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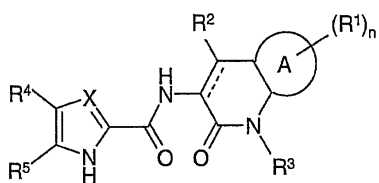
(74)

:

(54)

1 , 가 가

1



X N CH ;
 R⁴ R⁵ -S-C(R⁶)=C(R⁷)- , -C(R⁷)=C(R⁶)-S- ;
 R⁶ R⁷ , , C₁₋₄ ;
 A ;
 n 0, 1 2 ;
 R¹ , , , , ;
 R² , ;
 R³ , , , , C₁₋₄ (1 2 R⁸);
 R⁸ , , -COCOOR⁹ , -C(O)N(R⁹)(R¹⁰), -NHC(O)R⁹ , -NHSO₂R⁹ , (R⁹)(R¹⁰)N-
 -COOR⁹ ;
 R⁹ R¹⁰ , , , C₁₋₄ (1 2 R¹³) ;
 R¹³ , , C₁₋₄ .

가 , 가 가
 가 , ,

(glycaemia) , (euglyc
 aemia) 가 , (HGO) 가 , 2 [, FPG > 140 mg/dl (7.8 mM)] (FPG)
 . [Weyer et al, (1999), J Clin Invest 104 : 787-794 ; Clore amp; Blackgard (1994), Diabetes 43 : 256 -262 ; De Fronzo, R. A., et al, (1992) Diabetes Care 15 ; 318-355 ; Reaven, G. M. (1995) Diabetologia 38 ; 3 -13].

FPG , FPG(gly
 cHbA1c) (macrovascular disease)[Charles, M. A. et al (1996) Lancet 348, 1657-1658 ; Coutinho, M. et al (1999) Diabetes Care 22 ; 233-240 ; Shaw, J. E. et al (2000) Diabetes Care 23, 34-39] (microvascular disease)[DCCT Research Group(1993) New.Eng. J. Med. 329 ; 977-986] ; 2 DM FPG 가

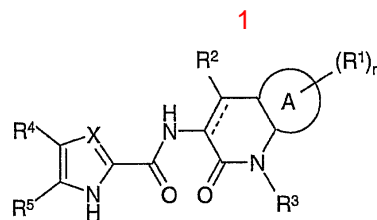
, HGO 74% ,
 [Hellerstein et al(1997) Am J Physiol, 272 : E163].

1- , , .
 db/db fa/fa 가 [Aiston S et al(2000). Diabetologia 43, 589-597].

(CP91149 CP320626) 가 [Hoover et al (1998) J Med Chem 41, 2934-8 ; Martin et al (1998) PNAS 95, 1776-81]. db/db ob/ob 가 .

Bay K 3401 가
 , 1 2 가
 . Bay R 3401 ,
 [Shiota et al, (1997), Am J Physiol,273 : E868].

가 , 2 , , X
 , 2 .
 H- [3,2-b] ;) 2,3- -5-[N-(2- -1,2,3,4- -3-)]-4
) 2- -5-[N-(2- -1,2,3,4- -3-)]-6H- [2,3-b] ;
) 2- -5-[N-(1- -2- -1,2,3,4- -3-)]-6H- [2,3-b]
 , 1 가 .



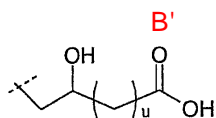
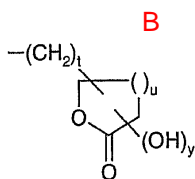
;
 X N CH ;
 R 4 R 5 -S-C(R 6)=C(R 7)- , -C(R 7)=C(R 6)-S- ;
 R 6 R 7 , , , C 1-4 , C 2-4 , C 2-4 , C 1-4 , C 1-4 ;
 A ;
 n 0, 1 2 ;
 R 1 , , , , , N-C 1-4 , N,N-(C 1-4) 2 ,

C_{1-4} , $N-C_{1-4}$, C_{2-4} , C_{2-4} , $N,N-(C_{1-4})_2$, $-S(O)_b C_{1-4}$ ($b = 0, 1, 2$), C_{1-4} , C_{1-4} , C_{1-4} ;

$n = 2$, R^1 , A , O, S, N
 1 , 2 , 1 , 2 , 4 , 7 ;

R^2 , ;

R^3 , C_{1-4} , C_{1-4} , C_{3-7} (1 , 2 , R^8), B , B' , (C_{1-4}) , C_{1-4} ;



[B , B' , $y = 0, 1, 2, 3$, $u = 1, 2$];

, 가 ;

R^8 , C_{1-4} , C_{1-4} , C_{1-4} , 5 , 6 , $b = 0, 1, 2$, C_{3-6} , $S(O)_b - [$, $b = 0, 1, 2$], $S(O)_b - [$, $b = 0, 1, 2$], $S(O)_b - [$, $b = 0, 1, 2$], $-N(OH)CHO$, $-C(=N-OH)NH_2$, $-C(=N-OH)NHC_{1-4}$, $-C(=N-OH)N(C_{1-4})_2$, $-C(=N-OH)NHC_{3-6}$, $-C(=N-OH)N(C_{3-6})_2$, $-COCOOR^9$, $-C(O)N(R^9)(R^{10})$, $-NHC(O)R^9$, $-C(O)NHSO_2(C_{1-4})$, $-NHSO_2R^9$, $(R^9)(R^{10})NSO_2-$, $-COCH_2OR^{11}$, $(R^9)(R^{10})N-$, $-COOR^9$;

R^9 , R^{10} , C_{1-4} (1 , 2 , R^{13}), C_{3-7} (1 , C_{1-4}), (C_{1-4}) , (C_{1-4}) , ;

R^9 , R^{10} , 4 , 6 , C_{1-4} , 1 , 2 , ;
 $-O-CH_2-O-$, $-O-CH_2-O-$;

R^{13} , C_{1-4} ;

R^{11} , C_{1-4} , C_{1-4} .

, R⁸, C₁₋₄, C₁₋₄, C₁₋₄, 2,2-, -1,3-, -4-,
 , C₁₋₄, C₁₋₄, C₁₋₄, C₁₋₄, C₁₋₄, -N(OH)CHO, -COCOOR⁹
 , (R⁹)(R¹⁰)NCO-, (R⁹)(R¹⁰)NSO₂-, -COCH₂OR¹¹, (R⁹)(R¹⁰)N- -COOR⁹
 ;

, R⁹, R¹⁰, C₁₋₄ (1 2), C₅₋₇ (1 2
 가 가), C₅₋₇ (1 2
), (C₁₋₄), 4-, 2, 5- 가 , 1- 가 , 1,1
 -, 2,2-, -1,3-, -4- R¹³ C₁₋₄
 ;

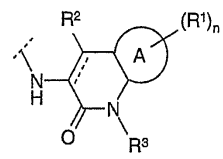
, R¹³, C₁₋₄, C₁₋₄, C₁₋₄, C₁₋₄,
 -N(OH)CHO, (R¹¹)(R¹²)NCO-, (R¹¹)(R¹²)NSO₂-, -COCH₂OR¹¹, (R¹¹)(R¹²)N- [, R¹¹
 R¹², C₁₋₄, C₁₋₄, C₁₋₄, C₁₋₄, S(O)_b (b 0, 1 2)]
 ;

R⁹, R¹⁰, 4 6, N-C₁₋₄, N,N-(C₁₋₄)₂,
 , C₁₋₄, C₁₋₄, C₁₋₄, C₁₋₄, -N(OH)C
 HO, (R¹¹)(R¹²)NCO-, (R¹¹)(R¹²)NSO₂-, -COCH₂OR¹¹, (R¹¹)(R¹²)N-
 2 [R¹¹ R¹²];

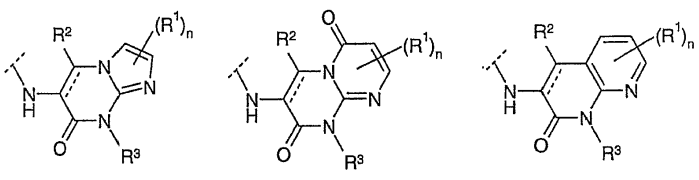
, R¹, A', A', R³, B, B', R³, B, B',
 R¹ A' A' A' 1 가 가 ; 가

, 1
) 2,3- -5-[N-(2- -1,2,3,4- -3-)]-4H- [3,2-b] ;
) 2- -5-[N-(2- -1,2,3,4- -3-)]-6H- [2,3-b] ;
) 2- -5-[N-(1- -2- -1,2,3,4- -3-)]-6H- [2,3-b]

A가 , A



, A가



R³, R⁹, R¹⁰ ()
 2 1 2 (,
) 가 , 2
 , 1 가

1 가 가 ,
 가 C₁₋₆ , C₃₋₈ ,
 C₁₋₆ , 1- ; 1,3- -2- , 5-
 C₁₋₆ -1,3- -2- ; C₁₋₆ , 1-
 가 가 () -
 ; ; ; ; 2,2-
 ; ; ; ; C₁₋₁₀
) , ; ; -(C₁₋₄) N-(-(C₁₋₄))-N-(C₁₋₄)
 () ; -(C₁₋₄)
 (C₁₋₄)
 3 4
 , R^A C(O)O(C₁₋₆) -CO- [, R^A
 , 4-(C₁₋₄) -(C₁₋₄)) -(C₁₋₄) -(C₁₋₄)
 , t-
 , 'C₁₋₆ 'C₁₋₄ , 'C₁₋₄ , , 2,3- , 3- t-
 , 'C₂₋₆ 'C₂₋₄ , 'C₂₋₄ , 1- , 3- 1-
 -2- , 3- -1- , 1- , 3- 4- , 2- , 3- , 2-
 , 1- 2- , 'C₂₋₆ 'C₂₋₄ , 3-
 , 2- 1- -2- .
 C₁₋₄ , 1- , 2- , 3- ,
 1- , 2- C₁₋₄ , 1,2- , 1,3
 C₁₋₄ , C₁₋₄ ,
 '5 6 , 1,3- -4- , 2- -1,3-
 -4- , 2,2- -1,3- -4- ; 2,2- -1,3- -4- ; 2,2- -1,3- -5- ; 1,3-
 -2-
 'C₁₋₄ , t- , 1,2-()₂ , 'C₁₋₆ , 'C₁₋₄ , 'C₁₋₄
 , , , 1,2-()₂ , 'C₁₋₆ , 'C₁₋₄ ,
 , 가 , 'C₁₋₆ , 'C₁₋₄ ,
 , , , 1,2-()₂ , 'N-(C₁₋₄)
 , 'N-(C₁₋₆)
 , 'N,N-(C₁₋₄)₂ , 'N,N-(C₁₋₄)₂ ,
 N,N-()₂ , N,N-()₂ , N- -N- , 'N,N-(C₁₋₆)₂ ,
 'N,N-(C₁₋₄)₂ , N- -N- , N,N-()₂ ,
 , 'N-(C₁₋₄) ,
 'N-(C₁₋₄) , N,N-(C₁₋₆)₂ ,
 , , , 1,2-()₂

가 가 , 1 가 가

, R⁴ R⁵가 -S-C(R⁶)=C(R⁷)- , 1

, R⁴ R⁵ -C(R⁷)=C(R⁶)-S-

, R⁶ R⁷ , C₁₋₆

, R⁶ R⁷ , ,

, R⁶ R⁷ .

, R⁶ R⁷ .

, R⁶ R⁷ .

, R⁶ R⁷ .

, A .

, A .

, A , , , , , , , , ,

, n 0 1 .

, n 1 .

, n 0 .

n₂ O, S N² R¹ A 4 7 , 2 O
 5 R¹ 6 (, 1) 2 R¹ 가 , 2 R¹ -O-CH₂-O-

C₁₋₄ , R¹ , , , , , , ,

가 , R¹ , , , , , , , -S(O)
 b C₁₋₄ [, b 0, 1 2], C₁₋₄ C₁₋₄ .

가 , R¹ , , , , , , , -S(O)
 b Me [, b 0, 1 2], .

가 , R¹ C₁₋₄ .

, R¹ C₁₋₄ .

, R¹ , , , , , -O-CH₂-O-

=====

, R⁹ R¹⁰ (C₁₋₄) R⁹ R¹⁰, 1,3,4- (C₁₋₄), 1,
 2,4-
 , 1,1-
 , 1,2,4-
) ;

가 C₁₋₄ S(O)_b [b 0, 1 2] 가 C₁₋₄ C₁₋₄
 , N-(C₁₋₄) N,N-(C₁₋₄)₂ / S- ()

 * * * * *

X CH ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2) ; -SMe, -S

R² ;

R³ C₁₋₄ C₁₋₄ (1 2 R⁸) ;

R⁸ -1,3- C₃₋₇ , 2,2- -1,3- -4- ; 2,2- -1,3- -4- ; 2,2-
 -1,3- -5- , 1,2,4- , 1,3,4-

1 2], S(O)_b - [b 0, 1 2], C₃₋₆ S(O)_b - [b 0, 1 2], -C(O)
)N(R⁹)(R¹⁰), -COOR⁹, -C(O)NHSO₂ Me, -C(=N-OH)NH₂, -C(=N-OH)NHC₁₋₄, -C(=N-OH)N(C₁₋₄)₂, -N(OH)CHO, -COCOOR⁹, -NHC(O)R⁹, (R⁹)(R¹⁰)NSO₂ -, -COCH₂ OR¹¹ -NHSO₂ R⁹

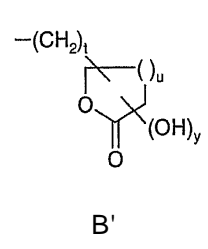
R⁹ R¹⁰) C₁₋₄ C₁₋₄ (C₁₋₄), C₁₋₄ (1 2 , R⁹ R¹⁰

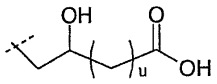
O-CH₂-O- , -O-CH₂-O- 가

R¹¹ , C₁₋₄ C₁₋₄ 1 가

R⁶ ;
 R⁷ ;
 A ;
 n 0 ;
 R² ;
 R³ C₁₋₄ (1 2 R⁸) ;
 R⁸ , C₁₋₄ S(O)_b - [, b 0, 1 2], -NHC(O)R⁹ -C(O)N(R⁹)(R¹⁰) ;
 R⁹ R¹⁰ , C₁₋₄ (1 2) C₁₋₄ C₁₋₄ ;
) 2- -5- [N-(1- -2- -1,2,3,4- -3-)] -6H- [2,3-b]

