

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

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(22) 1995 06 09 (43) 1995 11 17
1995 06 09
(86) PCT/DK1993/00414 (87) WO 1994/13659
(86) 1993 12 08 (87) 1994 06 23

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(30) 1483/92 1992 12 09 (DK)

(73) .
- 2500 9

(72) .
- 2820 29
가
- 3630 22
- 2700 63

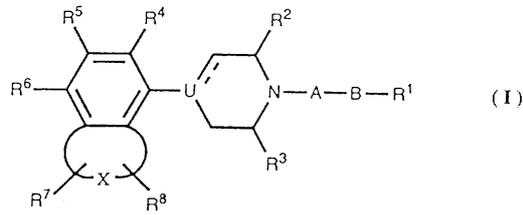
(74)
:

(54)

()

CNS

5-HT_{1A}



[N CH A 2 6 ; B SO, SO₂ (a) 2가 ; U C, ; R¹ ; R² R³ ; R⁴ ; R⁵ R⁶ ; R⁷ R⁸ -COOR⁹ -CONR¹⁰ R¹¹ .]

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5-HT_{1A} benzoderivatives) 5-HT_{1A} 가 (fused

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0 138 280 0 185 429 4 가 1
 가 EP 0 372 65
 7 가 , 가
 0 138 280 4- -N-[2-(4
 -(2- -1,4- -5-) -1-)]
 가 5-HT_{1A} (Schipper et al, Human Psychopharm., 1991, 6, S53).
 0 364 327 5-HT_{1A} 5-HT₂ 가 4-[2-(4-)
 -1-)]-2- 가 (半)
 EP 0 343 050 5-HT_{1A} 5-HT₂ 가 6- -
 3[(4-) -1-) (2-4)]-1H, 3H- -2,4-
 5-HT_{1A}

WO 92/03426 4 , 1 N -
N - 가 가 5 - HT₂, 5 - HT₁
A ,

0466585 5 - HT_{1A} 가 1 -
() - 4 - ()

EP 0 490 772 AI 5 - HT_{1A} 1,4 -
가 4 5 - 7 - , 1
가

5 - HT_{1A} 가

(8 - [4 - [4 - (2 -) - 1 -]] - 8 - - [4,5] - 7,9 -),
(4,4 - - 1 - [4 - [4 - (2 -) - 1 -]] - 2,6 -), (2 - [4 - [4 -
(2 -) - 1 -]] 1,2 - - 3(2H) - - 1,1 -) 5 - HT_{1A}
, 5 - HT_{1A} 가
(Glitz, D.A., Pohl, R., Drugs 1991, 41, 11).

(Schipper, Huma Psychopharm., 1991, 6, S53).

5 - HT_{1A}

(van Hest, Psychopharm., 1992, 107, 474; Schipper et al, Human
Psychopharm., 1991, 6, S53; Cervo et al, Eur. J. Pharm., 1998, 158, 53; Glitz, D.A., Pohl, R., Drugs 1991,
41, 11).

5 - HT_{1A}

(Sanchez et al, Psychopharmacology, 1993, 110, 53 - 59).

5 - HT_{1A} 가

(Hicks, Life Science 1990, 47, 1609) 5 - HT_{1A} 가

5 - HT_{1A}

(focal)

(global)

(Prehn, Eur. J. Pharm. 1991, 203, 213).

5 - HT_{1A}

가

가

(Bowen et al, T

rend Neur. Sci. 1992, 15, 84).

5 - HT_{1A}

(Saxena and Villalon, Trends Pharm. Sci. 1990, 11, 95; Gillis et al, J. Pharm. Exp. Ther. 1989, 248,
851). 5 - HT_{1A}

5 - HT_{1A}

5 - HT_{1A}

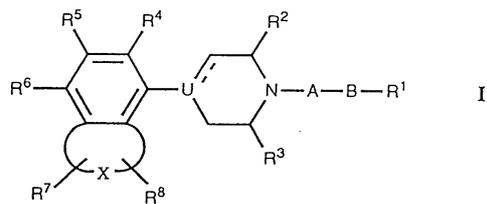
D₂

⊂ 1

5 - HT_{1A}

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가

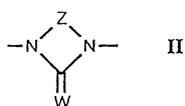


[A

2 6

, 3-7

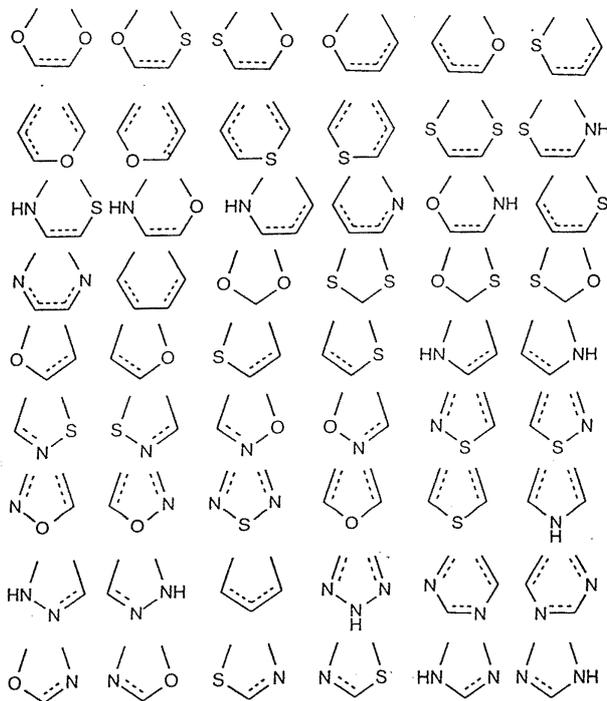
; B SO, SO₂,



2가
-, -COCH₂ -, -CSCH₂ -,
;

W O S Z - (CH₂)_n - (n = 2, 3), -CH=CH
1,2- ; U N CH

U C ; X



(; R¹) , 2가 3 - 4 , () , () (/) , U가 N R¹ , ; R² R³ ; R⁴, R⁵ R⁶ , Z가 1,2 - ; R⁷ R⁸ , - COOR⁹ - CONR¹⁰ R¹¹ (R⁹, R¹⁰ R¹¹) .]

