	(19) (12)	(KR) (A)	(11) (43)	10-2010-0039358 2010 04 15
(51)	Int. Cl.		(71)	
	<i>C07D 413/12</i> (2006.01) <i>C07D 409/14</i> (2006.01)			178 (:)
	<i>A61K 31/40</i> (2006.01) <i>A61P 9/06</i> (2006.01)			
(21)	10-2010-7001748		(72)	- 13353
(22)	() 2008 07 17			
(85)	2010 01 26			42115 12
(86)	PCT/EP2008/005833			,
(87)	W0 2009/015776			42113 22
	2009 02 05		(74)	()
(30)	10 2007 035 367.9 2007 07 27 (DE)			,
	: 13			

(54)

(57)

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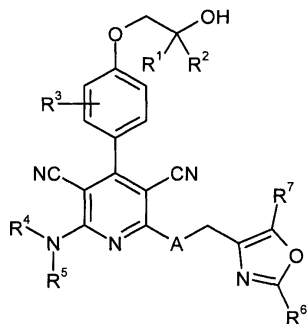
(72)

- ,				,	40470		8
42289		9		,			
,					42553		60
42113		49		,			
,					51373		80
40721	-	-	79				
,							
42277	-	-	20				

1

I

< I >



A O S

R¹ (C₁-C₄)-

R² (C₁-C₄)-

R¹ R²

R³ (C₁-C₄)-

R⁴ R⁵

(C₁-C₄)- (C₁-C₆)- (C₁-C₄)- (C₁-C₄)- (C₁-C₄)-

4 7 N O S (C₁-C₄)- (C₁-C₄)- 1 2

R⁴ R⁵

(C₁-C₄)- (C₁-C₄)- N O S

(i) R⁶ (C₆-C₁₀)- N O S 3 5

10 (C₁-C₄)- (C₁-C₄)- (C₁-C₄)- (C₁-C₄)-

R⁷

(C₁-C₄)- (C₁-C₄)- (C₁-C₄)- (C₁-C₄)-

C₄ -

(ii) R⁶ (C₁-C₄) -

R⁷ , N O S 2 5 6
 , , (C₁-C₄) -

2

1 ,
 A O S ,

R¹ ,

R² , , , ,

R³ , ,

R⁴ (C₁-C₄) - , (C₁-C₄) - , - (C₁-C₄) -
 , - (C₁-C₄) - , 4 6

1 2 - , N O

R⁵ ,

R⁴ R⁵ , N O

- , 4 6 / ,

(i) R⁶ , , (C₁-C₄) - , (C₁-C₄) - ,
 - (C₁-C₄) - , (C₁-C₄) -

R⁷ , (C₁-C₄) - , (C₁-C₄) - ,

(ii) R⁶ ,

R⁷ , , , I ,

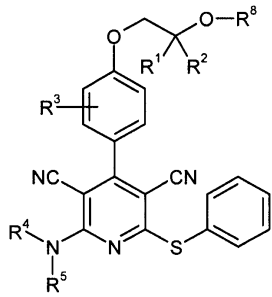
3

1 2 ,
 A O S ,

R¹ ,

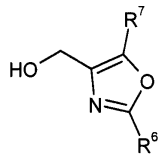
R² , , ,

< IV >



(, R¹, R², R³, R⁴, R⁵, R⁸)

< V >



(, R⁶, R⁷, 1, 3),

(i) /

(ii) /

1, 3, I

5

1, 3, /

6

, 1, 3, /

7

, / 1, 3

8

1, 3

9

1, 3

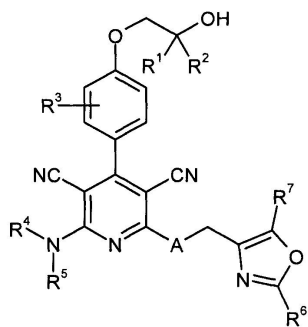
10

8, 9, /

11

8, 9, /

[0020] < I >



[0021]

[0022]

[0023] A O S ,

[0024] R¹ (C₁-C₄)- ,

[0025] R² (C₁-C₄)- , (C₁-C₄)- , 3

[0026] R¹ R²

[0027] R³ (C₁-C₄)- ,

[0028] R⁴ R⁵ (C₁-C₆)- , (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)- , 4 7

N O S (C₁-C₄)- 1 2 (C₁-C₄)-

[0029] R⁴ R⁵ (C₁-C₄)- , (C₁-C₄)- , N O S (C₁-C₄)- , 4 7

[0030] (i) R⁶ (C₆-C₁₀)- , N O S 3 5 10 (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)-

[0031] R⁷ (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)- , (C₁-C₄)-

[0032] (ii) R⁶ (C₁-C₄)- ,

[0033] R⁷ , N O S 2 5 6

, $-(C_1-C_4)-$, 4 6
 1 2 N O

[0061] R^5

[0062] $R^4 R^5$, N O

- , /
 , 4 6

[0063] (i) R^6 , $(C_1-C_4)-$, $(C_1-C_4)-$,
 $-(C_1-C_4)-$, $(C_1-C_4)-$

[0064] R^7 , $(C_1-C_4)-$, $(C_1-C_4)-$

[0065] (ii) R^6

[0066] R^7 , I

[0067]

[0068] A O S

[0069] R^1

[0070] R^2

[0071] R^3

[0072] R^4 $(C_1-C_4)-$

[0073] R^5

[0074] $R^4 R^5$ (

[0075] R^6

[0076] R^7 , I

[0077]

[0078] 2

[0079]

[0080] 2- -6-({[2-(3- -4)-1,3- -4] })-4[4(2-)]

-3,5 ;

[0081] 2-6({[2(3,4)-1,3-4]})-4[4(2)]-3,5 ;

[0082] 2-6({[2(4-3)-1,3-4]})-4[4(2)]-3,5 ;

[0083] 2-6({[2(4)-1,3-4]})-4(4{[(2S)-2,3]})-3,5 ;

[0084] 2-6({[2(4)-1,3-4]})-4(4{[(2R)-2,3]})-3,5 ;

[0085] 2-4(4{[(2S)-2,3]})-6({[2(4)-5-1,3-4]})-3,5 ;

[0086] 2-6({[2(4)-5-1,3-4]})-4(4{[(2S)-2,3]})-3,5 ;

[0087] 2-4(4{[(2S)-2,3]})-6({[2(2)-5-1,3-4]})-3,5 ;

[0088] 2-4(4{[(2R)-2,3]})-6({[2(4)-5-1,3-4]})-3,5 ;

[0089] 2-6({[2(4-3)-1,3-4]})-4(4{[(2R)-2,3]})-3,5 ;

[0090] 4{4{[(6-3,5-4[4(2-2)]-2)]-5-1,3-2}} ;

[0091] 2-4(4{[(2R)-2,3]})-6({[2(4)-1,3-4]})-3,5 ;

[0092] 2-6{[2(4)-1,3-4]-4[4(2)]-3,5 ;

[0093] 2-6{[2(3,4)-1,3-4]-4[4(2)]-3,5 ;

[0094] 2({[2(4)-1,3-4]})-4[4(2)]-6(-1)-3,5 ;

[0095] 2({[2(4)-1,3-4]})-4[4(2)]-6[(2)]-3,5 ;

[0096] 2({[2(4)-1,3-4]})-6{[(2R)-2,3]}-4[4(2)]-3,5 ;

[0097] 2({[2(4)-1,3-4]})-4[4(2)]-6[(3R)-3-1]-3,5 ;

[0098] 2{[2(4)-1,3-4]-4[4(2)]-6[(2)]-3,5 ;

[0099] 2{[2(4)-1,3-4]-6(3-1)-4[4(2)]-3,5 ;

[0100] , .

[0101] , .

[0102] 2-6({[2(3,4)-1,3-4]})-4[4(2)]-3,5 ;

3,5- ;

[0103] 2- -6-({[2-(4-)-1,3- -4] })-4(4{[(2S)-2,3-] })
) -3,5- ;

[0104] 2- -6-({[2-(4-)-1,3- -4] })-4(4{[(2R)-2,3-] })
) -3,5- ;

[0105] 2- -6-({[2-(4-)-5- -1,3- -4] })-4(4{[(2S)-2,3-] })
) -3,5- ;

[0106] 2- -6-{{[2-(4-)-1,3- -4] }-4[4(2-)] } -3,5-
 ;

[0107] 2-({[2-(4-)-1,3- -4] })-4[4(2-)]-6-[(2-)]
] -3,5- ;

[0108] 2-({[2-(4-)-1,3- -4] })-4[4(2-)]-6-[(3R)-3-
 -1-] -3,5- ;

[0109] 2-{{[2-(4-)-1,3- -4] }-6(3- -1-)-4[4(2-)] }
 -3,5-

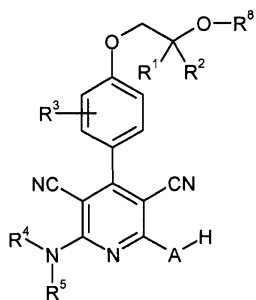
[0110]

[0111]

II

III

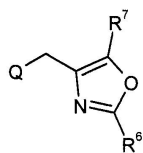
[0112] < II >



[0113]

[0114] (, A R¹, R², R³, R⁴ R⁵ , R⁸)

[0115] < III >



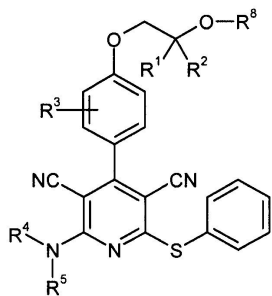
[0116]

[0117] (, R⁶ R⁷ , Q , , ,)

[0118]

, A O , IV
 V

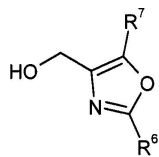
[0119] < IV>



[0120]

[0121] (, R¹, R², R³, R⁴, R⁵ R⁸)

[0122] < V>



[0123]

[0124] (, R⁶ R⁷),

[0125] , I (i) /
(ii) , /

[0126] , II IV R², R⁴ / R⁵
(, ,)

(
[T.W Greene and P.G.M Wits, Protective Groups in Organic Synthesis, Wiley, New York, 1999]; [M Bodanszky and A Bodanszky, The Practice of Peptide Synthesis, Springer-Verlag, Berlin, 1984]). 1-

[0127] tert- (Boc) (Z) .
tert-
, tert-
1,2- 1,3-
(1,3- 1,3-)

[0128] , 1 2 :

[0134]

tert-
 () ()
 ()
 7- (DBU) 1,5- [4 3 0] -5- (DBN) 1,8 [5 4 0]

[0135]

II 1 1 10 1 5 1 3

[0136]

(II) + (III) -78 +140 -20 +100 0 +60
 (A = S) +20 +100 (A = O)
 (, 0.5 5 bar)

[0137]

(IV) + (V) tert-
 , 1,2- (DMF), (DMSO), N
 (NMP) 1,2-

[0138]

tert- tert-
 () () ()
 tert-

[0139]

V 1 1 1.25

[0140]

(IV) + (V) -20 +120 +20 +100
 (, 0.5 5 bar)

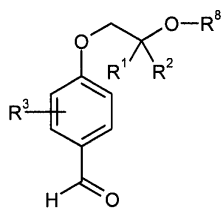
[0141]

, A S , R⁴ R⁵ II
 M 2

(3 ; [Dyachenko et al., Russ. J. Chem 33 (7), 1014 1017 (1997), 34 (4), 557 563 (1998)]; [Dyachenko et al., Chemistry of Heterocyclic Compounds 34 (2), 188-194 (1998)]; [Qntela et al., Eur. J. Med. Chem 33, 887-897 (1998)]; [Kandael et al., Z. Naturforsch. 42b, 107-111 (1987)]; [Reddy et al., J. Med. Chem 49, 607-615 (2006)]; [Evdokinov et al., Org. Lett. 8, 899-902 (2006)]).

[0142]

< M >

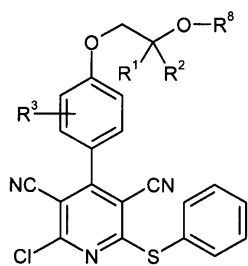


[0143]

[0144]

R¹, R², R³ R⁸

[0157] < MI >



[0158] (, R^1 , R^2 , R^3 , R^8),

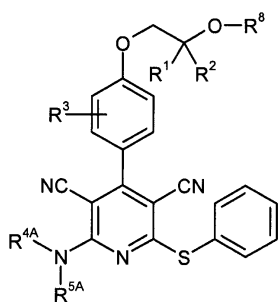
[0160] MII , IVb

[0161] < MII >



[0162] (, R^{4A} , R^4 , R^{5A} , R^5 ,)

[0164] < IVb >



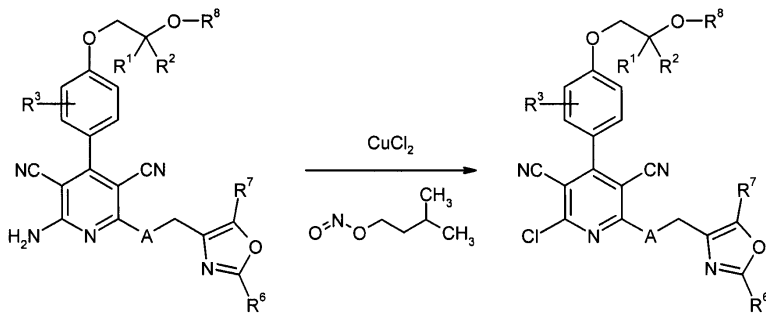
[0165] (, R^1 , R^2 , R^3 , R^{4A} , R^{5A} , R^8),

[0167] , , A S , 2
 R^4 R^5 II

2 R⁴ R⁵

[0179]

< 6 >



[0180]

[0181]

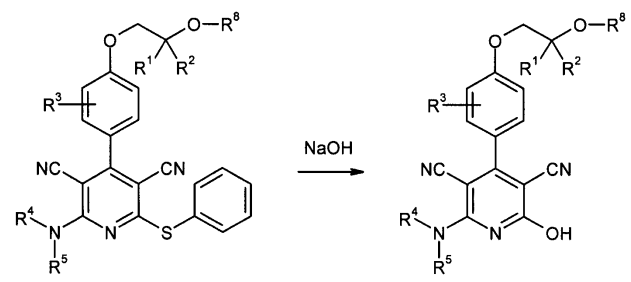
(IVa) -> (VI) -> (IVb)

[0182]

A O II IV

[0183]

< 7 >



[0184]

[0185]

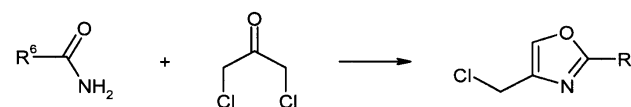
[0186]

III

1, 3- , 2- (8):

[0187]

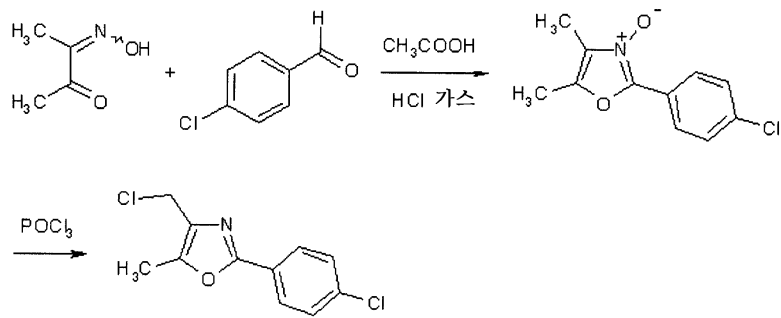
< 8 >



[0188]

[0189] III 2-5
9

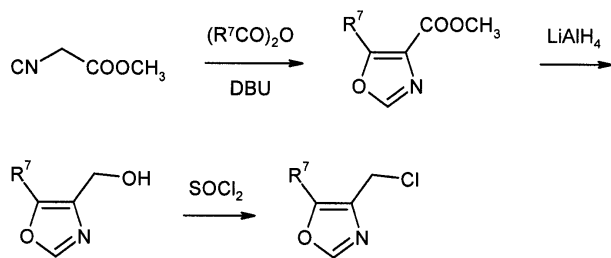
[0190] < 9 >



[0191] , [Y. Goto et al., Chem Pharm Bull. 1971, 19, 2050-2057]

[0193] III 5- -4
(10):

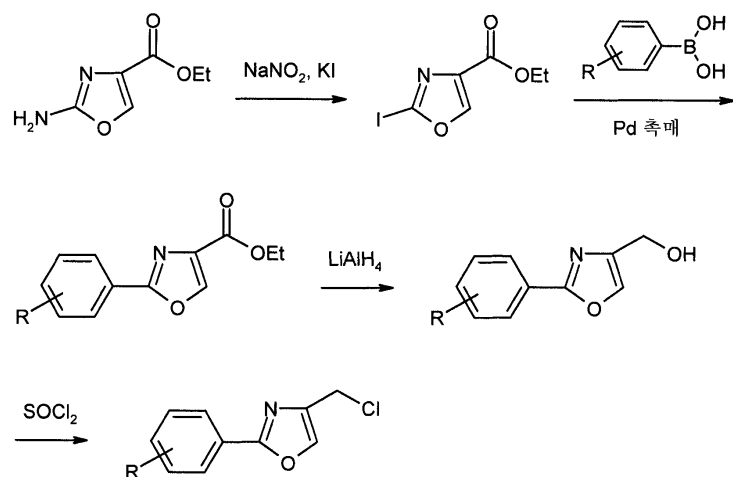
[0194] < 10 >



[0195] , [M Suzuki et al., J. Org. Chem 1973, 38, 3571-3575]

[0197] III 2- 11 2-4

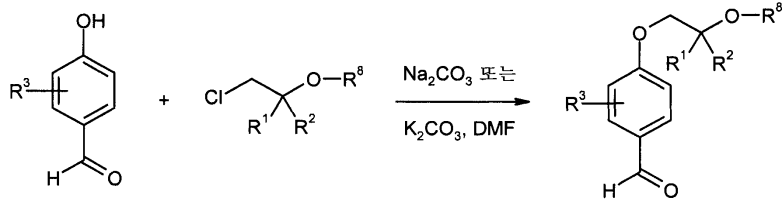
[0198] < 11 >



[0199] , [E A Krasnokutskaya et al., Synthesis 2007, 1, 81-84]; [J. Hassan et al., Chem Rev. 2002, 102, 1359-1469]

[0201] , VI 4
(12):

[0202] < 12 >



[0203]

[0204]

[0205]

[0206]

A1 / A2b
A1

A1/A2b

[0207]

" A1 / A2b " A1 / A2b
(10) A2a A3 /
B-1

[0208]

(,)

[0209]

I

() /

[0210]

: , , ST , ST
(" "), (" ")
(Prinznet al)

LDL (Wolff-Parkinson-White) 1 (PAI-1)

[0211]

storage) (cardiac

[0212]

[0213]

(CABG), (PTCA), PTCA -

[0249]

[0250]

, JTT- 705, BAY 60- 5521, BAY 78- 7499 CEIP ((Avant))

[0251]

PPAR-

[0252]

, GW501516 BAY 68- 5042 PPAR-

[0253]

[0254]

, ASBT (= I BAI), AZD- 7806, S- 8921, AK- 105, BARI- 1741, SC- 435 SC- 635

[0255]

, AGI- 1067, BO- 653 AECL- 10150 /

[0256]

SR- 147778 1

[0257]

, DPP-IV PPAR-

[0258]

[0259]

[0260]

[0261]

[0262]

[0263]

DPP-IV

[0264]

PPAR-

[0265]

, AII, ACE

[0266]

[0267]

AII

[0268]

ACE

[0269]

, SPP- 600 SPP- 800

[0270]

[0271]

[0272]

[0273]

[0274]

SR- 121463

[0275]

NO

SIN- 1

NO

[0276]

(),

[0277]

(ant i sympat hot oni c),

[0278]

[0279]

[0280]

[0281]

GPIIb/IIIa

[0282]

Xa

(BAY 59- 7939), DU- 176b,

, PMD- 3112, YM150, KFA- 1982, EMD- 503982, MCM17, MLN 1021, DX 9065a, DPC 906, JTV 803,

SSR- 126512 SSR- 128428

[0283]

(LMW

[0284]

K

[0285] HMG-CoA (), NO, ACE, AII, /

[0286]

[0287] /

[0288]

[0289] / (/ (/

[0290] (/ (/

[0291] (nebulizers), (milk),

[0292]

[0293] (/ (/ (/ (/

[0294] 1 kg 0.001 1 ng 0.01 0.5 ng 1 kg 0.01 100 ng 0.01 20 ng 0.1 10 ng

[0295]

[0296]

[0297] /

[0298] A _____

[0299] _____:

[0300] Ex. :

[0301] DBU 1, 8 [5.4.0] - 7-

[0302] TLC

[0303] DCI: (M $\text{\$}$)

[0304] DME 1, 2-

[0305] DME: N N

[0306] DMSO

[0307] EA

[0308] EI: (M $\text{\$}$)

[0309] ESI: (M $\text{\$}$)

[0310] Et:

[0311] EtCH

[0312] mp. :

[0313] sat. :

[0314] h:

[0315] HOAc:

[0316] HPLC

[0317] conc. :

[0318] KOtBu tert-

[0319] LC-M $\text{\$}$: -

[0320] LDA

[0321] lit.: ()

[0322] sol. :

[0323] nmr

[0324] M $\text{\$}$:

[0325] NMM N

[0326] NMR

[0327] PBS: -

[0328] PEG

[0329] Ph:

[0330] RP-HPLC: HPLC

[0331] RT:

[0332] R $_f$: (HPLC)

[0333] THF:

[0334] dil. :

[0335] aq :

[0336] **HPLC LC-MS** :

[0337] 1 (HPLC) :

[0338] : (Hewlett Packard) 1050 ; (Symmetry) TMC18 3.9 x 150 mm ; 1.5
 ml/ ; A , B ; : 0.6 10 B 3.8 100 B 5.0 100 B
 5.5 10 B : 6.0 ; : 10 ; : 214 254 nm

[0339] 2 (LC-MS) :

[0340] MS : (Micronass) ZQ HPLC : (Waters Alliance) 2795;
 : (Merck Chromolith SpeedROD) RP-18e 100 mm x 4.6 mm A + 500
 50 / , B + 500 50 / ; : 0.0 10 B
 7.0 95 B 9.0 95 B : 35 ; : 0.0 1.0 ml/ 7.0 2.0 ml/ 9.0 2.0
 ml/ ; UV : 210 nm

[0341] 3 (LC-MS) :

[0342] MS : ZQ HPLC : HP 1100 ; UV DAD :
 (Phenomenex Gemini) 3µ 30 mm x 3.00 mm A 1 + 0.5 ml 50 , B 1
 + 0.5 ml 50 ; : 0.0 90 A 2.5 30 A 3.0 5 A
 4.5 5 A : 0.0 1 ml/ 2.5 /3.0 /4.5 2 ml/ ; : 50 ; UV : 210 nm

[0343] 4 (LC-MS) :

[0344] : HPLC (Agilent) 1100 (Micronass Quattro) LCZ :
 (Phenomenex Quyx nonolithi c) C18 100 mm x 3 mm A 1 + 0.5 ml
 50 , B 1 + 0.5 ml 50 ; : 0.0 90 A
 2 65 A 4.5 5 A 6 5 A : 2 ml/ ; : 40 ; UV : 208-400 nm

[0345] 5 (LC-MS) :

[0346] MS : (Waters) ZQ HPLC : 2795 ; RP-18e,
 100 mm x 3 mm A 1 + 0.5 ml 50 , B 1 + 0.5
 ml 50 ; : 0.0 90 A 2 65 A 4.5 5 A 6 5 A : 2 ml/
 ; : 40 ; UV : 210 nm

[0347] 6 (LC-MS) :

[0348] : HPLC 1100 LCZ : (Phenomenex
 Synergi) 2.5µ MAX RP 100A 20 mm x 4 mm A 1 + 0.5 ml 50 ,
 B 1 + 0.5 ml 50 ; : 0.0 90 A 0.1 90 A 3.0 5
 A 4.0 5 A 4.1 90 A : 2 ml/ ; : 50 ; UV : 208-400 nm

[0349] 7 (LC-MS) :

[0350] MS : ZQ HPLC : 2795 ; 2.5µ
 MAX RP 100A 20 mm x 4 mm A 1 + 0.5 ml 50 , B 1
 + 0.5 ml 50 ; : 0.0 90 A 0.1 90 A 3.0 5 A 4.0
 5 A 4.01 90 A : 2 ml/ ; : 50 ; UV : 210 nm

[0351] 8 (LC-MS) :

[0352] : HPLC 1100 (Micronass Platform) LCZ :
 (Thermo Hypersil GOLD) 3µ 20 mm x 4 mm A 1 + 0.5 ml 50 ,
 B 1 + 0.5 ml 50 ; : 0.0 100 A 0.2 100 A 2.9
 30 A 3.1 10 A 5.5 10 A : 0.8 ml/ ; : 50 ; UV : 210 nm

[0353] 9 (LC-MS) :

[0354] : HPLC 1100 LCZ : 2 μ -RP
 20 mm x 4 mm A 1 + 0.5 mL 50 B 1 +
 0.5 mL 50 ; : 0.0 90 A 2.5 30 A 3.0 5 A 4.5 5 A
 : 0.0 1 mL/ 2.5 /3.0 /4.5 2 mL/ ; : 50 ; UV : 208-400 nm

[0355] 10 (LC-MS):

[0356] MS : ZQ HPLC : HP 1100 ; UV DAD : 2 μ
 -RP 20 mm x 4 mm A 1 + 0.5 mL 50 B 1
 + 0.5 mL 50 ; : 0.0 90 A 2.5 30 A 3.0 5 A 4.5 5 A
 : 0.0 1 mL/ 2.5 /3.0 /4.5 2 mL/ ; : 50 ; UV : 210 nm

[0357] 11 (LC-MS):

[0358] MS : ZQ HPLC : HP 1100 ; UV DAD : 2.5 μ
 MAX RP 100A 20 mm x 4 mm A 1 + 0.5 mL 50 B 1
 + 0.5 mL 50 ; : 0.0 90 A 0.1 90 A 3.0 5 A 4.0
 5 A 4.1 90 A : 2 mL/ ; : 50 ; UV : 210 nm

[0359] 12 (LC-MS):

[0360] MS : ZQ HPLC : 2795 ; :
 RP-18e 100 mm x 4.6 mm A + 500 50 / ; B + 500 50
 / ; : 0.0 10 B 7.0 95 B 9.0 95 B : 0.0 1.0 mL/
 7.0 2.0 mL/ 9.0 2.0 mL/ ; : 35 ; UV : 210 nm

[0361] 13 (LC-MS):

[0362] MS : M40 DCI (NH₃); HPLC : DAD HP 1100 ; (Kronasil) 100 RP-18,
 60 mm x 2.1 mm 3.5 ; A 5 mL HCl O₄ (70)/ , B ; : 0 2
 B 0.5 2 B 4.5 90 B 6.5 90 B 6.7 2 B 7.5 2 B : 0.75 mL/ ;
 : 30 ; UV : 210 nm

[0363] 14 (LC-MS):

[0364] : UPLC (Acquity) (Micronass QuattroPremier);
 : 1.9 μ 50 mm x 1 mm A 1 + 0.5 mL 50 B
 1 + 0.5 mL 50 ; : 0.0 90 A 0.1 90 A 1.5 10
 A 2.2 10 A : 0.33 mL/ ; : 50 ; UV : 210 nm

[0365] 15 (LC-MS):

[0366] : HPLC 1100 (Micronass Quattro Micro) MS;
 : 3 μ 20 mm x 4 mm A 1 + 0.5 mL 50 B 1
 + 0.5 mL 50 ; : 0.0 100 A 3.0 10 A 4.0 10 A
 4.01 100 A (2.5 mL/) 5.00 100 A : 50 ; : 2 mL/ ; UV : 210 nm

[0367] 16 (HPLC):

[0368] HPLC : / (Abimed/Gilson) 305/306 (Monometric Module) 806; UV
 (Knauer) ; : (Gronsil) C18 10 mm 250 mm x 30 mm A 1
 + 0.5 mL 99 , B 1 ; : 0.0 2 B 10
 2 B 50 90 B : 20 mL/ ; : 628 mL A 372 mL B

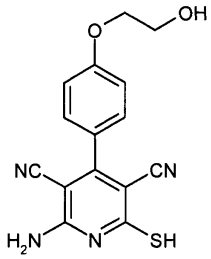
[0369] 17 (HPLC):

[0370] HPLC : DAD 1100 ; RP-18e, 50 mm x 4.6 mm
 A 0.05 H₃PO₄ B ; : 0 5 B 2.5 95 B 3.0 95 B
 : 5 mL/ ; : 40 ; UV : 210 nm

[0371] _____:

[0372] 1A

[0373] 2- 4 [4 (2)] - 6 - 3, 5-



[0374]

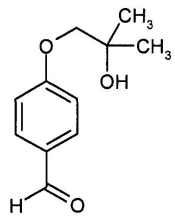
[0375] 4 (2) 14.90 g (89.66 mmol) 17.96 g (179.33 mmol)
 280 mL , 4 18.14 g (179.33 mmol)
 4 20
 , 20 mL

수율: 9.90 g (이론치의 35%)

[0376] LC-MS (방법 10): R_t = 1.63 분; MS (ESIpos): m/z = 313 [M+H]⁺.