----- ;
 X CH ;
 R⁴ R⁵ -C(R⁷)=C(R⁶)-S- ;
 R⁶ ;
 R⁷ ;
 A ;
 n 0, 1 2 ;
 R¹ OMe, -SO₂ Me (n 2) , , , , -SMe, -S ;
 R² ;
 R³ 가 가 B B' : 1 가





[B B' , y 0 1 , t 0, 1, 2 3 , u 1 2] ;

X CH ;

R⁴ R⁵ -S-C(R⁶)=C(R⁷)- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2) , , , , -SMe, -S

R² ;

R³ C₁₋₄ C₁₋₄ (1 2 R⁸) ;

R⁸ -1,3- , C₃₋₇ , 2,2- -1,3- -4- ; 2,2- -1,3- -4- ; 2,2-
-5- , 1,2,4- , 1,3,4- , , , ,

, C₁₋₄ , C₁₋₄ S(O)_b - [, b 0, 1 2], C₃₋₆ S(O)_b - [, b 0, 1 2], C₁₋₄
S(O)_b - [, b 0, 1 2], S(O)_b - [, b 0, 1 2], -C(O)N
(R⁹)(R¹⁰), -COOR⁹, -C(O)NHSO₂ Me, -C(=N-OH)NH₂, -C(=N-OH)NHC₁₋₄, -C(=N-OH)N(C₁₋₄)₂, -N(OH)CHO, -COCOOR⁹, -NHC(O)R⁹, (R⁹)(R¹⁰)NSO₂ -, -COCH₂OR¹¹ -NHSO₂R⁹
9 ;

R⁹ R¹⁰) C₁₋₄ , (C₁₋₄), C₁₋₄ (1 2 R⁹ R¹⁰) C₁₋₄ , , , ,

-CH₂-O- 1 2 4 6 , , 2 -O
, -O-CH₂-O- 가

R¹¹ , C₁₋₄ 가 가 C₁₋₄ 1 가

X CH ;

R⁴ R⁵ -S-C(R⁶)=C(R⁷)- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2) ; , -SMe, -S

R² ;

R³ C₁₋₄ C₁₋₄ (1 2 R⁸) ;

R⁸ , 2,2- -1,3- -4- ; 2,2- -1,3- -4- ; 2,2- -1,3- -5- , 1,2,4- , 1,3,4-

C₁₋₄ S(O)_b - [, b 0, 1 2], C₃₋₆ S(O)_b - [, b 0, 1 2], S(O)_b - [, b 0, 1 2], -C(O)N(R⁹)(R¹⁰), -CO OR⁹, -C(O)NHSO₂ Me, -C(=N-OH)NH₂, -C(=N-OH)NHC₁₋₄, -C(=N-OH)N(C₁₋₄)₂ -NHS O₂R⁹ ;

R⁹ R¹⁰) C₁₋₄ C₁₋₄ (C₁₋₄), C₁₋₄ (1 2 R⁹ R¹⁰ , 4- , 3,4- 3,4-

가 가 가

----- ;

X CH ;

R⁴ R⁵ -S-C(R⁶)=C(R⁷)- ;

R⁶ ;

R⁷ ;

A ;

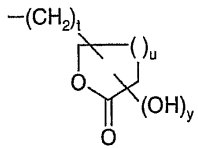
n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2) ; , -SMe, -S

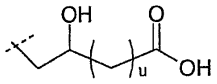
R² ;

R³ 가 B B' : 1 가

B



B'



[, y 0 1 , t 0, 1, 2 3 , u 1 2];

 * * * * *

X CH ;

R 4 R 5 -C(R 7)=C(R 6)-S- ;

R 6 ;

R 7 ;

A ;

n 0, 1 2 ;

R 1 , , , , , , , C 1-4 (n 2) ;

R 2 ;

R 3 C 1-4 가 (1 2 가 가) 1

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

가

 * * * * *

X CH ;

R 4 R 5 -C(R 7)=C(R 6)-S- ;

R 6 ;

R 7 ;

R¹¹, C₁₋₄가 C₁₋₄ 1 가

----- ;

X CH ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- -S-C(R⁷)=C(R⁶)- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹, OMe, -SO₂Me (n 2) , , , , , , -SMe, -S

R² ;

R³ C₁₋₄ C₁₋₄ (1 2 R⁸) ;

R⁸, C₃₋₇, 2,2-, -1,3-, -4- ; 2,2-, -1,3-, -4- ; 2,2-, -1,3-, -5-, 1,2,4-, 1,3,4-

, C₁₋₄, C₁₋₄, S(O)_b - [, b 0, 1 2], C₃₋₆, S(O)_b - [, b 0, 1 2], C₁₋₄, S(O)_b - [, b 0, 1 2], N(R⁹)(R¹⁰), -COOR⁹, -C(O)NHSO₂Me, -C(=N-OH)NH₂, -C(=N-OH)NHC₁₋₄, -C(=N-OH)N(C₁₋₄)₂, -N(OH)CHO, -COCOOR⁹, -NHC(O)R⁹, (R⁹)(R¹⁰)NSO₂-, -COCH₂OR¹¹ -NHSO₂R⁹

R⁹ R¹⁰, C₁₋₄, C₁₋₄ (C₁₋₄), C₁₋₄ (1 2 R⁹ R¹⁰)

-O-CH₂-O-_{1 2 6}, -O-CH₂-O-₂ 가

R¹¹, C₁₋₄가 C₁₋₄ 1 가

----- ;

X N ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- ;

R⁶ ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2) , , , , -SMe, -S

R² ;

R³ C₁₋₄ [1 2 가 가] 1

----- ;

X N ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2) , , , , -SMe, -S

R² ;

R³ C₁₋₄ R⁸ C₁₋₄ ;

R⁸ , C₁₋₄ S(O)_b - [, b 0, 1 2], -NHC(O)R⁹ -C(O)N(R⁹)(R¹⁰)

R⁹ R¹⁰) C₁₋₄ C₁₋₄ (C₁₋₄), C₁₋₄ (1 2 R⁹ R¹⁰ 3,4-) , , , 3,4- 1 4 6

----- ;

X N ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- -S-C(R⁷)=C(R⁶)- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2') ; , -SMe, -S

R² ;

R³ C₁₋₄ (1 2 R⁸) ;

R⁸ , C₃₋₇ , 2,2- -1,3- -4- ; 2,2- -1,3- -4- ; 2,2- -1,3- -5- , 1,2,4- , 1,3,4-

, C₁₋₄ , C₁₋₄ S(O)_b - [, b 0, 1 2], C₃₋₆ S(O)_b - [, b 0, 1 2], C₁₋₄ S(O)_b - [, b 0, 1 2], S(O)_b - [, b 0, 1 2], -C(O)N (R⁹)(R¹⁰), -COOR⁹, -C(O)NHSO₂ Me, -C(=N-OH)NH₂, -C(=N-OH)NHC₁₋₄, -C(=N-OH)N(C₁₋₄)₂, -N(OH)CHO, -COCOOR⁹, -NHC(O)R⁹, (R⁹)(R¹⁰)NSO₂ -, -COCH₂OR¹¹ -NHSO₂R⁹ ;

R⁹ R¹⁰ , C₁₋₄ , C₁₋₄ (C₁₋₄), C₁₋₄ (1 2 R⁹ R¹⁰)
 -O-CH₂-O- , -O-CH₂-O- 가

R¹¹ , C₁₋₄ 가 가 C₁₋₄ 1 가

----- ;

X N ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- -S-C(R⁷)=C(R⁶)- ;

R⁶ ;

R⁷ ;

A ;

n 0, 1 2 ;

R¹ OMe, -SO₂ Me (n 2') ; , -SMe, -S

R² ;

R² ;

R³ C₁₋₄ [1 가 2], C₁₋₄ C₁₋₄ 가 2 R⁸ , (, 2 R⁸ 가 , R⁸ 가)] ;

-COCOR⁹, (R⁹)(R¹⁰)NCO-, -COCH₂OR¹¹, (R⁹)(R¹⁰)N-, -COOR⁹ 2,2-, C₁₋₄ -1,3- ;

, R⁹ R¹⁰ , C₁₋₄ [1 가 2] C₁₋₄ , 2 R⁹ R¹⁰ , R⁹ R¹⁰ 1 2 C₁₋₄ 4 6 C₁₋₄ ;

R¹¹ , C₁₋₄ , C₁₋₄ 가 가 C₁₋₄ ; 1

, 1

. 2- -5-[N-(2- -1,2,3,4- -3-)]-6H- [2,3-b] ;

. 2- -5-[N-(1- -2- -1,2,3,4- -3-)]-6H- [2,3-b]

X CH ;

R⁴ R⁵ -C(R⁷)=C(R⁶)-S- ;

R⁶ ;

R⁷ ;

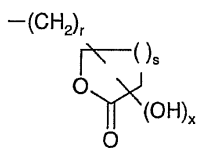
A ;

n 1 2 ;

R , , , , C₁₋₄ , C₁₋₄ ,

R¹ A' A' :

A'



A'

-CH₂CH(OH)(CH₂)_uCO₂H

[A' A' , x 0 1 , r 0, 1, 2 3 , s 1 2];

, 가 ;

R 2 ;

R 3 C 1-4 [1 2 가], C 1-4 C 1-4 [1 2 가 R 8 (, 2 R 8 가 , R 8)];

, R 8 , C 1-4 , C 1-4 , C 1-4 , C 1-4 ,
-COCOOR 9 , (R 9)(R 10)NCO-, -COCH 2 OR 11 , (R 9)(R 10)N-, -COOR 9 2,2- , C 1-4 -1,3- -

, R 9 R 10 , C 1-4 [1 2 가], C 1-4 , , 2
가 , R 9 R 10 C 1-4 4 6 C 1-4

; 1 2

R 11 , C 1-4 , C 1-4 가 가 C 1-4 ; 1

, 1

. 2- -5-[N-(2- -1,2,3,4- -3-)]-6H- [2,3-b] ;

. 2- -5-[N-(1- -2- -1,2,3,4- -3-)]-6H- [2,3-b]

,

X CH ;

R 4 R 5 -S-C(R 6)=C(R 7)- ;

R 6 ;

R 7 ;

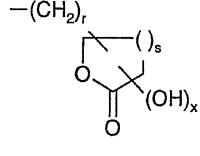
A ;

n 1 2 ;

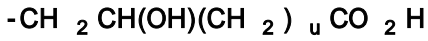
R , , , , C 1-4 , C 1-4 ,

R 1 A' A' :

A'



A'



[A' A' , x 0 1 , r 0, 1, 2 3 , s 1 2];

, 가 ;

R² ;

R³ C₁₋₄ [1 2 가], C₁₋₄ C₁₋₄ [1 2 R⁸ (, 2 R⁸ 가 , R⁸ 가)] ;

, R⁸ , C₁₋₄ , C₁₋₄ , C₁₋₄ , C₁₋₄ ,
-COCOOR⁹, (R⁹)(R¹⁰)NCO-, -COCH₂OR¹¹, (R⁹)(R¹⁰)N-, -COOR⁹ 2,2- -1,3- -4-

, R⁹ R¹⁰ , C₁₋₄ [1 2 가], C₁₋₄ , , 2 R⁹ R¹⁰ , R⁹ R¹⁰ 1 2 C₁₋₄ 4 6 C₁₋₄ ;

R¹¹ , C₁₋₄ , C₁₋₄ 가 가 C₁₋₄ 1 ;

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

, 가 가 가 ;

2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

N-[1-()-2- -1,2,3,4- -3-]-2- -6H- [2,3-b] -5- ;

2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

2- -N-[1-(N,N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

2- -N-[1-(N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

2- -N-[1-(N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;

2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

- 2- -N-[1-(2,3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -
5- ;
- 2- -N-{1-[(2,2- -1,3- -4(S)-)]-2- -1,2,3,4- -3(R,S)- }-
6H- [2,3-b] -5- ;
- 2- -N-[1-(2(S),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-
b] -5- ;
- 2- -N-[1-(2,2- -1,3- -4(R)-)-2- -1,2,3,4- -3(R,S)-]-6H
- [2,3-b] -5- ;
- 2- -N-[1-(2(R),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-
b] -5- ;
- 2- -N-{1-[2-(4- -1-)-2-]-2- -1,2,3,4- -3- }-6H
- [2,3-b] -5- ;
- 2- -N-{1-[N-(1,3- -2-)]-2- -1,2,3,4- -3- }-
6H- [2,3-b] -5- ;
- 2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H- [2,3
-b] -5- ;
- 2- -N-(1-{2-[(3a,6a-cis)-2,2- -5H-[1,3] [4,5-c] -5-]-2- }
-2- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;
- 2- -N-(1-{2-[(cis)-3,4- -1-]-2- }-2- -1,2,3,4- -
3-)-6H- [2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -
5- ;
- 2- -N-{1-[(2,2- -1,3- -5-)]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;
- 2- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;
- 2,3- -N-{1-[(2,2- -1,3- -5-)]-2- -1,2,3,4- -3- }-4H-
[3,2-b] -5- ;
- 2,3- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }-4H-
[3,2-b] -5- ;
- 2- -N-(1-{2-[(2,3-)]-2- }-2- -1,2,3,4- -3-)-
6H- [2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
;
- 2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;
- 2- -N-{1-[(3- -1,2,4- -5-)]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;

2- -N-[2- -1-(1H- -5-)-1,2,3,4- -3-]-6H- [2,3-b]
-5- ;

2- -N-(1-{2-[()]-2- }-2- -1,2,3,4- -3-)-6H-
[2,3-b] -5- ;

N-{1-(2Z)-2- -2-()]-2- -1,2,3,4- -3- }-2- -6H-
[2,3-b] -5- ;

2- -N-{2- -1-[(5- -4,5- -1,2,4- -3-)]-1,2,3,4- -3-
- }-6H- [2,3-b] -5- ;

N-{1-[(5- -1,3,4- -2-)]-2- -1,2,3,4- -3- }-2- -6H-
[2,3-b] -5- ;

2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
;

2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
;

2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
;

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

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

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

2,3- -N-{1-[(2R)-2,3-]-2- -1,2,3,4- -3- }-4H- [3,2-
-b] -5- ;

2- -N-{1-[3-()-2-]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;

2- -N-{2- -1-[(2- -1,3- -5-)]-1,2,3,4- -3- }-6H- [2,3-
-b] -5- ;

2- -N-[1-(3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;

2- -N-{1-[3-()-3-]-2- -1,2,3,4- -3- }-6H- [2,3-
b] -5- ;

2- -N-[2- -1-(2-)-1,2,3,4- -3-]-6H- [2,3-b] -5-
;

2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;

2,3- -N-[(6S)-7- -5,6,7,8- [1,2-a] -6-]-4H- [3,2-b] -
5- ;

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

- 2- -N-(2- -1,2,3,4- -1,7- -3-)-6H- [2,3-b] -5- ;
- N-(6- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;
- N-(6- -1,2,3,4- -3-)-6H- [2,3-b] -5- .
- 가 가 가
- :
- 2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;
- 2- -N-[1-(2,3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;
- 2- -N-[1-(2(S),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-b] -5- ;
- 2- -N-[1-(2(R),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-b] -5- ;
- 2- -N-{1-[2-(4- -1-)-2-]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;
- 2- -N-{1-[N-(1,3- -2-)]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;
- 2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;
- N-{1-[(2Z)-2- -2-()]-2- -1,2,3,4- -3- }-2- -6H- [2,3-b] -5- ;
- 2- -N-(1-{2-[(3a,6a-cis)-2,2- -5H-[1,3] [4,5-c] -5-]-2- }-2- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;
- 2- -N-(1-{2-[(cis)-3,4- -1-]-2- }-2- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;
- 2- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;
- 2,3- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }-4H- [3,2-b] -5- ;
- 2- -N-(1-{2-[(2,3-)]-2- }-2- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5- ;
- 2,3- -N-[1-(2-)-2- -1,2,3,4- -3-]-4H- [3,2-b] -5- ;

2,3-
-b] -N-{1-[(2R)-2,3-
-5- ;]-2- -1,2,3,4- -3- }-4H- [3,2

2- -N-[1-(3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

2- -N-{1-[3-()-3-]-2- -1,2,3,4- -3- }-6H- [2,3-
b] -5- ;

2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- .