가

OH - DPAT) C₁ 5 - HT_{1A} D₂ 5 - HT_{1A} 50nM 5 - HT_{1A} 8 - - 2 - 가 (8 - 가

5 - HT_{1A} D₂ (Lowe et al, Med. Res., 1988, 8, 475) 5 - HT_{1A} D₂

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- 8 가 C₁ - C₂₀ 가 C₂ - C₂₀ . 3

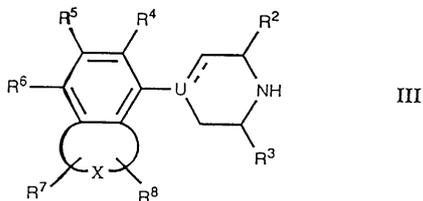
X

(/) 가 ,

0.01 50mg
0.05 - 500mg, 가

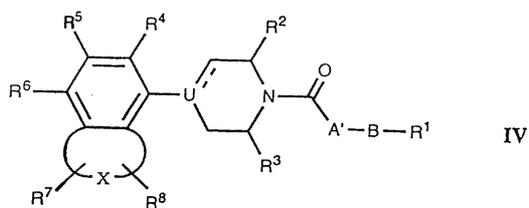
0.1 20mg . 1 .

a)



(R² - R⁸, U, X) R¹ - B - A - V (R¹, A B ;
V ,) ;

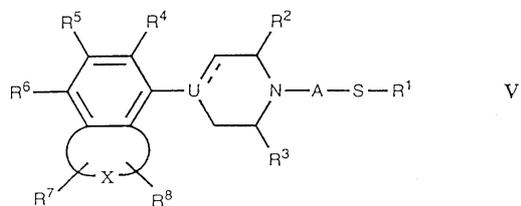
b)



(R¹ - R⁸, B, U, X) A CH₂ - A 가 A
2 6 ,) ;

c) R¹ - B - A'' - CO - A'' ' (R¹ - B - A' - CHO , R¹ - B - A' - COOH
R¹, B A' A'' A'' ' A'' - CH - A'' ' 가 A
2 6 ,) ;

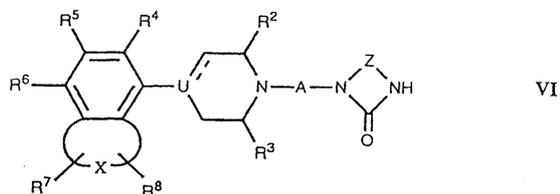
d)



(R¹ - R⁸, A, U, X) ;

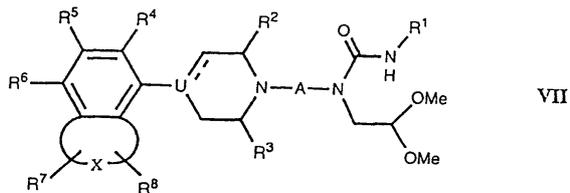
e) $R^{12} R^{13} C=CR^{14} - B - R^1$ (R^1 B , R^{12}, R^{13} R^{14} $R^{12} R^{13} C=CR^{14}$ 가 A) $C, E - 1,4 -$ 가 ;
 - 6

f)



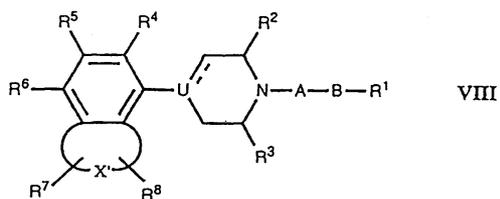
($R^2 - R^8, A, U, X, Z$) $R^{1''} - CO - R^{1'''}$ ($R^1, R^{1''}$ $R^{1'''}$ $R^1 - CHO$, $R^1 - COOH$ 가 R^1) ; $R^1 - CH_2$ $R^{1''} - CH_2 - R^{1'''}$

g)



($R^1 - R^8, A, U, X$) ;

h)



($A, B, R^1 - R^6, U$) NH X' X $Ar - ha1$ (Ar , X' NH) $ha1$;

) R^7 R^8 $- COOR^9$ R^7 R^8 $- CONR^{10} R^{11}$;
 $R^7 - R^{11}$

j) X 가 ;

k) $R^4 - R^8 ($,

1) U가 C

가

a)

B가 SO SO₂ R¹ - B - A - V

B가, Z가 - (CH₂)₂ - W가 O
 . Z가 - CH=CH - 1,2 -

DE - OS No 2,035,370
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Martin et al, J. Med. Chem., 1989, 32, 1052

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Daukass et al, Zh. Org. Khim., 1967, 3, 1121

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4302592

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Scriven et al, J.

Chem. Soc., Perkin Trans. I, 1979, 53

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Van Wijngaarden et al, J.

Med. Chem., 1988, 31, 1934

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- 2,2 -

Ger. Of

fen. DE 3526510

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Boswell et al, J. Heterocycl. Chem. 1

968, 5, 69

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- Ricci et al, Ann. Chim. (Rome), 1963, 53, 1860

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Melhado et al, J. Org. Chem., 1983, 48, 5130

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4 - - 2

- him. Ital., 1956, 86, 1257). 7 - - 2 -

(Andrisano et al, Gazz. C
 (Curtius rearrangement) 2 -

- 7 -

(No. EP 147044 A2)

1,2,5,6 -

(cf.

