 63.25 g (0.34 mol) 630 mL 가
 23.6 ml (0.37 mol, 70%) 가 25
 80 15 가 80 5
 0 60.0 g 4- [1
 ,5-a] -5-

$^1\text{H-NMR}$ (300 MHz, DMSO-d_6) 8.35 (d, $J = 3.9$ Hz, 1H) ; 8.32 (d, $J = 3.2$ Hz, 1H), 7.90 (t, $J = 7.3$ Hz, 1H), 7.68 (t, $J = 8.2$ Hz, 1H) ;

MS (CI) m/e 232 (MH^+), 204.

E

가 , POC1 3 16.42 ml (0.17 mol) N,N- 100 mL 가 (0)
 가 , N,N- 300 mL
 4- - [1,5-a] -5- 가 100 30 가
 / 1 L , 750 mL
 -4- [1,5-a] (Na₂SO₄), 33.74 g 5-

$^1\text{H NMR}$ (300 MHz, DMSO-d_6) 8.78 (d, $J = 8.2$ Hz, 1H); 8.57 (d, $J = 8.3$ Hz, 1H), 8.29-8.22 (m, 1H), 8.09-8.03 (m, 1H);

MS (CI) m/e 250 (MH^+).

F

5- -4- [1,5-a] 28.86 g (0.11 mol), 600 mL, 21.14
 ml (0.11 mol) 0 가 . 2-(3- -3-)
 22.9 g (0.13 mol) 가 가 , 1 ,
 2 , 200 mL ,
 , 50mL (3). 100 mL ,
 (Na₂SO₄), 150 mL ,
 34.3 g 4- -N-[2-(3- -3-)] [1,5-a] -5-

$^1\text{H NMR}$ (300 MHz, DMSO-d_6) 10.27 (bs, 1H), 8.69 (d, $J = 8.3$ Hz, 1H), 8.54 (d, $J = 8.3$ Hz, 1H), 8.37 (bs, 2H), 8.08 (t, $J = 7.7$ Hz, 1H), 7.81 (t, $J = 7.2$ Hz, 1H), 7.57 (d, $J = 7.3$ Hz, 1H), 7.27 (dd, $J = 7.9, 5.0$ Hz, 1H)

, 3.92 (m, 2H), 3.71 (t, J = 5.4 Hz, 2H), 3.47 (t, J = 6.0 Hz, 2H), 2.62 (t, J = 7.4 Hz, 2H), 1.82 (m, 2H);

MS (CI) m/e 394 (MH⁺), 366.

G

4-
1.25 L
,
,
] -4,5- /

-N-[2-(3-
3 L
(Parr)
()

)]
[1,5-a]
가
. 45 psi (3.15 Kg/cm²)
,
] [1,5-a

-5- 34.3 g (87.2 mmol)
. 5% w/w 3.00 g 가
24
가
30.8 g N⁵-[2-(3-
-3-)]

MS (CI) m/e 364 (MH⁺), 336.

H

)] 가
가
2 SO₄)
-[2-(3-
-3-)]-6H-
[4,5-c] [1,5-a] /

21.1 ml (127 mmol) 1,2-
[1,5-a] -4,5- 30.8 g (84.7 mmol)
75 mL 3
[4,5-c] [1,5-a] /

750 mL N⁵-[2-(3-
-3-)]-6H-
200 mL
200 mL
,
(Na
28.7 g 6

¹H-NMR (300 MHz, CDCl₃) 8.71 (dd, J = 8.1, 1.3 Hz, 1H), 8.38 (dd, J = 4.8, 1.5 Hz, 1H), 8.30 (d, J = 2.1 Hz, 1H), 8.20 (d, J = 7.7 Hz, 1H), 8.07 (s, 1H), 7.73 (m, 2H), 7.32 (dt, J = 7.8, 1.9 Hz, 1H), 7.13 (dd, J = 7.7, 4.8 Hz, 1H), 4.81 (t, J = 5.1 Hz, 2H), 3.96 (t, J = 5.1 Hz, 2H), 3.42 (t, J = 6.2 Hz, 2H), 2.52 (t, J = 7.5 Hz, 2H), 1.82-1.74 (m, 2H);

MS (CI) m/e 374 (MH⁺).