, : 가 가 가

2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- ;

2- -N-[1-(2(R),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-
b] -5- ;

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

N-1-[(2Z)-2- -2-()]-2- -1,2,3,4- -3- }-2- -6H-
[2,3-b] -5- ;

2,3- -N-{1-[(2R)-2,3-]-2- -1,2,3,4- -3- }-4H- [3,2
-b] -5- ;

2- -N-[1-(3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5- .

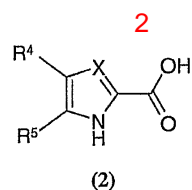
1 가 가 가

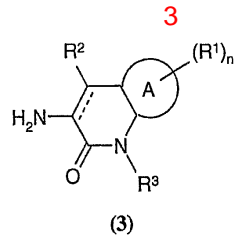
a) 2 3 ,

) 1 1 ;

) ;

) 가 가 가





[, A, R¹, R², R³, R⁴, R⁵, n --- 1]

a) 2 3
 , 1- , 4-
 , - , 2,6- - - , 2,6- 2,6- -te
 rt- , ,
 EDCI) , , (DCCI) -3-(3-) - (-40 40

-40 40
 1 R³ , 1 , 가 1 가

R³ CH₂C(O)N(R⁹)(R¹⁰) , EDCI
 CH₂C(O)NHSO₂R⁹ , EDCI , R³ CH
 2 CO₂H

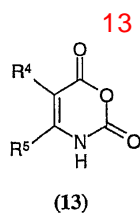
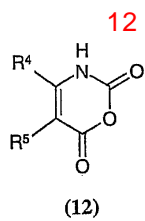
R³ 2- . R³ 1 -5- 1 , N-
 , N'-

R³ -5- 1 ,
 R³ -2-() 1 , R³ 2-
 R³

R³ 2-() 2-() 1 R³ 2-

R³ , 2,3- 2-()-3- 1
 -4-) (2- -1,3- -5-) , (2,2- -1,3-
 R³ 가

X가 CH 2 1 :



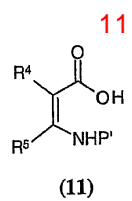
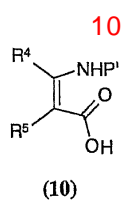
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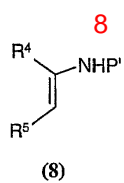


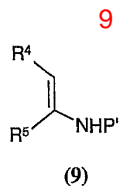
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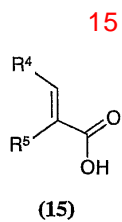
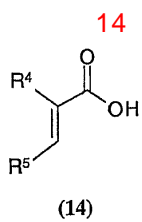
9



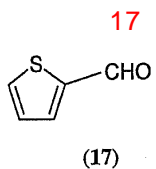
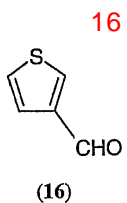


[, P' ,]
 , -10 -78 , THF 10 11 , n-
 , 8 9 :

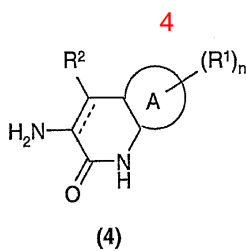
a) ;
 b) -10 DMF , 1
 0 11 .
 8 9 : 14 15



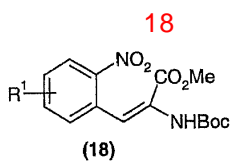
14 15 ,
 14 15
 14 15 : R 6 R 7 16

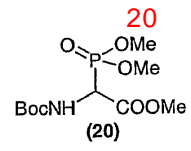
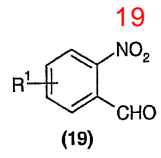


, R⁶ R⁷ 가 () 16 17 , 1,2-
 , L 3 4 ,] R³ -L[

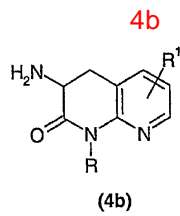
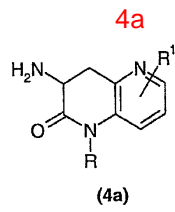


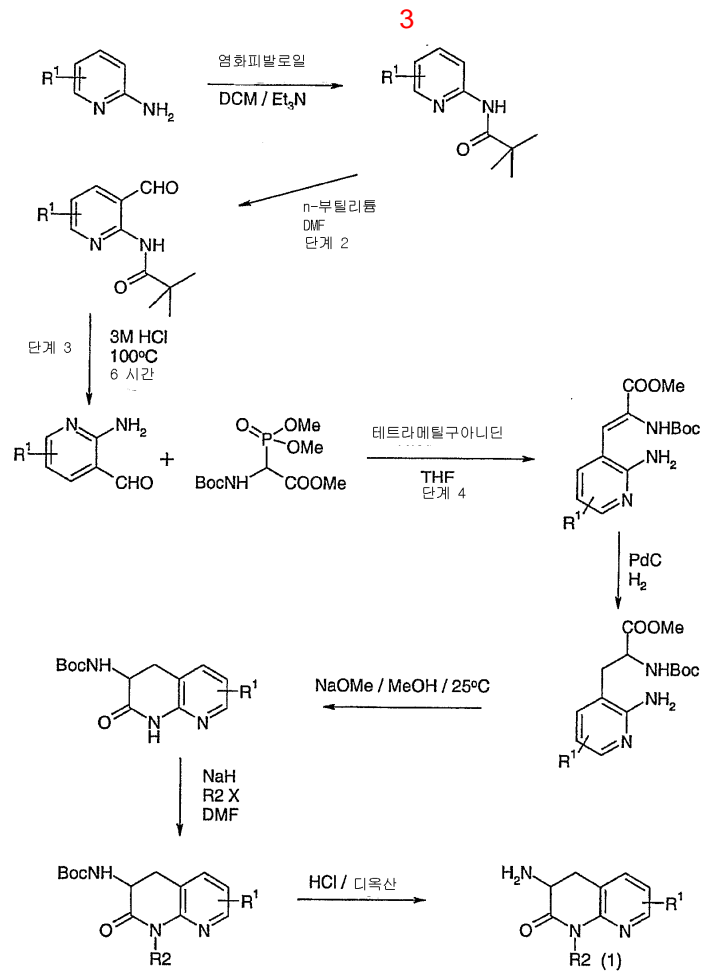
A , ~~-----~~ 4 3- -3,4- ~~-----~~ -2(1H)-
 [J. Med. Chem. 28, 1985, 1511-16]. A 4
 18 , () ,
 , Boc 20 19 18
 , 19 .





A가
가 4 , 2 3 , - . , A
4a 4b .





1, 2, 3 4 [JOC 1983, 48, 3401-3408]

2 3

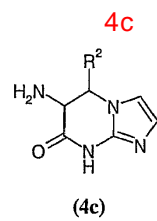
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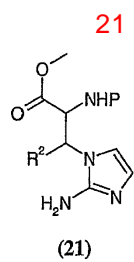
A가
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가

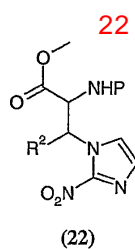
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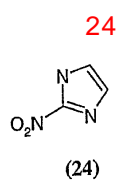
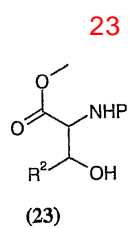




[, P ,]
 21 , 가
 21 , Pd/C 22



22 [Bull.Chem.Soc.Jpn.,1967,40,2380]. 23 24 23 24



2b

4

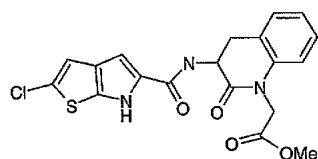
- 2) , ,); (, ,) (
- 3) PPARg (,);
- 4) (,);
- 5) (,);
- 6) ;
- 7) (,);
- 8) HMG-CoA (, (); PPAR ((, (); (IBATi) ((); (, ();
- 9) (, ,); ACE (, (); (, ();
- 10)); (, ,); (; ; Xa ; VIIa ;
- 11) , (,) (,).
가 가 , 가 가 가 . 1
가 가 , 가 , 1
가 , , 2 , , X , 1 ,
' 가 가 가 , .
, , , 2 , , X , 1 ,
, 가 가 가 , .
1 , , 2 가 가 ,
. 가 , , 1 , ,
가 가 , , 1 , , ,
, 가 가 2 , , X , 1 , , ,
, 가 가 2 , , 1 .

kg , 1 100 mg/kg, 1 50 mg/

DCM ;
 HOBT 1- ;
 DIPEA - ;
 EDCI 1- -3-(3-) - ;
 Et₂O ;
 THF ;
 DMF N,N- ;
 HATU O-(7- -1-)-N,N,N',N'-
 DMAP 4-
 TBAF
 TFA .

가 , 가

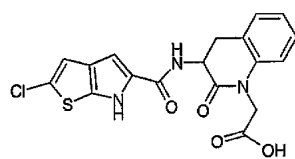
1: 2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b]
 -5-



5- -2- -6H- [2,3-b] (9; 5.07 g, 25.2 mmol), HOBT(3.40 g, 25.2 mmol), DMF(100 mL)
 EDCl(4.82 g, 25.2 mmol) 3- -2- -3,4- -1(2H)-)
 (1; 5.89 g, 25.2 mmol) 가 , 18 , (200 mL)
 , 30 , (50 mL), EtOAc(2 x 20 mL) Et₂O(2
 x 10 mL) 6 가 ,
 (8.00 g, 76%).

¹H NMR 3.15(m, 2H), 3.64(s, 3H), 4.74(m, 3H), 7.18(m, 6H), 8.58(d, 1H), 11.91(s, 1H); MS m/z MH⁺ 418, 420.

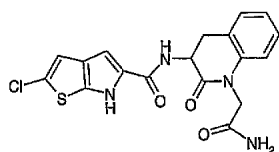
2: N-[1-()-2- -1,2,3,4- -3-]-2- -6H- [2,3-b] -5-



H₂O(16.5 mL) LiOH(1.41 g, 33.6 mmol) 2- -N-[1-()-2- -1,2,3,4-
 -3-]-6H- [2,3-b] -5-
 가 , 2 ,
 (MgSO₄), 1M HCl(200 mL) EtOAc(400 mL) 가 ,
 Et₂O(100 mL) ,
 (6.00 g, 89%).

¹H NMR 3.14(m, 2H), 4.52(d, 1H), 4.75(m, 2H), 7.03(m, 3H), 7.18(s, 1H), 7.27(m, 2H), 7.57(d, 1H), 11.90(s, 1H), 12.89(br. s, 1H); MS m/z MH + 404,406.

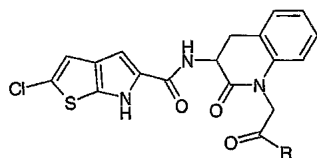
3: 2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b]
-5-



(38 L, 0.27 mmol), (26.1 L, 0.27 mmol) THF(2 mL) N-[1-(
)-2- -1,2,3,4- -3-]-2- -6H- [2,3-b] -5- (
2; 100 mg, 0.25 mmol) 0 가 , 1 NH₃ (1 mL) 가 ,
가 1 (20 mL) EtOAc(40 mL) 가 , , 1M HCl(20 mL)
, (MgSO₄), (MeOH:DCM 1:19) ,
(56 mg, 56%).

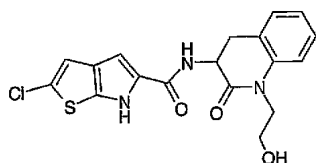
¹H NMR 3.15(m, 2H), 4.23(d, 1H), 4.67(d, 1H), 4.82(m, 1H), 6.88(d, 1H), 7.05(m, 2H), 7.14(s, 2H), 7.24(m, 2H), 7.54(s, 1H), 8.51(d, 1H), 11.91(s, 1H); MS m/z MH + 403,405.