[0377] 2A

[0378] 4 (2 - 2)



[0379]

[0380] 4 5.00 g (40.94 mmol), 1- 2- 2- 4.44 g (40.94 mmol)
 6.08 g (57.32 mmol) 50 mL DMF , 24
 , 20 mL 20 mL

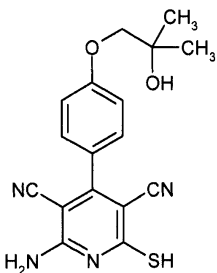
(: / 5.1 1:1) 60

수율: 4.40 g (이론치의 50%, 90% 순도)

[0381] LC-MS (방법 2): R_t = 1.37 분; MS (ESIpos): m/z = 195 [M+H]⁺.

[0382] 3A

[0383] 2- 4 [4 (2 - 2)] - 6 - 3, 5-



[0384]

[0385] 2A 3.38 g (15.49 mmol) 3.26 g (32.52 mmol) 50 mL
 6 3.13 g (30.98 mmol) 20
 50 mL 4
 60
 (: / 2:1 1:4)

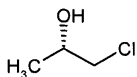
수율: 0.92 g (이론치의 16%, 90% 순도)

¹H-NMR (400 MHz, DMSO-d₆): δ = 13.00-12.91 (br. s, 1H), 8.09-7.78 (br. s, 2H), 7.46 (d, 2H), 7.09 (d, 2H), 4.68 (s, 1H), 3.79 (s, 2H), 1.22 (s, 6H).

[0386] LC-MS (방법 2): R_t = 1.46 분; MS (ESIpos): m/z = 341 [M+H]⁺.

[0387] 4A

[0388] (2S)-1- -2-



[0389]

[0390] 32.50 g (766.66 mmol) 100 mL THF 4.96 M 18.75 mL (92.97 mmol) -30 10 mL THF S(-)- 5.40 g (92.97 mmol) 20
 (61 mbar, 30-40)

수율: 4.50 g (이론치의 16%, 32% 순도)

[0391] ¹H-NMR (400 MHz, DMSO-d₆): δ = 5.01 (d, 1H), 3.85-3.74 (m, 1H), 3.49 (d, 2H), 1.12 (d, 3H).

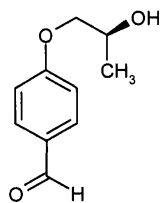
[0392] 10 (2S)-2- -1- :

[0393] ¹H-NMR (400 MHz, DMSO-d₆): δ = 5.18-5.12 (m, 1H), 4.11-4.03 (m, 1H), 3.49 (d, 2H), 1.41 (d, 3H).

[0394]

[0395] 5A

[0396] 4- { [(2S)-2-] }



[0397]

[0397] 4- 6.30 g (51.62 mmol) 4A 4.88 g (51.62 mmol) 100 mL
 DMF 16.41 g (154.85 mmol) 130 20
 100 mL 50 mL
 50 mL 3
 60 (: / 5:1 2:1)

수율: 2.40 g (이론치의 26%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.86 (d, 2H), 7.13 (d, 2H), 4.95 (d, 1H), 4.02-3.92 (m, 1H), 3.91 (d, 2H), 1.16 (d, 3H).

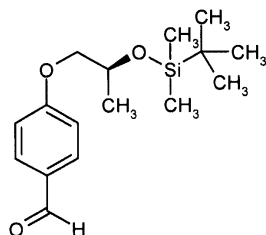
LC-MS (방법 2): R_t = 1.19 분; MS (ESIpos): m/z = 181 [M+H]⁺.

[0398]

[0399] 10 4 [(1S)-2-tert-butyl-1-phenylethanol]

[0400] 6A

[0401] 4-[(2S)-2-[(tert-butyl)oxy]ethyl]benzaldehyde



[0402]

[0403] 5A 2.40 g (13.32 mmol) 60 mL DMF, tert-butyl alcohol, 2.81 g (18.65 mmol) 1.72 g (25.30 mmol) 20 mL, 30 mL, 30 mL, 2, 60 mL, (100:100) / 50:1 (10:1)

수율: 1.95 g (이론치의 50%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.82 (d, 2H), 7.07 (d, 2H), 4.18-4.11 (m, 1H), 3.98 (dd, 1H), 3.87 (dd, 1H), 1.13 (d, 3H), 0.81 (s, 9H), 0.3 (s, 3H), 0.1 (s, 3H).

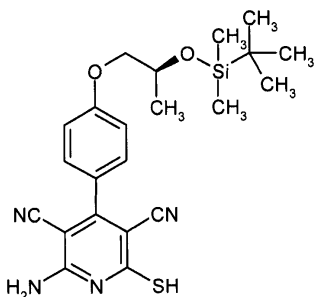
LC-MS (방법 9): R_t = 3.30 분; MS (ESIpos): m/z = 295 [M+H]⁺.

[0404]

[0405] 10 4 [(1S)-2-[(tert-butyl)oxy]ethyl-1-phenylethanol]

[0406] 7A

[0407] 2-[(4-[(2S)-2-[(tert-butyl)oxy]ethyl]phenyl)amino]-6-mercapto-1,3,5-triazine



[0408]

[0409] 6A 1.95 g (6.62 mmol) 1.39 g (13.91 mmol) 27 mL, 4, 1.34 g (13.24 mmol) 6, (100:100), 20, 60, (100:100) / 50:1 (5:1)

수율: 1.30 g (이론치의 29%, 65% 순도)

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.68-7.48 (br. s, 2H), 7.42 (d, 2H), 7.06 (d, 2H), 4.23-4.15 (m, 1H), 3.96 (dd, 1H), 3.88 (dd, 1H), 1.20 (d, 3H), 0.89 (s, 9H), 0.09 (s, 3H), 0.07 (s, 3H).

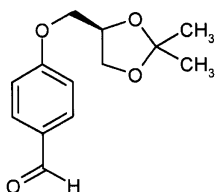
LC-MS (방법 2): R_t = 2.79 분; MS (ESIpos): m/z = 441 [M+H]⁺.

[0410]

[0411] 10 2- -4 { 4 [(1S)-2-{[tert- ()] }-1-] }-6 -3 5-

[0412] 8A

[0413] 4 { [(4R)-2,2- -1,3- -4] }



[0414]

[0415] 4 31.2 g (255.4 mmol) 400 mL DMF , 105.7 g (766.1 mmol) (S)-(-)-3- -1,2- 50.0 g (332.0 mmol) 160 16 , 4000 mL , 500 mL 3 500 mL 500 mL 1 60 (: / 1:9 2:8)

수율: 40.4 g (이론치의 63%)

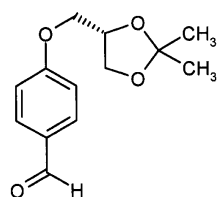
¹H-NMR (400 MHz, DMSO-d₆): δ = 9.90 (s, 1H), 7.85 (d, 2H), 7.03 (d, 2H), 4.50 (q, 1H), 4.22-4.09 (m, 2H), 4.04 (dd, 1H), 3.92 (dd, 1H), 1.48 (s, 3H), 1.41 (s, 3H).

LC-MS (방법 13): R_t = 3.97 분; MS (ESIpos): m/z = 254 [M+NH₄]⁺.

[0416]

[0417] 9A

[0418] 4 { [(4S)-2,2- -1,3- -4] }



[0419]

[0420] 8A

수율: 이론치의 79%

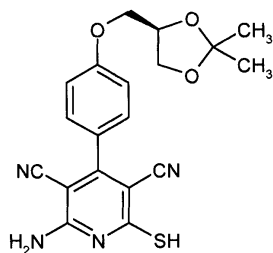
¹H-NMR (400 MHz, DMSO-d₆): δ = 9.89 (s, 1H), 7.85 (d, 2H), 7.03 (d, 2H), 4.50 (q, 1H), 4.22-4.09 (m, 2H), 4.04 (dd, 1H), 3.92 (dd, 1H), 1.48 (s, 3H), 1.41 (s, 3H).

LC-MS (방법 13): R_t = 4.02 분; MS (ESIpos): m/z = 254 [M+NH₄]⁺.

[0421]

[0422] 10A

[0423] 2- 4 (4 { [(4R)-2,2- 1,3- 4] })-6 -3,5-



[0424] 8A
[0425] 40.4 g (171.0 mmol) 34.2 g (342.0 mmol) 700
nL 4 34.5 g (342.0 mmol) , 3
100 mL , 16

수율: 19.5 g (이론치의 29%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.63-7.31 (br. s, 2H), 7.41 (d, 2H), 7.09 (d, 2H), 4.49-4.38 (m, 1H), 4.15-3.99 (m, 2H), 3.78 (dd, 1H), 3.66 (dd, 1H), 2.77-2.68 (br. s, 1H), 1.37 (s, 3H), 1.32 (s, 3H).

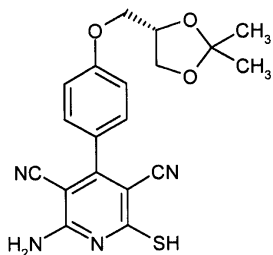
LC-MS (방법 9): R_t = 1.95 분; MS (ESIpos): m/z = 424 [M+H+CH₃CN]⁺.

[0426]

11A

[0427]

[0428] 2- 4 (4 { [(4S)-2,2- 1,3- 4] })-6 -3,5-



[0429]

[0430] 9A 10A

수율: 이론치의 32%

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.69-7.37 (br. s, 2H), 7.42 (d, 2H), 7.10 (d, 2H), 4.48-4.39 (m, 1H), 4.15-4.02 (m, 2H), 3.78 (dd, 1H), 3.66 (dd, 1H), 2.77-2.68 (br. s, 1H), 1.37 (s, 3H), 1.31 (s, 3H).

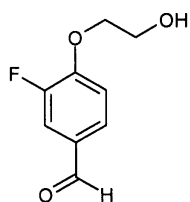
LC-MS (방법 2): R_t = 1.75 분; MS (ESIpos): m/z = 383 [M+H]⁺.

[0431]

12A

[0432]

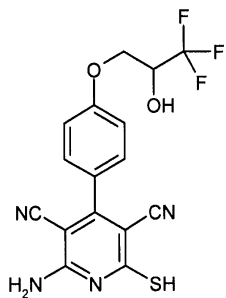
[0433] 3- 4 (2)



[0434]

[0435] 3- 4 5.00 g (35.69 mmol) 50 mL DMF 2-

[0448] 2- -6- -4 [4 (3,3,3- -2-)] -3,5-



[0449]

[0450]

14A

10A

수율: 이론치의 26% (56% 순도)

[0451]

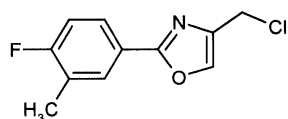
LC-MS (방법 3): $R_t = 1.98$ 분; MS (ESIpos): $m/z = 381$ $[M+H]^+$.

[0452]

16A

[0453]

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



[0454]

[0455]

4 -3 2.00 g (12.80 mmol) 1,3- 1.79 g (14.08 mmol) 130 2
 , 3.0 mL
 , 15 20 mL ,
 , 40 .

수율: 2.05 g (이론치의 64%, 90% 순도)

[0456]

LC-MS (방법 7): $R_t = 2.05$ 분; MS (ESIpos): $m/z = 226$ $[M+H]^+$.

[0457]

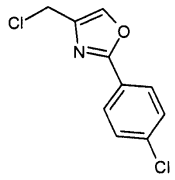
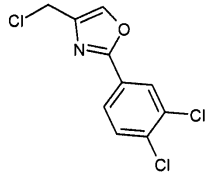
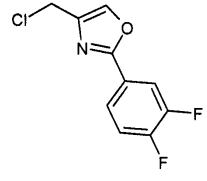
1

16A

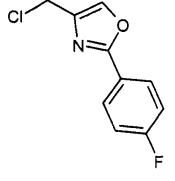
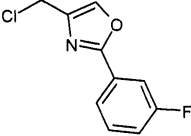
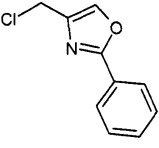
:

[0458]

< 1 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
17A	 <p>(이른치의 49%)</p>	3.78 분 (8); m/z = 228 [M] ⁺	
18A	 <p>(이른치의 58%)</p>	2.29 분 (7); m/z = 262	
19A	 <p>(이른치의 47%)</p>	2.40 분 (9); m/z = 230	8.31 (s, 1H), 7.98 (dt, 1H), 7.89-7.82 (m, 1H), 7.64 (q, 1H), 4.76 (s, 2H).

[0459]

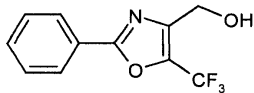
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
20A	 (이론치의 61%)	2.11 분 (12); m/z = 212	8.29 (s, 1H), 8.03 (d, 1H), 8.02 (d, 1H), 7.41 (d, 1H), 7.39 (d, 1H), 4.75 (s, 2H).
21A	 (이론치의 38%)	2.41 분 (9); m/z = 212	8.32 (s, 1H), 7.83 (d, 1H), 7.73 (d, 1H), 7.61 (q, 1H), 7.41 (dt, 1H), 4.76 (s, 2H).
22A	 (이론치의 84%)	1.13 분 (14); m/z = 194	

[0460]

[0461]

[0462]

23A
[2- 5- () - 1, 3- 4]



[0463]

[0464]

2- 5- () - 1, 3- 4 500 ng (1.94 nmol) 40 nL THF ,
- 10 . 4 197 ng (1.94 nmol) 211 ng (1.94 nmol)
. - 10 1 , THF 1 M
3.9 nL (3.89 nmol)
, 0 , 0.6 nL 1.2 nL 1 N

수율: 359 mg (이론치의 58%, 76% 순도)

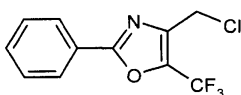
LC-MS (방법 8): R_t = 3.34 분; MS (ESIpos): m/z = 244 [M+H]⁺.

[0465]

[0466]

[0467]

24A
4 () - 2- 5- () - 1, 3-



[0468]

[0469] 23A 359 ng (1.137 nmol, 76) 0.63 ml (8.64 nmol)
 48
 10 mL , 5 mL 1
 60
 (: / 400:1 -> 60:1)

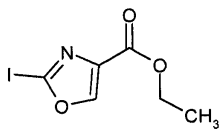
수율: 148 mg (이론치의 50%)

LC-MS (방법 7): $R_t = 2.34$ 분; MS (ESIpos): $m/z = 262$ [M+H]⁺.

[0470]

[0471] 25A

[0472] 2- -1, 3- -4



[0473]

[0474] 2- -1, 3- -4

2.00 g (12.81 mmol) 48 mL p-
 8.00 g (38.43 mmol) 0 , 7.2 mL
 1.77 g (25.62 mmol) 5.32 g (32.02 mmol) 0 10
 200 mL
 1 M , pH 9 , 24 mL 2 M
 30 mL 3
 60
 (: / 300:1 2:1)

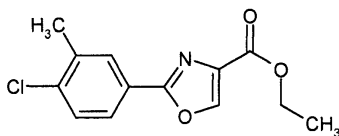
수율: 0.97 g (이론치의 28%)

LC-MS (방법 6): $R_t = 1.41$ 분; MS (ESIpos): $m/z = 268$ [M+H]⁺.

[0475]

[0476] 26A

[0477] 2-(4- -3-) -1, 3- -4



[0478]

[0479] 25A

385 ng (1.44 nmol) 4- -3- 319 ng (1.87 nmol)
 12.7 mL N -2- () (II)
 105 ng (0.14 nmol), 0.33 ml 940 ng (2.88 nmol) 50 4
 , 20 mL 10 mL
 20 mL 2 10 mL
 1 ,
 HPLC (: YMC GEL CDS-AQ S-5 / 15 ; :
 / 10:90 -> 95:5).

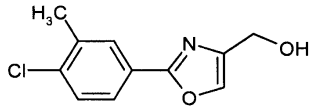
수율: 162 mg (이론치의 42%)

LC-MS (방법 7): $R_t = 2.19$ 분; MS (ESIpos): $m/z = 266$ [M+H]⁺.

[0480]

[0481] 27A

[0482] [2-(4-chlorophenyl)-3-methyl-5-(hydroxymethyl)-1,3-oxazole]



[0483]

[0484] 46 ng (1.22 nmol) 8.0 mL THF, 0.2 mL, 2.5 mL THF, 26A 161 ng (0.61 nmol) 0.2 mL, 0.4 mL 1 N NaOH, 2 mL

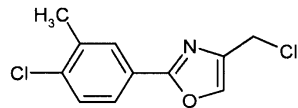
수율: 137 mg (이론치의 70%, 70% 순도)

LC-MS (방법 3): $R_t = 2.14$ 분; MS (ESIpos): $m/z = 224$ [M+H]⁺.

[0485]

[0486] 28A

[0487] 4-(2-(4-chlorophenyl)-3-methyl-1,3-oxazol-5-yl)benzoic acid



[0488]

[0489] 27A 136 ng (0.61 nmol) 2 mL, 49 ng (0.67 nmol) 0.2 mL

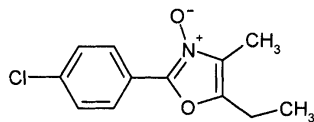
수율: 178 mg (이론치의 42%, 35% 순도)

LC-MS (방법 7): $R_t = 2.56$ 분; MS (ESIpos): $m/z = 242$ [M+H]⁺.

[0490]

[0491] 29A

[0492] 2-(4-chlorophenyl)-5-(4-methylphenyl)-3-methyl-1,3-oxazole



[0493]

[0494] 2,3-dichloro-5-(4-methylphenyl)-3-methyl-1,3-oxazole 1.00 g (8.69 nmol) 4 mL, 1.34 g (9.55 nmol) 2 mL, 30 mL, 10 mL, 2 mL, 2, 5 mL, 10 mL, 4

수율: 1.6 g (이론치의 76%)

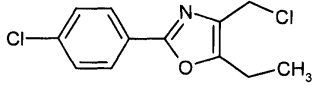
¹H-NMR (400 MHz, DMSO-d₆): $\delta = 8.42$ (d, 2H), 7.63 (d, 2H), 2.76 (q, 2H), 2.10 (s, 3H), 1.24 (t, 3H).

LC-MS (방법 6): $R_t = 1.67$ 분; MS (ESIpos): $m/z = 238$ [M+H]⁺.

[0495]

[0496] 30A

[0497] 4-(4-chlorophenyl)-2-(4-chlorophenyl)-5-methyl-1,3-



[0498]

[0499] 29A 1.00 g (4.21 mmol) 15 mL, 1.4
 ml (15.15 mmol) 30
 20 mL 3

수율: 1.2 g (이론치의 84%, 74% 순도)

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.96 (d, 2H), 7.60 (d, 2H), 4.77 (s, 2H), 2.85 (q, 2H), 1.23 (t, 3H).

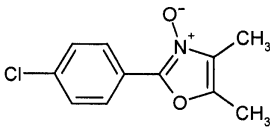
LC-MS (방법 6): R_t = 2.56 분; MS (ESIpos): m/z = 256 [M+H]⁺.

[0500]

31A

[0501]

[0502] 2-(4-chlorophenyl)-4,5-dimethyl-1,3-oxazole



[0503]

[0504] 1.00 g (9.89 mmol) 4 1.53 g (10.88 mmol) 2 ml
 (34.94 mmol) 30
 10 mL
 2 mL 2 5 mL
 10 mL 4

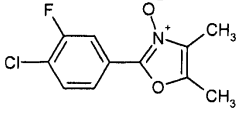
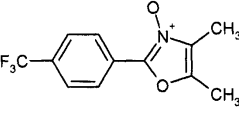
수율: 1.85 g (이론치의 84%)

LC-MS (방법 5): R_t = 2.29 분; MS (ESIpos): m/z = 224 [M+H]⁺.

[0505]

[0506] 2 31A :

[0507] < 2 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺
32A	 <p>(이론치의 82%)</p>	1.99 분 (3); m/z = 242
33A	 <p>(이론치의 75%)</p>	1.82 분 (15); m/z = 258

[0508]

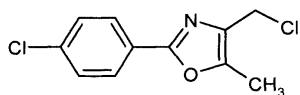
[0509]

34A

[0510]

4 () - 2 (4) - 5 - 1, 3

[0511]



[0512]

31A 1.00 g (4.47 mmol) 15 mL
 1.5 mL (16.10 mmol) 30
 0
 3 5 mL 2 20 mL

수율: 1.33 g (이론치의 96%, 78% 순도)

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.95 (d, 2H), 7.60 (d, 2H), 4.77 (s, 2H), 2.44 (s, 3H).

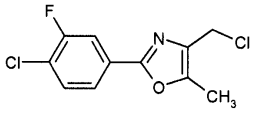
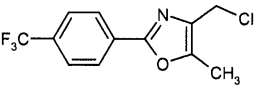
[0513]

LC-MS (방법 3): R_t = 2.80 분; MS (ESIpos): m/z = 242 [M+H]⁺.

[0514]

3 34A :

[0515] < 3 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺
35A	 (이른치의 83%)	2.27 분 (7); m/z = 260
36A	 (이른치의 84%)	1.37 분 (14); m/z = 276

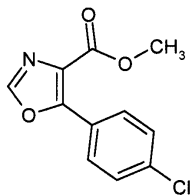
[0516]

[0517]

[0518]

37A

5-(4-)-1,3- -4



[0519]

[0520]

4- 1.40 g (8.00 mmol), 1.00 g (10.09 mmol)
 5.9 mL (42.39 mmol) 15 mL THF, 48
 20 mL, 5 mL 1
 mL, 10 mL 10
 50

수율: 0.85 g (이른치의 45%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.61 (s, 1H), 8.02 (d, 2H), 7.62 (d, 2H), 3.82 (s, 3H).

LC-MS (방법 14): R_t = 1.09 분; MS (ESIpos): m/z = 238 [M+H]⁺.

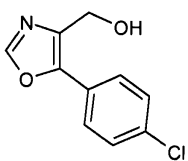
[0521]

[0522]

[0523]

38A

[5-(4-)-1,3- -4]



[0524]

[0525]

THF 166 ng (4.38 mmol) 10 mL THF, 0 10 mL
 37A 260 ng (1.09 mmol)
 1
 0, 0.4 mL 0.8 mL 1 N

수율: 219 mg (이론치의 82%, 86% 순도)

LC-MS (방법 15): R_t = 1.74 분; MS (ESIpos): m/z = 210 [M+H]⁺.

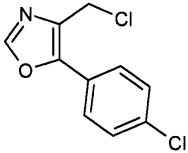
[0526]

[0527]

39A

[0528]

4 () - 5 (4) - 1, 3



[0529]

[0530]

38A

269 ng (0.77 nmol)

0.43 nL (5.85 nmol)

12

5 nL

, 2 nL

1

수율: 145 mg (이론치의 62%, 76% 순도)

LC-MS (방법 14): R_t = 1.21 분; MS (ESIpos): m/z = 228 [M+H]⁺.

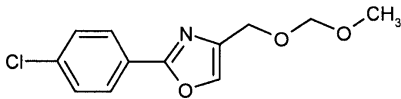
[0531]

[0532]

40A

[0533]

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



[0534]

[0535]

[2- (4) - 1, 3 - 4] (100A) 1.32 g (7.54 nmol) 18.5 nL THF
 , 0 (60) 0.33 g (8.29 nmol)
 0 10 , 1 0
 , 0.69 nL (9.04 nmol) , 0 10
 , 2 , 5 nL , 25 nL
 3

수율: 1.70 g (이론치의 87%, 85% 순도)

LC-MS (방법 7): R_t = 1.58 분; MS (ESIpos): m/z = 220 [M+H]⁺.

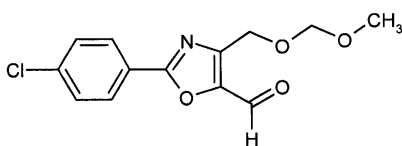
[0536]

[0537]

41A

[0538]

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



[0539]

[0540]

40A

200 ng (0.91 nmol)

3.5 nL

, - 78

nL

1.6 M

0.63 nL (1.00 nmol)

- 78

1, NN 0.21 ml (2.74 nmol)
 1 3 mL
 10 mL 3
 60 (

수율: 161 mg (이론치의 56%, 79% 순도)

LC-MS (방법 6): $R_t = 1.74$ 분; MS (ESIpos): $m/z = 248$ [M+H]⁺.

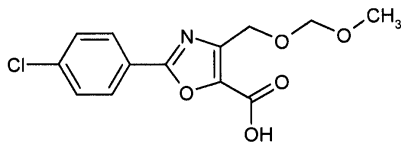
[0541]

42A

[0542]

[0543]

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



[0544]

[0545]

41A 556 ng (2.25 nmol) 14.7 mL 2 mL (I) 802 ng (4.72 nmol)
 nmol) , 7.8 mL 193 ng (4.84 nmol)
 3
 1 N 20 mL 3

HPLC (: YMC GEL CDS AQ S-5 / 15 ; : / 10.90 -> 95:5).

수율: 138 mg (이론치의 21%, 92% 순도)

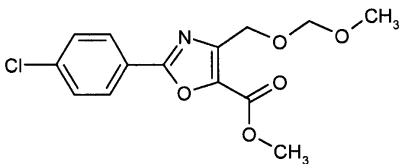
LC-MS (방법 3): $R_t = 1.93$ 분; MS (ESIpos): $m/z = 286$ [M+Na]⁺.

[0546]

[0547]

[0548]

43A
 2-(4-)-4-[()]-1,3- -5-



[0549]

[0550]

42A 138 ng (0.52 nmol) 3 mL 2.5 mL
 2 M 0.4 mL (0.79 nmol) 10

수율: 144 mg (이론치의 90%, 91% 순도)

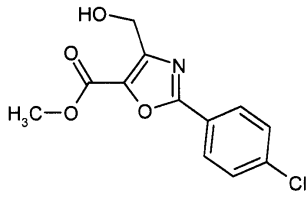
LC-MS (방법 15): $R_t = 1.98$ 분; MS (ESIpos): $m/z = 300$ [M+Na]⁺.

[0551]

[0552]

44A

[0553] 2-(4-)-4()-1,3- -5-



[0554]

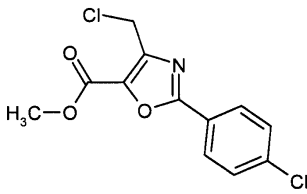
[0555] 43A 144 ng (0.52 nmol) 0.5 mL, 0.13 mL 4 N
 , 3 8
 , 5 mL, 10 mL 3

수율: 100 mg (이론치의 81%, 84% 순도)

[0556] LC-MS (방법 7): $R_t = 1.29$ 분; MS (ESIpos): $m/z = 234 [M+H]^+$.

[0557] 45A

[0558] 4()-2-(4-)-1,3- -5-



[0559]

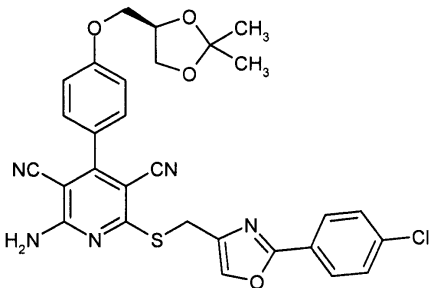
[0560] 44A 100 ng (0.43 nmol) 0.24 mL (3.24 nmol) 8
 , 5 mL
 , 2 mL 1

수율: 99 mg (이론치의 92%, 98% 순도)

[0561] LC-MS (방법 7): $R_t = 1.96$ 분; MS (ESIpos): $m/z = 252 [M+H]^+$.

[0562] 46A

[0563] 2- -6(([2-(4-)-1,3- -4])) -4(4{[(4R)-2,2- -1,3- -4-] }) -3,5-



[0564]

[0565] 10A 70 ng (0.18 nmol) 17A 46 ng (0.20 nmol)
 46 ng (0.55 nmol) 1.9 mL DMF 20
 HPLC
 (: YMC GEL CDS-AQ S-5 / 15 ; : / 10.90 95.5).