I

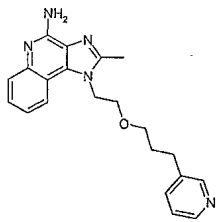
[4,5-c] 27.0g (115 mmol) 1,2-
[1,5-a] 28.7 g (76.9 mmol)
1 L 6-[2-(3-
-3-)]-6H-
가
1N HCl 225 mL
/ 500 ml
가
HCl (1:5, 1N HCl:)
/ 150 mL 3 , pH 12 10% NaOH
(
Darco-G60) 2
-3-)]-1H- [4,5-c] -4- 17 g 1-[2-(3-
(m. p. 125.0-128.0).

¹H NMR (300 MHz, DMSO-d₆) 8.33 (d, J = 4.8 Hz, 1H), 8.24 (s, 1H), 8.18 (s, 1H), 8.13 (d, J = 7.7 Hz, 1H), 7.63 (d, J = 8.4 Hz, 1H), 7.45 (t, J = 6.8 Hz, 1H), 7.33-7.21 (m, 2H), 7.16 (dd, J = 7.7, 4.8 Hz, 1H), 6.62 (s, 2H), 4.80 (t, J = 4.8 Hz, 2H), 3.82 (t, J = 4.9 Hz, 2H), 3.30 (t, J = 6.3 Hz, 2H), 2.39 (t, J = 7.3 Hz, 2H), 1.64 (m, 2H);

MS (CI) m/e 348 (MH⁺);

: C₂₀H₂₁N₅O • 0.08H₂O : C, 68.89; H, 6.11; N, 20.09. : C, 68.49; H, 5.95; N, 20.08.

2- -1-[2-(3- -3-)]-1H- [4,5-c] -4-



A

mmol) 1,2- , N⁵-[2-(3- -3-)] [1,5-a] -4,5- 0.70 g (1.92
 가 15 mL 가 0.53 ml (2.88 mmol)
 3 가 (95/5 /)
 15 mL 가
 10 mL 3 15 mL ,
 (Na₂SO₄), 0.73 g 5- -6-[2-(3- -3-)]-6
 H- [4,5-c] [1,5-a] 가

MS (CI) m/e 388 (M + H).

B

0.73 g (1.89 mmol) , 5- -6-[2-(3- -3-)]-6H- [4,5-c] [1,5-a]
 18 가 0.64 g (2.84 mmol) 1,2- 15 mL
 1N HCL/ 30 mL ,
 pH 11 , 10 % / 2
 5 mL 3 25 mL (Na₂SO₄),
 n- 0.16 g 2- -1-[2-(3- -3-)]-1H- [4,5-c] -4-
 (m. p. 145.0-146.0).

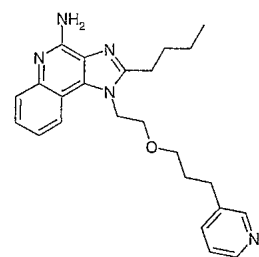
¹H NMR (300 MHz, CDCl₃) 8.40 (d, J = 4.9 Hz, 1H), 8.34 (d, J = 2.0 Hz, 1H), 7.93 (d, J = 7.4 Hz, 1H), 7.84 (d, J = 8.4 Hz, 1H), 7.52 (t, J = 7.2 Hz, 1H), 7.31 (t, J = 6.6 Hz, 1H), 7.22 (d, J = 7.8 Hz, 1H), 7.09 (dd, J = 4.8, 7.8 Hz, 1H), 5.46 (bs, 2H), 4.67 (t, J = 5.3 Hz, 2H), 3.90 (t, J = 5.3 Hz, 2H), 3.34 (t, J = 6.2 Hz, 2H), 2.70 (s, 3H), 2.52 (t, J = 8.0 Hz, 2H), 1.76 (m, 2H);

MS (CI) m/e 362 (M + H);

: C₂₁H₂₃N₅O : C, 69.78; H, 6.41; N, 19.38. : C, 69.40; H, 6.38; N, 19.00.