4-7
3 :
4: 2- -N-[1-(N,N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
5: 2- -N-[1-(N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
6: 2- -N-[1-(N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
7: 2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-



실시예	NR ¹ R ²	¹ H NMR	m/z MH ⁺
4		2.84 (s, 3H), 3.03 (dd, 1H), 3.10 (s, 3H), 3.19 (app. t, 1H), 4.58 (d, 1H), 4.74 (m, 1H), 4.93 (d, 1H), 6.87 (d, 1H), 7.03 (t, 1H), 7.09 (s, 1H), 7.18 (s, 1H), 7.25 (m, 2H), 8.56 (d, 1H), 11.95 (s, 1H).	431, 433
5		2.60 (s, 3H), 3.05 (dd, 1H), 3.16 (app. t, 1H), 4.37 (d, 1H), 4.68 (d, 1H), 4.87 (m, 1H), 6.88 (d, 1H), 7.04 (t, 1H), 7.10 (s, 1H), 7.18 (s, 1H), 7.27 (m, 2H), 8.00 (m, 1H), 8.52 (d, 1H), 11.91 (s, 1H).	417, 419
6		3.02 (dd, 1H), 3.17 (app. t, 1H), 4.30 (d, 1H), 4.61 (d, 1H), 4.84 (m, 1H), 6.92 (d, 1H), 7.05 (t, 1H), 7.09 (s, 1H), 7.17 (s, 1H), 7.27 (m, 2H), 8.52 (d, 1H), 8.90 (s, 1H), 10.72 (s, 1H), 11.92 (s, 1H).	419, 421
7		3.04 (m, 1H), 3.10 (m, 3H), 3.39 (m, 2H), 4.32 (d, 1H), 4.73 (m, 3H), 6.89 (d, 1H), 7.03 (t, 1H), 7.10 (s, 1H), 7.17 (s, 1H), 7.27 (app. d, 2H), 8.09 (t, 1H), 8.53 (d, 1H), 11.92 (s, 1H).	447, 449

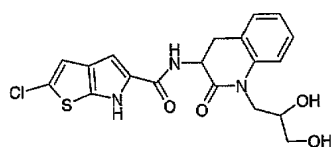
8: 2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b]
-5-



(0.76 mL, 5.47 mmol), (0.52 mL, 5.47 mmol) THF(40 mL) N-
[1-()-2- -1,2,3,4- -3-]-2- -6H- [2,3-b] -5- (
2; 2.0 g, 4.97 mmol) 0 가 , 1 . LiBH₄ (THF 2.0 M, 3.1 mL, 6.21 m
mol) 가 , 가 30 . 1M HCl(200 mL) EtOAc(400 mL)
NaHCO₃ (100 mL), (100 mL) 가 , (MgSO₄),
Et₂O(30 mL)
(1.70 g, 88%).

¹H NMR 3.04(m, 2H), 3.59(m, 2H), 3.91(m, 1H), 4.01(m, 1H), 4.72(m, 1H), 4.83(m, 1H), 7.18(m, 6H), 8.48(d, 1H), 11.90(s, 1H); MS m/z MH⁺ 390,392.

9: 2- -N-[1-(2,3-)-2- -1,2,3,4- -3-]-6H- [2,3-b]
-5-

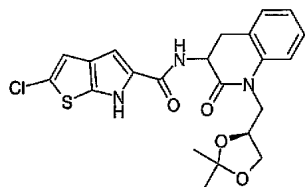


6M HCl(1.47 mL) THF(14 mL) N-[1-(2,2- -1,3- -4-)-2- -1,2,3,4-
-3-]-2- -6H- [2,3-b] -5- (3; 340 mg, 7.45 mmol) 가 ,

4 (1.5 mL) 가 (30 mL) EtOAc(40 mL) , (MgSO₄), Et₂O(100 mL) (260 mg, 83%).

¹H NMR 3.07(m, 3H), 3.81(m, 2H), 4.01(m, 2H), 4.71(m, 3H), 7.16(m, 6H), 8.45(app. d, 1H), 11.91(s, 1H); MS m/z MH⁺ 420,422.

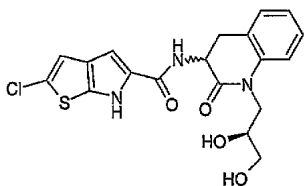
10: 2- -N- {1- [(2,2- -1,3- -4(S)-)]-2- -1,2,3,4- -3(R,S)- }-6H- [2,3-b] -5-



2 , [(4R)-2,2- -1,3- -4-] (J. Med. Chem., 26, 1983 950-57), 3 .

¹H NMR 1.32(s, 1.5H), 1.33(s, 1.5H), 1.37(s, 1.5H), 1.42(s, 1.5H), 2.88(m, 1H), 3.63(m, 1H), 3.78(app. t, 1H), 3.90(dd, 0.5H), 4.04(dd, 0.5H), 4.14(m, 1H), 4.33(m, 2H), 4.68(m, 1H), 6.82(m, 2H), 7.10(m, 1H), 7.27(m, 4H), 10.94(br.s, 1H); MS m/z MNa⁺ 482,484.

11: 2- -N- {1- [(2(S),3-)]-2- -1,2,3,4- -3(R,S)- }-6H- [2,3-b] -5-



9 , 가 2- -N- [1-(2,2- -1,3- -4(S)-)]-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-b] -5- (1 0) () .

¹H NMR 3.07(m, 3H), 3.81(m, 2H), 4.01(m, 2H), 4.71(m, 3H), 7.16(m, 6H), 8.45(app. d, 1H), 11.91(s, 1H); MS m/z MH⁺ 420,422.

HPLC 2 2- -N- [1-(2(S),3-)]-2- -1,2,3,4- -3-(R)-]-6H- [2,3-b] -5- 2- -N- [1-(2(S),3-)]-2- -1,2,3,4- -3-(S)-]-6H- [2,3-b] -5- ():

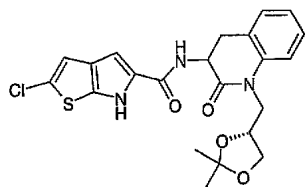
1 :

¹H NMR 3.10(m, 2H), 3.25(m, 2H), 3.72(m, 1H), 3.88(dd, 1H), 4.03(dd, 1H), 4.58(t, 1H), 4.69(q, 1H), 4.78(d, 1H), 7.04(m, 2H), 7.16(s, 1H), 7.28(m, 3H), 8.47(d, 1H), 11.93(s, 1H); MS m/z 420

2 :

¹H NMR 2.98(dd, 1H), 3.12(t, 1H), 3.38(t, 2H), 3.80(m, 2H), 3.99(q, 1H), 4.63(t, 1H), 4.72(m, 1H), 4.87(d, 1H), 7.03(t, 1H), 7.10(s, 1H), 7.17(s, 1H), 7.26(m, 2H), 7.36(d, 1H), 8.50(d, 1H), 11.95(s, 1H); MS m/z 420

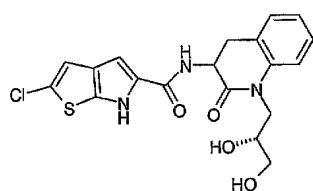
12: 2- -N- [1-(2,2- -1,3- -4(R)-)]-2- -1,2,3,4- -3-(R,S)-]-6H- [2,3-b] -5-



2, [(4S)-2,2-dimethyl-1,3-dioxolane-4-yl] (J. Org. Chem, 64, 1999 6782-6790), 3.

¹H NMR 1.32(s, 1.5H), 1.33(s, 1.5H), 1.37(s, 1.5H), 1.42(s, 1.5H), 2.88(m, 1H), 3.63(m, 1H), 3.78(app. t, 1H), 3.90(dd, 0.5H), 4.04(dd, 0.5H), 4.14(m, 1H), 4.33(m, 2H), 4.68(m, 1H), 6.82(m, 2H), 7.10(m, 1H), 7.27(m, 4H), 1.094(br. s, 1H); MS m/z MNa + 482,484.

13: 2-N-[1-(2(R),3-[2,3-b])-5-]-1,2,3,4-3(R,S)-]-6H-



9, 2-N-[1-(2,2-dimethyl-1,3-dioxolane-4(R)-yl)-2-]-1,2,3,4-3(R,S)-]-6H-[2,3-b]-5- (12)

¹H NMR 3.07(m, 3H), 3.81(m, 2H), 4.01(m, 2H), 4.71(m, 3H), 7.16(m, 6H), 8.45(app. d, 1H), 11.91(S, 1H); MS m/z MH + 420,422.

HPLC 2-N-[(3R)-1-[(2R)-2,3-]-2-]-1,2,3,4-3-]-6H-[2,3-b]-5-2-N-[(3R)-1-[(2S)-2,3-]-2-]-1,2,3,4-3-]-6H-[2,3-b]-5-).

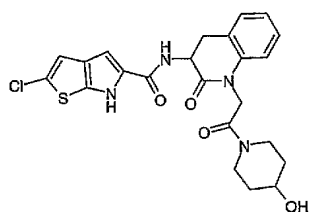
1 :

¹H NMR 3.10(m, 2H), 3.25(m, 2H), 3.72(m, 1H), 3.88(dd, 1H), 4.03(dd, 1H), 4.58(t, 1H), 4.69(q, 1H), 4.78(d, 1H), 7.04(m, 2H), 7.16(s, 1H), 7.28(m, 3H), 8.47(d, 1H), 11.93(s, 1H); MS m/z 420

2 :

¹H NMR 2.98(dd, 1H), 3.12(t, 1H), 3.38(t, 2H), 3.80(m, 2H), 3.99(q, 1H), 4.63(t, 1H), 4.72(m, 1H), 4.87(d, 1H), 7.03(t, 1H), 7.10(s, 1H), 7.17(s, 1H), 7.26(m, 2H), 7.36(d, 1H), 8.50(d, 1H), 11.95(s, 1H); MS m/z 420,422

14: 2-N-[(1-[2-(4-)-1-]-2-]-1,2,3,4-3-]-6H-[2,3-b]-5-



4- (5 mg, 0.038 mmol) 4- (42 mg, 0.41 mmol) N-[1-(2,2-dimethyl-1,3-dioxolane-4(R)-yl)-2-]-1,2,3,4-3-]-6H-[2,3-b]-5- (2; 150 mg, 0.41 mmol)

38 mmol) EDCI(79 mg, 0.41 mmol) THF(0.5 mL) 가 . DMF(0.5 mL)
 가 , 18 (10 mL) , , 1M HCl aq.
 (CH₂Cl₂ MeOH:CH₂Cl₂ (1:9))
 (109 mg, 59%).

¹H NMR(400MHz) 1.20-1.52(m, 2H), 1.65-1.90(m, 2H), 3.05(m, 2H), 3.27(m, 2H), 3.80(m, 3H), 4.64(dd, 1H)
 , 4.75(m, 2H), 4.96(dd, 1H), 6.89(d, 1H), 7.04(t, 1H), 7.11(s, 1H), 7.19(s, 1H), 7.28(t, 1H), 8.54(d, 1H), 11.93(
 s, 1H); MS m/z MH⁺ + 487,489

15-17

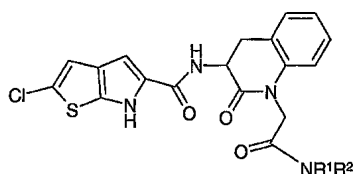
14

:

15: 2- -N-{1-[N-(1,3- -2-)]-2- -1,2,3,4-
 -3- }-6H- [2,3-b] -5-

16: 2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H-
 [2,3-b] -5-

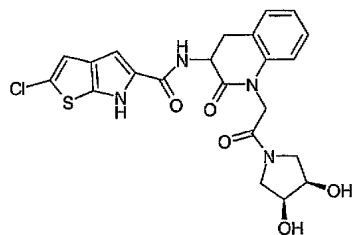
17: 2- -N-(1-{2- [(3a,6a-)-2,2- -5H-[1,3] [4,5-c] -5-]-
 2- }-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-



실시예	NR ¹ R ²	¹ H NMR (400MHz)	m/z	SM(아민)
15		3.05 (dd, 1H), 3.18 (dd, 1H), 3.42 (m, 4H), 3.73 (m, 1H), 4.40 (d, 1H), 4.63 (m, 2H), 4.77 (m, 2H), 6.93 (d, 1H), 7.05 (t, 1H), 7.11 (s, 1H), 7.19 (s, 1H), 7.28 (t, 1H), 7.88 (d, 1H), 8.55 (d, 1H), 11.94 (s, 1H).	477, 479	공업용
16		3.05 (dd, 1H), 3.25 (m, 9H), 4.33 (d, 1H), 4.73 (d, 1H), 4.85 (m, 1H), 6.90 (d, 1H), 7.06 (t, 1H), 7.11 (s, 1H), 7.19 (s, 1H), 7.29 (t, 1H), 8.20 (t, 1H), 8.54 (d, 1H), 11.94 (s, 1H).	461, 463	공업용
17		1.28, 1.29, 1.39, 1.42 (4 x s, 6H), 3.05 (dd, 1H), 3.19 (m, 2H), 3.61 (quintet, 1H), 3.74 (dd, 1H), 3.88 (dd, 1H), 4.59 (dd, 1H), 4.84 (m, 4H), 6.89 (t, 1H), 7.05 (t, 1H), 7.11 (s, 1H), 7.19 (s, 1H), 7.24 (t, 1H), 7.30 (d, 1H), 8.56 (d, 1H), 11.94 (s, 1H).	529, 531	Org.Lett., 3, 2001 465- 468

18: 2- -N-(1-{2- [(cis)-3,4- -1-]-2- }-2- -1,2,3,4-

-3-)-6H- [2,3-b] -5-

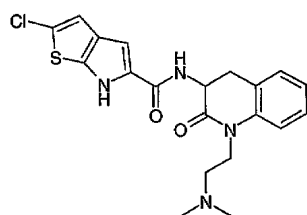


1M HCl aq.(0.46 mL, 0.46 mmol) EtOH(6 mL) 2- -N-(1-{2-[(3a,6a-)-2,2-
-5H-[1,3] [4,5-c] -5-]-2- }-2- -1,2,3,4- -3-)-6H- [2,
3-b] -5- (17; 200 mg, 0.38 mmol) 가 , 70 3 가 . 2M HCl(1
mL) 가 , THF 70 18 가 . Et₂O,
(CH₂Cl₂) (170 mg, 92%).

¹H NMR(400MHz) 3.05(dd, 1H), 3.21(m, 2H), 3.42(m, 2H), 3.77(dd, 1H), 4.03(m, 1H), 4.14(m, 1H), 4.51(dd, 1H), 4.77(m, 2H), 4.92(d, 1H), 5.02(d, 1H), 6.93(d, 1H), 7.05(t, 1H), 7.11(s, 1H), 7.19(s, 1H), 7.28(m, 2H), 8.55(d, 1H), 11.94(s, 1H); MS m/z MH⁺ 489,491.