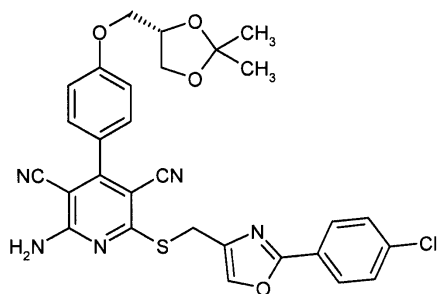
수율: 79 mg (이론치의 75%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.37 (s, 1H), 8.30-8.01 (br. s, 2H), 7.97 (d, 2H), 7.60 (d, 2H), 7.48 (d, 2H), 7.12 (d, 2H), 4.48-4.40 (m, 1H), 4.42 (s, 2H), 4.16-4.03 (m, 3H), 3.78 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).

LC-MS (방법 3): R_t = 2.99 분; MS (ESIpos): m/z = 574 [M+H]⁺.

47A

2- (4- (2- (4- (1, 3- (4-))))) - 4- (4- (4S) - 2, 2- (1, 3- (4-)))) - 3, 5-



11A

150 ng (0.39 nmol)

17A

98 ng (0.43 nmol)

99 ng (1.18 nmol)

2 mL DMF

20

/ 10.90 95:5).

수율: 147 mg (이론치의 65%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.37 (s, 1H), 8.29-7.91 (br. s, 2H), 7.97 (d, 2H), 7.61 (d, 2H), 7.47 (d, 2H), 7.12 (d, 2H), 4.48-4.39 (m, 1H), 4.42 (s, 2H), 4.16-4.03 (m, 3H), 3.77 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).

LC-MS (방법 4): R_t = 4.23 분; MS (ESIpos): m/z = 574 [M+H]⁺.

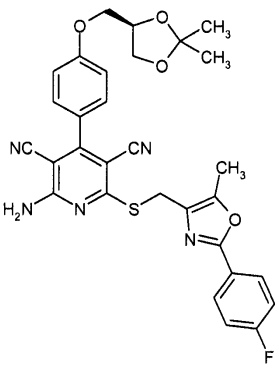
4

46A 47A

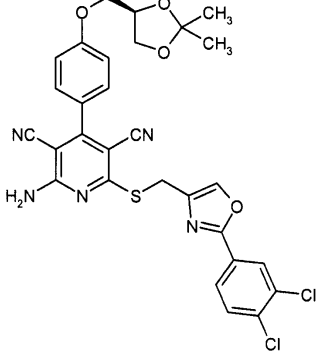
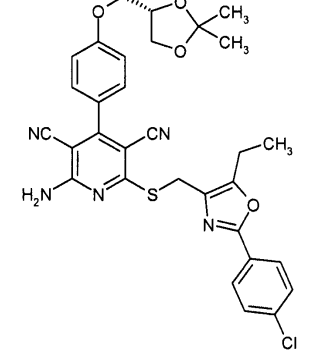
:

[0573]

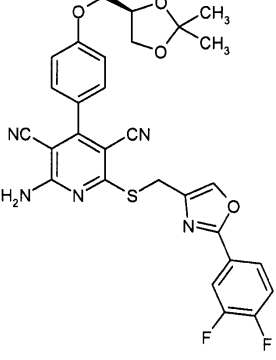
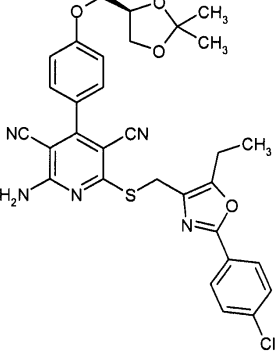
< 4 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
48A	 <p>(이론 치의 67%)</p>	2.98 분 (3); m/z = 572	

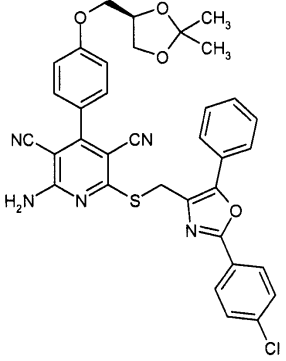
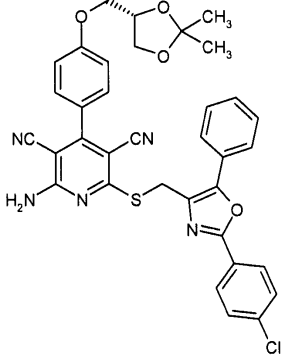
[0574]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
49A	 <p>(이론치의 77%)</p>	2.80 분 (6); m/z = 608	8.41 (s, 1H), 8.36-7.98 (br. s, 2H), 8.12 (d, 1H), 7.92 (dd, 1H), 7.71 (d, 1H), 7.48 (d, 2H), 7.11 (d, 2H), 4.48-4.39 (m, 1H), 4.42 (s, 2H), 4.15-4.03 (m, 3H), 3.79 (dd, 1H), 1.38 (s, 3H), 1.31 (s, 3H).
50A	 <p>(이론치의 36%)</p>	2.63 분 (7); m/z = 602	8.21-7.89 (br. s, 2H), 7.93 (d, 2H), 7.59 (d, 2H), 7.50 (d, 2H), 7.12 (d, 2H), 4.52 (s, 2H), 4.47-4.40 (m, 1H), 4.15-4.03 (m, 3H), 3.78 (dd, 1H), 2.89 (q, 2H), 1.37 (s, 3H), 1.32 (s, 3H), 1.20 (t, 3H).

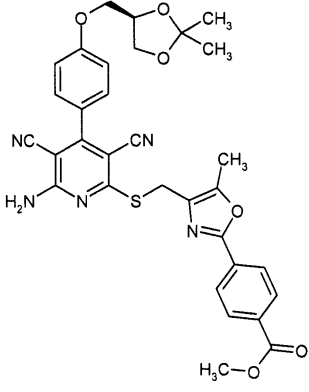
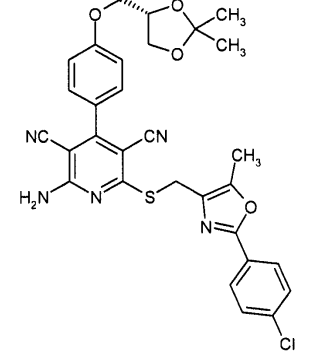
[0575]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
51A	 <p>(이론치의 92%)</p>	2.38 분 (7); m/z = 576	
52A	 <p>(이론치의 54%)</p>	3.22 분 (3); m/z = 602	8.21-7.88 (br. s, 2H), 7.94 (d, 2H), 7.59 (d, 2H), 7.49 (d, 2H), 7.22 (d, 2H), 4.51 (s, 2H), 4.48-4.39 (m, 1H), 4.18- 4.04 (m, 3H), 3.79 (t, 1H), 2.88 (q, 2H), 1.38 (s, 3H), 1.32 (s, 3H), 1.21 (t, 3H).

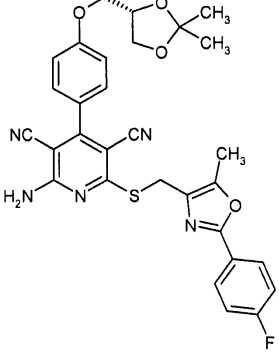
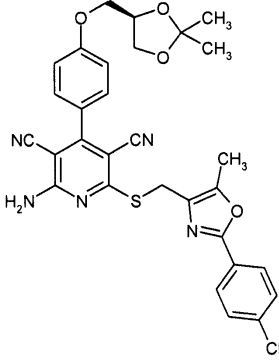
[0576]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
53A	 <p>(이론치의 80%)</p>	3.40 분 (3); m/z = 650	8.15-8.07 (m, 2H), 7.96-7.88 (m, 2H), 7.84 (d, 2H), 7.68-7.61 (m, 2H), 7.61-7.53 (m, 2H), 7.52-7.44 (m, 3H), 7.12 (d, 2H), 4.81 (s, 2H), 4.48-4.41 (m, 1H), 4.16-4.03 (m, 3H), 3.79 (dd, 1H), 1.38 (s, 3H), 1.32 (s, 3H).
54A	 <p>(이론치의 76%)</p>	3.40 분 (3); m/z = 650	

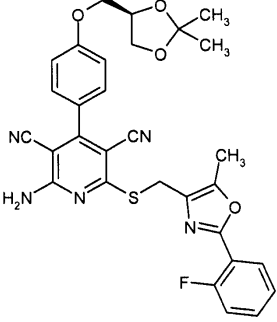
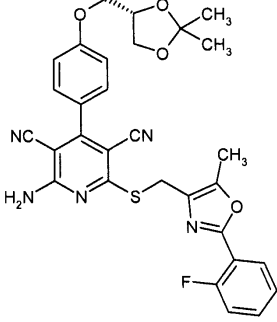
[0577]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
55A	 <p>(이론치의 59%)</p>	3.96 분 (5); m/z = 612	8.33-8.18 (m, 6H), 7.66 (d, 2H), 7.30 (d, 2H), 4.71 (s, 2H), 4.67-4.58 (m, 1H), 4.36-4.22 (m, 3H), 4.08 (s, 3H), 4.00-3.92 (m, 1H), 2.68 (s, 3H), 1.57 (s, 3H), 1.50 (s, 3H).
56A	 <p>(이론치의 42%)</p>	2.54 분 (7); m/z = 588	

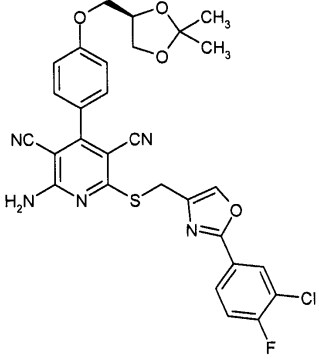
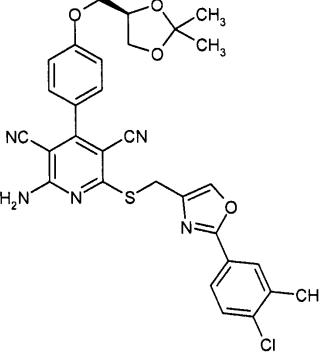
[0578]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
57A	 <p>(이론치의 77%)</p>	2.58 분 (6); m/z = 572	8.14-7.92 (br. s, 2H), 7.96 (d, 1H), 7.95 (d, 1H), 7.49 (d, 2H), 7.36 (t, 2H), 7.12 (d, 2H), 4.51 (s, 2H), 4.47-4.41 (m, 1H), 4.14-4.03 (m, 1H), 3.79 (dd, 1H), 2.47 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).
58A	 <p>(이론치의 60%)</p>	2.54 분 (7); m/z = 588	8.19-7.97 (br. s, 2H), 7.94 (d, 2H), 7.58 (d, 2H), 7.49 (d, 2H), 7.12 (d, 2H), 4.51 (s, 2H), 4.48-4.41 (m, 1H), 4.16- 4.03 (m, 3H), 3.79 (dd, 2H), 2.46 (s, 3H), 1.37 (s, 3H), 1.32 (s, 3H).

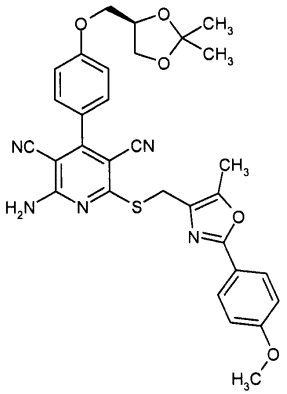
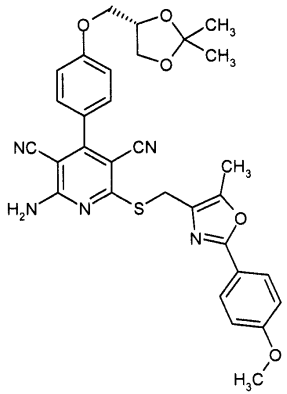
[0579]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
59A	 <p>(이론치의 76%)</p>	2.34 분 (7); m/z = 572	8.19-7.95 (br. s, 2H), 7.96 (dt, 1H), 7.60-7.52 (m, 1H), 7.49 (d, 2H), 7.42-7.32 (m, 2H), 7.12 (d, 2H), 4.53 (s, 2H), 4.48-4.41 (m, 1H), 4.17- 4.04 (m, 3H), 3.78 (dd, 1H), 2.48 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).
60A	 <p>(이론치의 72%)</p>	2.34 분 (7); m/z = 572	8.21-7.96 (br. s, 2H), 7.96 (dt, 1H), 7.60-7.53 (m, 1H), 7.49 (d, 2H), 7.42-7.32 (m, 2H), 7.12 (d, 2H), 4.53 (s, 2H), 4.47-4.41 (m, 1H), 4.16- 4.04 (m, 3H), 3.79 (dd, 1H), 2.48 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).

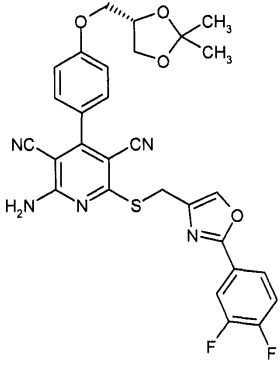
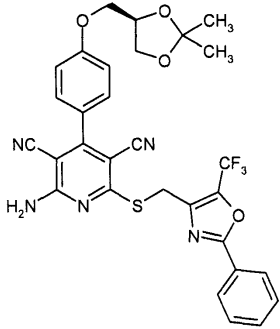
[0580]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
61A	 <p>(이론치의 82%)</p>	2.48 분 (7); m/z = 592	8.49 (s, 1H), 8.26-7.92 (br. s, 2H), 8.10 (dd, 1H), 8.00-7.94 (m, 1H), 7.60 (pseudo-t, 1H), 7.47 (d, 2H), 7.11 (d, 2H), 4.48- 4.39 (m, 1H), 4.42 (s, 2H), 4.15-4.03 (m, 3H), 3.78 (dd, 1H), 1.38 (s, 3H), 1.32 (s, 3H).
62A	 <p>(이론치의 12%)</p>	3.19 분 (3); m/z = 588	

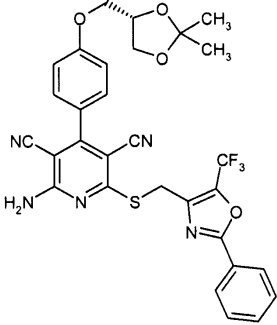
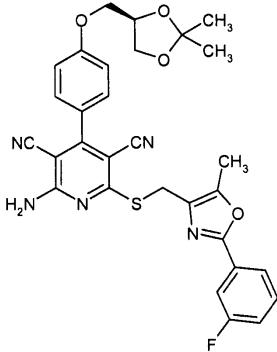
[0581]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
63A	 <p>(이론치의 45%)</p>	2.35 분 (7); m/z = 584	8.19-7.95 (br. s, 2H), 7.86 (d, 2H), 7.49 (d, 2H), 7.13 (d, 2H), 7.06 (d, 2H), 4.48 (s, 2H), 4.47-4.41 (m, 1H), 4.16- 4.03 (m, 3H), 3.81 (s, 3H), 3.81-3.75 (m, 1H), 2.43 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).
64A	 <p>(이론치의 44%)</p>	2.94 분 (3); m/z = 584	8.19-7.93 (br. s, 2H), 7.85 (d, 2H), 7.49 (d, 2H), 7.13 (d, 2H), 7.07 (d, 2H), 4.49 (s, 2H), 4.47-4.41 (m, 1H), 4.16- 4.03 (m, 3H), 3.81 (s, 3H), 3.81-3.74 (m, 1H), 2.45 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).

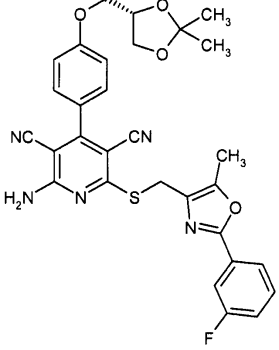
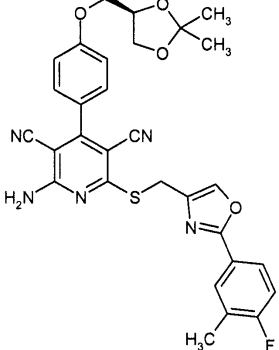
[0582]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
65A	 <p>(이론치의 94%)</p>	2.99 분 (3); m/z = 576	
66A	 <p>(이론치의 56%)</p>	3.15 분 (3); m/z = 608	8.06-7.93 (br. s, 2H), 8.05 (d, 2H), 7.68-7.57 (m, 3H), 7.51 (d, 2H), 7.14 (d, 2H), 4.71 (s, 2H), 4.48-4.41 (m, 1H), 4.18-4.04 (m, 3H), 3.79 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).

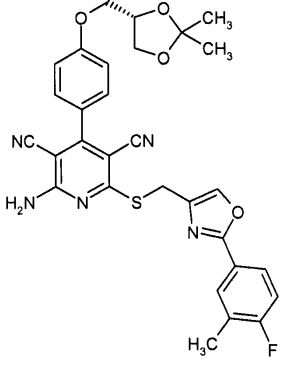
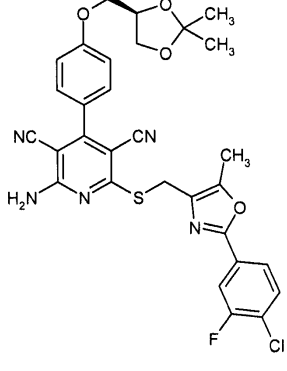
[0583]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
67A	 <p>(이른치의 61%)</p>	3.15 분 (3); m/z = 608	8.07-7.95 (br. s, 2H), 8.05 (d, 2H), 7.68-7.57 (m, 3H), 7.51 (d, 2H), 7.14 (d, 2H), 4.71 (s, 2H), 4.48-4.41 (m, 1H), 4.17-4.05 (m, 3H), 3.79 (dd, 1H), 1.37 (s, 3H), 1.32 (s, 3H).
68A	 <p>(이른치의 74%)</p>	3.01 분 (3); m/z = 572	8.17-7.96 (br. s, 2H), 7.77 (d, 1H), 7.66 (d, 1H), 7.57 (q, 1H), 7.49 (d, 2H), 7.36 (dt, 1H), 7.12 (d, 2H), 4.52 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.03 (m, 3H), 3.78 (dd, 1H), 2.47 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).

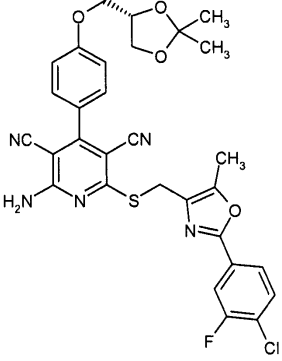
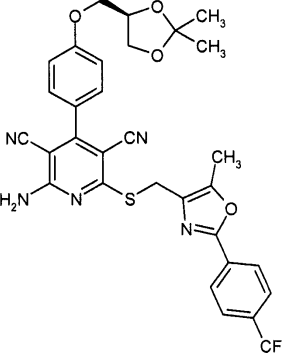
[0584]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
69A	 <p>(이른치의 75%)</p>	3.01 분 (3); m/z = 572	8.16-7.97 (br. s, 2H), 7.78 (d, 1H), 7.66 (d, 1H), 7.58 (q, 1H), 7.49 (d, 2H), 7.37 (dt, 1H), 7.12 (d, 2H), 4.52 (s, 2H), 4.47-4.41 (m, 1H), 4.15-4.03 (m, 3H), 3.78 (dd, 1H), 2.48 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).
70A	 <p>(이른치의 80%)</p>	2.62 분 (6); m/z = 572	8.33 (s, 1H), 8.25-7.97 (br. s, 2H), 7.92 (dd, 1H), 7.84-7.79 (m, 1H), 7.48 (d, 2H), 7.30 (t, 1H), 7.11 (d, 2H), 4.48-4.39 (m, 1H), 4.42 (s, 2H), 4.16- 4.04 (m, 3H), 3.78 (dd, 1H), 2.31 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).

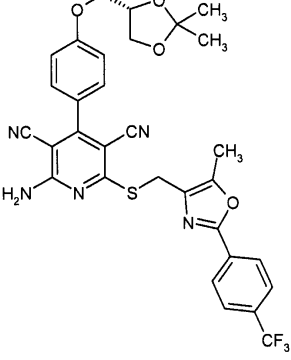
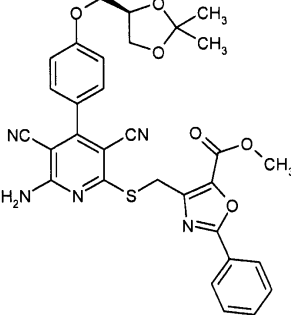
[0585]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
71A	 <p>(이론치의 78%)</p>	2.62 분 (6); m/z = 572	8.32 (s, 1H), 8.25-7.97 (br. s, 2H), 7.92 (dd, 1H), 7.85-7.80 (m, 1H), 7.48 (d, 2H), 7.30 (t, 1H), 7.12 (d, 2H), 4.48-4.39 (m, 1H), 4.41 (s, 2H), 4.16- 4.04 (m, 3H), 3.79 (dd, 1H), 2.31 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).
72A	 <p>(이론치의 71%)</p>	3.21 분 (3); m/z = 606	8.17-7.96 (br. s, 2H), 7.85 (dd, 1H), 7.75 (s, 1H), 7.74 (q, 1H), 7.49 (d, 2H), 7.12 (d, 2H), 4.52 (s, 2H), 4.47-4.40 (m, 1H), 4.15-4.04 (m, 3H), 3.78 (dd, 1H), 2.48 (s, 3H), 1.36 (s, 3H), 1.31 (s, 3H).

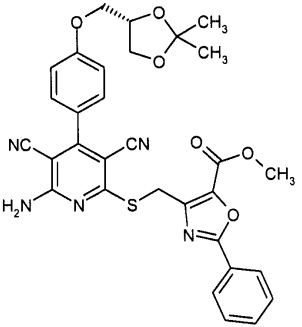
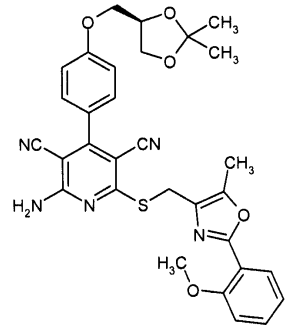
[0586]

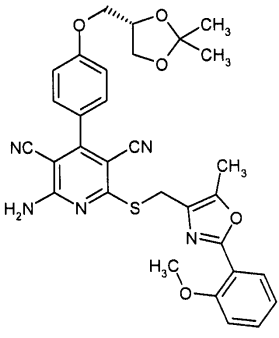
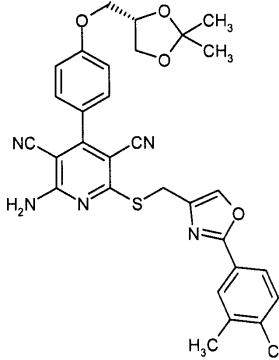
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
73A	 <p>(이른치의 66%)</p>	3.21 분 (3); m/z = 606	8.19-7.95 (br. s, 2H), 7.85 (dd, 1H), 7.75 (s, 1H), 7.74 (q, 1H), 7.49 (d, 2H), 7.12 (d, 2H), 4.52 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.04 (m, 3H), 3.78 (dd, 1H), 2.48 (s, 3H), 1.37 (s, 3H), 1.32 (s, 3H).
74A	 <p>(이른치의 49%)</p>	3.10 분 (3); m/z = 622	8.17-7.98 (br. s, 2H), 8.12 (d, 2H), 7.88 (d, 2H), 7.50 (d, 2H), 7.12 (d, 2H), 4.54 (s, 2H), 4.48-4.41 (m, 1H), 4.16- 4.05 (m, 3H), 3.79 (dd, 1H), 2.51 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).

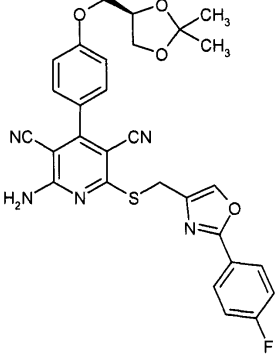
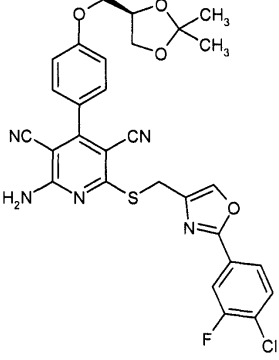
[0587]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
75A	 <p>(이른치의 54%)</p>	2.56 분 (7); m/z = 622	8.17-7.97 (br. s, 2H), 8.12 (d, 2H), 7.88 (d, 2H), 7.49 (d, 2H), 7.12 (d, 2H), 4.54 (s, 2H), 4.48-4.41 (m, 1H), 4.16- 4.04 (m, 3H), 3.79 (dd, 1H), 2.50 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).
76A	 <p>(이른치의 66%)</p>	1.41 분 (14); m/z = 598	8.12-7.92 (br. s, 2H), 8.05 (d, 2H), 7.67-7.57 (m, 3H), 7.50 (d, 2H), 7.13 (d, 2H), 4.83 (s, 2H), 4.49-4.41 (m, 1H), 4.17-4.06 (m, 3H), 3.93 (s, 3H), 3.79 (dd, 1H), 1.38 (s, 3H), 1.31 (s, 3H).

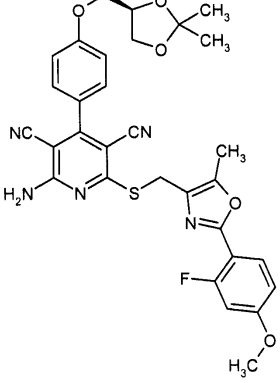
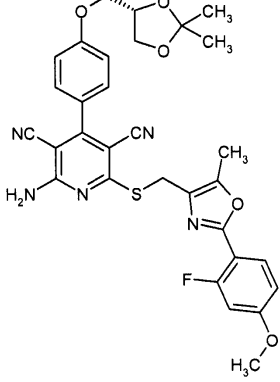
[0588]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
77A	 <p>(이론치의 67%)</p>	2.36 분 (7); m/z = 598	8.11-7.96 (br. s, 2H), 8.06 (d, 2H), 7.67-7.58 (m, 3H), 7.51 (d, 2H), 7.13 (d, 2H), 4.83 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.05 (m, 3H), 3.93 (s, 3H), 3.79 (dd, 1H), 1.38 (s, 3H), 1.31 (s, 3H).
78A	 <p>(이론치의 75%)</p>	1.36 분 (14); m/z = 584	8.16-7.96 (br. s, 2H), 7.75 (dd, 1H), 7.50 (d, 2H), 7.48 (dd, 1H), 7.19 (d, 1H), 7.12 (d, 2H), 7.05 (t, 1H), 4.52 (s, 2H), 4.48-4.41 (m, 1H), 4.15- 4.05 (m, 3H), 3.86 (s, 3H), 3.79 (dd, 1H), 2.43 (s, 3H), 1.37 (s, 3H), 1.30 (s, 3H).