35

2- -1-[2-(3- -3-)]-1H- [4,5-c] -4-



A

mmol) , N⁵-[2-(3- -3-)] [1,5-a] -4,5- 2.48 g (6.82 mmol) 40 mL 1.29 ml (7.51 mmol) 가 (Dean-Stark trap) 30 mL 가 가 , .4 , 15 mL 3 가 25 mL , (Na₂SO₄), / 1.98 g 2- -6-[2-(3- -3-)]-6H- [4,5-c] [1,5-a]

¹H NMR (300 MHz, DMSO-d₆) 8.53 (d, J = 8.2 Hz, 1 H), 8.41 (d, J = 7.9 Hz, 1 H), 8.37 (d, J = 5.0 Hz, 1 H), 8.31 (d, J = 1.9 Hz, 1 H), 7.84 (d, J = 7.2 Hz, 1 H), 7.75 (t, J = 7.5 Hz, 1 H), 7.48 (d, J=7.9Hz, 1 H), 7.23 (d, J = 7.8, 4.9 Hz, 1 H), 3.63 (t, J = 5.0 Hz, 2 H), 3.56 (t, J = 4.9 Hz, 2 H), 3.36 (t, J = 6.3 Hz, 2 H), 2.51 (m, 2 H), 2.12 (t, J = 7.7 Hz, 2 H), 1.73 (, J = 7.4 Hz, 2 H), 1.45 (, J = 7.7 Hz, 2 H), 1.12 (m, 2 H), 0.68 (t, J = 7.4 Hz, 3 H);

MS (CI) m/e 430 (M + H).

B

2- -6-[2-(3- -3-)]-6H- [4,5-c] [1,5-a] 1.98 g (4.61 mmol) 35 1.09 g 2- -1-[2-(3- -3-)]-1H- [4,5-c] -4-

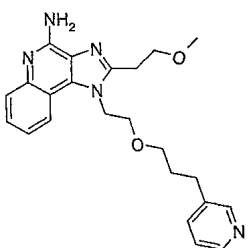
¹H NMR (300 MHz, DMSO-d₆) 8.33 (d, J = 4.3 Hz, 1 H), 8.24 (s, 1 H), 8.08 (d, J = 8.7 Hz, 1 H), 7.61 (d, J = 8.0 Hz, 1 H), 7.41 (t, J = 7.7 Hz, 1 H), 7.30-7.20 (m, 2 H), 7.15 (dd, J = 7.4, 4.8 Hz, 1 H), 6.44 (bs, 2 H), 4.74 (t, J = 5.4 Hz, 2 H), 3.82 (t, J = 5.3 Hz, 2 H), 3.27 (t, J = 5.9 Hz, 2 H), 2.97 (t, J = 7.4 Hz, 2 H), 2.41 (t, J = 7.5 Hz, 2 H), 1.84 (, J = 7.4 Hz, 2 H), 1.64 (, J = 7.2 Hz, 2 H), 1.46 (m, 2 H), 0.95 (t, J = 7.3 Hz, 3 H);

MS (CI) m/e 404 (M + H);

: C₂₄H₂₉N₅O: C, 71.44; H, 7.24; N, 17.36. : C, 71.23; H, 6.98; N, 17.05.

36

2-(2-)-1-[2-(3- -3-)]-1H- [4,5-c] -4-



A

mmol), 1,2- , N⁵-[2-(3- -3-)] [1,5-a] -4,5- 2.48 g (6.82 mmol) 30 mL, 1.14 ml (8.2 mmol) , 18 0.92 g (7.5 mmol) 가 15 mL 2 가 30 mL 가 20 mL (Na₂SO₄) 3.16 g LCMS 가

B

A 3.16 g, 40 mL, 50 mg (0.4 mmol)
 20 mL 30 mL 가
 20 mL 3 (foam) 20 mL (Na₂SO₄),
 eOH) , 2- (99:1 9:1 CHCl₃ : M
]-6H- [4,5-c] [1,5-a] 0.35 g 5-(2-)-6-[2-(3- -3-)

C

35 B , 5-(2-)-6-[2-(3- -3-)]
 -6H- [4,5-c] [1,5-a] 0.35 g (0.80 mmol) 0.28 g (1.20 mmol)
 -1H- [4,5-c] -4- 90 mg 2-(2-)-1-[2-(3- -3-)]