19 : 19 .

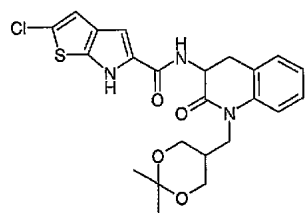
20: 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,
3-b] -5-



1- (0.69 g, 0.51 mmol) 3- -1-[2-()]-3,4- -2(l)-
(10, 100 mg, 0.427 mmol) DMF(3 mL) 가 , 2- -6H- [2,3-b] -5-
(9, 86 mg, 0.42 mmol) EDCI(0.98 g, 0.51 mmol) 가 . EtOAc(40 mL)
NaHCO₃ (20 mL) , (MgSO₄),
(MeOH:DCM 1:9) (70 mg, 56%).

¹H NMR 2.70(s, 6H), 3.20(m, 4H), 4.22(m, 2H), 4.73(m, 1H), 7.20(m, 6H), 8.57(d, 1H), 12.94(s, 1H); MS m/z 417,419

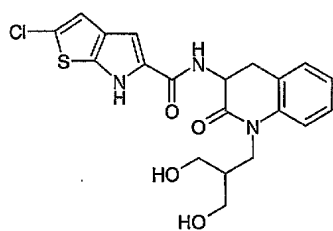
21: 2- -N-{1-[(2,2- -1,3- -5-)]-2- -1,2,3,4- -3- }
-6H- [2,3-b] -5-



3 3- -1-[(2,2- -1,3- -5-)]-3,4- -2-(1H)- (11)
2- -6H- [2,3-b] -5- (9) , (83
%).

$^1\text{H NMR}(\text{CDCl}_3)$ 1.43(s, 3H), 1.47(s, 3H), 2.18(m, 1H), 2.88(m, 1H), 3.69(m, 3H), 3.98(m, 3H), 4.32(dd, 1H), 4.70(m, 1H), 6.85(m, 2H), 7.10(m, 1H), 7.28(m, 4H), 10.50(br, 1H); MS m/z 496,498.

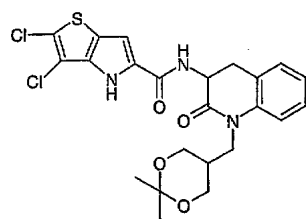
22: 2- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }
-6H- [2,3-b] -5-



9 , 21 가 ,
(90%).

$^1\text{H NMR}$ 1.90(m, 1H), 3.06(m, 4H), 3.38(m, 1H), 3.46(m, 1H), 3.83(dd, 1H), 4.04(m, 1H), 4.38(t, 1H), 4.48(t, 1H), 4.68(m, 1H), 7.07(m, 2H), 7.17(s, 1H), 7.28(m, 3H), 8.48(d, 1H), 11.92(s, 1H); MS m/z 516,518.

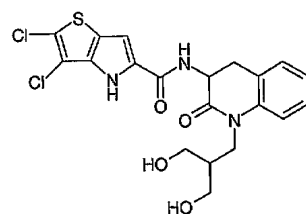
23: 2,3- -N-{1-[(2,2- -1,3- -5-)]-2- -1,2,3,4- -3- }
-4H- [2,3-b] -5-



3 3- -1-[(2,2- -1,3- -5-)]-3,4- -2-(1H)- (11)
2,3- -4H- [2,3-b] -5- (8) ,
(85%).

$^1\text{H NMR}$ 1.39(s, 3H), 1.47(s, 3H), 2.00(m, 1H), 3.20(m, 2H), 3.74(m, 2H), 3.83(m, 3H), 4.18(dd, 1H), 4.72(quin, 1H), 7.07(m, 1H), 7.20(s, 1H), 7.30(m, 3H), 8.57(d, 1H), 12.52(s, 1H); MS m/z(M-H) - 506,508.

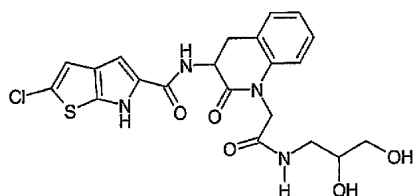
24: 2,3- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }
-4H- [3,2-b] -5-



9 , 23 가 ,
(91%).

$^1\text{H NMR}$ 1.90(m, 1H), 3.10(m, 2H), 3.29(s, 1H), 3.37(m, 2H), 3.51(m, 1H), 3.86(dd, 1H), 4.08(m, 1H), 4.38(t, 1H), 4.51(t, 1H), 4.72(m, 1H), 7.04(t, 1H), 7.20(s, 1H), 7.29(m, 3H), 8.58(d, 1H), 12.49(s, 1H); MS m/z 468

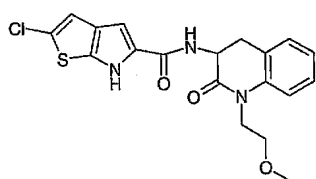
25: 2- -N-(1-{2-[(2,3-)]-2- }-2- -1,2,3,4- -3-)-6H- [2,3-b] -5-



3
-1,2- , 2- -6H- [2,3-b] -5- (9) , 3-
(47%).

$^1\text{H NMR}$ 3.03(m, 2H), 3.16(d, 1H), 3.24(t, 1H), 3.29(m, 2H), 3.52(m, 1H), 4.37(dd, 1H), 4.47(t, 1H), 4.74(m, 2H), 4.82(m, 1H), 6.93(d, 1H), 7.07(t, 1H), 7.12(s, 1H), 7.20(s, 1H), 7.28(m, 2H), 8.07(m, 1H), 8.56(d, 1H), 11.93(s, 1H); m/z 477,479

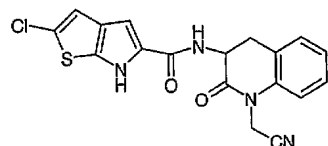
26: 2- -N- {1- [2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b]
-5-



EDCI(225 mg, 1.17 mmol) 5- -2- -6H- [2,3-b] (9, 234 mg, 1.06 mmol) 3-
-1-(2-)-3,4- -2(1H)- (12; 215 mg, 1.06 mmol) DCM(20 mL)
가 , 18 , DCM:MeOH(9:1)(100 mL) (25 m
L) , (MgSO₄), (DCM
DCM:MeOH(9:1)) , (180 mg, 42%).

$^1\text{H NMR}$ (CDCl₃) 2.89(app. t, 1H), 3.36(s, 3H), 3.66(m, 3H), 4.10(dt, 1H), 4.28(dt, 1H), 6.83(d, 1H), 7.11(dd, 1H), 7.28(m, 5H), 10.78(br. s, 1H); MS m/z (M+Na)⁺ 426,428.

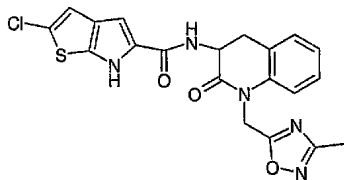
27: 2- -N- [1-()]-2- -1,2,3,4- -3-]-6H- [2,3-b] -5
-



EDCI(1.09 g, 5.65 mmol) 5- -2- -6H- [2,3-b] (9, 1.04 g, 5.13 mmol) (3-
-2- -3,4- -1(2H)-) (13; 1.29 g, 5.13 mmol) DCM(30 mL)
가 , 18 , DCM:MeOH(9:1)(100 mL)
K₂CO₃ (25 mL) , (MgSO₄),
(DCM DCM:MeOH(9:1)) , Et₂O(25 mL)
, Et₂O(25 mL) (25 mL) , (414
mg, 21%).

$^1\text{H NMR}$ 3.08(dd, 1H), 3.23(app. t, 1H), 4.81(m, 1H), 5.12(s, 2H), 7.10(s, 1H), 7.15(t, 1H), 7.21(s, 1H), 7.28(d, 1H), 7.39(m, 2H), 8.66(d, 1H), 11.99(br. s, 1H); MS m/z (M-H)⁻ 383,385

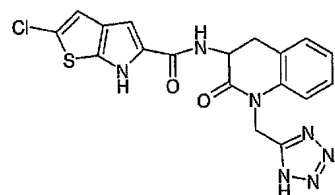
28: 2- -N- {1- [(3- -1,2,4- -5-)]-2- -1,2,3,4- -3-
}-6H- [2,3-b] -5-



N-(1-(2-chloro-5-(2-methylimidazol-1-yl)thiophen-3-yl)thiopyridin-4(1H)-one) (118 L, 1.07 mmol), 4-(2-chloro-5-(2-methylimidazol-1-yl)thiophen-3-yl)thiopyridin-4(1H)-one (103 L, 1.07 mmol), THF(10 mL), N-(1-(2-chloro-5-(2-methylimidazol-1-yl)thiophen-3-yl)thiopyridin-4(1H)-one) (119 mg, 1.61 mmol), EtOAc(100 mL), H₂O(25 mL), DCM(3 x 50mL), (MgSO₄), MeOH:DCM(1:4)(100 mL), (DCM:DCM:MeOH(9:1)), (300 mg), (291 mg, 61%).

¹H NMR 2.35(s, 3H), 3.14(dd, 1H), 3.30(app. t, 1H), 4.86(m, 1H), 5.43(d, 1H), 5.56(d, 1H), 7.19(m, 4H), 7.36(m, 2H), 8.67(d, 1H), 11.98(br. s, 1H); MS m/z (M+Na) + 442,444

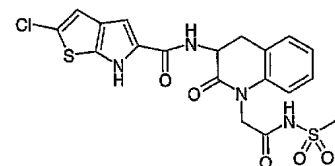
29: 2-(N-(1-(2-chloro-5-(2-methylimidazol-1-yl)thiophen-3-yl)thiopyridin-4(1H)-one)-1,2,3,4-ylidene)-2-methylimidazole-5-carboxamide [2,3-b]-5-



(178 mg, 2.73 mmol), 4-(2-chloro-5-(1H-tetrazol-5-ylmethyl)thiophen-3-yl)thiopyridin-4(1H)-one (356 mg, 2.59 mmol), 1-(2-chloro-5-(1H-tetrazol-5-ylmethyl)thiophen-3-yl)thiopyridin-4(1H)-one (7 mL), 2-(N-(1-(2-chloro-5-(1H-tetrazol-5-ylmethyl)thiophen-3-yl)thiopyridin-4(1H)-one)-1,2,3,4-ylidene)-2-methylimidazole-5-carboxamide (27; 300 mg, 0.78 mmol), EtOAc(100 mL), H₂O(50 mL), MeOH:DCM(1:19), (MgSO₄), 10 g Isolute NH₂, MeOH:DCM(1:9)(10 mL), MeOH:DCM(1:9)(6 x 10mL), MeOH:Et₂O 2M HCl:DCM(5:4:45)(6 x 10 mL), (246 mg, 74%).

¹H NMR 3.09(dd, 1H), 3.26(app. t, 1H), 4.90(m, 1H), 5.31(d, 1H), 5.59(d, 1H), 7.09(m, 3H), 7.19(s, 1H), 7.31(m, 2H), 8.59(d, 1H), 11.95(br. s, 1H); MS m/z 450,452

30: 2-(N-(1-(2-chloro-5-(1H-tetrazol-5-ylmethyl)thiophen-3-yl)thiopyridin-4(1H)-one)-1,2,3,4-ylidene)-2-methylimidazole-5-carboxamide [2,3-b]-5-

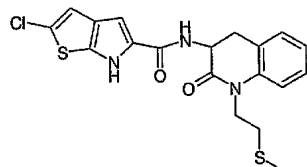


(90 mg, 0.94 mmol), 4-(2-chloro-5-(methanesulfonyl)thiophen-3-yl)thiopyridin-4(1H)-one (287 mg, 2.35 mmol), EDCl(225 mg, 1.17 mmol), N-(1-(2-chloro-5-(methanesulfonyl)thiophen-3-yl)thiopyridin-4(1H)-one) (315 mg, 0.78 mmol), DCM(50 mL), MeOH, :DCM(1:19)(50 mL), 1M HCl(aq.)(50 mL), (MgSO₄), 10 g Isolute NH₂, MeOH:DCM(1:9)(10 mL), MeOH:DCM(1:9)(6 x 10mL), MeOH:Et₂O 2M HCl:DCM(5:4:45)(6 x 10 mL), Et₂O(25 mL), (

mg, 20%).

¹H NMR 2.94(app. t, 1H), 3.31(dd, 1H), 4.81(m, 1H), 5.03(d, 1H), 5.39(d, 1H), 6.99(m, 3H), 7.25(m, 2H), 7.34(d, 1H), 7.66(d, 1H), 11.37(br. s, 1H); MS m/z (M-H) - 441,443.

34: 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b]
-5-

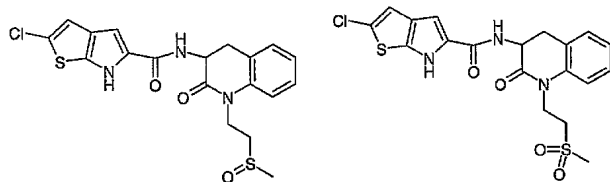


EDCI(915 mg, 4.77 mmol) 5- -2- -6H- [2,3-b] (801 mg, 3.97 mmol), 3- -1-[2
-()]-3,4- -2(1H)- (16; 1.40 g, 3.97 mmol) 1- (537
mg, 3.97 mmol) DCM(60 mL) 가 , 18 ,
DCM(100 mL) (25 mL) , (MgSO₄),
(DCM DCM:EtOAc(9:1)) , (660 mg,
40%).

¹H NMR 2.13(s, 3H), 2.69(t, 2H), 3.02(dd, 1H), 3.13(app. t, 1H), 4.13(t, 2H), 4.70(m, 1H), 7.06(d, 1H), 7.11(s, 1H), 7.19(s, 1H), 7.22(d, 1H), 7.27-7.36(m, 2H), 8.51(d, 1H), 11.92(br. s, 1H); MS m/z (M-H) - 418,420

35: 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-
-b] -5-

36: 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-
b] -5-



H₂O(12 mL) (701 mg, 1.14 mmol) MeOH(12 mL) 2- -N-{1-[2-()]-2- -1,2
,3,4- -3- }-6H- [2,3-b] -5- (34; 462 mg, 1.10 mmol) 가
, 18 , EtOAc(100 mL) , NaHCO₃ (20 mL) , (Na
2 SO₄), . (DCM DCM:THF(3:2), DCM:MeOH(4:1))
2 Et₂O(25 mL) , , Et₂O(
25 mL) (25 mL) , (35, 104 mg, 22% 36, 230
mg, 46%).