2,

891,066 ; McElvain et al, J. Amer. Chem. Soc. 1950, 72, 3134),

10 11

b)

()

R¹ - B - A' - COC1

c)

- B - A - COOH R¹ - B - A" - CO - A" ' ,

(4). R¹ - B - A' - CHO, R¹

d)

m -
 m -

a) , B가 S
 $R^1 - S - A' - COOH$ $R^1 - S -$
 b) , $R^1 - S - A' - CHO$
 $A'' - CO - A'''$ c)

e) C, F - 가
 $R_{12} R_{13} C = CR_{14} - B - R$

f) a), b) c)

g) . 2-
 가

h) (Ullman) 가 Ar-
 hal 가)

j) (9),
 0
 가

k) 1)

가

[]

[1]

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

(600ml) tert - (100g)
 3 - - 1 - (100g) 가 . 60 (100g) 가 . 2M
 (1) . 2M
 (120g) 3- - 1 - (500ml) .

(250ml) 3 - - 1 - (60g) (35%, 210ml) 10 가
 2 . . (1) . 1
 M . 1M (300m

l) 1 (37g) 3 - - 1 - 가
 (400ml) 3 - - 1 - (37g) (30ml) - 5
 (15ml) 2
 (49g) 3 - - 1 -
 (5.4g) (200ml) 3 - - 1 (8.5g), 1 - (1,4 - - 5 -)
 20
 (, : / / = 96:2:2)
 가

수득량: 8.1g, 용점: 162-64°C.

¹H NMR (δ, DMSO): 1.05-1.45 (m, 6H), 1.60-1.90 (m, 2H), 1.95-2.10 (m, 4H), 2.90-3.20 (m, 13 H), 4.15-4.30 (m, 4H), 6.45-6.60 (m, 2H), 6.75 (d, 1H).

1 - (1,4 - - 5 -) - 4 - (3 - - 1 -) ,
 1b, 용점: 184-96 °C. ¹H NMR (δ, DMSO): 2.00-2.20 (m, 2H), 3.00-3.25 (m, 6H), 3.30-3.60 (m, 6H), 4.15-4.30 (m, 4H), 6.45-6.60 (m, 2H), 6.75 (t, 1H), 7.60-7.80 (m, 3H), 7.95 (d, 2H), 8.00 (b, 2H).

1 - (3 - - 1) - 4 - (2,3 - - 7 -) ,
 1c, 용점: 166-68 °C. ¹H NMR (δ, DMSO): 1.05-1.50 (m, 5H), 1.60-1.70 (m, 1H), 1.75-1.90 (m, 2H), 1.95-2.20 (m, 4H), 3.00-3.40 (m, 17H), 4.50 (t, 2H), 6.05 (s, 2H), 6.65-6.80 (m, 2H), 6.90 (d, 1H).

1 - (2,3 - - 7 -) - 4 (3 - - 1) ,
 1d, 용점: 150-51 °C. ¹H NMR (δ, DMSO): 2.00-2.20 (m, 2H), 3.05 (s, 3H), 3.00-3.50 (m, 16H), 4.55 (t, 3H), 6.10 (s, 2H), 6.65-6.85 (m, 2H), 6.90 (d, 1H).

1 - (1,4 - - 5 -) - 4 - (3 - - 1 -) , , 1e
 용점: 166-67 °C. ¹H NMR (δ, DMSO): 1.25 (d, 6H), 1.80-2.00 (m, 2H), 2.50-2.65 (m, 6H), 2.90-3.05 (m, 4H), 3.05-3.15 (m, 2H), 3.30 (h, 1H), 4.15-4.30 (m, 4H), 6.50 (t, 2H), 6.60 (s, 2H), 6.70 (t, 1H).

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

1f, 용점:

143-44 °C. ¹H NMR (δ, CDCl₃): 1.65-1.85 (m, 6H), 2.00-2.25 (m, 11H), 2.55 (t, 2H), 2.60-2.70 (m, 4H), 2.90-3.00 (m, 2H), 3.00-3.15 (m, 4H), 4.20-4.25 (m, 2H), 4.25-4.35 (m, 2H), 6.50-6.60 (m, 2H), 6.80 (t, 1H).

[2]

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

2a

(1.4g), 1 - (1,4 - - 5 -) (3g) (0.1g) (1.5g), 1 - (3 - - 1 -) - 3 - - 2 - 20 (, : / / 가 = 15:4:1) . .

수득량: 1.9g, 용점: 229-32°C. ¹H NMR (δ,

DMSO): 1.95-2.15 (m, 2H), 3.00-3.25 (m, 6H), 3.30 (t, 2H), 3.40-3.65 (m, 4H), 3.70-4.00 (m, 4H), 4.15-4.30 (m, 4H), 6.45-6.70 (m, 2H), 6.75 (t, 1H), 7.00 (t, 1H), 7.30 (t, 2H), 7.60 (d, 2H), 11.30 (b, 1H).

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

2b, 용점: 266-68 °C. ¹H NMR (δ, CDCl₃): 1.45-1.95 (m, 8H), 3.00-3.30 (m, 4H), 3.35-3.60 (m, 8H), 3.60-3.85 (m, 4H), 4.15-4.35 (m, 5H), 6.50 (d, 1H), 6.65 (d, 1H), 6.80 (t, 1H), 12.30 (b, 1H).