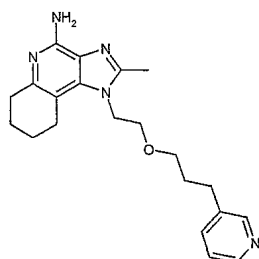
¹ NMR (300 MHz, CDCl₃) 8.39 (dd, J = 4.8, 1.5 Hz, 1 H), 8.33 (d, J = 1.8 Hz, 1 H), 7.94 (d, J = 7.4 Hz, 1 H), 7.83 (d, J = 8.3 Hz, 1 H), 7.52-7.47 (m, 1 H), 7.32-7.21 (m, 2 H), 7.09 (dd, J = 7.0, 4.8 Hz, 1 H), 5.59 (bs, 2 H), 4.74 (t, J = 5.4 Hz, 2 H), 3.90 (t, J = 6.6 Hz, 2 H), 3.87 (t, J = 5.4 Hz, 2 H), 3.38 (s, 3 H), 3.33 (t, J = 6.1 Hz, 2 H), 3.28 (t, J = 6.6 Hz, 2 H), 2.51 (t, J = 7.4 Hz, 2 H), 1.81-1.71 (m, 2 H);

¹³ C NMR(75 MHz, CDCl₃) 152.4, 151.6, 150.2, 147.8, 145.2, 137.1, 136.2, 133.7, 127.7, 127.4, 123.6, 122.5, 120.0, 115.9, 71.2, 70.6, 69.6, 59.4, 46.1, 31.1, 29.6, 28.7;

MS (CI) m/e 406. 2242 (406.2243 C₂₃H₂₈N₅O₂, M+H).

37

2- -1-[2-(3- -3-)]-6,7,8,9- -1H- [4,5-c] -4-



A

200 mL 3- -5,6,7,8- -2,4- 10 g (0.048 mol)
 100 mL (1.07 mol, 22) 80 가 6
 1500 mL 가 100 mL 4
 8- (10.6 g, 91 %) (m. p. 63-64). TLC (10% MeOH/CH₂CL₂, Rf= 0.84).

B

A 200 mL 2,4- -3- -5,6,7,8- 10 g (0.04 mol),
 6.1g (0.06 mol, 1.5) N,N- 100 mL 2-(3- -3-)
) 7.3 g (0.04 mol) 가 55 가 ,
 1000 mL / 200 mL 1:1
 4 300 mL 2- -3- -N-[2-(3- -3-)]-5,6,7,8- -4- (14.8 g, 94%) . TLC (10% MeOH/CH₂CL₂, Rf=0.84).

C

200 mL, 60%, 2.5 g (0.06 mol, 1.7), 50 mL
 25 mL, 5.7 g (0.06 mol, 1.6), 가
 1.5, 25 mL, 2-
 -3- -N-[2-(3- -3-)]-5,6,7,8- -4- 14.8 g (0.04 mol)
 가 60 가 , 1000 mL
 1:1 / 100 mL 4, 50 mL 2
 250 mL, 5
 00 mL, 10%, 250 mL
 3- -2- -N-[2-(3- -3-)]-5,6,7,8-
 4- (12.0 g, 71%) . TLC=(10% MeOH/CH₂CL₂, Rf=0.58).

D

500 mL, 150 mL, 3- -2- -N-[2-(3- -3-)]-5,6,7,8-
 -4- 5% Pt/C, 1.1 g, 54 psi (3.8 Kg/c
 m²) HPLC
 1.0 g 5% Pt/C 가 가 , 500 mL, 2-
 -N⁴ -[2-(3- -3-)]-5,6,7,8- -3,4- (8.2g, 74%)
 . TLC (10% MeOH/CH₂CL₂, Rf=0.48). MS: M+1=419. 2

E

, 200 ml, 2- -N⁴ -[2-(3- -3-)]-5,6,7,8-
 -3,4- 4.1 g (0.0098 mol) 40 mL, 0.8 g (0.011
 mol, 1.1) 가 . 2
 가 ,
 L 2, 300 mL, 100 m
 6,7,8,9- -1H- [4,5- c] (3.8g, 88%)
 2CL₂, Rf=0.34). MS: M+1=443.2 . TLC (10% MeOH/CH