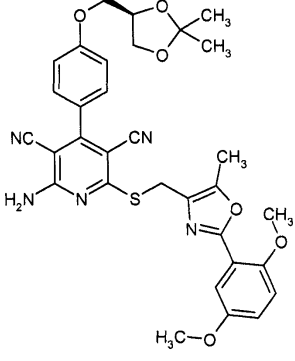
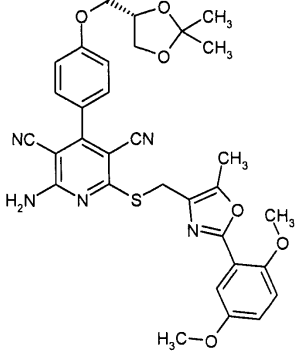
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
79A	 <p>(이론치의 78%)</p>	2.26 분 (7); m/z = 584	8.17-7.95 (br. s, 2H), 7.76 (dd, 1H), 7.50 (d, 2H), 7.48 (dd, 1H), 7.19 (d, 1H), 7.12 (d, 2H), 7.04 (t, 1H), 4.52 (s, 2H), 4.48-4.41 (m, 1H), 4.15- 4.03 (m, 3H), 3.86 (s, 3H), 3.79 (dd, 1H), 2.44 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).
80A	 <p>(이론치의 54%)</p>	3.21 분 (3); m/z = 588	

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
81A	 <p>(이론치의 68%)</p>	2.32 분 (7); m/z = 558	8.33 (s, 1H), 8.23-8.02 (br. s, 2H), 8.01 (dd, 2H), 7.48 (d, 2H), 7.38 (t, 2H), 7.12 (d, 2H), 4.49-4.40 (m, 1H), 4.52 (s, 2H), 4.15-4.03 (m, 3H), 3.78 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).
82A	 <p>(이론치의 41%)</p>	1.49 분 (14); m/z = 592	

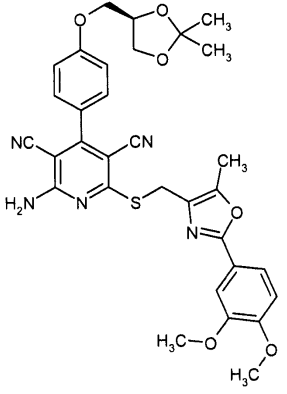
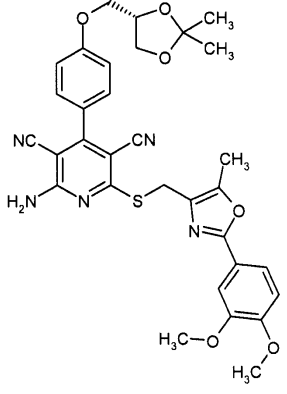
[0591]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
83A	 <p>(이론치의 59%)</p>	1.40 분 (14); m/z = 602	8.19-7.96 (br. s, 2H), 7.87 (t, 1H), 7.49 (d, 2H), 7.12 (d, 2H), 7.01 (dd, 1H), 6.92 (dd, 1H), 4.50 (s, 2H), 4.48-4.40 (m, 1H), 4.16-4.04 (m, 3H), 3.83 (s, 3H), 3.79 (dd, 1H), 2.45 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).
84A	 <p>(이론치의 58%)</p>	1.40 분 (14); m/z = 602	8.15-7.96 (br. s, 2H), 7.87 (t, 1H), 7.49 (d, 2H), 7.12 (d, 2H), 7.01 (dd, 1H), 6.92 (dd, 1H), 4.51 (s, 2H), 4.49-4.41 (m, 1H), 4.16-4.04 (m, 3H), 3.84 (s, 3H), 3.79 (dd, 1H), 2.45 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).

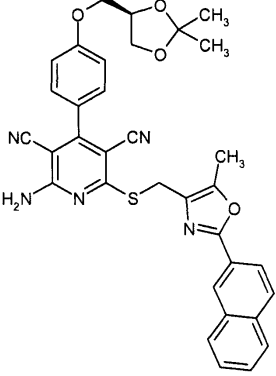
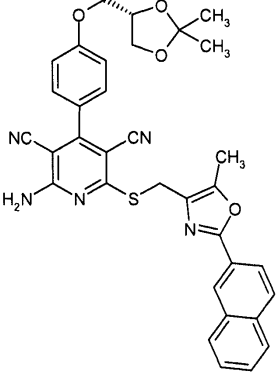
[0592]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
85A	 <p>(이론치의 82%)</p>	1.35 분 (14); m/z = 614	8.16-7.97 (br. s, 2H), 7.49 (d, 2H), 7.28 (d, 1H), 7.12 (d, 2H), 7.11 (dd, 1H), 7.06 (dd, 1H), 4.51 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.03 (m, 3H), 3.81-3.73 (m, 1H), 3.79 (s, 3H), 3.75 (s, 3H), 2.43 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).
86A	 <p>(이론치의 73%)</p>	1.35 분 (14); m/z = 614	8.17-7.98 (br. s, 2H), 7.49 (d, 2H), 7.28 (d, 1H), 7.13 (d, 2H), 7.12 (dd, 1H), 7.07 (dd, 1H), 4.51 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.04 (m, 3H), 3.81-3.73 (m, 1H), 3.79 (s, 3H), 3.75 (s, 3H), 2.43 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).

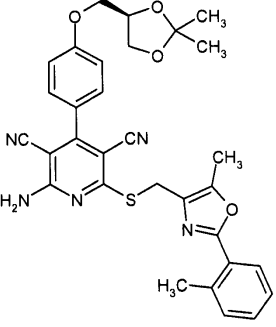
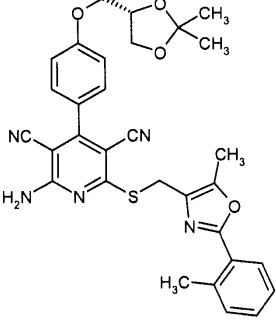
[0593]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
87A	 <p>(이른치의 73%)</p>	2.50 분 (15); m/z = 614	8.17-7.96 (br. s, 2H), 7.49 (d, 2H), 7.48 (d, 1H), 7.40 (s, 1H), 7.13 (d, 2H), 7.08 (d, 1H), 4.49 (s, 2H), 4.48-4.40 (m, 1H), 4.16-4.04 (m, 3H), 3.84 (s, 3H), 3.83 (s, 3H), 3.82-3.75 (m, 1H), 2.45 (s, 3H), 1.36 (s, 3H), 1.31 (s, 3H).
88A	 <p>(이른치의 73%)</p>	2.50 분 (15); m/z = 614	8.17-7.96 (br. s, 2H), 7.49 (d, 2H), 7.48 (d, 1H), 7.40 (s, 1H), 7.13 (d, 2H), 7.08 (d, 1H), 4.50 (s, 2H), 4.48-4.40 (m, 1H), 4.16-4.04 (m, 3H), 3.84 (s, 3H), 3.83 (s, 3H), 3.79 (dd, 1H), 2.45 (s, 3H), 1.36 (s, 3H), 1.31 (s, 3H).

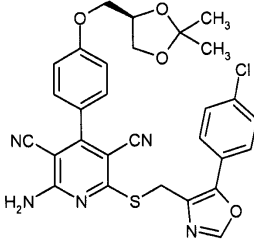
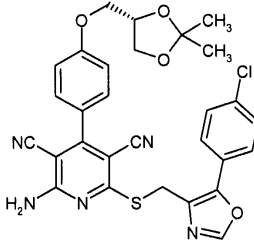
[0594]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
89A	 <p>(이론치의 35%)</p>	2.56 분 (7); m/z = 604	8.52 (s, 1H), 8.13-7.95 (br. s, 2H), 8.13-8.08 (m, 1H), 8.03 (s, 2H), 8.00-7.95 (m, 1H), 7.63-7.57 (m, 2H), 7.50 (d, 2H), 7.12 (d, 2H), 4.55 (s, 2H), 4.48-4.42 (m, 1H), 4.15-4.05 (m, 3H), 3.79 (dd, 1H), 2.49 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).
90A	 <p>(이론치의 46%)</p>	2.56 분 (7); m/z = 604	8.52 (s, 1H), 8.13-7.94 (br. s, 2H), 8.13-8.08 (m, 1H), 8.03 (s, 2H), 8.00-7.94 (m, 1H), 7.62-7.57 (m, 2H), 7.49 (d, 2H), 7.12 (d, 2H), 4.56 (s, 2H), 4.48-4.40 (m, 1H), 4.15-4.05 (m, 3H), 3.79 (dd, 1H), 2.50 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).

[0595]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI); m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
91A	 <p>(이른치의 82%)</p>	2.76 분 (15); m/z = 568	8.13-7.92 (br. s, 2H), 7.85 (d, 1H), 7.49 (d, 2H), 7.40-7.29 (m, 3H), 7.12 (d, 2H), 4.52 (s, 2H), 4.46-4.41 (m, 1H), 4.15-4.05 (m, 3H), 3.78 (dd, 1H), 2.60 (s, 3H), 2.47 (s, 3H), 1.37 (s, 3H), 1.31 (s, 3H).
92A	 <p>(이른치의 78%)</p>	2.76 분 (15); m/z = 568	8.13-7.94 (br. s, 2H), 7.85 (d, 1H), 7.49 (d, 2H), 7.41-7.30 (m, 3H), 7.12 (d, 2H), 4.52 (s, 2H), 4.47-4.41 (m, 1H), 4.15-4.05 (m, 3H), 3.78 (dd, 1H), 2.60 (s, 3H), 2.47 (s, 3H), 1.38 (s, 3H), 1.32 (s, 3H).

[0596]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
93A	 <p>(이른치의 99%)</p>	1.42 분 (14); m/z = 574	8.51 (s, 1H), 8.04-7.92 (br. s, 2H), 7.73 (d, 2H), 7.61 (d, 2H), 7.50 (d, 2H), 7.12 (d, 2H), 4.74 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.04 (m, 3H), 3.79 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).
94A	 <p>(이른치의 82%)</p>	1.42 분 (14); m/z = 574	8.50 (s, 1H), 8.04-7.90 (br. s, 2H), 7.73 (d, 2H), 7.61 (d, 2H), 7.50 (d, 2H), 7.12 (d, 2H), 4.74 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.05 (m, 3H), 3.79 (dd, 1H), 1.38 (s, 3H), 1.31 (s, 3H).

[0597]

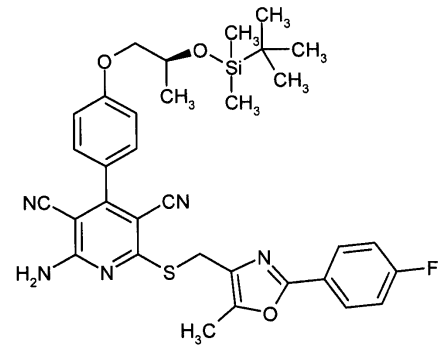
[0598]

[0599]

95A
2- - 4 (4 { [(2S)- 2- { [tert- ()] }] }) - 6 ({ [2 (4) - 5 - 1, 3 - 4] }) - 3, 5-

[0600]

[0601]



2 mL DMF 7A 100 ng (0.18 nmol), 4 () - 2 (4) - 5
- 1, 3- 45 ng (0.20 nmol) 46 ng (0.55 nmol) 20
HPLC (: YMC GEL ODS-AQ S-5 / 15 ; :
/ 10: 90 95: 5).

수율: 65 mg (이른치의 57%)

[0602]

[0603]

LC-MS (방법 3): R_t = 3.53 분; MS (ESIpos): m/z = 630 [M+H]⁺.

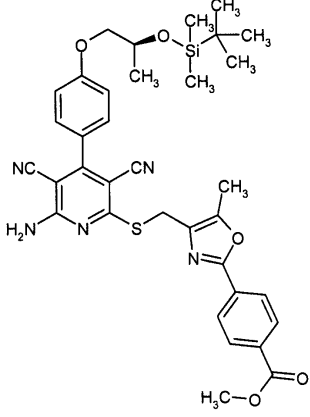
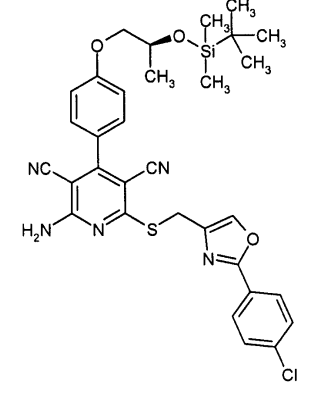
5

95A

:

[0604]

< 5 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
96A	 <p>(이른치의 84%)</p>	5.04 분 (4); m/z = 670	8.37 (s, 1H), 8.29-7.91 (br. s, 2H), 7.97 (d, 2H), 7.61 (d, 2H), 7.47 (d, 2H), 7.12 (d, 2H), 4.48- 4.39 (m, 1H), 4.42 (s, 2H), 4.16-4.03 (m, 3H), 3.77 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).
97A	 <p>(이른치의 99%)</p>	5.14 분 (4); m/z = 632 [M] ⁺	

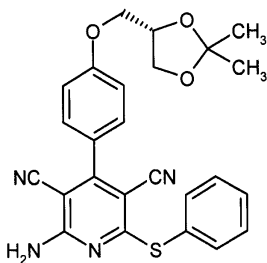
[0605]

[0606]

[0607]

98A

2- - 4 (4 { [(4S) - 2, 2 - 1, 3 - 4] }) - 6 () - 3, 5-



[0608]

[0609]

9A 1.63 g (6.90 mmol) 25 mL , 957 ng
(14.49 mmol), 798 ng (7.24 mmol) 21 ng (0.21 mmol)
2
60 (: /
60 1). HPLC (: YMC GEL CDS-AQ S-5 / 15 ; :

/ 10.90 95:5).

수율: 850 mg (이론치의 27%)

LC-MS (방법 3): R_t = 2.63 분; MS (ESIpos): m/z = 459 [M+H]⁺.

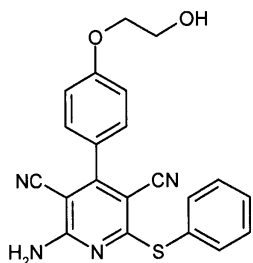
[0610]

99A

[0611]

[0612]

2- 4 [4 (2)] - 6 () - 3, 5-



[0613]

[0614]

4 (2) - 98A

수율: 이론치의 21%

¹H-NMR (400 MHz, DMSO-d₆): δ = 7.83-7.19 (br. s, 2H), 7.64-7.58 (m, 2H), 7.53-7.48 (m, 5H), 7.12 (d, 2H), 5.10-4.75 (br. s, 1H), 4.10 (t, 2H), 3.75 (t, 2H).

LC-MS (방법 7): R_t = 1.76 분; MS (ESIpos): m/z = 389 [M+H]⁺.

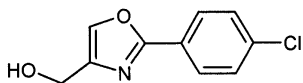
[0615]

100A

[0616]

[0617]

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



[0618]

[0619]

17A 2.00 g (8.77 mmol) 0.1 N 175 ml (17.54 mmol)

2

0

100 mL

5 mL

pH 7

50 mL

(3 mL) 2

수율: 1.20 g (이론치의 65%)

LC-MS (방법 8): R_t = 3.05 분; MS (ESIpos): m/z = 210 [M+H]⁺.

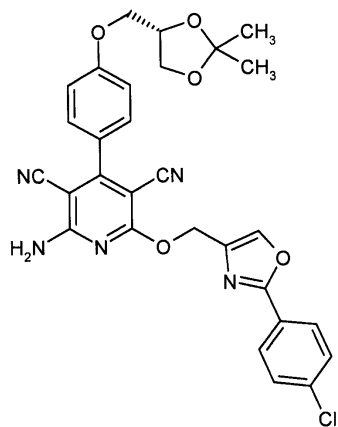
[0620]

101A

[0621]

[0622]

2- 6 { [2- (4) - 1, 3 - 4] } - 4 (4 { [(4S) - 2, 2 - 1, 3 - 4] }) - 3, 5-



[0623]

[0624]

tert- 122 ng (1.09 nmol) 2 mL 1, 2- , 100A
 229 ng (1.09 nmol) 98A 100 ng (0.22 nmol)
 60 2 , 10 ,
 5 mL , 2 mL 1 .
 HPLC (: YMC GEL CDS-AQ S-5 / 15 ; : /
 10: 90 95: 5).

수율: 70 mg (이론치의 58%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.47 (s, 1H), 8.18-7.89 (br. s, 2H), 8.01 (d, 2H), 7.62 (d, 2H), 7.48 (d, 2H), 7.12 (d, 2H), 5.41 (s, 2H), 4.48-4.41 (m, 1H), 4.15-4.04 (m, 3H), 3.79 (dd, 1H), 1.37 (s, 3H), 1.31 (s, 3H).

[0625]

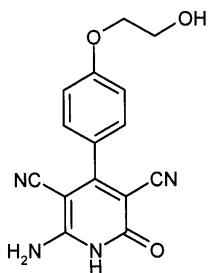
LC-MS (방법 3): R_t = 2.82 분; MS (ESIpos): m/z = 558 [M+H]⁺.

[0626]

102A

[0627]

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



[0628]

[0629]

99A 500 ng (1.29 nmol) 6.4 mL , 2.57 g
 (28.96 nmol) , 80 30 ,
 3 mL ,
 1 N , 3 ,
 5 mL , 10 mL .

수율: 153 mg (이론치의 36%, 89% 순도)

¹H-NMR (400 MHz, DMSO-d₆): δ = 11.85-11.72 (br. s, 1H), 7.87-7.60 (br. s, 2H), 7.43 (d, 2H), 7.10 (d, 2H), 5.08-4.52 (br. s, 1H), 4.08 (t, 2H), 3.74 (t, 2H).

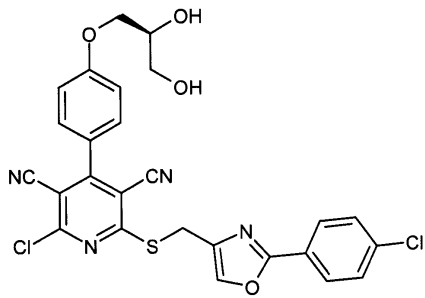
LC-MS (방법 3): R_t = 1.29 분; MS (ESIpos): m/z = 297 [M+H]⁺.

[0630]

[0631]

103A

[0632] 2- -6-({[2-(4)-1,3 -4] })-4(4-{{(2S)-2,3 }
) -3,5-



[0633]

[0634]

569 ng (4.86 nmol) (II) 653 ng (4.86 nmol) 20 nL
 58A 465 ng (0.81 nmol) 60 3
 , 20 nL 1 N
 30 nL 2 10 nL
 10 nL 1
 HPLC (: YMC GEL CDS-AQ S-5 / 15 ;
 : / 10:90 95:5).

수율: 108 mg (이론치의 18%, 73% 순도)

LC-MS (방법 5): R_t = 3.85 분; MS (ESIpos): m/z = 553 [M+H]⁺.

[0635]

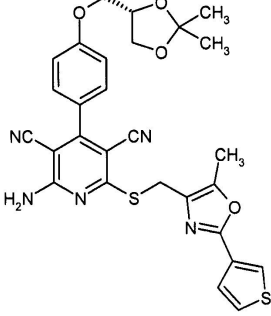
[0636]

[0637]

6 46A :
 < 6 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
104A	 (이론치의 93%)	2.82 분 (3); m/z = 560	8.16-7.95 (br. s, 2H), 8.11 (d, 1H), 7.71 (dd, 1H), 7.51 (d, 1H), 7.49 (d, 2H), 7.12 (d, 2H), 4.48 (s, 2H), 4.47-4.40 (m, 1H), 4.16-4.03 (m, 3H), 3.79 (dd, 1H), 2.45 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).

[0638]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
105A	 <p>(이론치의 76%)</p>	2.82 분 (3); m/z = 560	8.17-7.95 (br. s, 2H), 8.11 (d, 1H), 7.70 (dd, 1H), 7.52 (d, 1H), 7.49 (d, 2H), 7.12 (d, 2H), 4.49 (s, 2H), 4.47-4.40 (m, 1H), 4.15-4.03 (m, 3H), 3.78 (dd, 1H), 2.45 (s, 3H), 1.38 (s, 3H), 1.31 (s, 3H).

[0639]

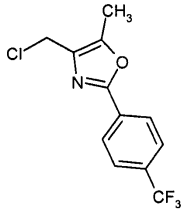
[0640]

[0641]

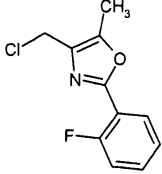
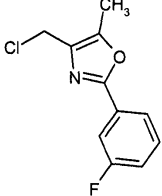
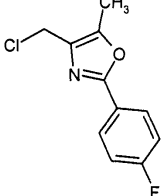
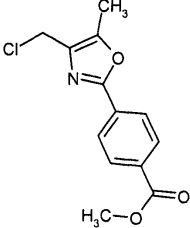
7
< 7 >

16A 34A

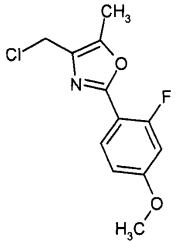
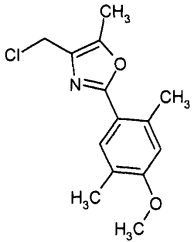
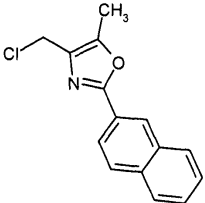
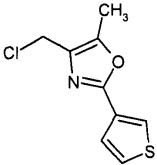
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실시예 번호	구조식	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
106A		2.73 분 (3); m/z = 276	8.13 (d, 2H), 7.90 (d, 2H), 4.79 (s, 2H), 2.48 (s, 3H).

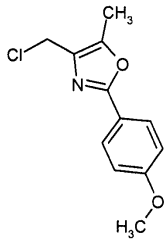
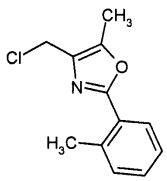
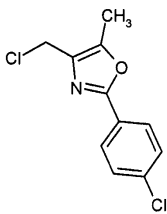
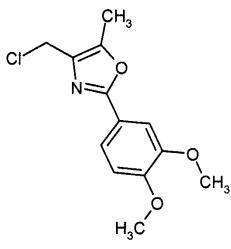
[0642]

실시예 번호	구조식	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
107A		2.31 분 (3); m/z = 226	7.98 (dt, 1H), 7.62-7.54 (m, 1H), 7.44-7.33 (m, 2H), 4.78 (s, 2H), 2.46 (s, 3H).
108A		2.45 분 (3); m/z = 226	7.78 (d, 1H), 7.67 (d, 1H), 7.59 (q, 1H), 7.37 (dt, 1H), 4.77 (s, 2H), 2.46 (s, 3H).
109A		2.42 분 (3); m/z = 226	7.98 (dd, 2H), 7.37 (pseudo-t, 2H), 4.75 (s, 2H), 2.44 (s, 3H).
110A		2.42 분 (3); m/z = 266	8.12-8.04 (m, 4H), 4.79 (s, 2H), 3.89 (s, 3H), 2.48 (s, 3H).

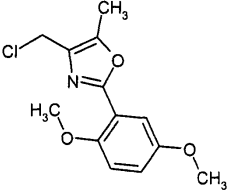
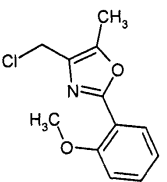
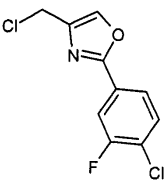
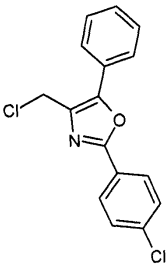
[0643]

실시예 번호	구조식	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
111A		2.38 분 (3); m/z = 256	7.88 (t, 1H), 7.02 (dd, 1H), 6.94 (dd, 1H), 4.76 (s, 2H), 3.84 (s, 3H), 2.42 (s, 3H).
112A		2.79 분 (3); m/z = 266	7.65 (s, 1H), 6.91 (s, 1H), 4.73 (s, 2H), 3.83 (s, 3H), 2.59 (s, 3H), 2.41 (s, 3H), 2.16 (s, 3H).
113A		2.75 분 (3); m/z = 258	8.54 (s, 1H), 8.13-8.08 (m, 1H), 8.05 (d, 2H), 8.02-7.96 (m, 1H), 7.63- 7.58 (m, 2H), 4.80 (s, 2H), 2.49 (s, 3H).
114A		2.21 분 (3); m/z = 214	8.14 (d, 1H), 7.72 (dd, 1H), 7.53 (d, 1H), 4.73 (s, 2H), 2.41 (s, 3H).

[0644]

실시예 번호	구조식	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
115A		2.36 분 (3); m/z = 238	7.87 (d, 2H), 7.08 (d, 2H), 4.72 (s, 2H), 3.82 (s, 3H), 2.42 (s, 3H).
116A		2.60 분 (3); m/z = 221	7.88 (d, 1H), 7.43-7.31 (m, 3H), 4.78 (s, 2H), 2.61 (s, 3H), 2.43 (s, 3H).
117A		2.63 분 (3); m/z = 242	7.94 (d, 2H), 7.60 (d, 2H), 4.77 (s, 2H), 2.45 (s, 3H).
118A		2.19 분 (3); m/z = 268	7.50 (dd, 1H), 7.42 (s, 1H), 7.09 (d, 1H), 4.73 (s, 2H), 3.85 (s, 3H), 3.83 (s, 3H), 2.42 (s, 3H).

[0645]

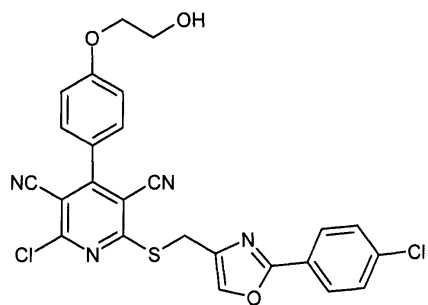
실시예 번호	구조식	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (400 MHz, DMSO-d ₆): δ =
119A		2.21 분 (3); m/z = 268	7.30 (d, 1H), 7.13 (d, 1H), 7.08 (dd, 1H), 4.75 (s, 2H), 3.81 (s, 3H), 3.77 (s, 3H), 2.42 (s, 3H).
120A		2.19 분 (3); m/z = 238	7.78 (d, 1H), 7.49 (dt, 1H), 7.19 (d, 1H), 7.06 (t, 1H), 4.75 (s, 2H), 3.86 (s, 3H), 2.42 (s, 3H).
121A		1.28 분 (14); m/z = 246	
122A		2.84 분 (6); m/z = 304	8.10 (d, 2H), 7.84 (d, 2H), 7.65 (d, 2H), 7.60 (t, 2H), 7.50 (t, 1H), 4.98 (s, 2H).

[0646]

[0647]

[0648]

123A
2-6-({[2-(4-)-1,3- -4] })-4-[4(2-)] -3,5-



[0649]

[0650]

156 ng (1.33 nmol) (II) 179 ng (1.33 nmol) 17 nL

15 336 ng (0.67 nmol) 60 3

, 17 nL 1 N , 30 nL 2

수율: 410 mg (이론치의 78%, 67% 순도).

[0651]

[0652]

85 ng HPLC (: YMC GEL CDS-AQ S-5 / 15 ; :
/ 10.90 95.5). 14 ng .

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.18 (s, 1H), 7.98 (d, 2H), 7.62 (dd, 4H), 7.19 (d, 2H), 4.98-4.88 (br. s, 1H), 4.58 (s, 2H), 4.11 (t, 2H), 3.79-3.71 (br. s, 2H).

[0653]

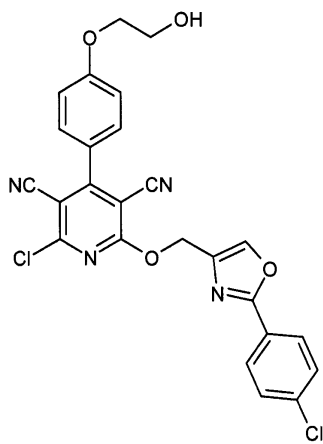
LC-MS (방법 14): R_t = 1.46 분; MS (ESIpos): m/z = 523 [M+H]⁺.

[0654]

124A

[0655]

2- -6- {[2-(4)-1,3- -4] }-4 [4(2)] -3,5-



[0656]

[0657]

110 123A .

LC-MS (방법 3): R_t = 2.76 분; MS (ESIpos): m/z = 507 [M+H]⁺.

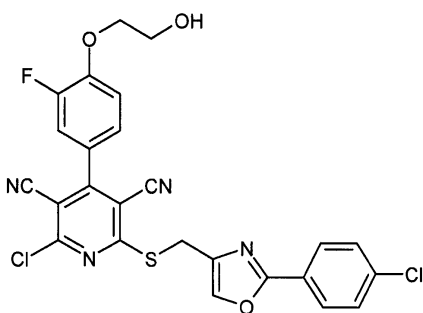
[0658]

125A

[0659]

[0660]

2- -6- ({[2-(4)-1,3- -4] })-4 [3- -4(2)]
-3,5-



[0661]

[0662]

2- -6- ({[2-(4)-1,3- -4] })-4 [3- -4(2)]
-3,5- (20) 150 ng (0.287 nmol) 20 nL ,
258 (1.724 nmol) (II) 232 ng (1.724 nmol) ,

HPLC
(: / 10.90 95.5). 25 ng (16)

[0663] LC-MS (방법 7): $R_t = 2.43$ 분; MS (ESIpos): $m/z = 541$ [M+H]⁺.

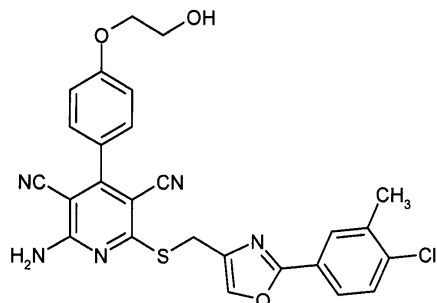
[0664] _____ :

[0665] 1

[0666] 2-6-({[2-(4-3)-1,3-4]})-4[4(2-3,5)

[0667]

[0668]



1A 52 ng (0.17 nmol) 28A 89 ng (0.18 nmol)
 42 ng (0.50 nmol) 1.8 nL DMF 12
 HPLC (: YMC GEL CDS-AQ S-5
 / 15 ; : / 10.90 95.5).