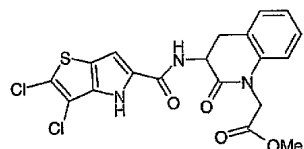
35:

¹H NMR 2.62(s, 3H), 3.05(m, 4H), 4.28(m, 2H), 4.67-4.77(m, 1H), 7.09(m, 2H), 7.19(s, 1H), 7.31(m, 3H), 8.52(dd, 1H), 11.93(br. s, 1H); MS m/z (M-H) - 434,436

36:

¹H NMR 3.03(dd, 1H), 3.09(s, 3H), 3.16(app. t, 1H), 3.38-3.52(m, 2H), 4.34(t, 2H), 4.67-4.77(m, 1H), 7.06-7.13(m, 2H), 7.19(s, 1H), 7.22(d, 1H), 7.24-7.37(m, 3H), 8.52(dd, 1H), 11.94(br. s, 1H); MS m/z (M-H) - 450,452

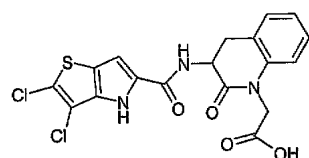
37: 2,3- -N-[1-()]-2- -1,2,3,4- -3-]-4H- [3,2
-b] -5-



5- -2,3- -4H- [3,2-b] (8; 595 mg, 2.52 mmol), HOBT(340 mg, 2.52 mmol), DCM(100 mL) EDCI(483 mg, 2.52 mmol) (3- -2- -3,4- -1(2H)-) (1, 590 mg, 2.52 mmol) 가 , 18 , (50 L) , 30 , Et₂O(2 x 20 mL) , (528 mg, 46 %).

¹ H NMR 3.10(dd, 1H), 3.21(app. t, 1H), 3.69(s, 3H), 4.67(d, 1H), 4.81(m, 2H), 7.07(m,2H), 7.23(s, 1H), 7.31(m, 2H), 8.69(d, 1H), 12.51(s, 1H); MS m/z 452,454.

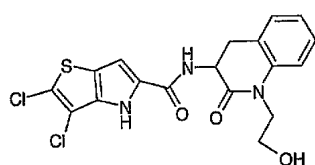
38: N-[1-()-2- -1,2,3,4- -3-]-2,3- -4H- [3,2-b] -5-



2 , 2,3- -N-[1-()-2- -1,2,3,4- -3-]-4H- [3,2-b] -5- (37) .

¹ H NMR 3.15(m, 2H), 4.54(d, 1H), 4.78(m, 2H), 7.06(M, 2H), 7.21(m, 1H), 7.28(m, 2H), 8.67(d, 1H), 12.52(s, 1H), 12.94(br, 1H); MS m/z 438,440

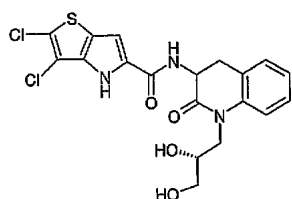
39: 2,3- -N-[1-(2-)-2- -1,2,3,4- -3-]-4H- [3,2-b] -5-



8 , N-[1-()-2- -1,2,3,4- -3-] -2,3- -4H- [3,2- -5- (38) .

¹ H NMR 3.10(m, 2H), 3.61(m, 2H), 3.98(m, 2H), 4.79(m, 2H), 7.05(m, 1H), 7.28(m, 3H), 8.57(d, 1H), 12.49(s, 1H); MS m/z 424.

40: 2,3- -N-[1-[(2R)-2,3-]-2- -1,2,3, 4- -3- }-4H- [3,2-b] -5-

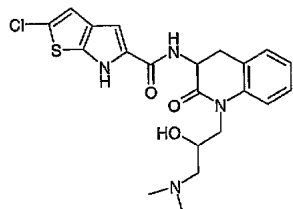


9 , 2,3- -N-{1-[(2R)-2,3-]-2- -1,2,3,4- -3- }-4H- [3,2-b] -5- (7) 가

(92%).

$^1\text{H NMR}$ 3.06(m, 2H), 3.33(m, 2H), 3.85(m, 3H), 4.70(m, 3H), 7.04(m, 1H), 7.24(m, 4H), 8.58(2 x d, 1H), 12.49(s, 1H); MS m/z 454, 456

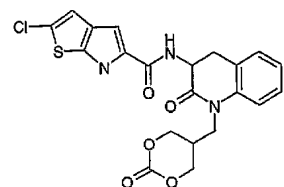
41: 2- -N-{1-[3-()-2-]-2- -1,2,3,4- -3- }
-6H- [2,3-b] -5-



2- - 3-
-1-(-2-)-3,4- -2(1H)- (19) , 2- -6H- [2,
3-b] -5- (9) , 3
, 가 (150 mg) EtOH(5 mL) , EtOH (EtO
H 5.0-6.0 M, 0.5 mL) 가 , EtOAc(40 mL)
NaHCO₃ (20 mL) , (MgSO₄),
(MeOH:DCM 2:9) , (41 mg).

$^1\text{H NMR}$ 1.85(s, 3H), 2.16(s, 3H), 2.27(m, 2H), 3.05(m, 2H), 3.20(br, 1H), 3.63(m, 1H), 3.84(m, 1H), 4.08(m, 1H), 4.68(m, 1H), 7.15(m, 6H), 8.48(d, 1H), 12.00(s, 1H); MS m/z 447

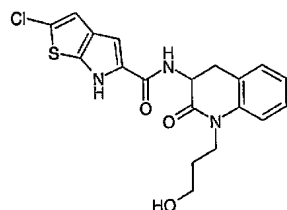
42: 2- -N-{2- -1-[(2- -1,3- -5-)]-1,2,3,4- -3- }-6H-
[2,3-b] -5-



(143 mg, 0.88 mmol) 2- -N-{1-[3- -2-()]-2- -1,2,3
,4- -3- }-6H- [2,3-b] -5- (22; 250 mg, 0.58 mmol) 가
, DMAP(2 mg) 가 , 50 4 EtOAc(50 mL) H₂O(10 L)
가 NaHO₃ , 1M HCl(aq.) 가 ,
(MgSO₄), Et₂O(15 mL) ,
(182 mg, 0.40 mmol, 68%).

$^1\text{H NMR}$ 3.07(dd, 1H), 3.21(t, 1H), 4.22(m, 5H), 4.45(m, 2H), 4.73(m, 1H), 7.07(m, 2H), 7.18(s, 1H), 7.21(m, 3H), 8.50(d, 1H), 11.95(s, 1H); MS m/z 460, 462.

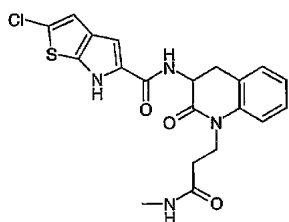
43: 2- -N-[1-(3-)-2- -1,2,3,4- -3-]-6H- [2,3-b]
-5-



TBAF(THF 1.0M, 4.92 mL, 4.92 mmol) THF(15 mL) N-[1-(3-{[tert- ()] })-2-
 -1,2,3,4- -3-]-2- -6H- [2,3-b] -5- (20, 1.84 g, 3.56
 mmol) 가 , 48 EtOAc(50 mL) NH₄ Cl(aq.)(20 mL) 가
 , (MgSO₄),
 (1.24g, 3.08 mmol, 86%).

¹ H NMR 1.79(m, 2H), 3.13(m, 2H), 3.52(m, 2H), 4.01(m, 2H), 4.58(m, 2H), 4.73(quin, 1H), 7.20(m, 6H), 8.53(d, 1H), 11.96(s, 1H); MS m/z 404

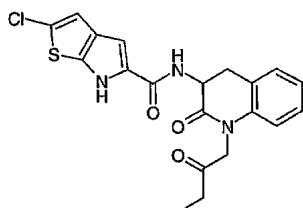
44: 2- -N-[1-[3-()]-3-]-2- -1,2,3,4- -3- }-6H-
 [2,3-b] -5-



(329 mg, 0.88 mmol) DMF(3 mL) 2- -N-[1-(3-)-2- -1,2,3
 ,4- -3-]-6H- [2,3-b] -5- (43; 100 mg, 0. 248 mmol) 가
 24 EtOAc(20 mL) ,
 1M HCl(aq.) , (MgSO₄),
 , (THF 2.0M) , 3 ,
 (65 mg, 61%, 2).

¹ H NMR 2.43(m, 2H), 2.60(s, 3H), 3.08(m, 2H), 4.12(m, 2H), 4.70(m, 1H), 7.07(m, 2H), 7.23(m, 2H), 7.32(m, 2H), 7.89(s, 1H), 8.50(d, 1H), 11.92(s, 1H); MS m/z 431

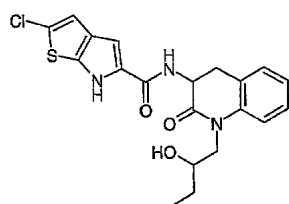
45: 2- -N-[2- -1-(2-)]-1,2,3,4- -3-]-6H- [2,3-b] -
 5-



2- -6H- 3- -1-()-3,4- -2(1H)- (22) ,
 [2,3-b] -5- (9) , 3
 (56%).

¹ H NMR 0.95(t, 3H), 2.58(m, 2H), 3.04(dd, 1H), 3.19(t, 1H), 4.73(m, 2H), 4.94(d, 1H), 6.88(d, 1H), 7.05(m, 2 H), 7.24(m, 3H), 8.52(d, 1H), 11.90(s, 1H); MS m/z 416,418

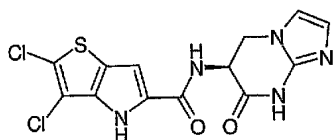
46: 2- -N-[1-(2-)]-2- -1,2,3,4- -3-]-6H- [2,3-b]
 -5-



(13.7 mg, 0.36 mmol) 2- -N-[1-(2-)-2- -2,3,4-
 -3-]-6H- [2,3-b] -5- (45, 100 mg, 0.24 mmol) MeOH(10 mL)
 가 , 1 H₂O(5 mL) EtOAc(20 mL) 가 ,
 (MgSO₄), Et₂O(5 mL) , (75 mg, 75
 %), 2:1 .

¹H NMR 0.90(m, 3H), 1.38(m, 2H), 3.07(m, 2H), 3.71(m, 2H), 4.03(m, 1H), 4.75(m, 2H), 7.04(t, 1H), 7.13(s, 1H), 7.19(s, 1H), 7.34(m, 3H), 8.48(d, 1H), 11.95(s, 1H); MS m/z 418

47: 2,3- -N-[(6S)-7- -5,6,7,8- [1,2-a] -6-]-4H- [-
 3,2-b] -5-

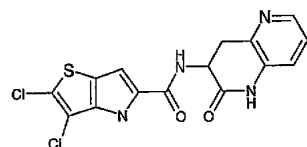


TFA(2 mL) (6S)-6-()-5,6- [1,2-a] -7(8H)- (23, 400 mg, 1 m
 mol) DCM(20 mL) 가 , 1 .
 , (6S)-6- -5,6- [1,2-a] -7(8H)- ,

HOBT(135 mg, 1 mmol) 2,3- -4H- [3,2-b] -5- -2- (8; 236 mg, 1 mmol)
 DIPEA(0.52 mL, 3 mmol) 가 , 5 , EDCI(210 mg, 1.1 m
 mol) 가 , 가 16 .
 , (202 mg, 55%).

¹H NMR 3.97(t, 1H), 4.35(dd, 1H), 4.92(m, 1H), 6.66(s, 1H), 6.88(s, 1H), 7.17(s, 1H), 8.64(d, 1H), 11.21(br s, 1H), 12.51(br s, 1H); MS m/z 370.

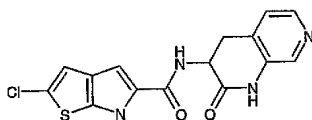
48: 2,3- -N-(2- -1,2,3,4-i -1,5- -3-)-4H- [3,2-b] -5-



(404 mg, 4 mmol), HOBT(148.5 mg, 1.1 mmol), 2,3- -4H- [3,2-b] -5-
 (8, 234 mg, 1.0 mmol) 3- -3,4- -1,5- -2(1H)- (25, 234 mg, 1.0
 mmol) (20 mL) , EDCI(210 mg, 1.1 mmol) 가 ,
 2 , (50 mL) .
 , (2 x 10mL) , 50 (237 mg,
 71%).

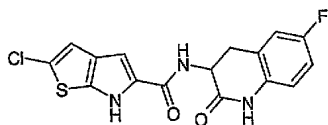
¹H NMR 3.1-3.4(m, 2H); 4.85(m, 1H); 7.2(m, 3H); 8.1(d, 1H); 8.6(d, 1H); 10.44(s, 1H); 12.48(s, 1H); MS m/z 379.

49: 2- -N-(2- -1,2,3,4- -1,7- -3-)-6H- [2,3-b] -5-



DIPEA(297 mg, 2.3mmol), HOBT(128mg, 0.95 mmol), 2- (9, 1
54 mg, 0.767 mmol) 3- -3,4- -1,7 -2(1H)- (28, 300 mg, 0.767 mmol) DC
M(10 mL) , EDCl(183 mg, 0.95 mmol) 가 , 2
(100 mL) , (2 x
25 mL) (25 mL) , (MgSO₄) , (20
mL) (45 mg, 17%).

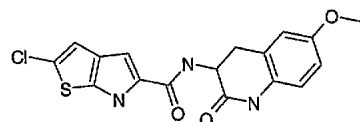
50: N-(6- -1,2,3,4- -3-)-6H- [2,3-b] -5



Et₃N(184 L, 1.32 mmol), HOBT(89 mg, 0.66 mmol), 3- -6- -3,4- -2(1H)-
(CAS : 82420-54-0)(143 mg, 0.66 mmol), EDAC(127 mg, 0.66 mmol) 2- -6H-
[2,3-b] -5- (133 mg, 0.66 mmol) DMF(3.5 mL) 가 .
16 , (50 mL) 10 ,
, 400 , (203 mg, 84%).