F

200 ml, 2- -4- -1-[2-(3- -3-)]-6,7,8,9-
 1H- [4,5- c] 3.7 g (0.0084 mol) 37 g (0.48 mol, 57) 15
 0 가 . 20 150
 . 24
 , 1N HCl 250 mL, 200 mL
 , pH 11, 100 mL 3
 (10% MEOH/CH₂C12)
 N-
 . 1, 1N HCl, , pH 11, 2
 - -1-[2-(3- -3-)]-6,7,8,9- -1H- [4,5- c] -4- (0.07 g,
 2%) . TLC (10% MeOH/CH₂CL₂, Rf=0.05). (m. p. 140-141).

tokine Induction by the Immunomodulators Imiquimod 가 (Testerman) [Cy
 72 (1995, 9)] S-27609,' Journal of Leukocyte Biology, 58, 365-3
 () () (IFN TNF)

(全血) EDTA (Histopa

que,)-1077 , (PBMCS) .
 PBMCS (Hank) 2 , RPMI 3-4 x 10⁶ /ml .
 PBMC RPMI 48
 ((Costar),
 (Becton Dickinson Labware)) 가 .

(DMSO) . DMSO 가 가 1%

RPMI 60 μM 가 , 3
 PBMC 가 , (0.12 30 μM) .
 1.5-2 x 10⁶ /ml .
 , 5% 37 18 24 .

4 1000 rpm (~200 x g) 5-10 . -30 -70
 . ELISA () ()

ELISA () ()

(Human Multi-Species Kit)(
 (PBL Biomedical Laboratories)) ELISA () .

ELISA ((Genzyme),
 (Ramp;D Systems), (Pharmingen) 가)
 () . pg/ml

10 30 μM . 가

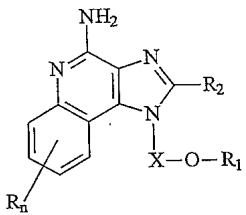
인간 세포에서의 시토킨 유도		
실시예 번호	최저 유효 농도 (μM)	
	인터페론	중양 피사 인자
1	0.12	3.33
2	0.37	10
3	0.04	*
4	3.33	*
5	0.04	0.37
6	0.12	1.11
7	0.37	*
8	0.04	0.12
9	0.12	3.33
10	1.11	1.11
11	1.11	0.04
12	1.11	*
13	0.37	1.11
14	0.12	0.37
15	1.11	3.33

인간 세포에서의 시토킨 유도		
실시예 번호	최저 유효 농도 (μM)	
	인터페론	중양 피사 인자
16	3.33	10
17	0.37	0.37
18	0.37	10
19	0.12	3.33
20	0.12	3.33
21	1.11	10
22	1.11	10
23	1.11	*
24	*	*
25	10	*
26	1.11	*
27	1.11	*
29	1.11	*
30	0.37	3.33
31	3.33	3.33
32	0.01	1.11
33	0.04	0.12
34	0.01	0.04
35	0.01	0.12

(57)

1.
(I)

< I >



(,

X -CHR₃-, -CHR₃- , -CHR₃- ;

R₁ , -R₄- , -R₄- ;

R₂ , -N(R₃)₂, -CO-N(R₃)₂, -CO-C₁₋₁₀, -CO-O-C₁₋₁₀, -Y-, -Y-, -Y-, -OH, -CO-, -CO-, -N₃, ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂- ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ ,)

2.

1 , R₁ -(CH₂)₀₋₃ - .

3.

2 , 2- , 2- , 3- , 3- , 2- , 2- , 4- , 4- , 4- , 4- .

4.

1 , X가 -CH() () - (,) .

5.

1 , X가 -CH₂ -CH₂ - .

6.

1 , X가 -CH(C₂H₅)(CH₂)- .

7.

1 , R₂ 가 H .

8.

1 , R₂ 가 .