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

2c, 용점: 288-90 °C. ¹H NMR (δ, DMSO): 3.00-3.75 (m, 10H), 3.85 (t,

2H), 4.10-4.35 (m, 4H), 4.50-4.75 (m, 4H), 6.45-6.70 (m, 2H), 6.75 (t, 1H), 7.00 (t, 1H), 7.35 (t, 2H), 7.60 (d, 2H), 10.95 (b, 1H).

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

2d, 용점: 103-14 °C. ¹H NMR (δ, DMSO): 0.95-1.15 (m, 1H), 1.15-1.45 (m, 4H), 1.45-1.65 (m, 3H), 1.65-1.80 (m, 2H), 2.60 (t, 2H), 2.65-2.80 (m, 4H), 2.90-3.05 (m, 4H), 3.15-3.35 (m, 6H), 3.40-3.55 (m, 1H), 4.15-4.30 (m, 4H), 6.4-6.55 (m, 2H), 6.60 (s, 2H), 6.70 (t, 1H), 7.90 (b, 1H).

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

2e, 용점: 212-22 °C. ¹H NMR (δ, DMSO): 0.95-1.15 (m, 1H), 1.15-1.40 (m, 4H), 1.40-1.65 (m, 5H), 1.65-1.85 (m, 4H), 3.00-3.25 (m, 8H), 3.25 (2, 4H), 3.40-3.60 (m, 5H), 4.15-4.30 (m, 4H), 6.45-6.60 (m, 2H), 6.75 (t, 1H), 8.00 (b, 1H), 11.40 (b, 1H).

1 - 3 - [2 - [4 - (2,3 - 7 -) - 1 -]] - 2 - ,

2f, 용점: 200-2 °C. ¹H NMR (δ, DMSO): 1.40-1.80 (m, 8H), 3.00-3.80 (m, 18H), 4.00-4.15 (m, 1H), 4.50 (t, 2H), 6.65-6.85 (m, 2H), 6.90 (t, 1H), 11.05 (b, 1H).

1 - [3 - [4 - (2,3 - 7 -) - 1 -] - 1 -] - 3 - 2 - ,

2g, 용점: 225-28 °C. ¹H NMR (δ, DMSO): 1.95-2.10 (m, 2H), 2.95-3.40 (m, 12H), 3.40-3.70 (m, 6H), 3.80 (t, 2H), 4.50 (t, 2H), 6.65-6.80 (m, 2H), 6.90 (d, 1H), 7.00 (t, 1H), 7.35 (t, 2H), 7.60 (d, 2H), 11.20 (b, 1H).

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

2h, 용점: 182-83 °C. ¹H NMR (δ, DMSO): 3.20-3.95 (m, 18H), 6.10 (s, 2H), 6.90-7.10 (m, 2H), 7.35 (t, 2H), 7.55-7.70 (m, 4H).

1 - [2 - [4 - (2,3 - 7 -) - 1 -]] - 3 - (4 -) - 2 - , 2i,

2i, 용점: 188-90 °C. ¹H NMR (δ, DMSO): 2.55-2.70 (m, 6H), 2.95-3.15 (m, 4H), 3.10 (t, 2H), 3.35 (t, 2H), 3.55 (t, 2H), 3.80 (t, 2H), 4.50 (t, 2H), 5.10 (b, 2H), 6.60 (s, 2H), 6.65 (d, 1H), 6.75 (t, 1H), 6.80 (d, 1H), 7.15 (t, 2H), 7.50-7.60 (m, 2H).

7 - [4 - [2 - (3 - - 2 - - 2 - - 1 -)] - 1 -] - 2 - ,

2j, 용점: 202-4 °C. ¹H NMR (δ, DMSO): 1.35 (t, 3H), 2.70 (t, 2H), 2.75-2.90 (m, 4H), 2.95-3.15 (m, 4H), 3.40 (t, 2H), 3.60 (t, 2H), 3.80 (t, 2H), 4.35 (q, 2H), 6.60 (s, 2H), 6.80 (d, 1H), 6.95-7.05 (m, 2H), 7.15 (d, 1H), 7.25-7.40 (m, 2H), 7.60 (d, 2H).

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

용점: 176-80 °C. ¹H NMR (δ, DMSO): 2.70 (t, 2H), 2.65-2.90 (m, 4H), 2.95-3.15 (m, 4H), 3.40 (t, 2H), 3.55 (t, 2H), 3.80 (t, 2H), 6.60 (s, 2H), 7.00 (t, 1H), 7.10 (d, 1H), 7.30 (t, 2H), 7.40 (t, 1H), 7.45-7.65 (m, 5H), 7.85-7.95 (m, 1H), 8.05-8.20 (m, 1H).

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

2l, 용점: 250-52 °C. ¹H NMR (δ, DMSO): 1.05 (t, 3H), 2.95-3.70 (m, 18H), 4.15-4.30 (m, 4H), 6.50 (d, 1H), 6.55 (d, 1H), 6.25 (t, 1H), 10.65 (b, 1H).

1 - [2 - [4 - - 7 - - 1 -]] - 3 - - 2 - , ,

2m, 용점: 175-76 °C. ¹H NMR (δ, DMSO): 2.60 (t, 2H), 2.65-2.75 (m, 4H), 3.20-3.35 (m, 4H), 3.40 (t, 2H), 3-60 (t, 2H), 3.80 (t, 2H), 6.75 (s, 1H), 6.75 (d, 1H), 6.90 (s, 1H), 7.00 (t, 1H), 7.05-7.25 (m, 2H), 7.30 (t, 2H), 7.60 (d, 1H), 7.95 (s, 1H).