¹H NMR 3.12(m, 2H), 4.71(m, 1H), 6.89(m, 1H), 7.02(m, 1H), 7.07(m, 1H), 7.10(s, 1H), 7.13(dd, 1H), 7.20(s, 1H), 7.48(d, 1H), 10.37(s, 1H), 11.95(s, 1H); MS m/z 364,366.

51: N-(6- -1,2,3,4- -3-)-6H- [2,3-b] -5-

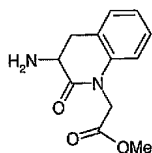


50 , 3- -3,4- -6- -2(1H)- (CAS :
35287-38-8) 2- -6H- [2,3-b] -5- (9) .

¹H NMR 3.03(dd, 1H), 3.09(t, 1H), 3.72(s, 3H), 4.68(m, 1H), 6.82(m, 3H), 7.09(s, 1H), 7.20(s, 1H), 8.43(d, 1H), 10.20(s, 1H), 11.92(s, 1H); MS m/z 376,378.

1

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

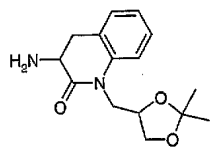


(60%, 2.52 g, 63.0 mmol) 3- -3,4- -2(1H)- (J. Med. Chem.,
28, 1985, 1511-16; 5.0 g, 25.2mmol) DMF(100 mL) 0 5 < 10
가 가 30 , (2.85 mL, 30.2 mmol) 가
, 가 60 , 1M HCl(5 mL) 가 ,
DCM(250 mL) , NaHCO₃ (100 mL) , (MgSO₄),
, (5.89 g, 25.2 mmol), 가 .

¹H NMR 2.21(br. s, 2H), 2.78(d, 1H), 2.97(dd, 1H), 3.47(dd, 1H), 3.67(s, 3H), 4.55(d, 1H), 4.78(d, 1H), 6.96(m, 2H), 7.23(m, 2H); MS m/z MH⁺ 235.

2

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

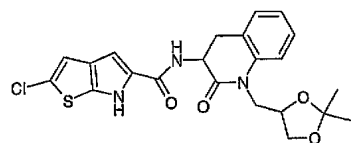


(60%, 191 mg, 4.70 mmol) DMF(6 mL) 3- -3,4- -2(1H)-
 (J. Med. Chem., 28, 1985; 1511-16, 450 mg, 2.27 mmol) 0 5 < 10
 가 . 가 30 , (2,2- -1,3- -4-) (J.
 Med. Chem. 35, 1992, 1650-62; 528 mg, 2.50 mmol) 가 , 80 5 가 .
 , , NaHCO₃ (20 mL) EtOAc(50mL) 가 . (Mg
 SO₄), (MeOH:DCM 1:19) ,
 (330 mg, 53%).

¹ H NMR 1.33(s, 3H), 1.40(s, 1.5H), 1.45(s, 1.5H), 1.96(br. s, 2H), 2.89(m, 1H), 3.07(m, 1H), 3.60(m, 1H), 3.82(m, 1.5H), 4.08(m, 1.5H), 4.33(m, 2H), 7.04(m, 1H), 7.23(m, 3H); MS m/z MH⁺ + 277.

3

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

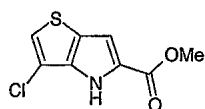


5- -2- -6H- [2,3-b] (9 ; 243 mg, 1.20 mmol), HOBT(178 mg, 1.32 mmol), D
 MF(10 mL) EDCI(252 mg, 1.32 mmol) 3- -1-[(2,2- -1,3- -4-)]-3,
 4- -2(1H)- (2 , 330 mg, 1.20 mmol) 가 , 18
 , EtOAc(100 mL) , 1M HCl(50 mL) , NaH
 CO₃ (30 mL) (30 mL) 가 , (MgSO₄),
 (EtOAc: 1:2) (382 mg, 69%).

¹ H NMR 1.32(s, 1.5H), 1.33(s, 1.5H), 1.37(s, 1.5H), 1.42(s, 1.5H), 2.88(m, 1H) 3.63(m, 1H), 3.78(app. t, 1H), 3.90(dd, 0.5H), 4.4(dd, 0.5H), 4.14(m, 1H), 4.33(m, 2H), 4.68(m, 1H), 6.82(m, 2H), 7.10(m, 1H), 7.27(m, 4H), 10.94(br. s, 1H); MS m/z MNa⁺ + 482,484.

4

3- -5- -4H- [3,2-b]

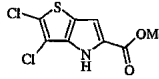
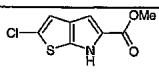


(28 %)(5 ml, 25.9 mmol) MeOH(5 ml) , -25
 4- -2- (J Heterocyclic Chem, 1976, 13, 393; 1.1 g, 7.5 mmol)
 (3.0 g, 26.1 mmol) MeOH(20 ml) -25 가 . 가 ,
 16 5 가 (250 ml) 가 , DCM
 0 (30 ml) ,
 (120 ml) 가 . 30 가 ,
 (EtOAc/) (Bond Elut , 5050% EtOAc)

(640 mg, 40%). NMR(CDCl₃) 9.1(1H, br), 7.1(2H, s), 3.9(3H, s); m/z 214.3

5 6

4

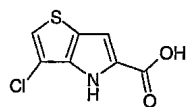
방법	화합물	NMR (CDCl ₃)	M/z
5 ¹		9.2 (1H, br), 7.0 (1H, s), 3.9 (3H, s)	248.2
6 ²		9.4-9.2 (1H, br), 7.0 (1H, s), 6.9(1H, s), 3.9 (3H, s)	214

1 : DE 2814798

2 : Gronowitz et al. Tetrahedron Vol. 32 1976 p.1403

7

5- -3- -4H- [3,2-b]

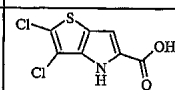
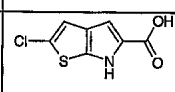


3- -5- -4H- [3,2-b] (4 ; 0.61 g, 2.83 mmol) MeOH(10 ml)
 가 가 (2.0 M, 3.0 ml, 6.0 mmol) 45 가 가
 30 가 , (20 ml) 가 , (2.0M, 3.0 ml)
 EtOAc

(0.57 g, 100%). NMR: 12.4(1H, br), 7.4(1H, s), 7.0(1H, s); m/z 200.3

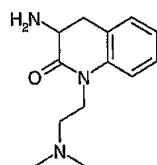
8 9

7

방법	화합물	NMR	M/z	SM
8		7.0 (1H, s)	234.2	방법 5
9		12.6-12.7 (1H, b), 12.0-12.1 (1H, b), 7.15 (1H, s), 6.9 (1H, s)	183	방법 6

10

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

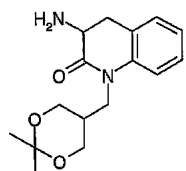


(60%, 70.5 mg, 1.75 mmol) DMF(2 mL) 3- -3,4- -2(1H)-
 (100 mg, 0.50 mmol) 0 5 가 . 가 30 , 2-(
) (80 mg, 0.55 mmol) 가 , 80 5 가 ,
 , NaHCO₃ (20 mL) EtOAc(50 mL) 가 . , (MgSO₄),
 , 가 .

¹ H NMR 1.25(s, 2H), 2.35(s, 6H), 2.56(m, 2H), 2.81(d, 1H), 3.05(dd, 1H), 3.56(dd, 1H), 4.08(m, 2H), 7.15(m, 4H); MS m/z 234

11

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

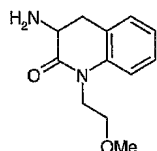


10 (2,2- -1,3- -5-) [CAS 13
 1372-64-0]

¹ H NMR 1.41(s, 3H), 1.47(s, 3H), 1.74(s, 2H), 2.21(m, 1H), 2.82(d, 1H), 3.06(dd, 1H), 3.57(dd, 1H), 3.73(m, 2H), 3.93(m, 3H), 4.15(m, 1H), 7.02(t, 1H), 7.19(m, 2H), 7.26(m, 1H); MS m/z 291

12

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

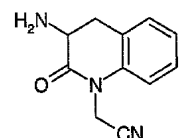


(60%, 321 mg, 8.03 mmol) DMF(10 mL) 3- -3,4- -2(1H)-
 (J. Med Chem., 28, 1985; 1511-16; 759 mg, 3.82 mmol) 0 5 가 . 1 , 2-
 (0.40 mL, 4.20 mmol) 가 , 18 . EtOAc(100 m
 L) , K₂CO₃ (20 mL) . DCM(3 x 50 mL)
 (Na₂SO₄), (DCM DCM:MeOH(4:1))
 (654 mg, 78%).

¹ H NMR(CDCl₃) 1.82(br. s, 2H), 2.85(app. t, 1H), 3.06(dd, 1H), 3.36(s, 3H), 3.61(m, 3H), 4.02(dt, 1H), 4.24(dt, 1H), 7.02(dt, 1H), 7.19(m, 2H), 7.27(t, 1H).

13

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



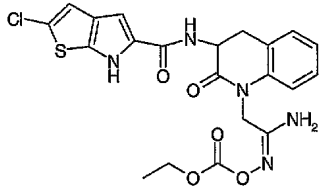
(60%, 2.74 g, 68.5 mmol) DMF(70 mL) 3- -3,4- -2(1H)-
 (J. Med Chem., 28, 1985; 1511-16; 6.47 g, 32.6 mmol) 0 5 가 . 1 ,
 가 , 2 , (2.28 mL, 32.68 mmol)

가 , K₂CO₃ (20 mL) (Na₂SO₄), , 18 , EtOAc(100 mL) DCM:MeOH(19:1)(3 x 50 mL) (DCM DCM:MeOH(9:1)) (5.28 g, 81%).

¹H NMR(CDCl₃) 1.79(br. s, 2H), 2.90(app. t, 1H), 3.11(dd, 1H), 3.65(dd, 1H), 4.68(d, 1H), 5.03(d, 1H), 7.05(d, 1H), 7.13(t, 1H), 7.25(d, 1H), 7.35(t, 1H); MS m/z 202.

14

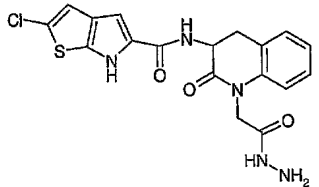
N-[1-((2Z)-2- -2-{(() })-2- -1,2,3,4- -3-]-2- -6H- [2,3-b] -5-



(60 μl, 0.63 mmol) N-{1-[(2Z)-2- -2-(()]-2- -1,2,3,4- -3-)-2- -6H- [2,3-b] -5- (**31** ; 200 mg, 0.48 mmol) HF(10 mL) (1 mL) , EtOAc(50 mL) 1M HCl aq.(20 mL) , 100 , 30 , (Na₂SO₄),

15

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

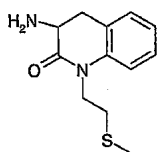


(1 mL, 20.6 mmol) [3-{(2- -6H- [2,3-b] -5-) }]-2- -3,4- -1(2H)-] (**1** ; 221 mg, 0.53 mmol) EtOH(10 mL) , H₂O(10 mL) , (169 mg, 76%).

¹H NMR 3.04(dd, 1H), 3.18(app. t, 1H), 4.25(br. s, 2H), 4.33(d, 1H), 4.69(d, 1H), 4.88(m, 1H), 6.93(d, 1H), 7.06(t, 1H), 7.11(s, 1H), 7.19(s, 1H), 7.28(m, 2H), 7.32(m, 2H), 8.52(d, 1H), 9.29(br. s, 1H), 11.67(br. s, 1H); MS m/z 418,420.

16

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

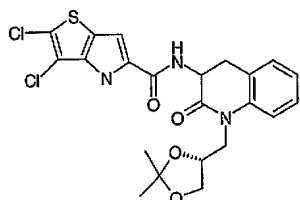


3- 1-(2-)-3,4- -2(1H)- (12) , 2-

¹ H NMR 2.13(s, 3H), 2.66(t, 2H), 2.73(app. t, 1H), 2.96(dd, 1H), 3.44(dd, 1H), 4.09(t, 2H), 7.01(t, 1H), 7.14(d, 1H), 7.23(m, 2H).

17

2,3- -N- {1- [(2R)-2,3-]-2- -1,2,3,4- -3- }-4H- [3,2-b] -5-

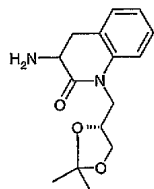


18) , 3- -1- [(2R)-2,3-]-3,4- -2(1H)- (2,3- -4H- [3,2-b] -5-

¹ H NMR 1.23(s, 3H), 1.30(s, 3H), 3.12(m, 2H), 3.71(m, 1H), 4.15(m, 4H), 4.72(m, 1H), 7.05(t, 1H), 7.20(s, 1H), 7.31(m, 3H), 8.60(d, 1H), 12.49(s, 1H); MS m/z 456

18

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



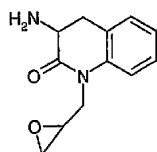
2 , [(4S)-2,2- -1,3- -4-] (J. Org. Chem, 64, 1999 6782-6790)

¹ H NMR(CDCl₃) 1.42(m, 6H), 2.99(m, 2H), 3.60(m, 1H), 3.83(m, 1.5H), 4.11(m, 1.5H), 4.38(m, 2H), 7.03(m, 1H), 7.26(d, 3H).