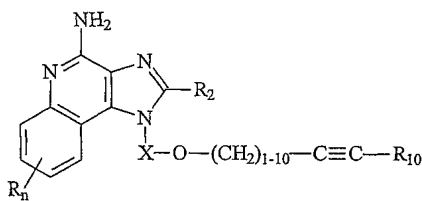
9.

1 , R₂ 가 - -O- .

10.

(II)

< II >



(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁₀ ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -N₃ , ;

n 0 4 ;

R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

R C₁₋₁₀ , C₁₋₁₀ , ,)

11.

10 , R₁₀ .

12.

11 , 2- , 3- , 4- , 2- , 4- , 3- , 2- , 2- .

13.

10 , X가 -CH() () - (,) .

14.

10 , X가 -CH₂ -CH₂ - .

15.

10 , X가 -CH(C₂H₅)(CH₂)- .

16.

10 , R₂ 가 H, -O- .

17.

1-(2-{{3-(-4-)-2- [] } })-1H- [4,5-c] -4- ;

1-(2-{{3-(1,3- -2-)-2- [] } })-1H- [4,5-c] -4- ;

1-{2-[3-(1H-4-) [] }-1H- [4,5-c] -4- ;

1-[2-(3- -2-) []]-1H- [4,5-c] -4- ;

1-[2-(3- -4-) []]-1H- [4,5-c] -4- ;

1-[2-(3- -2-) []]-1H- [4,5-c] -4- ;

1-{2-[3-(1,3- -2-) [] }-1H- [4,5-c] -4- ;

1-[2-(3- -3-) []]-1H- [4,5-c] -4- ;

1-[2-(3- -5-) []]-1H- [4,5-c] -4- ;

1-{2-[(1- -1H-1,2,3- -4-) [] }-1H- [4,5-c] -4- ;

1-{2-[(1- -1H-1,2,3- -5-) [] }-1H- [4,5-c] -4- ;

1-[2-((1-[() []]-1H-1,2,3- -4- }) []]-1H- [4,5-c] -4- ;

1-[2-((1-[() []]-1H-1,2,3- -5- }) []]-1H- [4,5-c] -4- ;

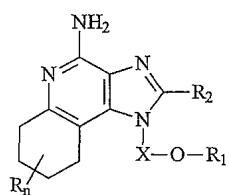
1-[2-([b] -2-) []]-1H- [4,5-c] -4- ; 1-[2-(-3-) []]-1H- [4,5-c] -4- ;

1-[2-(-2-) []]-1H- [4,5-c] -4- ; 1-[2-(-4-) []]-1H- [4,5-c] -4- ;

- 1-{2-[(3,5-
-4-)] }-1H- [4,5-c] -4- ;
- 1-(2-[[3-(
-2-)-2-]])-1H- [4,5-c] -4- ;
- 1-(2-[[3-(
-4-)-2-]])-1H- [4,5-c] -4- ;
- 1-(2-[[3-(
-3-)-2-]])-1H- [4,5-c] -4- ;
- 4-{3-[2-(4-
-1H- [4,5-c] -1-)]-
-1- } -2- ;
- 1-(2-[[3-(
-2-)-2-]])-1H- [4,5-c] -4- ;
- [1-{2-
-1-[(
-2-)] }-1H- [4,5-c] -4- ;
- 1-{1-[(
-2-)] }-1H- [4,5-c] -4- ;
- 1-[2-(9H-
-3-)]-1H- [4,5-c] -4- ;
- 1-{2-[(3-
-2- -2-)] }-1H- [4,5-c] -4- ;
- 1-{2-[(1-
-1H- -2-)] }-1H- [4,5-c] -4- ;
- 1-[2-(3-
-2-)]-1H- [4,5-c] -4- ;
- 2-
-1-[2-(3-
-3-)]-1H- [4,5-c] -4- ;
- 2-
-1-[2-(3-
-3-)]-1H- [4,5-c] -4- ;
- 1-[2-(
-2-)]-1H- [4,5-c] -4- ;
- 1-{2-[(5-
-1- -3-)] }-1H- [4,5-c] -4- ;
- 1-{2-[(3-
-2-)] }-1H- [4,5-c] -4- ;
- 1-(2-
-1-[[3-(
-2-)]])-1H- [4,5-c] -4- ;
- 1-(1-[[5-
-1- -3-)]]-2-)-1H- [4,5-c] -4- ;
- 2-(2-
)-1-[2-(3-
-3-)]-1H- [4,5-c] -4- ;
- 2-
-1-[2-(3-
-3-)]-6,7,8,9-
-IH- [4,5-c] -4-

18.
(III)

< III >



(,

X -CHR₃ -, -CHR₃ - -, -CHR₃ - - ;

R₁ , , -R₄ - , -R₄ - ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , , ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ , ,)

19.