수율: 16 mg (이론치의 38%)

¹H-NMR (400 MHz, DMSO-d₆): $\delta = 8.36$ (s, 1H), 8.30-7.90 (br. s, 2H), 7.96 (s, 1H), 7.79 (d, 1H), 7.58 (d, 1H), 7.47 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.41 (s, 2H), 4.12-4.05 (m, 2H), 3.73 (dt, 2H), 2.41 (s, 3H).

[0669]

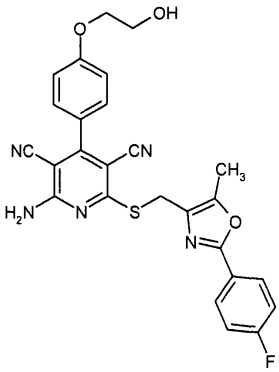
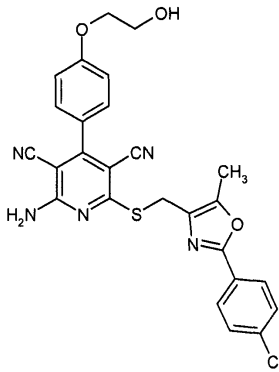
[0670]

LC-MS (방법 6): $R_t = 2.36$ 분; MS (ESIpos): $m/z = 518$ [M+H]⁺.

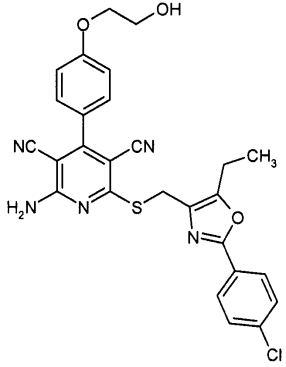
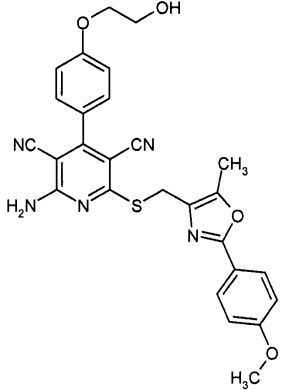
8 1 :

[0671]

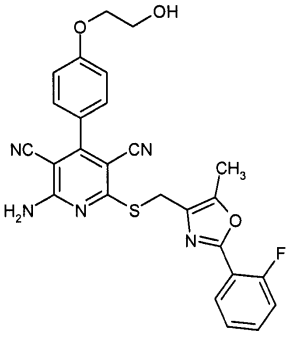
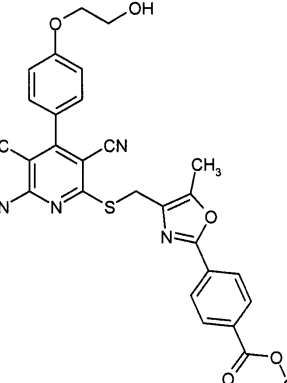
< 8 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
2	 <p>(이론치의 80%)</p>	3.63 분 (4); m/z = 502	8.22-7.93 (br. s, 2H), 7.97 (d, 1H), 7.95 (d, 1H), 7.49 (d, 2H), 7.36 (t, 2H), 7.11 (d, 2H), 4.99 (t, 1H), 4.50 (s, 2H), 4.08 (t, 2H), 3.73 (dt, 2H), 2.45 (s, 3H).
3	 <p>(이론치의 47%)</p>	2.15 분 (7); m/z = 518	8.18-7.96 (br. s, 2H), 7.92 (d, 2H), 7.59 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.50 (s, 2H), 4.07 (t, 2H), 3.73 (dt, 2H), 2.47 (s, 3H).

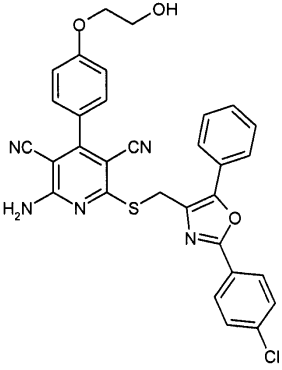
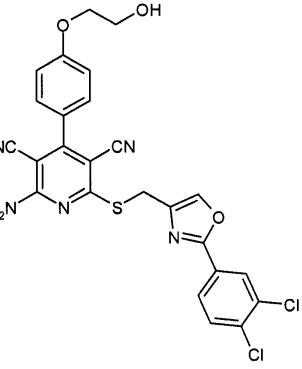
[0672]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
4	 <p>(이론치의 40%)</p>	2.43 분 (6); m/z = 532	8.23-7.89 (br. s, 2H), 7.95 (d, 2H), 7.59 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.51 (s, 2H), 4.07 (t, 2H), 3.74 (q, 2H), 2.38 (dt, 2H), 1.20 (t, 3H).
5	 <p>(이론치의 66%)</p>	2.53 분 (3); m/z = 514	8.17-7.92 (br. s, 2H), 7.85 (d, 2H), 7.48 (d, 2H), 7.12 (d, 2H), 7.05 (d, 2H), 4.91 (t, 1H), 4.49 (s, 2H), 4.08 (t, 2H), 3.81 (s, 3H), 3.73 (dt, 2H), 2.44 (s, 3H).

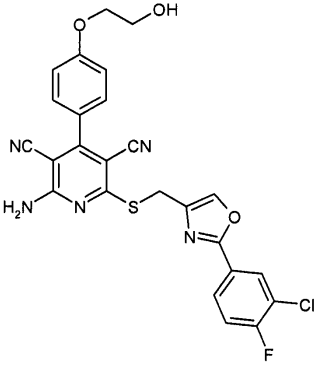
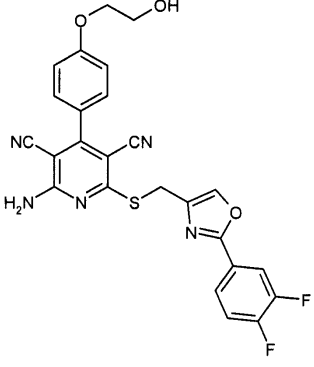
[0673]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
6	 <p>(이른치의 53%)</p>	2.50 분 (3); m/z = 502	8.19-7.92 (br. s, 2H), 7.96 (t, 1H), 7.61-7.52 (m, 1H), 7.48 (d, 2H), 7.93-7.31 (m, 2H), 7.11 (d, 2H), 4.92 (t, 1H), 4.53 (s, 2H), 4.08 (t, 2H), 3.78-3.71 (m, 2H), 2.48 (s, 3H).
7	 <p>(이른치의 16%)</p>	3.44 분 (5); m/z = 542	8.12-7.98 (m, 6H), 7.48 (d, 2H), 7.10 (d, 2H), 4.90 (t, 1H), 4.53 (s, 2H), 4.07 (t, 2H), 3.88 (s, 3H), 3.73 (dt, 2H), 2.50 (s, 3H).

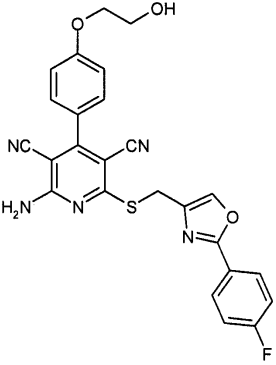
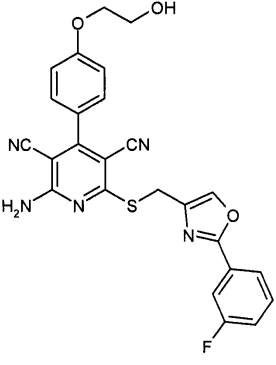
[0674]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
8	 <p>(이른치의 42%)</p>	3.10 분 (3); m/z = 580	8.10 (d, 2H), 7.99-7.89 (br. s, 2H), 7.85 (d, 2H), 7.64 (d, 2H), 7.59 (d, 2H), 7.52-7.47 (m, 3H), 7.10 (d, 2H), 4.91 (t, 1H), 4.81 (s, 2H), 4.07 (t, 2H), 3.73 (dt, 2H).
9	 <p>(이른치의 84%)</p>	2.84 분 (3); m/z = 538	8.41 (s, 1H), 8.28-7.97 (br. s, 2H), 8.11 (d, 1H), 7.93 (dd, 1H), 7.80 (d, 1H), 7.48 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.42 (s, 2H), 4.08 (t, 2H), 3.75 (dt, 2H).

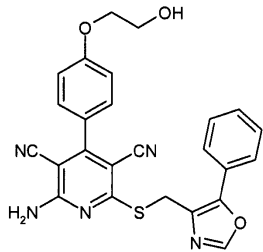
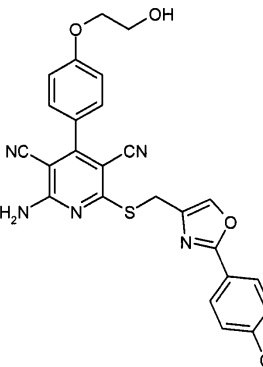
[0675]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
10	 <p>(이론치의 87%)</p>	2.70 분 (3); m/z = 522	8.39 (s, 1H), 8.28-7.91 (br. s, 2H), 8.10 (dd, 1H), 8.00-7.94 (m, 1H), 7.59 (pseudo-t, 1H), 7.47 (d, 2H), 7.09 (d, 2H), 4.91 (t, 1H), 4.41 (s, 2H), 4.07 (t, 2H), 3.73 (dt, 2H).
11	 <p>(이론치의 71%)</p>	2.43 분 (9); m/z = 506	8.39 (s, 1H), 8.30-7.91 (br. s, 2H), 7.96 (dt, 1H), 7.87-7.78 (m, 1H), 7.62 (q, 1H), 7.47 (d, 2H), 7.10 (d, 2H), 4.90 (t, 1H), 4.42 (s, 2H), 4.11-4.03 (m, 2H), 3.73 (q, 2H).

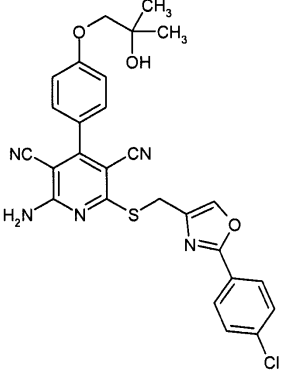
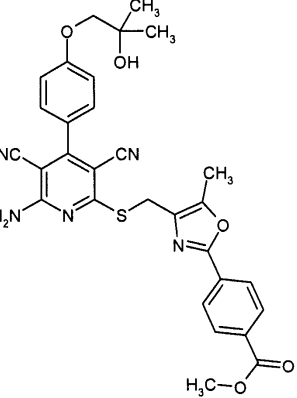
[0676]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
12	 <p>(이른치의 75%)</p>	2.44 분 (9); m/z = 488	8.34 (s, 1H), 8.26-7.93 (br. s, 2H), 8.02 (d, 1H), 8.01 (d, 1H), 7.47 (d, 2H), 7.37 (t, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.41 (s, 2H), 4.08 (t, 2H), 3.74 (q, 2H).
13	 <p>(이른치의 72%)</p>	2.41 분 (9); m/z = 488	8.48 (s, 1H), 8.27-7.93 (br. s, 2H), 7.83 (d, 1H), 7.72 (d, 1H), 7.60 (q, 1H), 7.47 (d, 2H), 7.39 (dt, 1H), 7.11 (d, 2H), 4.97 (t, 1H), 4.43 (s, 2H), 4.08 (t, 2H), 3.75 (q, 2H).

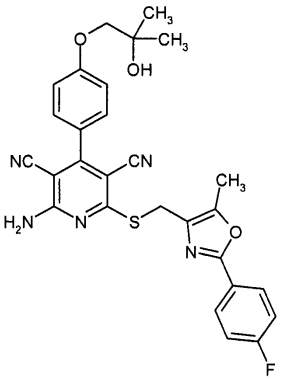
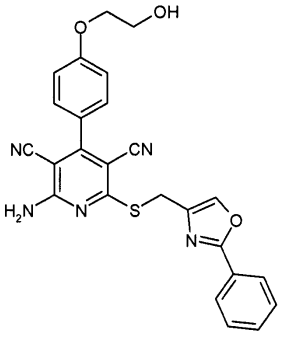
[0677]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
14	 <p>(이론치의 9%)</p>	2.29 분 (9); m/z = 470	8.48 (s, 1H), 7.98-7.82 (br. s, 2H), 7.72 (d, 2H), 7.58-7.43 (m, 5H), 7.11 (d, 2H), 4.93 (t, 1H), 4.73 (s, 2H), 4.09 (t, 2H), 3.74 (q, 2H).
15	 <p>(이론치의 77%)</p>	2.53 분 (3); m/z = 504	8.37 (s, 1H), 8.31-7.89 (br. s, 2H), 7.97 (d, 2H), 7.60 (d, 2H), 7.46 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.41 (s, 2H), 4.08 (t, 2H), 3.74 (q, 2H).

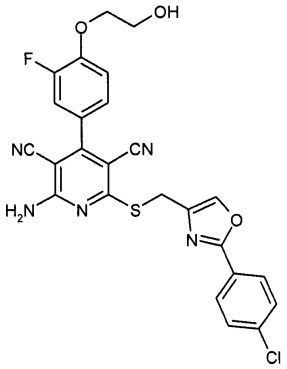
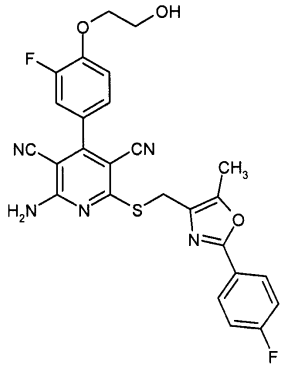
[0678]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
16	 <p>(이론치의 27%)</p>	2.83 분 (3); m/z = 532	8.38 (s, 1H), 8.27-7.93 (br. s, 2H), 7.97 (d, 2H), 7.61 (d, 2H), 7.45 (d, 2H), 7.09 (d, 2H), 4.69 (s, 1H), 4.42 (s, 2H), 3.80 (s, 2H), 1.23 (s, 6H).
17	 <p>(이론치의 17%)</p>	3.83 분 (4); m/z = 570	8.20-7.94 (br. s, 2H), 8.13-8.05 (m, 4H), 7.48 (d, 2H), 7.10 (d, 2H), 4.68 (s, 1H), 4.53 (s, 2H), 3.90 (s, 3H), 3.79 (s, 2H), 1.21 (s, 6H).

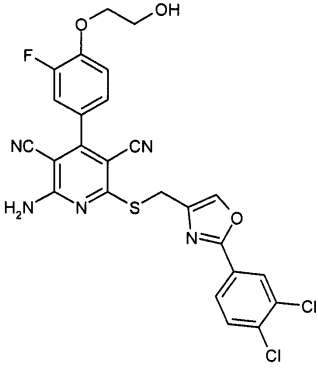
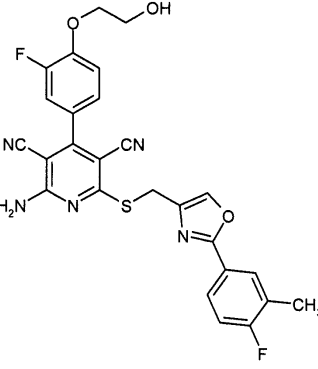
[0679]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
18	 <p>(이른치의 30%)</p>	2.76 분 (3); m/z = 530	8.20-7.93 (br. s, 2H), 7.97 (dd, 2H), 7.48 (d, 2H), 7.35 (pseudo-t, 2H), 7.10 (d, 2H), 4.68 (s, 1H), 4.51 (s, 2H), 3.80 (s, 2H), 2.46 (s, 3H), 1.21 (s, 6H).
19	 <p>(이른치의 54%)</p>	2.49 분 (3); m/z = 470	8.34 (s, 1H), 8.27-7.89 (br. s, 2H), 7.99-7.93 (m, 2H), 7.59-7.50 (m, 3H), 7.47 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.43 (s, 2H), 4.08 (t, 2H), 3.73 (q, 2H).

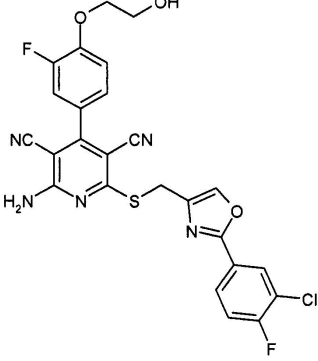
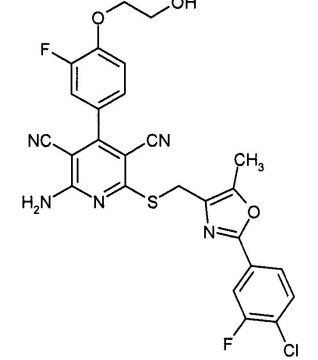
[0680]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
20	 <p>(이론치의 82%)</p>	1.30 분 (14); m/z = 522	8.36 (s, 1H), 8.31-8.02 (br. s, 2H), 7.98 (d, 2H), 7.60 (d, 2H), 7.50 (dd, 1H), 7.39-7.29 (m, 2H), 4.96 (t, 1H), 4.43 (s, 2H), 4.17 (t, 2H), 3.76 (q, 2H).
21	 <p>(이론치의 84%)</p>	1.26 분 (14); m/z = 520	8.25-8.00 (br. s, 2H), 7.98 (d, 1H), 7.96 (d, 1H), 7.52 (dd, 1H), 7.40- 7.30 (m, 4H), 4.96 (t, 1H), 4.51 (s, 2H), 4.17 (t, 2H), 3.75 (q, 2H), 2.47 (s, 3H).

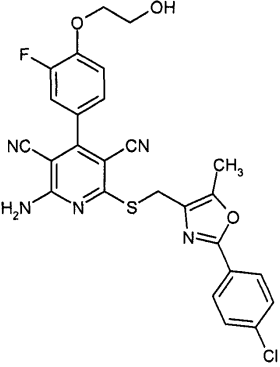
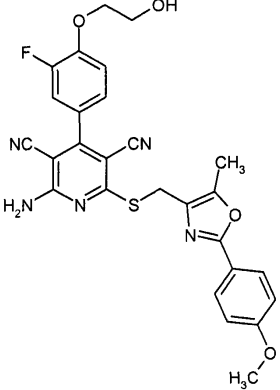
[0681]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
22	 <p>(이른치의 87%)</p>	1.39 분 (14); m/z = 556	8.42 (s, 1H), 8.34-7.98 (br. s, 2H), 8.12 (s, 1H), 7.93 (dd, 1H), 7.81 (d, 1H), 7.49 (dd, 1H), 7.49- 7.39 (m, 4H), 4.97 (t, 1H), 4.42 (s, 2H), 4.17 (t, 2H), 3.78 (q, 2H).
23	 <p>(이른치의 69%)</p>	1.29 분 (14); m/z = 520	8.33 (s, 1H), 8.29-7.97 (br. s, 2H), 7.91 (dd, 1H), 7.85-7.78 (m, 1H), 7.49 (dd, 1H), 7.38-7.27 (m, 3H), 4.96 (t, 1H), 4.41 (s, 2H), 4.15 (t, 2H), 3.76 (q, 2H), 2.31 (s, 3H).

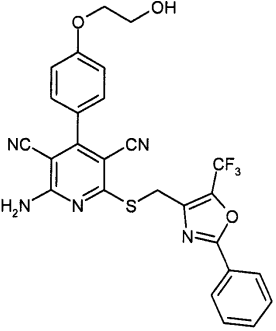
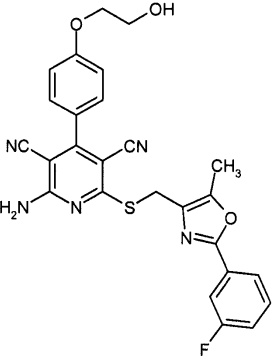
[0682]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
24	 <p>(이론치의 69%)</p>	2.76 분 (3); m/z = 540	8.39 (s, 1H), 8.33-7.90 (br. s, 2H), 8.10 (dd, 1H), 8.00-7.93 (m, 1H), 7.59 (t, 1H), 7.49 (dd, 1H), 7.35 (q, 1H), 7.33 (d, 1H), 4.96 (t, 1H), 4.42 (s, 2H), 4.16 (t, 2H), 3.77 (q, 2H).
25	 <p>(이론치의 67%)</p>	2.87 분 (3); m/z = 554	8.27-7.98 (br. s, 2H), 7.86 (d, 1H), 7.80-7.72 (m, 2H), 7.52 (dd, 1H), 7.40-7.31 (m, 2H), 4.97 (t, 1H), 4.52 (s, 2H), 4.17 (t, 2H), 3.77 (q, 2H), 2.49 (s, 3H).

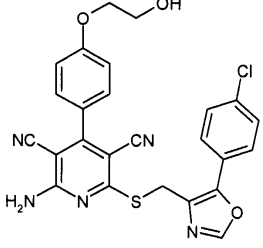
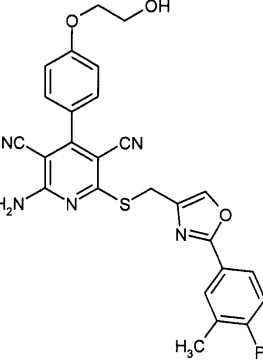
[0683]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
26	 <p>(이론치의 74%)</p>	2.81 분 (3); m/z = 536	8.23-7.99 (br. s, 2H), 7.92 (d, 2H), 7.59 (d, 2H), 7.52 (dd, 1H), 7.36 (q, 1H), 7.35 (s, 1H), 4.96 (t, 1H), 4.51 (s, 2H), 4.17 (t, 2H), 3.77 (q, 2H), 2.46 (s, 3H).
27	 <p>(이론치의 89%)</p>	2.61 분 (3); m/z = 532	8.24-8.03 (br. s, 2H), 7.86 (d, 2H), 7.51 (dd, 1H), 7.36 (q, 1H), 7.34 (s, 1H), 7.07 (d, 2H), 4.96 (t, 1H), 4.49 (s, 2H), 4.18 (t, 2H), 3.81 (s, 3H), 3.76 (q, 2H), 2.45 (s, 3H).

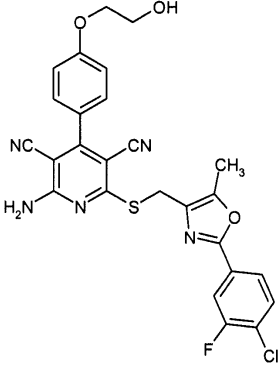
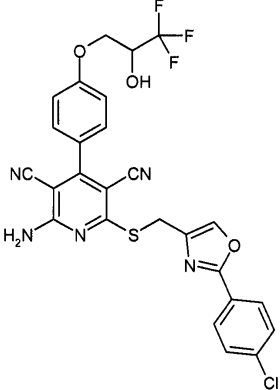
[0684]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
28	 <p>(이론치의 80%)</p>	2.77 분 (3); m/z = 538	8.11-7.92 (br. s, 2H), 8.05 (d, 2H), 7.69-7.57 (m, 3H), 7.50 (d, 2H), 7.12 (d, 2H), 4.91 (t, 1H), 4.71 (s, 2H), 4.09 (t, 2H), 3.74 (q, 2H).
29	 <p>(이론치의 45%)</p>	2.20 분 (6); m/z = 502	8.19-7.95 (br. s, 2H), 7.78 (d, 1H), 7.65 (dd, 1H), 7.57 (q, 1H), 7.49 (d, 2H), 7.36 (dt, 1H), 7.10 (d, 2H), 4.91 (t, 1H), 4.52 (s, 2H), 4.08 (t, 2H), 3.75 (q, 2H), 2.48 (s, 3H).

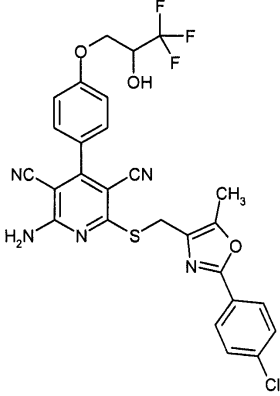
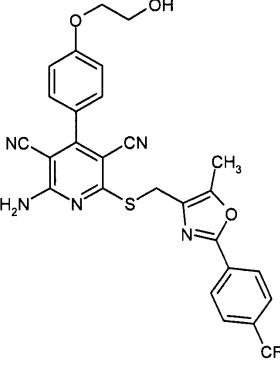
[0685]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
30	 <p>(이론치의 79%)</p>	1.22 분 (14); m/z = 504	8.49 (s, 1H), 8.06-7.89 (br. s, 2H), 7.73 (d, 2H), 7.61 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.74 (s, 2H), 4.08 (t, 2H), 3.74 (q, 2H).
31	 <p>(이론치의 56%)</p>	2.23 분 (6); m/z = 502	8.33 (s, 1H), 8.23-7.98 (br. s, 2H), 7.92 (d, 1H), 7.85-7.78 (m, 1H), 7.47 (d, 2H), 7.30 (t, 1H), 7.10 (d, 2H), 4.91 (t, 1H), 4.41 (s, 2H), 4.07 (t, 2H), 3.73 (q, 2H), 2.31 (s, 3H).

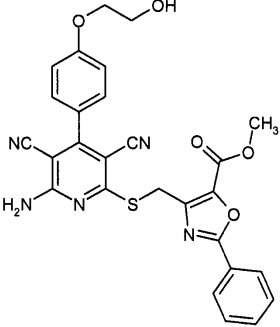
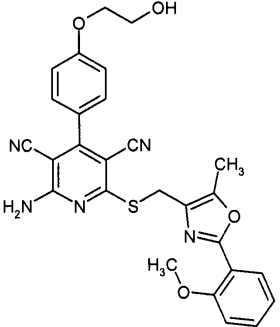
[0686]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
32	 <p>(이론치의 61%)</p>	2.83 분 (3); m/z = 536	8.22-7.93 (br. s, 2H), 7.85 (dd, 1H), 7.79-7.71 (m, 2H), 7.48 (d, 2H), 7.12 (d, 2H), 4.91 (t, 1H), 4.52 (s, 2H), 4.07 (t, 2H), 3.73 (q, 2H), 2.48 (s, 3H).
33	 <p>(이론치의 48%)</p>	2.34 분 (7); m/z = 572	8.37 (s, 1H), 8.27-7.91 (br. s, 2H), 7.97 (d, 2H), 7.60 (d, 2H), 7.48 (d, 2H), 7.14 (d, 2H), 6.69 (d, 1H), 4.49-4.38 (m, 1H), 4.43 (s, 2H), 4.27 (dd, 1H), 4.17 (dd, 1H).

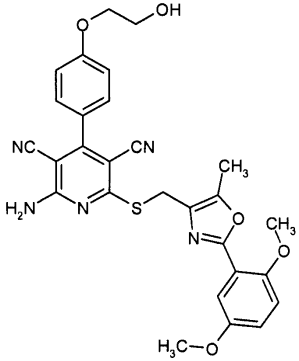
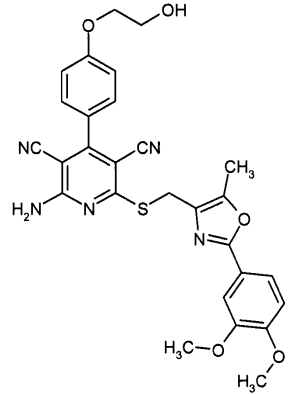
[0687]

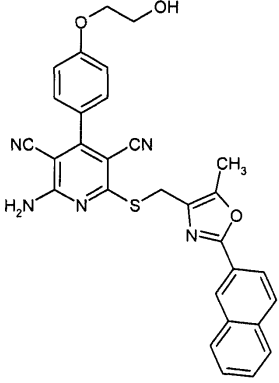
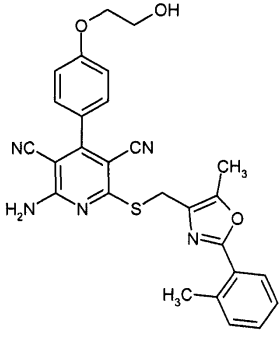
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
34	 <p>(이른치의 61%)</p>	2.41 분 (7); m/z = 586	8.21-7.98 (br. s, 2H), 7.92 (d, 2H), 7.58 (d, 2H), 7.50 (d, 2H), 7.14 (d, 2H), 6.69 (d, 1H), 4.52 (s, 2H), 4.48-4.37 (m, 1H), 4.28 (dd, 1H), 4.16 (dd, 1H), 2.48 (s, 3H).
35	 <p>(이른치의 46%)</p>	2.20 분 (7); m/z = 552	8.17-7.95 (br. s, 2H), 8.11 (d, 2H), 7.88 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 4.90 (t, 1H), 4.53 (s, 2H), 4.08 (t, 2H), 3.75 (q, 2H), 2.50 (s, 3H).