1 - [2 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -]] - 3 - - 2 - , ,

2n, 용점: 220-30 °C. ¹H NMR (δ, DMSO): 1.40 (s, 6H), 3.00 (s, 2H), 3.10-3.45 (m, 6H), 3.50-3.75 (m, 8H), 3.85 (t, 2H), 6.65-6.80 (m, 2H), 6.85 (d, 1H), 7.00 (t, 1H), 7.35 (t, 2H), 7.60 (d, 2H), 9.35 (b, 1H), 11.30 (b, 1H).

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

2o, 용점: 228-30 °C. ¹H NMR (δ, DMSO): 1.05 (d, 6H), 2.95-3.65 (m, 16H), 3.90 (h, 1H), 4.15-4.30 (m, 4H), 6.50 (d, 1H), 6.60 (d, 1H), 6.25 (d, 1H), 10.95 (b, 1H).

1 - - 3 - [2 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -]] - 2 - ,

2p, 융점: 185-95 °C. ¹H NMR (δ, DMSO): 1.45 (s, 6H), 1.45-1.75 (m, 8H), 3.00 (s, 2H), 3.10-3.40 (m, 10H), 3.50 (t, 2H), 3.55-3.70 (m, 4H), 4.00-4.15 (m, 1H), 6.70-6.80 (m, 2H), 6.35 (d, 1H), 7.35 (b, 1H), 11.30 (b, 1H).

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

2q, 융점: 246-48 °C. ¹H NMR (δ, DMSO): 1.55-1.65 (m, 6H), 1.90-2.10 (m, 9H), 2.96-3.60 (m, 16H), 4.15-4.30 (m, 4H), 6.50 (d, 1H), 6.55 (d, 1H), 6.75 (t, 1H), 10.85 (b, 1H).

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

2r, 융점: 207-9 °C. ¹H NMR (δ, DMSO): 2.65 (t, 2H), 2.70-2.80 (m, 4H), 3.10-3.20 (m, 4H), 3.40 (t, 2H), 3.55 (t, 2H), 3.80 (t, 2H), 6.60 (s, 3H), 6.65-6.70 (m, 1H), 6.95-7.05 (m, 2H), 7.10-7.20 (m, 2H), 7.30 (t, 2H), 7.55 (d, 2H), 7.90 (s, 1H).

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

2s, 융점: 237-39 °C. ¹H NMR (δ, DMSO): 1.40-1.80 (m, 8H), 3.15-3.45 (m, 10H), 3.55 (t, 2H), 3.55-3.75 (m, 4H), 4.00-4.20 (m, 1H), 4.45 (b, 1H), 6.75 (dd, 1H), 7.10 (d, 1H), 7.20-7.30 (m, 2H), 8.00 (s, 1H), 11.20 (b, 1H).

1 - [2 - (4 - [b] - 7 - - 1 -)] - 3 - - 2 - ,

2t, 융점: 136-38 °C. ¹H NMR (δ, CDCl₃): 2.70 (t, 2H), 2.70-2.85 (m, 4H), 3.15-3.35 (m, 4H), 3.50 (t, 2H), 3.55 (t, 2H), 3.80j (t, 2H), 6.90 (d, 1H), 7.00 (t, 1H), 7.20-7.45 (m, 5H), 7.45-7.65 (m, 3H).

1 - - 3 - [2 - [4 - (7 -) - 1 -]] - 2 - ,

2u, 융점: 188-89 °C. ¹H NMR (δ, CDCl₃): 1.40-1.90 (m, 8H), 2.60 (t, 2H), 2.65-2.75 (m, 4H), 3.05-3.15 (m, 4H), 3.20-3.45 (m, 6H), 4.25 (p, 1H), 6.50-6.55 (m, 1H), 6.80 (d, 1H), 7.05 (t, 1H), 7.10-7.20 (m, 1H), 7.35 (d, 1H), 8.40 (b, 1H).

1 - [2 - [4 - (7 -) - 1 -]] - 3 - - 2 - , ,
2y,

용점: 215-16 °C. ¹H NMR (δ, DMSO): 2.70 (t, 2H), 2.75-2.85 (m, 4H), 3.00-3.15 (m, 4H), 3.40 (t, 2H), 3.55 (t, 2H), 3.80 (t, 2H), 6.35-6.40 (m, 1H), 6.60 (s, 2H), 6.65 (d, 1H), 6.90 (t, 1H), 7.00 (t, 1H), 7.15-7.35 (m, 4H), 7.60 (d, 2H).

1 - [2 - [4 - (1,2 - - 7 -) - 1 -]] - 3 - - 2 - , ,

2x, 용점: 237-44 °C. ¹H NMR (δ, DMSO): 3.10-3.80 (m, 14H), 3.85 (t, 2H), 7.00 (t, 1H), 7.20 (d, 1H), 7.30 (t, 2H), 7.50 (t, 1H), 7.60 (d, 2H), 7.90 (d, 1H), 9.15 (s, 1H), 11.25 (b, 1H).

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

2y, 용점: 214-20°C. ¹H NMR (δ, DMSO): 1.50-1.80 (m, 8H), 3.20-

3.60 (m, 12H), 3.60-3.80 (m, 4H), 3.95-4.20 (m, 1H), 6.60j (s, 1H), 6.70 (d, 1H), 7.00 (t, 1H), 7.20 (d, 1H), 7.35 (s, 1H), 11.30 (b, 1H).

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

2z, 용점: 233-38°C. ¹H NMR (δ, DMSO): 3.25-3.50 (m, 8H), 3.60 (t, 2H), 3.60-3.75 (m, 4H), 3.85 (t, 2H), 5.00 (b, 2H), 6.50 (2, 1H), 6.60 (d, 1H), 6.95-7.00 (m, 2H), 7.15 (d, 1H), 7.25-7.40 (m, 3H), 7.60 (d, 2H), 11.20 (b, 1H).