18 , R₂ 가 H .

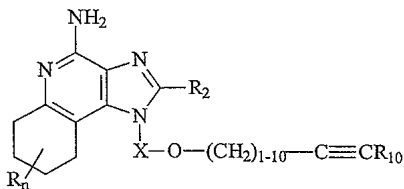
20.

18 , R₂ 가 -O- .

21.

(IV)

< IV >



(,

X -CHR₃ -, -CHR₃ - -, -CHR₃ - - ;

R₁₀ ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , , ;

R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ , ,)

22.

1

23.

10

24.

17

25.

1

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25

IFN-

27.

10

28.

27

IFN-

29.

1

30.

1

31.

10

32.

10

33.

17

34.

33

IFN-

35.

17

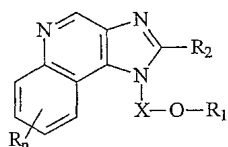
36.

17

37.

(V)

< V >



(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁ , , -R₄ - , -R₄ - (CH₂)₁₋₁₀ -C C-R₁₀ ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

R₁₀ ;

Y -O- -S(O)₀₋₂ - ;

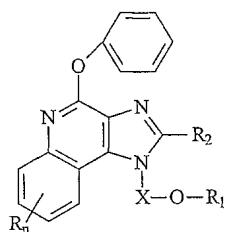
n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ , ,)

38.

(VI)

< VI >



(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁ , , -R₄ - , -R₄ - (CH₂)₁₋₁₀ -C C-R₁₀ ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

R₁₀ ;

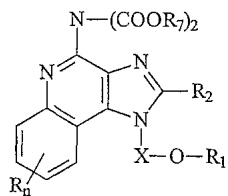
Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ , ,)

39.
(VIII)

< VIII >



(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁ , -R₄ - , -R₄ - ;

R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -CO-O-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, -CO- , -CO- ;

R₄ -O- ;

R₃ H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

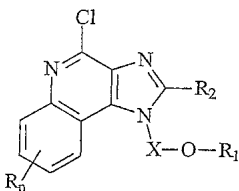
n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ , , ;

R₇ 3 -)

40.
()

< >



(,

X -CHR₃ -, -CHR₃ - , -CHR₃ - ;

R₁ , -R₄ - , -R₄ - ;

R_2 , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH,
 , -CO- , -CO- , -CO-O-C₁₋₁₀ , -N₃ , , ,

R_4 -O- ;

R_3 H C₁₋₁₀ ;

Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

) R C₁₋₁₀ , C₁₋₁₀ , ,

41.

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42.

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43.

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IFN-

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IFN-

49.

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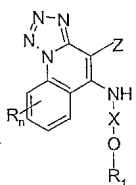
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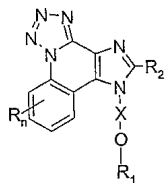
Z NH₂ NO₂ ;X -CHR₃ -, -CHR₃ - , -CHR₃ - ;R₁ , -R₄ - , -R₄ - ;R₄ -O- ;R₃ H C₁₋₁₀ ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ ,)**52.**

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X -CHR₃ -, -CHR₃ - , -CHR₃ - ;R₁ , R₄ - , R₄ - ;R₂ , -N(R₃)₂ , -CO-N(R₃)₂ , -CO-C₁₋₁₀ , -Y- , -Y- , -Y- , -OH, , -CO- , -CO- ;R₄ -O- ;R₃ H C₁₋₁₀ ;Y -O- -S(O)₀₋₂ - ;

n 0 4 ;

R C₁₋₁₀ , C₁₋₁₀ ,)