[0688]

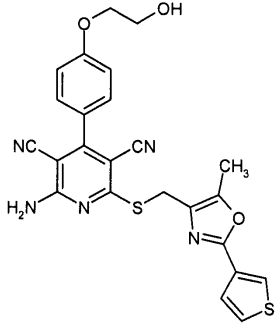
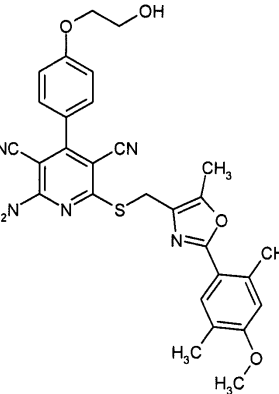
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
36	 <p>(이른치의 35%)</p>	2.60 분 (3); m/z = 528	8.11-7.91 (br. s, 2H), 8.05 (d, 2H), 7.67-7.06 (m, 3H), 7.50 (d, 2H), 7.11 (d, 2H), 4.92 (t, 1H), 4.83 (s, 2H), 4.09 (t, 2H), 3.93 (s, 3H), 3.76 (q, 2H).
37	 <p>(이른치의 80%)</p>	1.16 분 (14); m/z = 514	8.17-7.96 (br. s, 2H), 7.76 (dd, 1H), 7.52-7.46 (m, 1H), 7.50 (d, 2H), 7.19 (d, 1H), 7.11 (d, 2H), 7.06 (t, 1H), 4.91 (t, 1H), 4.52 (s, 2H), 4.08 (t, 2H), 3.85 (s, 3H), 3.75 (q, 2H), 2.45 (s, 3H).

[0689]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
38	 <p>(이론치의 86%)</p>	1.16 분 (14); m/z = 544	8.13-7.99 (br. s, 2H), 7.49 (d, 2H), 7.29 (d, 1H), 7.15-7.08 (m, 3H), 7.06 (dd, 1H), 4.91 (t, 1H), 4.51 (s, 2H), 4.08 (t, 2H), 3.80 (s, 3H), 3.77- 3.71 (m, 2H), 3.76 (s, 3H), 2.43 (s, 3H).
39	 <p>(이론치의 59%)</p>	2.29 분 (3); m/z = 544	8.15-7.96 (br. s, 2H), 7.49 (d, 2H), 7.48 (d, 1H), 7.41 (s, 1H), 7.11 (d, 2H), 7.07 (d, 1H), 4.91 (t, 1H), 4.50 (s, 2H), 4.08 (t, 2H), 3.84 (s, 3H), 3.83 (s, 3H), 3.74 (q, 2H), 2.45 (s, 3H).

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
40	 <p>(이른치의 91%)</p>	1.35 분 (14); m/z = 534	8.53 (s, 1H), 8.22-7.94 (br. s, 2H), 8.15-8.08 (m, 1H), 8.04 (s, 2H), 8.01-7.95 (m, 1H), 7.65-7.58 (m, 2H), 7.50 (d, 2H), 7.11 (d, 2H), 4.92 (t, 1H), 4.57 (s, 2H), 4.08 (t, 2H), 3.73 (q, 2H), 2.49 (s, 3H).
41	 <p>(이른치의 97%)</p>	1.30 분 (14); m/z = 498	8.17-7.93 (br. s, 2H), 7.87 (d, 1H), 7.50 (d, 2H), 7.41-7.30 (m, 3H), 7.11 (d, 2H), 4.91 (t, 1H), 4.53 (s, 2H), 4.08 (t, 2H), 3.74 (q, 2H), 2.60 (s, 3H), 2.48 (s, 3H).

[0691]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
42	 <p>(이론치의 85%)</p>	2.17 분 (15); m/z = 490	8.17-7.94 (br. s, 2H), 8.11 (d, 1H), 7.71 (dd, 1H), 7.52 (d, 1H), 7.49 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.49 (s, 2H), 4.08 (t, 2H), 3.74 (q, 2H), 2.45 (s, 3H).
43	 <p>(이론치의 93%)</p>	2.21 분 (7); m/z = 542	8.17-7.95 (br. s, 2H), 7.63 (s, 1H), 7.49 (d, 2H), 7.11 (d, 2H), 6.91 (s, 1H), 4.90 (t, 1H), 4.50 (s, 2H), 4.08 (t, 2H), 3.83 (s, 3H), 3.73 (q, 2H), 2.58 (s, 3H), 2.44 (s, 3H), 2.16 (s, 3H).

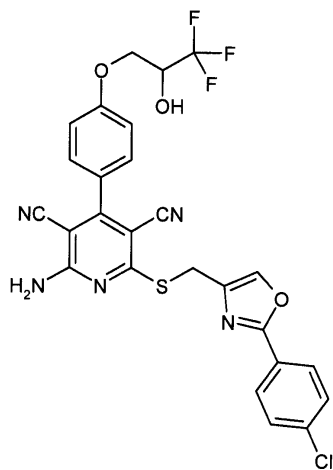
[0692]

44

[0693]

[0694]

(+)-2- (6-((2-(4- (1,3- (4-)-4 [4 (3,3,3-)] -3,5-



[0695]

[0696]

33

(67 ng)

HPLC

2

(45).

[컬럼: 다이셀 키랄팩(Daice)

Chiralpak) AD-H, 5 μm, 250 mm x 20 mm; 이동상: 에탄올; 유량: 10 ml/분; 온도: 35°C; 검출: 220 nm].

[0697]

[0698]

(+) - :

수율: 33 mg

R_t = 6.86 분 [컬럼: 다이셀 키랄팩 AD-H, 5 μm, 250 mm x 4.6 mm; 이동상: 에탄올; 유량: 1 ml/분; 온도: 40°C]

고유 광 회전도: +1.2° (c = 0.43 g/100 ml, 메탄올, n = 589 nm, T = 20.4°C)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.37 (s, 1H), 8.28-7.91 (br. s, 2H), 7.98 (d, 2H), 7.61 (d, 2H), 7.49 (d, 2H), 7.15 (d, 2H), 6.70 (d, 1H), 4.49-4.39 (m, 1H), 4.43 (s, 2H), 4.28 (dd, 1H), 4.16 (dd, 1H).

LC-MS (방법 7): R_t = 2.34 분; MS (ESIpos): m/z = 572 [M+H]⁺.

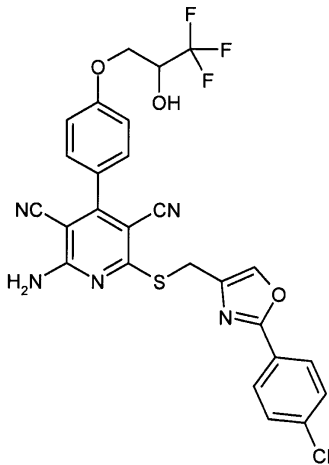
[0699]

[0700]

45

[0701]

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



[0702]

[0703]

33

(67 ng)

HPLC

2

(44).

[컬럼: 다이셀 키랄팩 AD-H, 5 μm,

250 mm x 20 mm; 이동상: 에탄올; 유량: 10 ml/분; 온도: 35°C; 검출: 220 nm].

[0704]

[0705] (-) - :

수율: 33 mg

R_t = 8.73 분 [컬럼: 다이셀 키랄팩 AD-H, 5 μ m, 250 mm x 4.6 mm; 이동상: 에탄올; 유량: 1 ml/분; 온도: 40°C]

$^1\text{H-NMR}$ (400 MHz, DMSO-d_6): δ = 8.37 (s, 1H), 8.29-7.90 (br. s, 2H), 7.98 (d, 2H), 7.61 (d, 2H), 7.49 (d, 2H), 7.16 (d, 2H), 6.70 (d, 1H), 4.49-4.39 (m, 1H), 4.43 (s, 2H), 4.28 (dd, 1H), 4.16 (dd, 1H).

LC-MS (방법 7): R_t = 2.35 분; MS (ESIpos): m/z = 572 $[\text{M}+\text{H}]^+$.

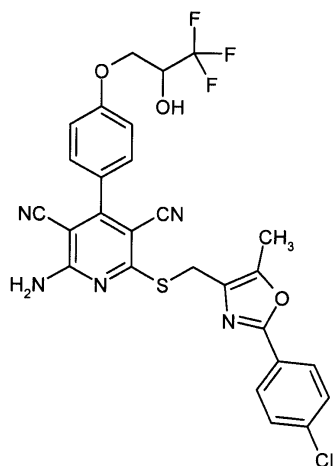
[0706]

46

[0707]

[0708]

(+) - 2-6-((2-(4-5-1,3-4)]) - 4 [4 (3,3,3 - 2-3,5-



[0709]

[0710]

34

(87 ng)

HPLC

2

(47).

[컬럼: 다이셀 키랄팩 AD-H, 5 μ m,

250 mm x 20 mm; 이동상: 이소헥산/이소프로판올 1:1 (v/v); 유량: 15 ml/분; 온도: 40°C;

검출: 220 nm].

[0711]

[0712]

(+) - :

수율: 30 mg

R_t = 4.58 분 [컬럼: 다이셀 키랄팩 AD-H, 5 μ m, 250 mm x 4.6 mm; 이동상: 이소헥산/이소프로판올 1:1 (v/v); 유량: 1 ml/분; 온도: 40°C]

고유 광 회전도: +11.1° (c = 0.435 g/100 ml, DMF, n = 589 nm, T = 19.6°C)

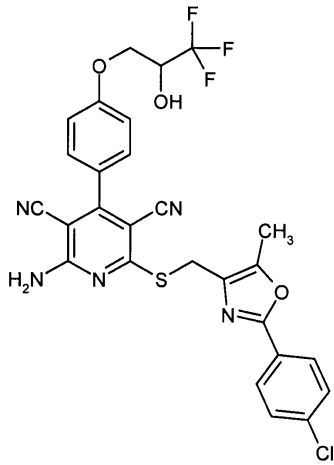
$^1\text{H-NMR}$ (400 MHz, DMSO-d_6): δ = 8.20-7.88 (br. s, 2H), 7.92 (d, 2H), 7.58 (d, 2H), 7.49 (d, 2H), 7.15 (d, 2H), 6.70 (d, 1H), 4.52 (s, 2H), 4.48-4.37 (m, 1H), 4.28 (dd, 1H), 4.17 (dd, 1H), 2.48 (s, 3H).

LC-MS (방법 7): R_t = 2.43 분; MS (ESIpos): m/z = 586 $[\text{M}+\text{H}]^+$.

[0713]

[0714] 47

[0715] (-)-2-6-({[2-(4-)-5-1,3-4]})-4[4(3,3,3)-2-3,5-



[0716]

[0717] 34 (87 ng) HPLC 2
(46).

[컬럼: 다이셀 키랄팩 AD-H, 5 μm, 250

mm x 20 mm; 이동상: 이소헥산/이소프로판올 1:1 (v/v); 유량: 15 ml/분; 온도: 40°C; 검출: 220 nm].

[0718]

[0719] (-) :

수율: 31 mg

R_t = 5.56 분 [컬럼: 다이셀 키랄팩 AD-H, 5 μm, 250 mm x 4.6 mm; 이동상: 이소헥산/이소프로판올 1:1 (v/v); 유량: 1 ml/분; 온도: 40°C]

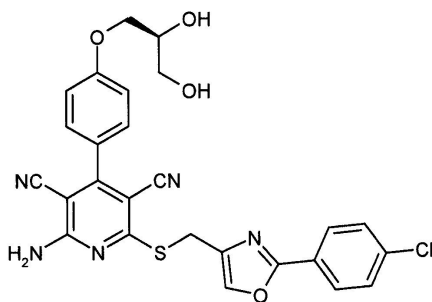
¹H-NMR (400 MHz, DMSO-d₆): δ = 8.21-7.89 (br. s, 2H), 7.92 (d, 2H), 7.58 (d, 2H), 7.50 (d, 2H), 7.16 (d, 2H), 6.70 (d, 1H), 4.52 (s, 2H), 4.48-4.39 (m, 1H), 4.29 (dd, 1H), 4.17 (dd, 1H), 2.48 (s, 3H).

LC-MS (방법 7): R_t = 2.43 분; MS (ESIpos): m/z = 586 [M+H]⁺.

[0720]

[0721] 48

[0722] 2-6-({[2-(4-)-1,3-4]})-4(4{(2S)-2,3-3,5-



[0723]

[0724] 46A 400 ng (0.70 nmol) 17 nL, 8.6 nL
12

HPLC (: YMC GEL CDS-AQ S-5 / 15 ;)
 / 10: 90 95: 5).

수율: 340 mg (이론치의 91%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.37 (s, 1H), 8.27-7.91 (br. s, 2H), 7.98 (d, 2H), 7.60 (d, 2H), 7.47 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.70 (q, 1H), 3.46 (t, 2H).

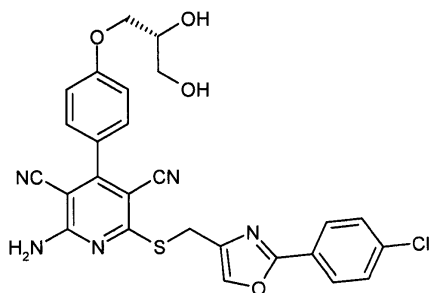
LC-MS (방법 3): R_t = 2.48 분; MS (ESIpos): m/z = 534 [M+H]⁺.

[0725]

[0726]

[0727]

49
 2- -6- ({ 2- (4) - 1, 3 - 4] }) - 4 (4 { (2R) - 2, 3 })
) - 3, 5-



[0728]

[0729]

47A 403 mg (80 , 0.56 mmol) 23.5 mL , 23.5 mL
 DMF , HPLC (: YMC GEL CDS-AQ S-5 / 15 ;)
 / 10: 90 95: 5).

수율: 259 mg (이론치의 86%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.37 (s, 1H), 8.30-7.89 (br. s, 2H), 7.98 (d, 2H), 7.61 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.98-3.92 (m, 1H), 3.81 (q, 1H), 3.50-3.43 (m, 2H).

LC-MS (방법 3): R_t = 2.51 분; MS (ESIpos): m/z = 534 [M+H]⁺.

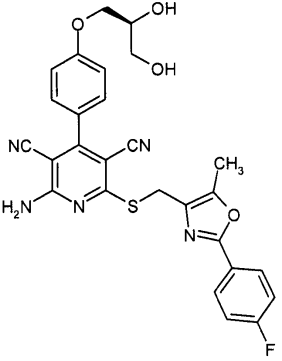
[0730]

[0731]

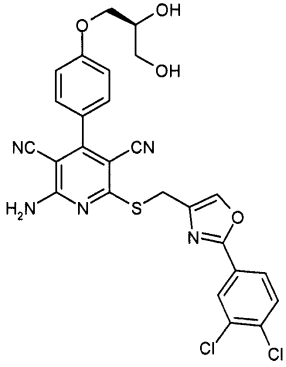
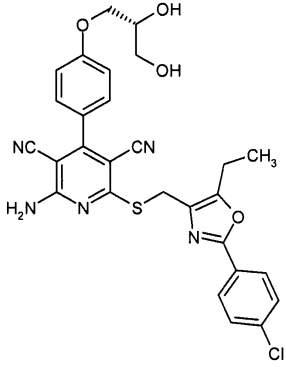
9 48 49 :

[0732]

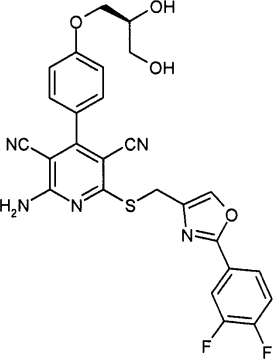
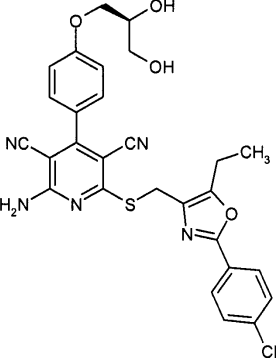
< 9 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
50	 <p>(이론치의 94%)</p>	2.43 분 (3); m/z = 532	8.20-7.92 (br. s, 2H), 7.97 (d, 1H), 7.96 (d, 1H), 7.48 (d, 2H), 7.35 (pseudo-t, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.50 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.82 (q, 1H), 3.47 (t, 2H), 2.43 (s, 3H).

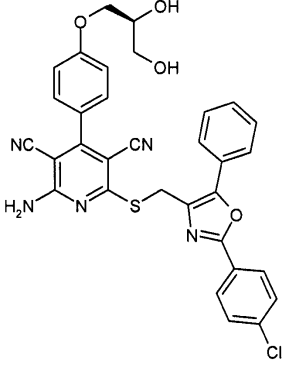
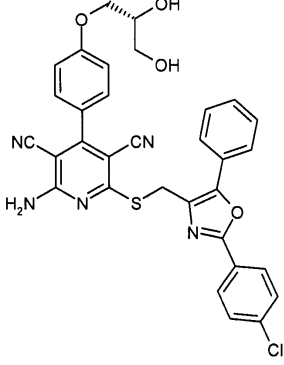
[0733]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
51	 <p>(이론치의 71%)</p>	2.65 분 (3); m/z = 568	8.41 (s, 1H), 8.32-7.97 (br. s, 2H), 8.12 (d, 1H), 7.92 (dd, 1H), 7.70 (d, 1H), 7.47 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.94 (dd, 1H), 3.86-3.77 (m, 1H), 3.47 (t, 2H).
52	 <p>(이론치의 75%)</p>	2.69 분 (3); m/z = 562	8.24-7.89 (br. s, 2H), 7.95 (d, 2H), 7.59 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.51 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.86-3.78 (m, 1H), 3.48 (t, 2H), 2.89 (q, 2H), 1.21 (t, 3H).

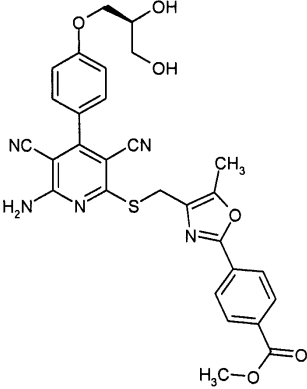
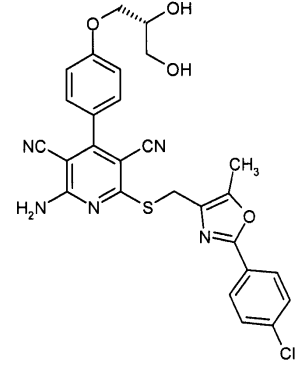
[0734]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
53	 <p>(이론치의 62%)</p>	2.43 분 (3); m/z = 536	8.39 (s, 1H), 8.32-7.91 (br. s, 2H), 7.97 (dd, 1H), 7.86-7.80 (m, 1H), 7.63 (q, 1H), 7.46 (d, 2H), 7.10 (d, 2H), 5.05-4.58 (2 br. s, 2H), 4.41 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.84-3.79 (m, 1H), 3.46 (d, 2H).
54	 <p>(이론치의 74%)</p>	2.68 분 (3); m/z = 562	8.21-7.88 (br. s, 2H), 7.94 (d, 2H), 7.58 (d, 2H), 7.47 (d, 2H), 7.09 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.52 (s, 2H), 4.08 (dd, 1H), 3.98-3.92 (m, 1H), 3.86-3.77 (m, 1H), 3.47 (t, 2H), 2.88 (q, 2H), 1.19 (t, 3H).

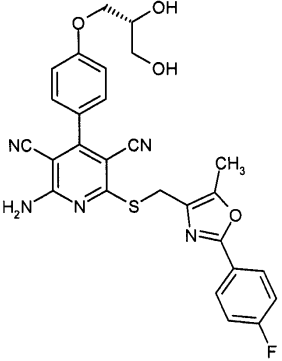
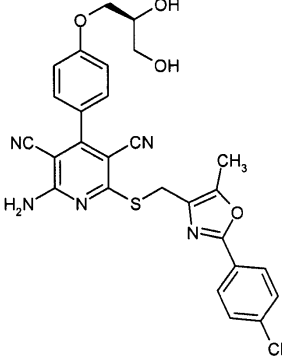
[0735]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
55	 <p>(이른치의 49%)</p>	2.48 분 (6); m/z = 610 [M] ⁺	8.10 (d, 2H), 7.99-7.87 (br. s, 2H), 7.85 (d, 2H), 7.64 (d, 2H), 7.61-7.51 (m, 2H), 7.50-7.44 (m, 3H), 7.11 (d, 2H), 5.01 (d, 1H), 4.81 (s, 2H), 4.69 (t, 1H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.87- 3.78 (m, 1H), 3.47 (t, 2H).
56	 <p>(이른치의 67%)</p>	2.48 분 (6); m/z = 610 [M] ⁺	8.10 (d, 2H), 7.98-7.87 (br. s, 2H), 7.86 (d, 2H), 7.64 (d, 2H), 7.61-7.53 (m, 2H), 7.51-7.44 (m, 3H), 7.11 (d, 2H), 5.01 (d, 1H), 4.81 (s, 2H), 4.69 (t, 1H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.87- 3.78 (m, 1H), 3.48 (t, 2H).

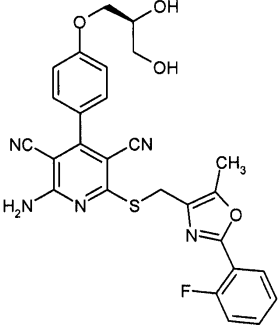
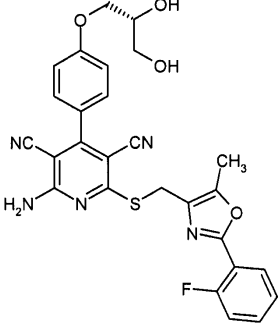
[0736]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
57	 <p>(이론치의 99%)</p>	3.26 분 (5); m/z = 572	8.17-7.98 (m, 6H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.53 (s, 2H), 4.08 (dd, 1H), 3.99-3.92 (m, 1H), 3.88 (s, 3H), 3.85-3.79 (m, 1H), 3.46 (t, 2H), 2.49 (s, 3H).
58	 <p>(이론치의 79%)</p>	2.58 분 (3); m/z = 548	8.24-7.89 (br. s, 2H), 7.92 (d, 2H), 7.58 (d, 2H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.51 (s, 2H), 4.08 (dd, 1H), 3.95 (dd, 1H), 3.81 (q, 1H), 3.47 (t, 2H), 2.48 (s, 3H).

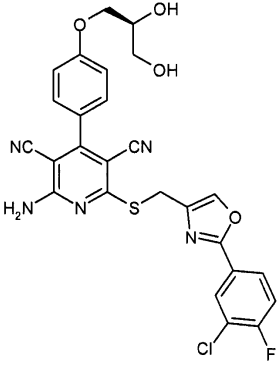
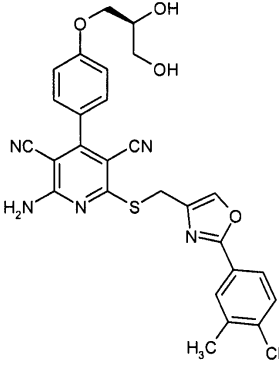
[0737]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
59	 <p>(이른치의 72%)</p>	2.42 분 (3); m/z = 532	8.19-7.91 (br. s, 2H), 7.98 (d, 1H), 7.97 (d, 1H), 7.49 (d, 2H), 7.36 (pseudo-t, 2H), 7.10 (d, 2H), 4.50 (s, 2H), 4.10 (dd, 1H), 3.97 (dd, 1H), 3.81 (q, 1H), 3.71-3.26 (br. s, 2H), 3.47 (t, 2H), 2.47 (s, 3H).
60	 <p>(이른치의 92%)</p>	2.58 분 (3); m/z = 548	8.27-7.96 (br. s, 2H), 7.93 (d, 2H), 7.58 (d, 2H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.51 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.84-3.78 (m, 1H), 3.47 (t, 2H), 2.49 (s, 3H).

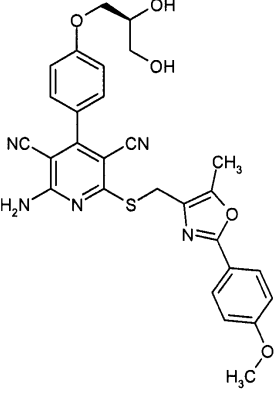
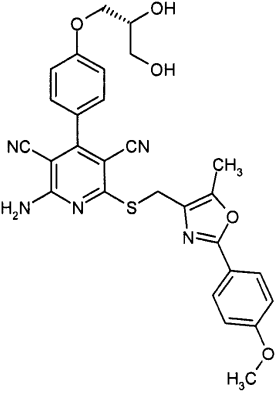
[0738]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
61	 <p>(이론치의 70%)</p>	1.79 분 (7); m/z = 532	8.20-7.92 (br. s, 2H), 7.97 (dt, 1H), 7.60-7.53 (m, 1H), 7.48 (d, 2H), 7.43-7.31 (m, 2H), 7.09 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.53 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.72 (q, 1H), 3.47 (t, 2H), 2.47 (s, 3H).
62	 <p>(이론치의 62%)</p>	1.79 분 (7); m/z = 532	8.19-7.90 (br. s, 2H), 7.97 (dt, 1H), 7.60-7.53 (m, 1H), 7.49 (d, 2H), 7.43-7.32 (m, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.52 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.71 (q, 1H), 3.47 (t, 2H), 2.47 (s, 3H).

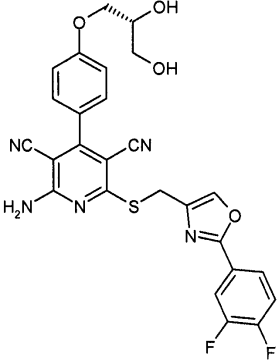
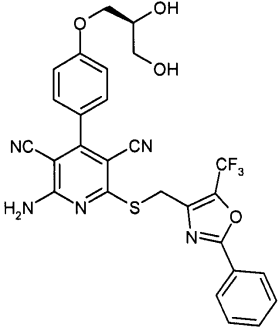
[0739]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
63	 <p>(이른치의 74%)</p>	2.54 분 (3); m/z = 552	8.39 (s, 1H), 8.31-7.89 (br. s, 2H), 8.10 (dd, 1H), 8.00-7.94 (m, 1H), 7.60 (pseudo-t, 1H), 7.47 (d, 2H), 7.09 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.41 (s, 2H), 4.08 (dd, 1H), 3.95 (dd, 1H), 3.81 (q, 1H), 3.46 (t, 2H).
64	 <p>(이른치의 99%)</p>	2.64 분 (3); m/z = 548	8.36 (s, 1H), 8.30-7.90 (br. s, 2H), 7.97 (s, 1H), 7.79 (dd, 1H), 7.57 (d, 1H), 7.46 (d, 2H), 7.09 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.86-3.77 (m, 1H), 3.47 (t, 2H), 2.41 (s, 3H).

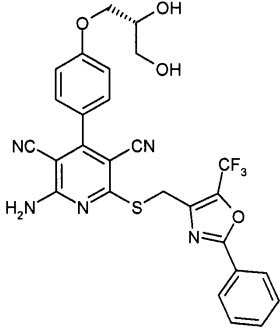
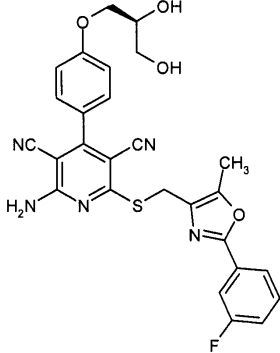
[0740]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
65	 <p>(이론치의 48%)</p>	2.39 분 (3); m/z = 544	8.21-7.91 (br. s, 2H), 7.86 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 7.05 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.49 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.79 (m, 1H), 3.81 (s, 3H), 3.48 (t, 2H), 2.43 (s, 3H).
66	 <p>(이론치의 68%)</p>	2.39 분 (3); m/z = 544	8.18-7.94 (br. s, 2H), 7.86 (d, 2H), 7.49 (d, 2H), 7.10 (d, 2H), 7.07 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.49 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.79 (m, 1H), 3.83 (s, 3H), 3.47 (t, 2H), 2.45 (s, 3H).