1 - [2 - [4 - [b] - 7 - - 1 -]] - 3 - - 2 - , ,

2aa, 용점: 264-67 °C. ¹H NMR (δ, DMSO): 1.40-1.75 (m, 8H), 3.20-3.45 (m, 10H), 3.50 (t, 2H), 3.60-3.75 (m, 4H), 4.10 (p, 1H), 7.05 (d, 1H), 7.40 (t, 1H), 7.50 (d, 1H), 7.60 (d, 1H), 7.75 (d, 1H), 11.30 (b, 1H).

1 - - 3 - [4 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -]] - 2 - , ,

2bb, 용점: 196-203 °C. ¹H NMR (δ, DMSO): 1.20-1.65 (m, 10H), 1.40 (s, 6H), 1.65-1.80 (m, 4H), 3.00 (s, 2H), 3.00-3.20 (m, 8H), 3.20-3.25 (m, 6H), 3.40-3.55 (m, 2H), 3.60-3.65 (m, 1H), 6.70-6.80 (m, 2H), 6.85 (d, 1H), 7.60 (b, 1H), 11.30 (b, 1H).

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

2cc, 용점: 198-201 °C. ¹H NMR (δ, DMSO): 1.35 (t, 3 H), 1.40-1.75 (m, 8H), 3.25-3.75 (m, 16H), 4.00-4.15 (m, 1H), 4.35 (q, 2H), 6.80 (d, 1H), 7.30 (d, 1H), 7.40 (t, 1H), 7.95 (s, 1H).

1 - [4 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -] - 1 -] - 3 - (4 -) - 2 -

2dd, 용점: 158-60 °C. ¹H NMR (δ, CDCl₃): 1.50 (s, 6H), 1.55-1.65 (m, 4H), 2.45 (t, 2H), 2.55-2.70 (m, 4H), 3.00 (s, 2H), 3.10-3.20 (m, 4H), 3.30 (t, 2H), 3.45 (t, 2H), 3.80 (t, 2H), 6.65-6.70 (m, 1H), 6.75 (d, 2H), 7.00 (t, 2H), 7.40-7.55 (m, 2H).

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

2ee, 용점: 229-31 °C. ¹H NMR (δ, DMSO): 1.30 (s, 9H), 3.00-3.60 (m, 16H), 4.20-4.30 (m, 4H), 6.45-6.60 (m, 2H), 6.75 (t, 1H).

1 - [3 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -] - 1 -] - 3 - - 2 - ,

2ff, 용점: 183-85 °C. ¹H NMR (δ, DMSO): 1.40 (s, 6H), 1.75 (hep, 2H), 2.50 (t, 2H), 2.60-2.70 (m, 4H), 2.95 (s, 2H), 3.00-3.15 (m, 4H), 3.25 (t, 2H), 3.45 (t, 2H), 3.80 (t, 2H), 6.60 (s, 2H), 6.65 (d, 1H), 6.70 (t, 1H), 6.75 (d, 1H), 7.00 (t, 1H), 7.30 (t, 2H), 7.55 (d, 2H).

1 - - 3 - [4 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -] - 1 -] - 2 - ,

2gg, 용점: 125-27 °C. ¹H NMR (δ, CDCl₃): 1.50 (s, 6H), 1.50-1.55 (m, 3H), 1.65-1.70 (m, 6H), 2.00-2.10 (m, 9H), 2.40 (t, 2H), 2.55-2.65 (m, 4H), 3.00 (s, 2H), 3.10-3.20 (m, 8H), 3.30 (t, 2H), 6.70 (t, 1H), 6.75 (d, 2H).

1 - [4 - [4 - (5 - - 2 - - 7 -) - 1 -] - 1 -] - 3 - - 2 - ,

2hh, 용점: 198-200 °C. ¹H NMR (δ, DMSO): 1.00-1.85 (m, 14H), 3.10 (t, 2H), 3.15-3.70 (m, 14H), 4.00-4.10 (m, 1H), 4.65 (b, 2H), 6.85 (s, 1H), 7.30 (s, 1H), 7.40 (s, 1H), 7.45 (t, 1H), 7.50 (t, 2H), 7.95 (d, 2H).

1 - [4 - [4 - (5 - - 2 - - 7 -) - 1 -]] - 3 - - 2 - ,

2ii, 용점: 155-57 °C. ¹H NMR (δ, DMSO): 1.40-1.70 (m, 8H), 2.55 (t, 2H), 2.65-2.75 (m, 4H), 3.20-3.45 (m, 10H), 4.00-4.15 (m, 1H), 6.60 (s, 2H), 6.70 (s, 1H), 7.20 (s, 1H), 7.35 (s, 1H), 7.45 (t, 1H), 7.50 (t, 2H), 7.90 (d, 2H).
1-[4-[4-(2,3- 디히드로-2,2- 디메틸벤조푸란-7- 일)-1-피페라진일]-1-부틸]-3-(1- 나프틸)-2-

1 - [4 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -] - 1 -] - 3 - (1 -) - 2 - ,

2jj, 용점: 220-21 °C. ¹H NMR (δ, DMSO): 1.40 (s, 6H), 1.50-1.65 (m, 4H), 2.55 (t, 2H), 2.65-2.75 (m, 4H), 2.95 (s, 2H), 3.05-3.15 (m, 4H), 3.25 (t, 2H), 3.60 (t, 2H), 3.80 (t, 2H), 6.60 (s, 2H), 6.65 (d, 1H), 6.70 (t, 1H), 6-80 (d, 1H), 7.45 (d, 1H), 7.45-7.60 (m, 3H), 7.85-8.00 (m, 3H).