19

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

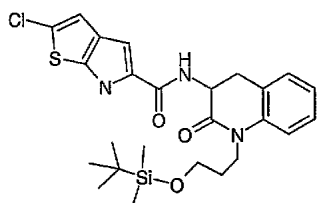
1



¹ H NMR 2.70(m, 1.5H), 3.25(m, 4H), 4.12(dd, 0.5H), 4.32(dd, 0.5H), 4.70(dd, 0.5H), 7.20(m, 4H); MS m/z 219

20

N-[1-(3-{[tert- ()] })-2- -1,2,3,4- -3-]-2- -6H-
 [2,3-b] -5-

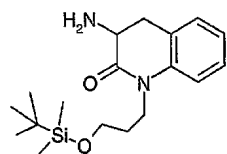


3- -1-(3-{[tert- ()] })-3,4
 -2(1H)- (21) , 2- -6H- [2,3-b] -5-

¹ H NMR 0.00(s, 6H), 0.87(s, 9H), 1.85(m, 2H), 2.79(t, 1H), 3.60(m, 3H), 4.05(m, 2H), 4.56(m, 1H), 6.77(s, 1H), 6.82(s, 1H), 7.02(t, 1H), 7.20(m 4H), 10.47(s, 1H); MS m/z 518

21

3- -1-[tert- ()] })-3,4- -2(1H)-

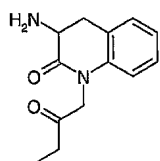


1 , (3-) (tert-)

¹ H NMR 0.00(s, 6H), 0.88(s, 9H), 1.75(s, 2H), 1.83(m, 2H), 2.74(d, 1H), 3.00(dd, 1H), 3.48(dd, 1H), 3.66(m, 2H), 3.98(m, 2H), 6.96(t, 1H), 7.16(m, 3H); MS m/z 335

22

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

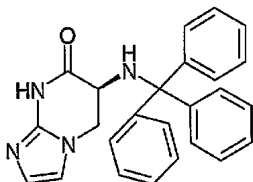


1 1- -2-

¹ H NMR 1.1(t, 1H), 1.84(br, 2H), 2.55(q, 2H), 2.93(m, 1H), 3.12(dd, 1H), 3.67(dd, 1H), 4.49(d, 1H), 4.92(d, 1H), 6.61(d, 1H), 7.03(t, 1H), 7.20(t, 2H); MS m/z 233

23

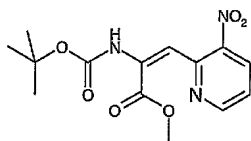
(6S)-6-()-5,6- [1,2-a] -7(8H)-



$^1\text{H NMR}$ 1.4(s, 9H); 3.1(m, 2H); 4.3(m, 1H); 7.0(bd, 1H); 7.2(m, 2H); 8.1(5, 1H); 10.26(s, 1H); MS m/z 208.

27

2-[(tert-)]-3-(3 -2-)

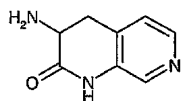


[(tert-)]() (1.33 g, 4.46 mmol) THF(20 mL)
 , -78 (490 mg, 4.26 mmol) 가 , -78
 가 10 (Tetrahedron vol. 54(1998) p 6311)(618 mg, 4.06 m
 mol) THF(5 mL) . 3- 가 -2- 2 (50 mL), (150 mL)
 , (2 x 20mL) (20 mL) , (MgSO₄)
 , (DCM) , Z/E 4:1
 (1.1 g, 84%).

$^1\text{H NMR}$ 1.4(s, 11.25H); 3.6(s, 0.75H); 3.8(s, 3H); 6.7(s, 1H); 6.9(s, 0.25H); 7.45(m, 0.25H), 7.6(m, 1H); 8.37(d, 0.25H); 8.5(d, 1H); 8.7(d, 0.25H); 8.9(d, 1H); 9.8(s, 0.25H); 10.3(s, 1H); MS m/z 322

28

3- -3,4- -1,7- -2(1H)-

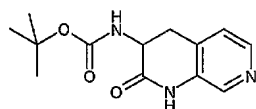


tert- (2- -1,2,3,4- -1,7- -3-) (**29** , 284 mg) DCM(10 mL)
 , (5 mL) . 1 , ,
 , (20 mL) , ,
 (346 mg, 82%).

$^1\text{H NMR}$ 3.2(m, 2H); 4.3(m, 1H), 7.4(d, 1H); 8.2(s, 1H) ; 8.25(d, 1H); 8.6(b, 3H); 11.0(s, 1H)

29

tert- (2- -1,2,3,4- -1,7- -3-)

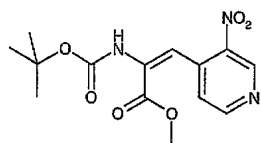


2-[(tert-)]-3-(3 -4-) (Z/E 10:1)(**3**
0 , 1.57 g, 4.83 mmol) , 10% (250 mg) 가 . 1
 6 , .
 (DCM/MeOH 0-10%) ,
 (284 mg, 22%).

$^1\text{H NMR}$ 1.4(s, 9H); 3.0(m, 2H); 4.2(m, 1H); 7.0(d, 1H); 7.2(d, 1H); 8.1(m, 2H); 10.36(s, 1H); MS m/z 264.

30

-2-[(tert-)]-3-(3 -4-)

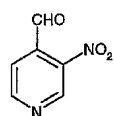


[(tert-butyl) ()]() (1.73 g, 5.82 mmol) THF(20 mL)
 , -78 (638 mg, 5.55 mmol) 가 , -78
 가 10 . 3- (31 , 804 mg, 5.29 mmol) THF(5 mL)
 가 . 2 -78 (100 mL) (5
 0 mL) , (2 x 50 mL) (25 mL) , (MgSO₄),
 , (EtOAc: 1:1) , Z/E 10;1
 (1.57 g, 92%).

¹H NMR 1.3(s, 9H); 1.4(s, 0.9H); 3.55(s, 0.3H); 3.8(s, 3H); 6.6(s, 0.1H); 7.2(s, 1H); 7.25(d, 0.1H); 7.5(d, 1H)
 ; 8.75(d, 0.1H); 8.8(s, 1.1H); 8.85(d, 1H); 9.2(s, 0.1H); 9.25(s, 1H); MS m/z 322.

31

3- _____



4- - (1.43 g, 10.36 mmol) DMF(5 mL) , (2.0
 g, 16.8 mmol) 가 . 140 2 가 ,
 (E)-N,N- -2-(3- -4-)
 (6.61 g, 31 mmol) THF/ 1:1(100 mL) 가 . 2
 (100 mL)
 (2 x 100 mL) , (100
 mL) (100 mL) , (MgSO₄) , (100
 CM) (960 mg, 61%).

¹H NMR 7.8(d, 1H); 9.15(d, 1H); 9.4(s, 1H); 10.4(s, 1H)

(57)

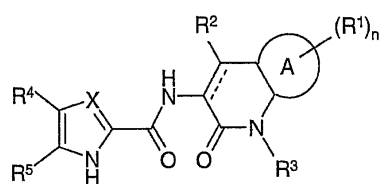
1.

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

) 2- -5-[N-(2- -1,2,3,4- -3-)]-6H- [2,3-b] ;

) 2- -5-[N-(1- -2- -1,2,3,4- 가 -3-)]-6H- [2,3-b]
 , 1 :

1



----- ;

X N CH ;

R⁴ R⁵ -S-C(R⁶)=C(R⁷)- -C(R⁷)=C(R⁶)-S- ;

R⁶ R⁷ , , , C¹⁻⁴ , C²⁻⁴ , C²⁻⁴ , C¹⁻⁴ , C¹⁻⁴ , ;

A ;

n 0, 1 2 ;

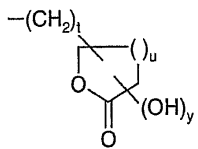
R¹ , , , N-C¹⁻⁴ , N,N-(C¹⁻⁴)₂ , N-C¹⁻⁴ , N,N-(C¹⁻⁴)₂ , -S(O)_bC¹⁻⁴ (, b 0, 1 2) , C¹⁻⁴ , C²⁻⁴ , C²⁻⁴ , C¹⁻⁴ , C¹⁻⁴ , C¹⁻⁴ , C¹⁻⁴ , C¹⁻⁴ ;

n 2 , 2 R¹ A , O, S N ; 1 2 1 2 4 7

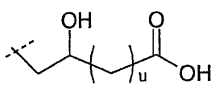
R² , ;

R³ , , C¹⁻⁴ , C¹⁻⁴ , , C³⁻⁷ (1 2 R⁸) , B B' (C¹⁻⁴) , C¹⁻⁴ (1 2 R⁸) ;

B



B'



, y 0 1 , t 0, 1, 2 3 , u 1 2 ;

, 가 ;

R⁸ , C¹⁻⁴ C¹⁻⁴ , C¹⁻⁴ , 5 6 , C³⁻⁷ , C¹⁻⁴ , C¹⁻⁴ S(O)_b- [, b 0, 1 2] , C³⁻⁶ S(O)_b- [, b 0, 1 2] , S(O)_b- [, b 0, 1 2] , S(O)_b- [, b 0, 1 2] , -N(OH)CHO, -C(=N-OH)NH₂ , -C(=N-OH)NHC¹⁻⁴ , -C(=N-OH)N(C¹⁻⁴)₂ , -C(=N-OH)NHC³⁻⁶ , -C(=N-OH)N(C³⁻⁶)₂ , -COCOOR⁹ , -C(O)N(R⁹)(R¹⁰) , -NHC(O)R⁹ , -C(O)NHSO₂(C¹⁻⁴) , -NHSO₂R⁹ , (R⁹)(R¹⁰)NSO₂- , -COCH₂OR¹¹ , (R⁹)(R¹⁰)N- -COOR⁹ ;

R⁹ R¹⁰ , , C¹⁻⁴ (1 2 R¹³) , C³⁻⁷ (1 (2) , (C¹⁻⁴) , (C¹⁻⁴) , , (

9.

1 8 가 가 , A 1
가 가 가 .

10.

1 8 가 가 , A 1
가 가 가 .

11.

1 10 가 가 , 1
가 가 가 .

12.

- 1 ,
- 2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;
- N-[1-()-2- -1,2,3,4- -3-]-2- -6H- [2,3-b] -5-
;
- 2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;
- 2- -N-[1-(N,N-)-2- -1,2,3,4- -3-]-6H- [2,3-b]
-5- ;
- 2- -N-[1-(N-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;
- 2- -N-[1-(N-)-2- -1,2,3,4- -3-]-6H- [2,3-b]
-5- ;
- 2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H- [2,
,3-b] -5- ;
- 2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;
- 2- -N-[1-(2,3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -
5- ;
- 2- -N-{1-[(2,2- -1,3- -4(S)-)]-2- -1,2,3,4- -3(R,S)- }-
6H- [2,3-b] -5- ;
- 2- -N-[1-(2(S),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-
b] -5- ;
- 2- -N-[1-(2,2- -1,3- -4(R)-)-2- -1,2,3,4- -3(R,S)-]-6H
- [2,3-b] -5- ;
- 2- -N-[1-(2(R),3-)-2- -1,2,3,4- -3(R,S)-]-6H- [2,3-
b] -5- ;
- 2- -N-{1-[2-(4- -1-)-2-]-2- -1,2,3,4- -3- }-6H
- [2,3-b] -5- ;

- 2- -N-{1-[N-(1,3- -2-)]-2- -1,2,3,4- -3- }-
6H- [2,3-b] -5- ;
- 2- -N-{1-[N-(2-)]-2- -1,2,3,4- -3- }-6H- [2,3-
-b] -5- ;
- 2- -N-(1-{2-[(3a,6a-cis)-2,2- -5H-[1,3] [4,5-c] -5-]-2- }
-2- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;
- 2- -N-(1-{2-[(cis)-3,4- -1-]-2- }-2- -1,2,3,4- -
3-)-6H- [2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -
5- ;
- 2- -N-{1-[(2,2- -1,3- -5-)]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;
- 2- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;
- 2,3- -N-{1-[(2,2- -1,3- -5-)]-2- -1,2,3,4- -3- }-4H-
[3,2-b] -5- ;
- 2,3- -N-{1-[3- -2-()]-2- -1,2,3,4- -3- }-4H-
[3,2-b] -5- ;
- 2- -N-(1-{2-[(2,3-)]-2- }-2- -1,2,3,4- -3-)-
6H- [2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
;
- 2- -N-[1-()-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
;
- 2- -N-{1-[(3- -1,2,4- -5-)]-2- -1,2,3,4- -3- }-6H-
[2,3-b] -5- ;
- 2- -N-[2- -1-(1H- -5-)-1,2,3,4- -3-]-6H- [2,3-b]
-5- ;
- 2- -N-(1-{2-[()]-2- }-2- -1,2,3,4- -3-)-6H-
[2,3-b] -5- ;
- N-{1-(2Z)-2- -2-()]-2- -1,2,3,4- -3- }-2- -6H-
[2,3-b] -5- ;
- 2- -N-{2- -1-[(5- -4,5- -1,2,4- -3-)]-1,2,3,4- -3-
- }-6H- [2,3-b] -5- ;
- N-{1-[(5- -1,3,4- -2-)]-2- -1,2,3,4- -3- }-2- -6H-
[2,3-b] -5- ;
- 2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
;

2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
 ;

2- -N-{1-[2-()]-2- -1,2,3,4- -3- }-6H- [2,3-b] -5-
 ;

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

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

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

2,3- -N-{1-[(2R)-2,3-]-2- -1,2,3,4- -3- }-4H- [3,2-
 -b] -5- ;

2- -N-{1-[3-()-2-]-2- -1,2,3,4- -3- }-6H-
 [2,3-b] -5- ;

2- -N-{2- -1-[(2- -1,3- -5-)]-1,2,3,4- -3- }-6H- [2,3-
 -b] -5- ;

2- -N-[1-(3-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
 ;

2- -N-{1-[3-()-3-]-2- -1,2,3,4- -3- }-6H- [2,3-
 b] -5- ;

2- -N-[2- -1-(2-)-1,2,3,4- -3-]-6H- [2,3-b] -5-
 ;

2- -N-[1-(2-)-2- -1,2,3,4- -3-]-6H- [2,3-b] -5-
 ;

2,3- -N-[(6S)-7- -5,6,7,8- [1,2-a] -6-]-4H- [3,2-b] -
 5- ;

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

2- -N-(2- -1,2,3,4- -1,7- -3-)-6H- [2,3-b] -5- ;

N-(6- -1,2,3,4- -3-)-6H- [2,3-b] -5- ;

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

1 가 가 가 .

13.

1 12 가 1 가 .
가 가 가

14.

1 12 가 , 가 , 가 .
1 가 , 가 , 가

15. 1 가 12 가 가 , 1

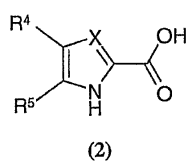
16. 1 12 , , 2 , , X , , 가 , 가 가 . 1

17. , 2 , , X , , 1 , 12 , 1 가 가 가 .

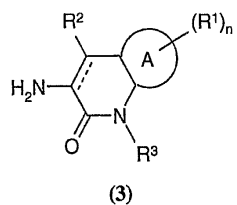
18. , 2 1 가 , 1 가 12 .

19. 2 3 ,) 1 1 ;) ;) 가 가 가 1 1 :

2



3



, 1 .