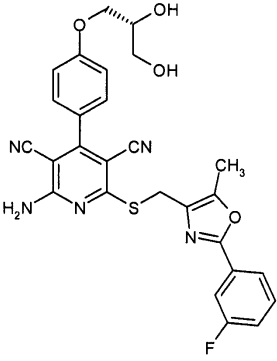
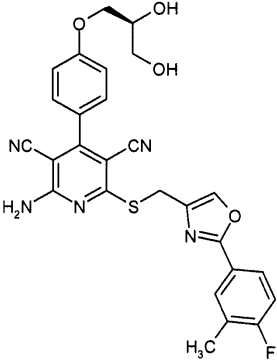
[0741]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
67	 <p>(이론치의 51%)</p>	2.02 분 (6); m/z = 536	8.39 (s, 1H), 8.34-7.91 (br. s, 2H), 7.96 (dd, 1H), 7.86-7.80 (m, 1H), 7.63 (q, 1H), 7.47 (d, 2H), 7.09 (d, 2H), 5.00 (s, 1H), 4.70 (s, 1H), 4.41 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.84-3.78 (m, 1H), 3.45 (d, 2H).
68	 <p>(이론치의 89%)</p>	2.22 분 (6); m/z = 568	8.09-7.93 (br. s, 2H), 8.04 (d, 2H), 7.68-7.57 (m, 3H), 7.50 (d, 2H), 7.11 (d, 2H), 5.00 (d, 1H), 4.71 (s, 2H), 4.70 (t, 1H), 4.09 (dd, 1H), 3.97 (dd, 1H), 3.87-3.79 (m, 1H), 3.47 (t, 2H).

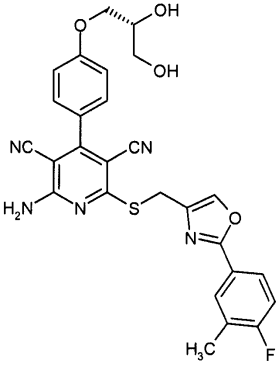
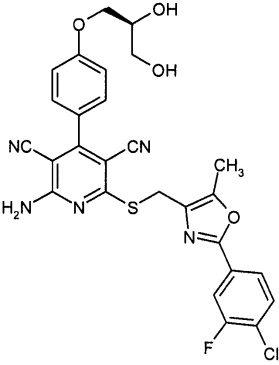
[0742]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
69	 <p>(이른치의 93%)</p>	2.22 분 (6); m/z = 568	8.09-7.90 (br. s, 2H), 8.03 (d, 2H), 7.68-7.56 (m, 3H), 7.49 (d, 2H), 7.11 (d, 2H), 5.00 (d, 1H), 4.71 (s, 2H), 4.70 (t, 1H), 4.09 (dd, 1H), 3.97 (dd, 1H), 3.86-3.79 (m, 1H), 3.48 (t, 2H).
70	 <p>(이른치의 88%)</p>	2.05 분 (6); m/z = 532	8.20-7.90 (br. s, 2H), 7.77 (d, 1H), 7.66 (dd, 1H), 7.58 (q, 1H), 7.49 (d, 2H), 7.38 (dt, 1H), 7.11 (d, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.52 (s, 2H), 4.10 (dd, 1H), 3.96 (dd, 1H), 3.85-3.79 (m, 1H), 3.47 (t, 2H), 2.48 (s, 3H).

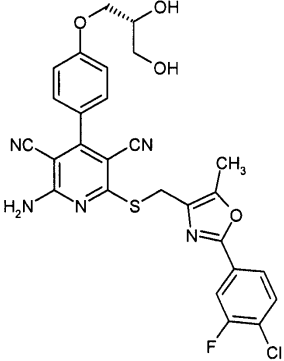
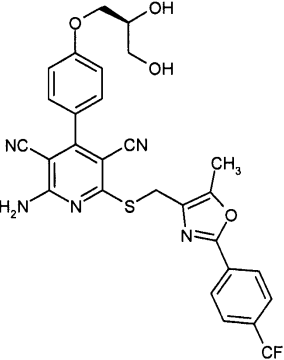
[0743]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
71	 <p>(이른치의 83%)</p>	2.05 분 (6); m/z = 532	8.19-7.92 (br. s, 2H), 7.77 (d, 1H), 7.65 (dd, 1H), 7.57 (q, 1H), 7.48 (d, 2H), 7.37 (dt, 1H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.52 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.86-3.78 (m, 1H), 3.47 (t, 2H), 2.48 (s, 3H).
72	 <p>(이른치의 88%)</p>	2.49 분 (3); m/z = 532	8.32 (s, 1H), 8.26-7.96 (br. s, 2H), 7.91 (d, 1H), 7.85-7.79 (m, 1H), 7.47 (d, 2H), 7.30 (t, 1H), 7.10 (d, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.41 (s, 2H), 4.08 (dd, 1H), 3.95 (dd, 1H), 3.85-3.77 (m, 1H), 3.46 (t, 2H), 2.32 (s, 3H).

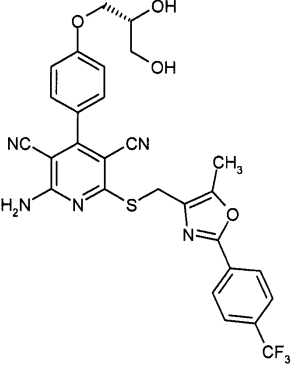
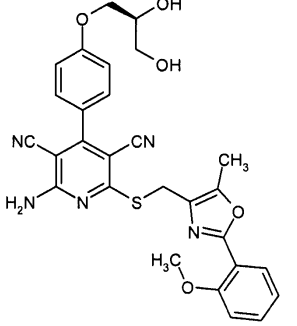
[0744]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
73	 <p>(이론치의 87%)</p>	2.50 분 (3); m/z = 532	8.32 (s, 1H), 8.27-7.97 (br. s, 2H), 7.91 (dd, 1H), 7.84-7.79 (m, 1H), 7.47 (d, 2H), 7.30 (t, 1H), 7.10 (d, 2H), 5.00 (d, 1H), 4.71 (t, 1H), 4.41 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.78 (m, 1H), 3.46 (t, 2H), 2.32 (s, 3H).
74	 <p>(이론치의 47%)</p>	2.04 분 (7); m/z = 566	8.20-7.92 (br. s, 2H), 7.85 (d, 1H), 7.77 (s, 1H), 7.76 (q, 1H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.51 (s, 2H), 4.08 (dd, 1H), 3.95 (dd, 1H), 3.86- 3.78 (m, 1H), 3.47 (t, 2H), 2.50 (s, 3H).

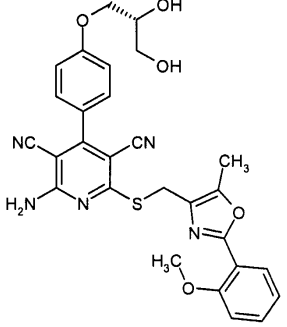
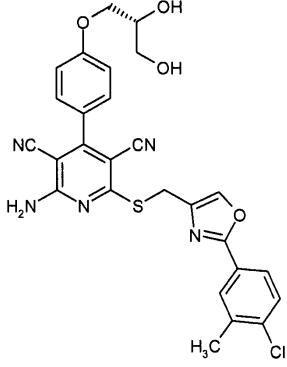
[0745]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
75	 <p>(이른치의 66%)</p>	2.05 분 (7); m/z = 566	8.22-7.95 (br. s, 2H), 7.86 (d, 1H), 7.78 (s, 1H), 7.77 (q, 1H), 7.49 (d, 2H), 7.11 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.52 (s, 2H), 4.09 (dd, 1H), 3.97 (dd, 1H), 3.86- 3.78 (m, 1H), 3.47 (t, 2H), 2.50 (s, 3H).
76	 <p>(이른치의 84%)</p>	2.57 분 (3); m/z = 582	8.18-7.96 (br. s, 2H), 8.12 (d, 2H), 7.88 (d, 2H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.53 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.78 (m, 1H), 3.47 (t, 2H), 2.49 (s, 3H).

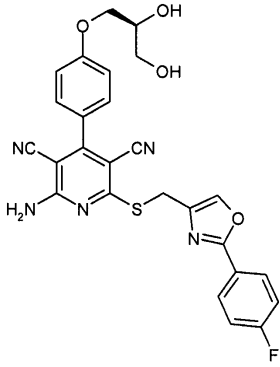
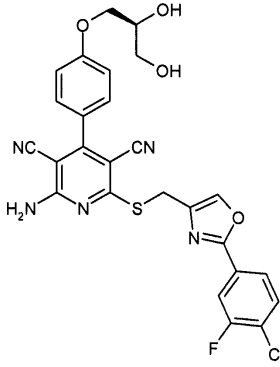
[0746]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
77	 <p>(이론치의 70%)</p>	2.58 분 (3); m/z = 582	8.18-7.97 (br. s, 2H), 8.13 (d, 2H), 7.88 (d, 2H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.53 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.78 (m, 1H), 3.47 (t, 2H), 2.49 (s, 3H).
78	 <p>(이론치의 62%)</p>	1.10 분 (14); m/z = 544	8.22-7.95 (br. s, 2H), 7.76 (dd, 1H), 7.53-7.45 (m, 1H), 7.49 (d, 2H), 7.20 (d, 1H), 7.10 (d, 2H), 7.05 (t, 1H), 5.02 (d, 1H), 4.71 (t, 1H), 4.51 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.89-3.78 (m, 1H), 3.86 (s, 3H), 3.50- 3.42 (m, 2H), 2.43 (s, 3H).

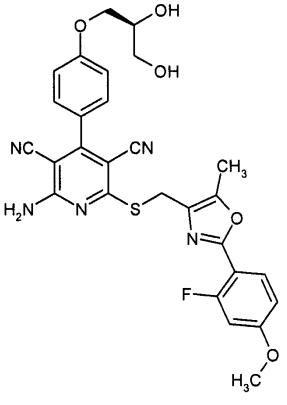
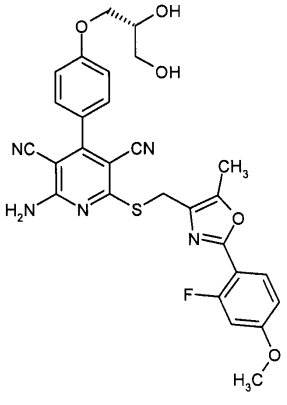
[0747]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
79	 <p>(이른치의 85%)</p>	1.10 분 (14); m/z = 544	8.18-7.96 (br. s, 2H), 7.76 (dd, 1H), 7.52-7.44 (m, 1H), 7.49 (d, 2H), 7.19 (d, 1H), 7.10 (d, 2H), 7.05 (t, 1H), 5.02 (d, 1H), 4.71 (t, 1H), 4.51 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.88-3.78 (m, 1H), 3.86 (s, 3H), 3.46 (t, 2H), 2.43 (s, 3H).
80	 <p>(이른치의 80%)</p>	2.67 분 (3); m/z = 548	8.36 (s, 1H), 8.27-7.98 (br. s, 2H), 7.97 (s, 1H), 7.79 (dd, 1H), 7.57 (d, 1H), 7.46 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.86-3.78 (m, 1H), 3.47 (t, 2H), 2.41 (s, 3H).

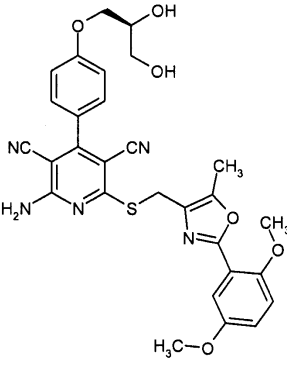
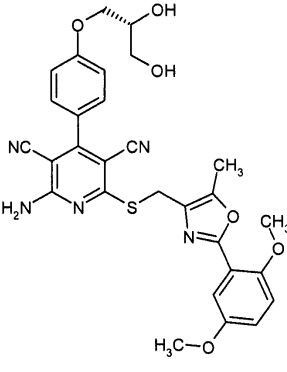
[0748]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI); m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
81	 <p>(이론치의 83%)</p>	2.38 분 (3); m/z = 518	8.34 (s, 1H), 8.27-7.91 (br. s, 2H), 8.01 (dd, 2H), 7.46 (d, 2H), 7.38 (t, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.42 (s, 2H), 4.08 (dd, 1H), 3.96 (dd, 1H), 3.85-3.77 (m, 1H), 3.47 (t, 2H).
82	 <p>(이론치의 78%)</p>	2.44 분 (3); m/z = 552	8.41 (s, 1H), 8.31-7.96 (br. s, 2H), 7.91 (dd, 1H), 7.84-7.73 (m, 2H), 7.46 (d, 2H), 7.09 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.52 (s, 2H), 4.08 (dd, 1H), 3.95 (dd, 1H), 3.86- 3.78 (m, 1H), 3.48 (t, 2H).

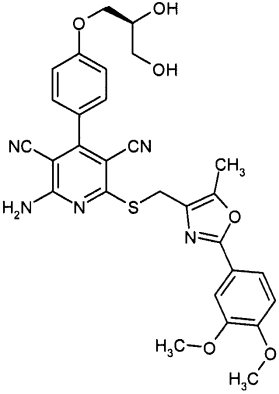
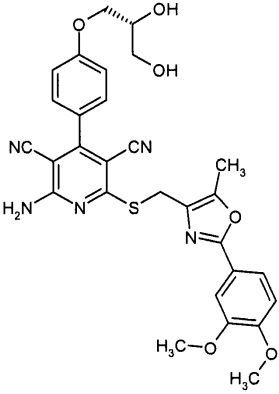
[0749]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
83	 <p>(이른치의 80%)</p>	2.28 분 (3); m/z = 562	8.15-7.96 (br. s, 2H), 7.87 (t, 1H), 7.49 (d, 2H), 7.10 (d, 2H), 7.01 (dd, 1H), 6.92 (dd, 1H), 5.00 (d, 1H), 4.69 (t, 1H), 4.51 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.86-3.78 (m, 1H), 3.84 (s, 3H), 3.47 (t, 2H), 2.45 (s, 3H).
84	 <p>(이른치의 62%)</p>	2.28 분 (3); m/z = 562	8.14-7.95 (br. s, 2H), 7.87 (t, 1H), 7.49 (d, 2H), 7.10 (d, 2H), 7.01 (dd, 1H), 6.92 (dd, 1H), 5.00 (d, 1H), 4.70 (t, 1H), 4.51 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.86-3.78 (m, 1H), 3.84 (s, 3H), 3.47 (t, 2H), 2.45 (s, 3H).

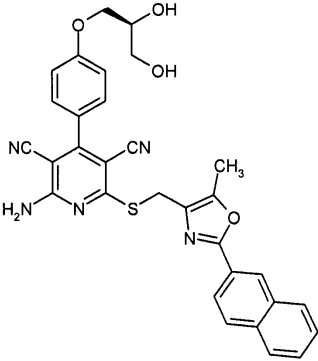
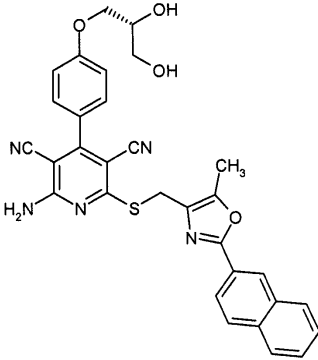
[0750]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
85	 <p>(이론치의 57%)</p>	2.19 분 (3); m/z = 574	8.14-7.97 (br. s, 2H), 7.49 (d, 2H), 7.29 (d, 1H), 7.15-7.09 (m, 3H), 7.06 (dd, 1H), 5.00 (d, 1H), 4.69 (t, 1H), 4.51 (d, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.87-3.79 (m, 1H), 3.81 (s, 3H), 3.76 (s, 3H), 3.48 (t, 2H), 2.44 (s, 3H).
86	 <p>(이론치의 63%)</p>	2.19 분 (3); m/z = 574	8.13-7.97 (br. s, 2H), 7.49 (d, 2H), 7.29 (d, 1H), 7.15-7.09 (m, 3H), 7.05 (dd, 1H), 5.00 (d, 1H), 4.70 (t, 1H), 4.51 (d, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.87-3.79 (m, 1H), 3.80 (s, 3H), 3.76 (s, 3H), 3.47 (t, 2H), 2.45 (s, 3H).

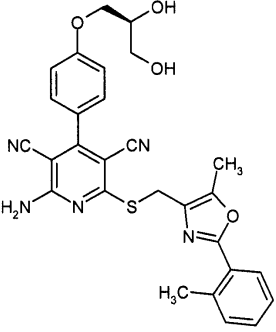
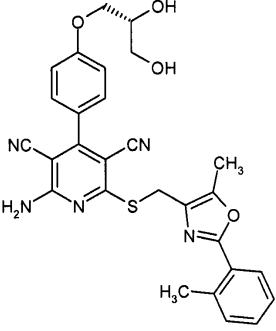
[0751]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
87	 <p>(이른치의 51%)</p>	1.69 분 (7); m/z = 574	8.15-7.98 (br. s, 2H), 7.49 (d, 2H), 7.48 (d, 1H), 7.40 (s, 1H), 7.11 (d, 2H), 7.08 (d, 1H), 5.00 (d, 1H), 4.70 (t, 1H), 4.50 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.85 (s, 3H), 3.83 (s, 3H), 3.83-3.78 (m, 1H), 3.47 (t, 2H), 2.46 (s, 3H).
88	 <p>(이른치의 63%)</p>	1.68 분 (7); m/z = 574	8.17-7.98 (br. s, 2H), 7.49 (d, 2H), 7.48 (d, 1H), 7.40 (s, 1H), 7.11 (d, 2H), 7.09 (d, 1H), 5.00 (d, 1H), 4.70 (t, 1H), 4.50 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.84 (s, 3H), 3.82 (s, 3H), 3.82-3.78 (m, 1H), 3.47 (t, 2H), 2.46 (s, 3H).

[0752]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
89	 <p>(이른치의 80%)</p>	2.61 분 (3); m/z = 564	8.52 (s, 1H), 8.18-7.95 (br. s, 2H), 8.14-8.07 (m, 1H), 8.03 (s, 2H), 8.00-7.95 (m, 1H), 7.59 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.54 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.78 (m, 1H), 3.47 (t, 2H), 2.50 (s, 3H).
90	 <p>(이른치의 85%)</p>	2.61 분 (3); m/z = 564	8.52 (s, 1H), 8.20-7.94 (br. s, 2H), 8.13-8.07 (m, 1H), 8.04 (s, 2H), 8.00-7.94 (m, 1H), 7.62-7.57 (m, 2H), 7.49 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.55 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.86-3.78 (m, 1H), 3.46 (t, 2H), 2.50 (s, 3H).

[0753]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
91	 <p>(이론치의 80%)</p>	1.95 분 (7); m/z = 528	8.18-7.95 (br. s, 2H), 7.86 (d, 1H), 7.48 (d, 2H), 7.41-7.29 (m, 3H), 7.10 (d, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.52 (s, 2H), 4.10 (dd, 1H), 3.95 (dd, 1H), 3.85-3.78 (m, 1H), 3.48 (t, 2H), 2.60 (s, 3H), 2.47 (s, 3H).
92	 <p>(이론치의 77%)</p>	1.95 분 (7); m/z = 528	8.17-7.94 (br. s, 2H), 7.86 (d, 1H), 7.49 (d, 2H), 7.41-7.30 (m, 3H), 7.11 (d, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.52 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.85-3.78 (m, 1H), 3.47 (t, 2H), 2.60 (s, 3H), 2.48 (s, 3H).

[0754]

수율: 19 mg (이른치의 47%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 13.19 (s, 1H), 8.23-7.96 (br. s, 2H), 8.09-8.02 (m, 4H), 7.48 (d, 2H), 7.10 (d, 2H), 4.69 (s, 1H), 4.53 (s, 2H), 3.80 (s, 2H), 2.50 (s, 3H), 1.21 (s, 6H).

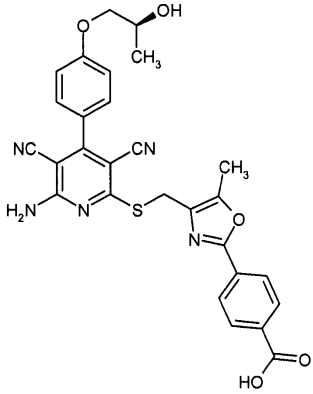
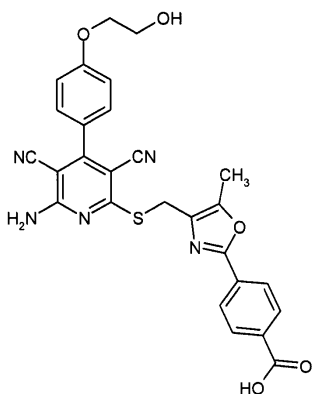
LC-MS (방법 3): R_t = 2.41 분; MS (ESIpos): m/z = 556 [M+H]⁺.

[0760]

[0761]

[0762]

10 : 95
< 10 >

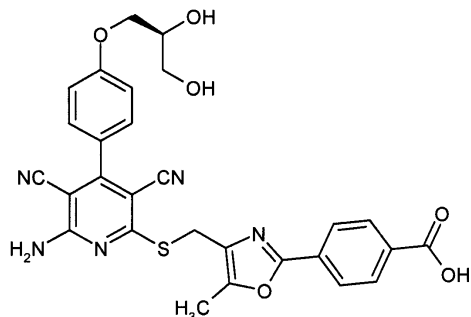
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
96	 <p>(이른치의 15%)</p>	2.32 분 (3); m/z = 542	13.20 (s, 1H), 8.18-7.98 (br. s, 2H), 8.08-8.01 (m, 4H), 7.48 (d, 2H), 7.09 (d, 2H), 4.92 (d, 1H), 4.53 (s, 2H), 4.02-3.94 (m, 1H), 3.93-3.86 (m, 2H), 2.49 (s, 3H), 1.18 (d, 3H).
97	 <p>(이른치의 15%)</p>	1.73 분 (17)	13.19 (s, 1H), 8.29-7.95 (br. s, 2H), 8.10-7.99 (m, 4H), 7.48 (d, 2H), 7.10 (d, 2H), 4.95-4.88 (m, 1H), 4.52 (s, 2H), 4.08 (t, 2H), 3.78-3.70 (m, 2H), 2.48 (s, 3H).

[0763]

[0764]

[0765]

98
4 [4 ({ [6 - 3, 5 - 4 (4 { [(2S) - 2, 3] }) - 2] }) - 5 - 1, 3 - 2]



[0766]

[0767]

57 63 ng (0.11 nmol) 3 mL THF 1 M 220
 (0.22 nmol) 140 15
 3 mL 0.5 mL
 1 N pH 4 HPLC
 (: YMC GEL CDS-AQ S-5 / 15 ; : / 10:90 95:5).

수율: 3 mg (이론치의 5%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 13.19 (br. s, 1H), 8.19-7.91 (m, 6H), 7.49 (d, 2H), 7.11 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.53 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.82 (q, 1H), 3.46 (t, 2H), 2.50 (s, 3H).

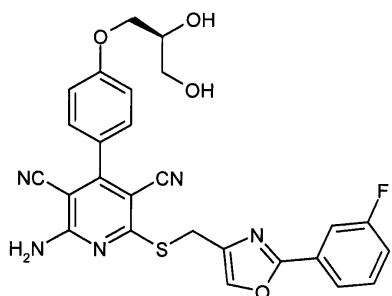
[0768]

LC-MS (방법 11): R_t = 1.56 분; MS (ESIpos): m/z = 558 [M+H]⁺.

[0769]

[0770]

99
 2- -4 (4 { [(2S)-2,3-] })-6 ({[2-(3-)-1,3- -4] })
) -3,5-



[0771]

[0772]

10A 75 ng (0.17 nmol) 21A 38 ng (0.18 nmol) 2 mL
 DMF 50 ng (0.36 nmol) 8
 2 N 0.82 mL (1.65 nmol) 1
 HPLC (: YMC GEL CDS-AQ S-5
 / 15 ; : / 10:90 95:5).

수율: 17 mg (이론치의 20%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.39 (s, 1H), 8.27-7.89 (br. s, 2H), 7.81 (d, 1H), 7.70 (d, 1H), 7.59 (q, 1H), 7.46 (d, 2H), 7.39 (dt, 1H), 7.09 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.94 (dd, 1H), 3.81 (q, 1H), 3.47 (t, 2H).

[0773]

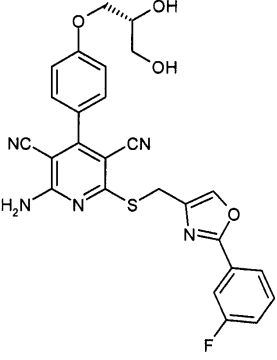
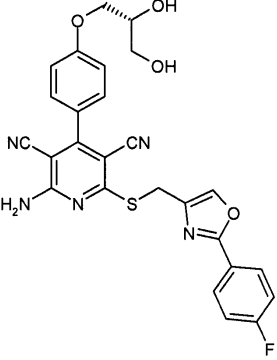
LC-MS (방법 2): R_t = 2.06 분; MS (ESIpos): m/z = 518 [M+H]⁺.

[0774]

11 99 :

[0775]

< 11 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
100	 <p>(이론치의 23%)</p>	2.39 분 (10); m/z = 518	8.38 (s, 1H), 8.29-7.90 (br. s, 2H), 7.81 (d, 1H), 7.71 (d, 1H), 7.59 (q, 1H), 7.48 (d, 2H), 7.40 (dt, 1H), 7.09 (d, 2H), 5.00 (d, 1H), 4.69 (t, 1H), 4.42 (s, 2H), 4.08 (dd, 1H), 3.94 (dd, 1H), 3.81 (q, 1H), 3.46 (t, 2H).
101	 <p>(이론치의 39%)</p>	2.08 분 (2); m/z = 518	8.33 (s, 1H), 8.27-7.93 (br. s, 2H), 8.03 (d, 1H), 8.01 (d, 1H), 7.48 (d, 2H), 7.38 (t, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.42 (s, 2H), 4.09 (dd, 1H), 3.96 (dd, 1H), 3.87-3.78 (m, 1H), 3.47 (t, 2H).

[0776]

수율: 48 mg (이론치의 91%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.20-7.91 (br. s, 2H), 7.49 (d, 2H), 7.35 (pseudo-t, 2H), 7.09 (d, 2H), 4.91 (d, 1H), 4.50 (s, 2H), 4.02-3.94 (m, 1H), 3.92-3.86 (m, 2H), 2.48 (s, 3H), 1.18 (s, 3H).

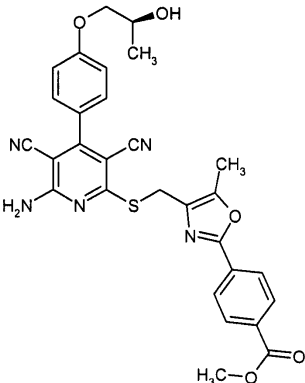
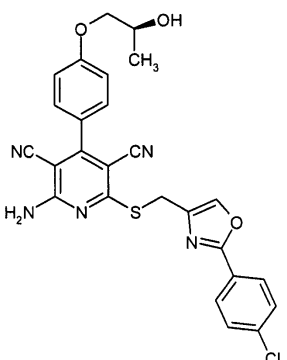
LC-MS (방법 3): R_t = 2.67 분; MS (ESIpos): m/z = 516 [M+H]⁺.

[0782]

[0783]

[0784]

12 : 104
< 12 >

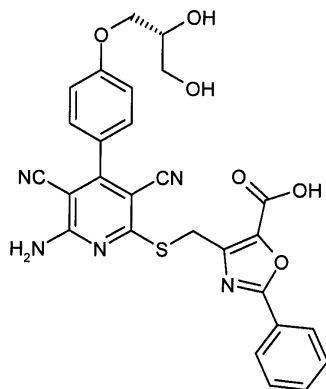
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
105	 <p>(이론치의 74%)</p>	2.64 분 (3); m/z = 556	8.20-7.95 (br. s, 2H), 8.11-8.03 (m, 4H), 7.48 (d, 2H), 7.10 (d, 2H), 4.92 (d, 1H), 4.54 (s, 2H), 4.03-3.94 (m, 1H), 3.91-3.85 (m, 2H), 3.89 (s, 3H), 1.18 (d, 3H).
106	 <p>(이론치의 55%)</p>	2.76 분 (3); m/z = 518	8.37 (s, 1H), 8.27-7.91 (br. s, 2H), 7.97 (d, 2H), 7.61 (d, 2H), 7.47 (d, 2H), 7.09 (d, 2H), 4.92 (d, 1H), 4.42 (s, 2H), 4.02-3.93 (m, 1H), 3.92-3.85 (m, 2H), 1.18 (d, 3H).

[0785]

[0786]

[0787]

107
4 (([6 - 3, 5 - 4 (4 { [(2R) - 2, 3] }) - 2] }) - 2 - 1, 3 - 5



[0788]

[0789]

103 30 ng (0.05 nmol) 1 N 0.22 ml (0.22 nmol) 2 ml
 1, 2- , 2 ml 0.5 ml , 3
 , 2 ml . 1 N pH 4

수율: 8 mg (이론치의 26%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 14.15-13.93 (br. s, 1H), 8.08-7.92 (br. s, 2H), 8.04 (d, 2H), 7.67-7.57 (m, 3H), 7.50 (d, 2H), 7.11 (d, 2H), 5.05-4.97 (br. s, 1H), 4.71 (s, 2H), 4.74-4.66 (br. s, 1H), 4.09 (dd, 1H), 3.99-3.89 (m, 1H), 3.87-3.79 (br. s, 1H), 3.51-3.43 (m, 2H).