1 - - 3 - [3 - [4 - (2,3 - - 2,2 - - 7 -) - 1 -] - 1 -] - 2 - ,

2kk, 용점: 191-92 °C. ¹H NMR (δ, DMSO): 1.00-1.90 (m, 10H), 1.40 (s, 6H), 2.90-3.00 (m, 4H), 3.10 (t, 2H), 3.15-3.30 (m, 10H), 3.40-3.50 (m, 1H), 4.10 (b, 2H), 6.65 (d, 1H), 6.70 (t, 1H), 6.80 (d, 1H).

1 - [4 - [4 - (2,3 - - 2,2 - - 5 - - 7 -) - 1 -] - 1 -] - 3 - (4 -) - 2 - ,

2ll, 용점: 126-27 °C. ¹H NMR (δ, DMSO): 1.45 (s, 6H), 1.50-1.65 (m, 4H), 2.40 (t, 2H), 2.55-2.65 (m, 4H), 2.95 (s, 2H), 3.05-3.20 (m, 4H), 3.30 (t, 2H), 3.95 (t, 2H), 3.80 (t, 2H), 6.30-6.50 (m, 2H), 7.00 (t, 2H), 7.40-7.55 (m, 2H).

1 - - 3 - [4 - [4 - (2,3 - - 2,2 - - 5 - - 7 -) - 1 -] - 1 -] - 2 - ,

2mm, 용점: 125-35 °C. ¹H NMR (δ, DMSO): 1.00-1.80 (m, 14H), 1.40 (s, 6H), 2.95 (s, 2H), 3.00-3.50 (m, 17H), 6.50 (dd, 1H), 6.65 (dd, 1H).

1 - 3 - [6 - [4 - (2,3 - 2,2 - 7 -) - 1 -] - 1 -] - 2 -

2m, 용점: 132-34 °C. ¹H NMR (δ, DMSO): 1.15-1.75 (m, 14H), 1.40 (s, 6H), 2.95 (s, 2H), 2.95-3.10 (m, 4H), 3.15-3.45 (m, 12H), 4.00-4.15 (m, 1H), 6.65 (d, 1H), 6.75 (t, 1H), 6.85 (d, 1H).

1 - [2 - [4 - (5 - 2,3 - 3,3 - 7 -) - 1 -]] - 3 - 2 -

2o, 용점: 104-7 °C. ¹H NMR (CDCl₃) δ 1.25 (s, 6H), 1.40-1.75 (m, 8H), 3.00 (t, 2H), 3.05-3.15 (m, 4H), 3.20-3.35 (m, 8H), 3.40 (t, 2H), 4.00-4.15 (m, 1H), 4.25 (s, 2H), 6.70 (d, 1H), 6.90 (d, 1H).

1 - [6 - [4 - (5 - 2,3 - 3,3 - 7 -) - 1 -] - 1 -] - 3 - 2 -

2pp, 용점: 125-27 °C. ¹H NMR (CDCl₃) δ 1.25 (s, 6H), 1.20-1.75 (m, 16H), 2.95 (t, 2H), 3.00 (t, 2H), 3.10-3.40 (m, 12H), 4.00-4.15 (m, 1H), 4.25 (s, 2H), 6.70 (d, 1H), 6.90 (d, 1H).

1 - [3 - [4 - (7 - 2,3 - 2,2 - 4 -) - 1 -] - 1 -] - 3 - 2 -

2qq, 용점: 123-33 °C. ¹H NMR (CDCl₃) δ 0.95-1.50 (m, 5H), 1.45 (s, 6H), 1.50-1.65 (m, 3H), 1.65-1.90 (m, 4H), 2.85-3.30 (m, 18H), 3.35-3.50 (m, 1H), 6.45 (d, 1H), 7.10 (d, 1H).

[3]

1 - (1,4 - 5 -) - 4 - (3 - 1 -) S - , , 3a.

0 (70m) 1 - (1,4 - 5 -) - 4 - (3 - 1 -) (7g)
 0 m - (6.4g) 가 . 0 3
 (20% , 100ml) 가 .
 가 / (: / / = 88:8:4)

수득량: 1.5g, 용점: 113-15 °C. ¹H NMR (δ, DMSO): 1.00-1.50 (m, 6H), 1.55-2.20 (m, 7H), 2.55-2.95 (m, 4H), 2.95-3.35 (m, 8H), 4.15-4.35 (m, 4H), 6.50 (d, 1H), 6.55 (d, 1H), 6.75 (t, 1H).

[4]

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

(30ml) 1 - [3 - [4 - (1,4 - 5 -) - 1 -] - 1 -] - 2 - ((2.5g) 1 - (1,4 - 5 -) 10 (2.3g) (0.6g)) (2.3g) (0.6g) 가 가
16 / / = 10:1:1
HCl 가 /

수득량: 2.8g, 용점: 181-91 °C. ¹H NMR (δ, DMSO): 1.90-2.10 (m, 2H), 3.00-3.25 (m, 10H), 3.30 (t, 2H), 3.35-3.65 (m, 4H), 4.20 (s, 4H), 4.25 (s, 2H), 6.50 (d, 1H), 6.55 (d, 1H), 6.75 (t, 1H), 7.00 (b, 2H), 7.20-7.40 (m, 5H).

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

4b, 용점: 240-43 °C. ¹H NMR (δ, DMSO): 1.00 (t, 3H), 1.85-2.05 (m, 2H), 2.95-3.35 (m, 14H), 3.35-3.65 (m, 4H), 4.25 (s, 4H), 6.35 (b, 2H), 6.50 (d, 1H), 6.55 (d, 1H), 6.75 (t, 1H).