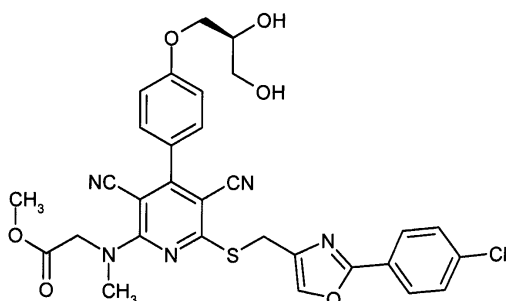
LC-MS (방법 3): R_t = 2.19 분; MS (ESIpos): m/z = 544 [M+H]⁺.

[0790]

[0791]

[0792]

108
 N [6 - { ([2 - (4 -) - 1, 3 - 4]) }) - 3, 5 - 4 (4 { ((2S) - 2, 3 -) }) - 2] - N



[0793]

[0794]

103A 108 ng (0.20 nmol) 3 ml DMF , N
 54 ng (0.39 nmol) 59 ng (0.59 nmol)
 8 HPLC
 (: YMC GEL CDS-AQ S-5 / 15 ; : / 10:90 95:5).

수율: 13 mg (이론치의 11%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.19 (s, 1H), 7.98 (d, 2H), 7.62 (d, 2H), 7.55 (d, 2H), 7.11 (d, 2H), 5.01 (d, 1H), 4.71 (t, 1H), 4.61 (s, 2H), 4.41 (s, 2H), 4.09 (dd, 1H), 3.97 (dd, 1H), 3.87-3.78 (m, 1H), 3.65 (s, 3H), 3.51-3.43 (m, 5H).

LC-MS (방법 5): R_t = 3.65 분; MS (ESIpos): m/z = 620 [M+H]⁺.

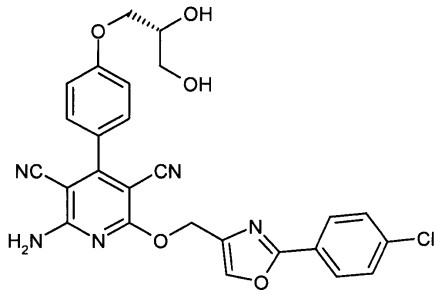
[0795]

[0796]

[0797]

109
 2- - 6 - { [2 - (4 -) - 1, 3 - 4] } - 4 (4 { ((2R) - 2, 3 -) }) -

3, 5-



[0798]

[0799]

101A 65 ng (0.12 nmol) 6 mL, 3 mL
30, 70, 30

HPLC

(: YMC GEL CDS-AQ S-5 / 15 ; : / 10:90 95:5).

수율: 60 mg (이론치의 100%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.48 (s, 1H), 8.25-7.80 (br. s, 2H), 8.01 (d, 2H), 7.61 (d, 2H), 7.47 (d, 2H), 7.10 (d, 2H), 5.42 (s, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.87-3.77 (m, 1H), 3.48 (t, 2H).

LC-MS (방법 3): R_t = 2.30 분; MS (ESIpos): m/z = 518 [M+H]⁺.

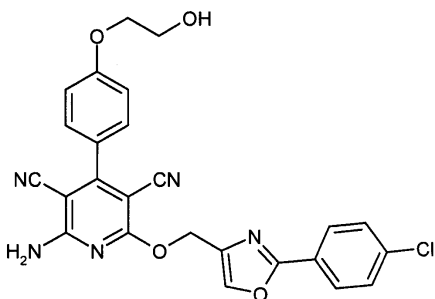
[0800]

[0801]

[0802]

110

2- -6- { [2- (4-) - 1, 3- -4] } - 4 [4- (2-)] - 3, 5-



[0803]

[0804]

tert- 72 ng (0.64 nmol) 1 mL, 1, 2- 100A
270 ng (1.29 nmol) 99A 50 ng (0.13 nmol)
60 2, 8
, 5 mL 1 mL 2 N
HPLC (: YMC GEL CDS-AQ S-5 / 15 ; :
/ 10:90 95:5).

수율: 44 mg (이론치의 70%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.48 (s, 1H), 8.18-7.85 (br. s, 2H), 8.00 (d, 2H), 7.62 (d, 2H), 7.48 (d, 2H), 7.11 (d, 2H), 5.41 (s, 2H), 4.91 (t, 1H), 4.08 (t, 2H), 3.73 (q, 2H).

LC-MS (방법 14): R_t = 1.22 분; MS (ESIpos): m/z = 488 [M+H]⁺.

[0805]

[0806]

13

110

YMC GEL CDS-AQ S-5 / 15 ; : / 10:90 95:5) , HPLC
 (: (Waters Sunfire) C 18 5 , 250 mm x 20 mm : /
 55:45 -> 5:95 ; : 25 ml/ ; : 30 ; : 210 nm).

수율: 56 mg (이론치의 14%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.07-7.87 (br. s, 2H), 8.03-7.98 (m, 2H), 7.48 (d, 2H), 7.37 (t, 2H), 7.10 (d, 2H), 5.40 (s, 2H), 4.91 (t, 1H), 4.08 (t, 2H), 3.74 (q, 2H), 2.49 (s, 3H).

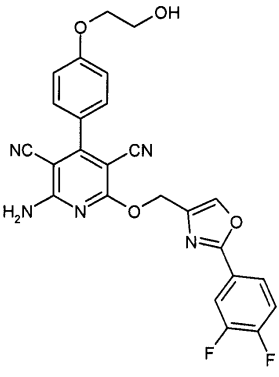
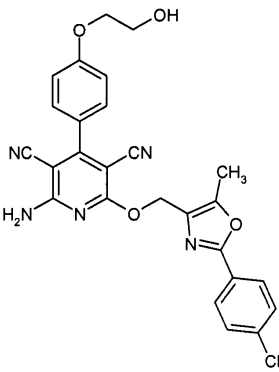
LC-MS (방법 14): R_t = 1.18 분; MS (ESIpos): m/z = 486 [M+H]⁺.

[0813]

[0814]

[0815]

14 113 :
 < 14 >

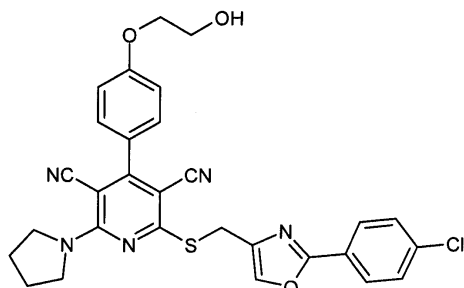
실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
114	 <p>(이론치의 29%)</p>	2.33 분 (9); m/z = 490	8.51 (s, 1H), 8.25-7.80 (br. s, 2H), 8.01 (dt, 1H), 7.90-7.82 (m, 1H), 7.62 (q, 1H), 7.48 (d, 2H), 7.11 (d, 2H), 5.41 (s, 2H), 4.93 (t, 1H), 4.08 (t, 2H), 3.23 (q, 2H).
115	 <p>(이론치의 16%)</p>	1.26 분 (14); m/z = 502	8.08-7.95 (br. s, 2H), 7.96 (d, 2H), 7.60 (d, 2H), 7.48 (d, 2H), 7.11 (d, 2H), 5.41 (s, 2H), 4.90 (t, 1H), 4.07 (t, 2H), 3.73 (q, 2H), 2.50 (s, 3H).

[0816]

[0817]

[0818]

116
 2-([2-(4-)-1,3- -4]))-4-[4(2-)]-6(-1-) -
 3,5-



[0819]

[0820]

123A 80 ng (0.15 nmol) 2 mL THF , 22 ng (0.31 nmol)

HPLC (: YMC GEL CDS-AQS-5 / 15 ; , 2 mL ,)

/ 10:90 95:5)

수율: 26 mg (이론치의 30%)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.20 (s, 1H), 7.97 (d, 2H), 7.61 (d, 2H), 7.49 (d, 2H), 7.11 (d, 2H), 4.91 (t, 1H), 4.51 (s, 2H), 4.09 (t, 2H), 3.91-3.81 (br. s, 4H), 3.74 (q, 2H), 2.02-1.91 (br. s, 4H).

LC-MS (방법 3): R_t = 3.02 분; MS (ESIpos): m/z = 558 [M+H]⁺.

[0821]

[0822]

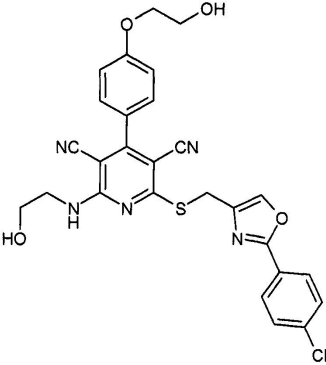
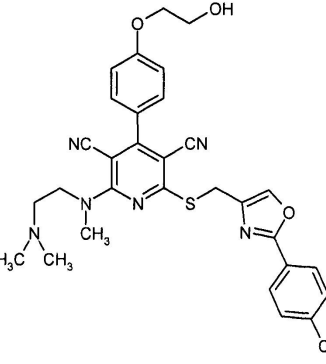
15

116

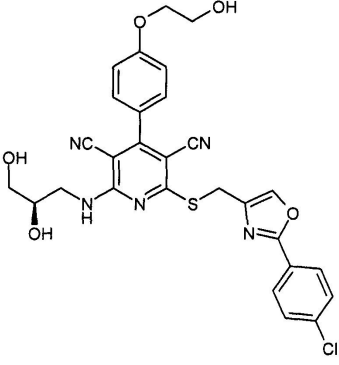
:

[0823]

< 15 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
117	 <p>(이론치의 37%)</p>	2.53 분 (3); m/z = 548	8.20 (s, 1H), 8.04-7.92 (m, 1H), 7.97 (d, 2H), 7.62 (d, 2H), 7.49 (d, 2H), 7.12 (d, 2H), 4.91 (t, 1H), 4.83 (t, 1H), 4.51 (s, 2H), 4.08 (t, 2H), 3.73 (q, 2H), 3.67-3.61 (m, 2H), 3.61-3.53 (m, 2H).
118	 <p>(이론치의 30%)</p>	1.75 분 (3); m/z = 589	8.19 (s, 1H), 7.97 (d, 2H), 7.61 (d, 2H), 7.50 (d, 2H), 7.10 (d, 2H), 4.91 (t, 1H), 4.51 (s, 2H), 4.08 (t, 2H), 3.89 (t, 2H), 3.75 (q, 2H), 3.40 (s, 3H), 3.35-3.29 (m, 2H), 2.14 (s, 6H).

[0824]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
119	 <p>(이론치의 34%)</p>	2.36 분 (3); m/z = 578	8.21 (s, 1H), 7.98 (d, 2H), 7.90 (t, 1H), 7.60 (d, 2H), 7.48 (d, 2H), 7.11 (d, 2H), 4.95 (d, 1H), 4.91 (t, 1H), 4.73 (t, 1H), 4.57 (d, 1H), 4.50 (d, 1H), 4.08 (t, 2H), 3.72-3.69 (m, 4H), 3.59-3.48 (m, 1H), 3.45-3.34 (m, 2H).

[0825]

[0826]

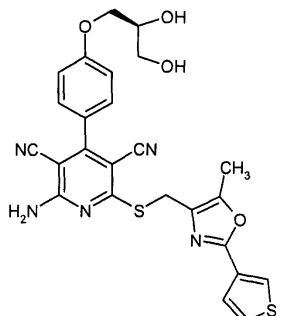
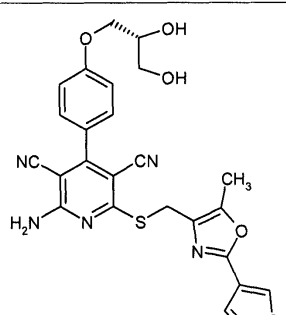
16

48

:

[0827]

< 16 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
120	 <p>(이론치의 79%)</p>	2.25 분 (3); m/z = 520	8.19-7.93 (br. s, 2H), 8.12 (d, 1H), 7.71 (dd, 1H), 7.53 (d, 1H), 7.48 (d, 2H), 7.10 (d, 2H), 5.00 (d, 1H), 4.70 (t, 1H), 4.49 (s, 2H), 4.09 (dd, 1H), 3.95 (dd, 1H), 3.87- 3.78 (m, 1H), 3.47 (t, 2H), 2.45 (s, 3H).
121	 <p>(이론치의 74%)</p>	2.25 분 (3); m/z = 520	8.18-7.93 (br. s, 2H), 8.11 (d, 1H), 7.71 (dd, 1H), 7.52 (d, 1H), 7.48 (d, 2H), 7.11 (d, 2H), 5.01 (d, 1H), 4.70 (t, 1H), 4.49 (s, 2H), 4.09 (dd, 1H), 3.94 (dd, 1H), 3.85- 3.78 (m, 1H), 3.46 (t, 2H), 2.44 (s, 3H).

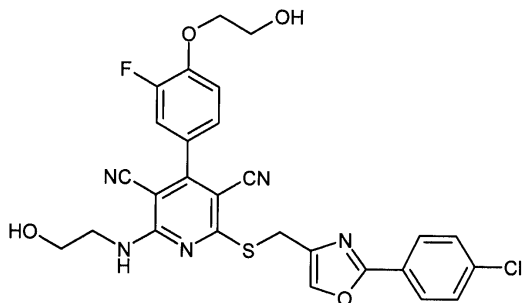
[0828]

[0829]

122

[0830]

2-({[2-(4-)-1,3- -4] })-4[3- -4(2-)]-6-[(2-)]-3,5-



[0831]

[0832]

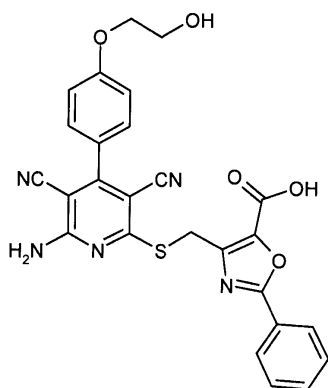
2- -6-({[2-(4-)-1,3- -4] })-4[3- -4(2-)]-3,5- (125A) 25 ng (0.046 nmol) 1 mL THF , 6 2- 30 HPLC (: / 10:90 95:5). 24 ng (94)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.20 (s, 1H), 8.08 (t, 1H), 7.98 (d, 2H), 7.61 (d, 2H), 7.51 (dd, 1H), 7.39-7.31 (m, 2H), 4.51 (s, 2H), 4.16 (t, 2H), 3.76 (t, 2H), 3.67-3.62 (m, 2H), 3.58-3.55 (m, 2H).

LC-MS (방법 3): R_t = 2.52 분; MS (ESIpos): m/z = 566 [M+H]⁺.

123

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



4 [((6 - 3, 5 - 4 [4 (2 -)] - 2 -)] - 2 - 1, 3 - 5 -
 5- (36) 100 ng (0.190 nmol) 6 ml THF , 1 N
 379 (0.379 nmol) , 30 ,
 , 1 N ,
 HPLC (: / 10:90 95:5) . 23 ng (23)

¹H-NMR (400 MHz, DMSO-d₆): δ = 8.03 (dd, 2H), 7.98-7.95 (m, 2H), 7.63-7.56 (m, 3H), 7.50 (d, 2H), 7.11 (d, 2H), 4.80 (s, 2H), 4.08 (t, 2H), 3.74 (t, 2H).

LC-MS (방법 3): R_t = 2.38 분; MS (ESIpos): m/z = 514 [M+H]⁺.

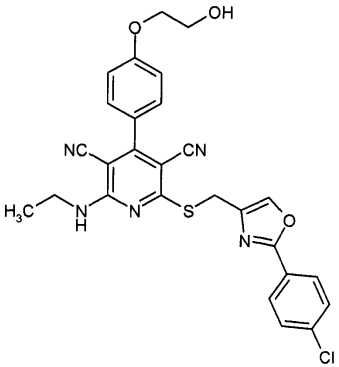
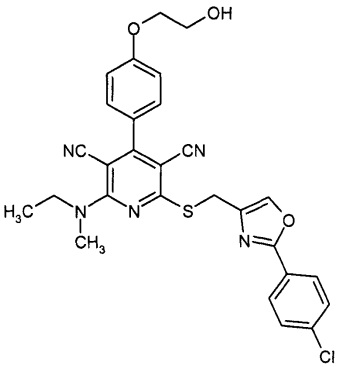
17

116

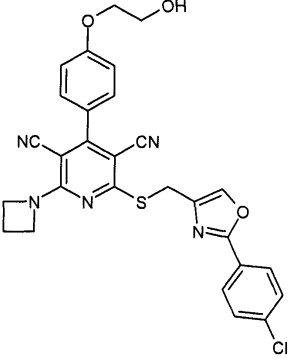
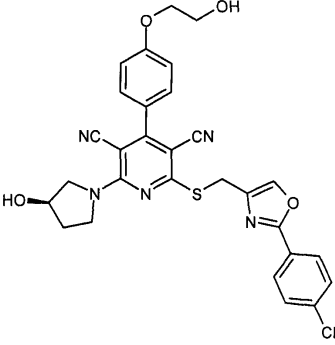
:

[0840]

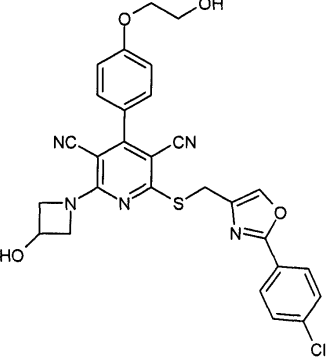
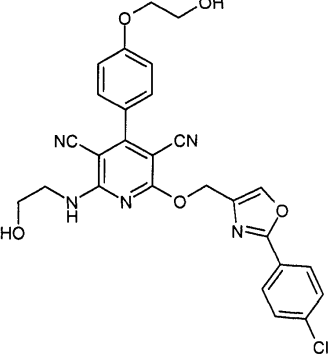
< 17 >

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
124	 <p>(이론치의 67%)</p>	2.81 분 (3); m/z = 532	8.21 (t, 1H), 8.18 (s, 1H), 7.97 (d, 2H), 7.61 (d, 2H), 7.48 (d, 2H), 7.11 (d, 2H), 4.50 (s, 2H), 4.09 (t, 2H), 3.73 (t, 2H), 3.53 (q, 2H), 1.11 (t, 3H).
125	 <p>(이론치의 72%)</p>	2.87 분 (3); m/z = 546	8.19 (s, 1H), 7.98 (d, 2H), 7.62 (d, 2H), 7.51 (d, 2H), 7.10 (d, 2H), 4.90 (br. s, 1H), 4.50 (s, 2H), 4.08 (t, 2H), 3.80 (q, 2H), 3.73 (t, 2H), 3.32 (s, 3H), 1.20 (t, 3H).

[0841]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
126	 <p>(이론치의 40%)</p>	2.39 분 (7); m/z = 544	8.18 (s, 1H), 7.98 (d, 2H), 7.62 (d, 2H), 7.44 (d, 2H), 7.10 (d, 2H), 4.89 (br. s, 1H), 4.58-4.34 (m, 6H), 4.09 (t, 2H), 3.73 (t, 2H), 2.39 (quin, 2H).
127	 <p>(이론치의 84%)</p>	2.07 분 (7); m/z = 574	8.19 (s, 1H), 7.97 (d, 2H), 7.61 (d, 2H), 7.48 (d, 2H), 7.10 (d, 2H), 5.14 (d, 1H), 4.90 (t, 1H), 4.52 (s, 2H), 4.41 (br. s, 1H), 4.08 (t, 2H), 4.01-3.82 (m, 3H), 3.80-3.71 (m, 3H), 2.09-1.88 (m, 2H).

[0842]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
128	 <p>(이론치의 52%)</p>	2.06 분 (7); m/z = 560	8.18 (s, 1H), 7.98 (d, 2H), 7.62 (d, 2H), 7.45 (d, 2H), 7.10 (d, 2H), 5.88 (d, 1H), 4.90 (t, 1H), 4.73-4.55 (m, 3H), 4.48 (s, 2H), 4.18 (d, 2H), 4.08 (t, 2H), 3.73 (q, 2H).
129	 <p>(이론치의 65%)*</p>	2.37 분 (3); m/z = 532	8.38 (s, 1H), 8.09-7.97 (m, 3H), 7.62 (d, 2H), 7.46 (d, 2H), 7.10 (d, 2H), 5.50 (s, 2H), 4.91 (t, 1H), 4.86-4.80 (m, 1H), 4.08 (t, 2H), 3.73 (q, 2H), 3.64-3.57 (m, 4H).

[0843]

실시예 번호	구조식 (수율)	LC-MS: R _t [분] (방법); MS (ESI): m/z [M+H] ⁺	¹ H-NMR (DMSO-d ₆): δ =
130	<p>(이른치의 60%)*</p>	2.43 분 (3); m/z = 544	8.36 (s, 1H), 8.00 (d, 2H), 7.62 (d, 2H), 7.45 (d, 2H), 7.10 (d, 2H), 5.89 (d, 1H), 5.46 (s, 2H), 4.90 (t, 1H), 4.75-4.56 (m, 3H), 4.25-4.07 (m, 4H), 3.73 (q, 2H).

* 용매로서 (THF 대신에) DMF 중에서 반응을 수행하였다.

[0844]

[0845]

[0846]

[0847]

[0848]

B _____

B-1. _____

CHD () A1, A2a A2b cDNA

A2a A2b G_s A1 G_s cAMP

[0849]

10 FCS () DMEMF12 37 5 CO₂

2 3 1:10 2000 384

, 37 48 (130 nM , 5

nM , 2 nM , 20 nM HEPES, 1 nM 6 , 5 nM , pH 7.4

. DMSO 5 × 10⁻¹¹ M 3 × 10⁻⁶ M ()

(DMSO : 0.5) . 10 , A1

, 10 , 3 X100, 25 nM TrisHCl, 2 nM (DTT), pH 7.8 50

(2.5 nM ATP, 0.5 nM , 0.1 nM A, 10 nM , 1.35 nM ,

15 nM DTT, pH 7.8) 35 , 1 ,

. EC₅₀ (, A1 50

, A2b A2a 50)

- NECA (5-

N) [Klotz, K N, Hessling, J., Hegler,

J., Gwan, C., Kull, B., Fredholm, B B., Lohse, M.J., "Comparative pharmacology of human adenosine

receptor subtypes - characterization of stably transfected receptors in CHO cells", *Naunyn-Schmiedeberg's Arch. Pharmacol.*, 357 (1998), 1-9].

[0850]

18

A1, A2a A2b

EC₅₀

[0851]

< 18 >

실시예 번호	EC ₅₀ A1 [nM] (1 μM 포르스콜린)	EC ₅₀ A2a [nM]	EC ₅₀ A2b [nM]
10	0.5	1130	922
11	0.3	703	845
31	0.9	467	315
48	0.3	138	4.4
49	0.4	300	100
50	0.4	3000	118
57	0.2	525	44
60	0.3	3000	236
61	0.7	439	221
66	0.9	575	370
80	0.8	461	89
81	0.3	64	20
93	8.9	522	336
95	0.5	3000	3000
101	0.4	72	226
106	0.3	318	48

[0852]

실시에 번호	EC ₅₀ A1 [nM] (1 μM 포르스쿨린)	EC ₅₀ A2a [nM]	EC ₅₀ A2b [nM]
110	0.3	497	95
114	0.2	1970	969
116	0.4	3000	698
117	0.2	1440	1090
119	0.3	1950	3000
122	4.1	3000	2250
126	0.5	684	78
127	0.4	984	283
128	0.1	1050	237
129	0.4	3000	3000
130	0.6	3000	245

[0853]

[0854]

[0855]

B-2 _____

[0856]

[0857]

B-3 _____

SHR () () .
24

[0858]

[0859]

B-4 _____ (narnoxet)

() . , , 6 24

[0860]

[0861]

B-5 _____

(, , ,) ,
LC/MS-MS
ALC (-), C_{max} (), T_{1/2} ()
CL ()

[0862]

[0863]

B-6 _____

_____:

[0864]

PBS pH 6.5: 90.00 g NaCl p.a. (, (Merck), 1.06404 1000), 13.61 g
KH₂PO₄ p.a. (, 1.04873 1000) 83.35 g 1 N (,
(Bernd Kraft GmbH), 01030 4000) 1
1 1

- [0865] PEG/ (70:30 v/v): 70 mL 400 (, , 8 17003 1000)
30 mL 100 mL
- [0866] PEG/PBS pH 6.5 (20:80 v/v): 20 mL 400 (, ,
8 17003 1000) 80 mL PBS pH 6.5 100 mL
- [0867] (, (Baker), 7157.2500)
- [0868]
- [0869] _____ () _____:
- [0870] 4 ng 10 nm V
((Glastechnik Grafenroda GmbH, 8004-VMH/V15µ)
, DMSO 50 ng/mL , 10
- [0871] _____:
- [0872] () : 10
, DMSO 600 /mL
- [0873] 1 (20 /mL): DMSO 1000 34.4 ,
- [0874] 2 (2.5 /mL): DMSO 700 1 100 ,
- [0875] _____:
- [0876] PBS (pH 6.5) 5 g/ : 10
, PBS (pH 6.5) 1000
- [0877] PEG/ (70:30) 5 g/ : 10
, PEG/ (70:30) 1000
- [0878] PEG/PBS (pH 6.5, 20:80) 5 g/ : 10
, PEG/PBS (pH 6.5, 20:80) 1000
- [0879] _____:
- [0880] (Eppendorf Thermomixer confort) 5355 000.011, 5362 000.019
20 24 1400 rpm 180 ,
(Beckman Polyallomer Centrifuge Tubes, 343621) 223
000 x g 1 (, (Beckman Optima) L-90K ,
42.2 Ti , 42 000 rpm). 100 , DMSO 1:5 1:100
HPLC
- [0881] _____:
- [0882] RP-HPLC DMSO 2-
ng/ : 1) 2.5 ng/mL; 2) 20 /mL; 3)
1:5; 4) 1:100
- [0883] _____ HPLC _____:
- [0884] DAD (G1315A), (quat.) (G1311A), CTC HIS PAL, (G1322A)
(G1316A) 1100 : C18, 50 mm x 2 mm 5 µ; : 40 ; A
/ pH 2 B ; : 0.7 mL/ ; : 0.05 85 A 15 B (ramp): 0.5-3
10 A 90 B 3-3.5 10 A 90 B : 3.5-4 85 A 15 B 4-5 85 A 15 B
- [0885] _____ HPLC _____:
- [0886] DAD (G1315A), (G1311A), CTC HIS PAL, (G1322A)

(G1316A) 1100 : (VDSoptilab) 100 C18, 60 nm x 2.1 mm, 3.5
μ; : 30 ; A + 5 mL / ; B : 0.75 ml/ ; : 0-
0.5 98 A 2 B : 0.5-4.5 10 A 90 B 4.5-6 10 A 90 B : 6.5-6.7 98
A 2 B 6.7-7.5 98 A 2 B

[0887] B-7. _____

[0888] _____, _____ (_____, _____)

[0889] I II
10 20 μ M _____, _____ 1 2 nM
, 1:100 _____ 37 50
nM (pH 7.4) , 1 mM NADP⁺, 10 nM 6- 1 6-
NADPH
37 (Williams) E _____ 0 4
_____ (_____ 30) _____ 15 000 x g
_____ -20 _____

[0890] _____ (HPLC-UV-MS/MS)
_____ C18 _____ 10 nM
_____ MS/MS _____ UV

[0891] C _____

[0892] _____

[0893] _____:

[0894] _____:

[0895] 100 ng () 50 ng () 50 ng (PVP 25)
((BASF),) 10 ng 2 ng

[0896] 212 ng 8 nm 12 nm

[0897] _____:

[0898] _____, _____ 5 PVP (nm) _____
_____ 5 _____ (_____)
_____). _____ 15 kN _____

[0899] _____:

[0900] _____:

[0901] 1000 ng (96) 1000 ng (Rhodi gel[®]) (FMC (_____)
) 400 ng 99 g 10 ml _____ 100 ng _____

[0902] _____:

[0903] _____

[0904] _____:

[0905] _____:

[0906] 500 ng 2.5 g 400 97 g 20 g
100 ng _____

[0907] _____:

[0908]

[0909] _____:

[0910] 400) (, , 5 / 30 PEG