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

4c, 용점: 189-200 °C. ¹H NMR (δ, DMSO): 0.95-1.50 (m, 5H), 1.50-1.65 (m, 3H), 1.65-1.85 (m, 2H), 1.90-2.10 (hep, 2H), 3.00-3.35 (m, 12H), 3.35-3.60 (m, 5H), 4.15-4.30 (m, 4H), 6.45-6.60 (m, 2H), 6.75 (t, 1H).

[5]

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

N - 2 - 1 - (1,4 - 5 -) (11g) (7ml)
(4.5g) . 100 2

- 5 -) - 4 - (17.4g) 1 - (1,4 -

(170ml) (8.2g) (170ml) (8.2g)
) - 4 - (9.4g) 15 가 . 1.5 (250ml) 1 - (1,4 - - 5 -
 (40ml) 가 . 1 - (2 - - 1 -) - 4 - (1,4 - - 5 -)
 (9.1g)

1,4 - (200ml) 1 - (2 - - 1 -) - 4 - (1,4 - - 5 -) (9.1g)
 (6.5g), (0.5g) (4.8g) 16 가
 / = 1:3 1 - (1,4 - - 5 -) - 4 - [2 - (2,2 - - 1 -) - 1 -]
 -] (4.7g)

(100ml) 1 - (1,4 - - 5 -) - 4 - (2 - (2,2 - - 1 -) - 1 -) ()
 2.3g) 4 - (0.9g) 2 .
 (: / = 3:1) 1 - (1,4 - -
 5 -) - 4 - (2 - (- N - (2,2 - - 1 -) - N - (4 -)) - 1 -)
 (2.5g)

(50ml) 1 - (1,4 - - 5 -) - 4 - (2 - (N - (2,2 - - 1 -) - N - (4 -
)) - 1 -) (2.5g) 3M (2.5ml) 72
 : 1.2g, : 301 - 5

¹H NMR (δ, DMSO): 3.00-3.60 (m, 10H), 4.05 (t, 2H), 4.20-4.35 (m, 4H), 6.55 (t, 2H),
 6.75 (t, 1H), 6.80 (d, 1H), 7.00 (d, 1H), 7.25 (t, 2H), 7.65-7.80 (m, 2H).

1 - [3 - [4 - (1,4 - - 5 -) - 1 -] -] - 1,3 - - 3 - - 2 - ,
 , 5b, :295 - 300

¹H NMR (δ, DMSO): 3.00-3.60 (m, 10H), 4.05 (t, 2H), 4.20-4.30 (m, 4H), 6.50
 (t, 2H), 6.70 (t, 1H), 6.80 (d, 1H), 7.00 (d, 1H), 7.20 (t, 1H), 7.45 (t, 2H), 7.70 (d, 2H).

[6]

1 - (2 -) - 1 -) - 4 - (2,3 -) - 7 -) , , 6a.
 100ml) (200ml) 2 - (22g) (30ml) 10 ((15ml) 2 (19g) .
 (50ml) (2.4g) 1 - (2,3 -) - 7 -) (2.5g) (: 16 / / = 97:2:1) 가

수득량: 3.4g, 용점: 178-79 °C. ¹H NMR (δ, DMSO): 1.00-1.50 (m, 5H), 1.60-1.70 (m, 1H), 1.75-1.90 (m, 2H), 2.00-2.15 (m, 2H), 3.00-3.35 (m, 13H), 3.45 (t, 2H), 4.50 (t, 2H), 6.10 (s, 2H), 6.65 (d, 1H), 6.75 (t, 1H), 6.85 (d, 1H).

1 - - 3 - [2 - [4 - [1 - (4 -) - 4 -] - 1 -]] - 2 - , 7a.

N - (20ml) 2y (1.3g), 4 - (2.0g), (0.2g), (0.8g) 5 170 (200ml) 가 (2 × 100ml) (0.8g) / 95:5) 가 : 0.7g,

용점: 210-12 °C. ¹H NMR (δ, DMSO): 1.40-1.75 (m, 8H), 3.10 (t, 2H), 3.20-3.45 (m, 16H), 4.05-4.15 (m, 1H), 6.65 (d, 1H), 6.70 (dd, 1H), 7.05-7.15 (m, 2H), 7.40 (t, 2H), 7.55-7.65 (m, 3H).

[8]

4 - [4 - [2 - (3 -) - 2 - - 1 -)] - 1 -] - 2 - , 8a.
 (50ml) (25ml) 2cc (1.0g) 50 48 (3 × 50ml) / 가 . HCl

수득량: 0.5g, 용점: 166-70 °C. ¹H NMR (δ, DMSO): 1.40-1.75 (m, 8H), 3.20-3.85 (m, 16H), 4.05-4.15 (m, 1H), 6.80 (d, 1H), 7.25 (d, 1H), 7.35 (t, 1H), 7.65 (b, 1H), 7.80 (s, 1H), 8.10 (b, 1H), 11.15 (b, 1H).

[9]

1 - 3 - [2 - [4 - (7 -) - 1 -)] - 2 - , .
 2u (1.3g) (0.6g) 3
 . 0.5 (, / 96:4) (3 x 100ml) .

수득량: 0.2g, 용점: 130-32 °C. ¹H NMR (δ, CDCl₃): 1.40-1.85 (m, 8H), 2.55 (t, 2H), 2.55-2.70 (m, 4H), 2.95-3.05 (m, 4H), 3.05 (t, 2H), 3.20-3.45 (m, 6H), 3.55 (t, 2H), 4.25 (hep, 1H), 6.65-6.75 (m, 2H), 6.80-6.90 (m, 1H).

[10]

1 - 3 - [4 - [4 - (2,3 - - 2,2 - - 7 -) - 1,2,3,6 - - 1 -] -
 1 -] - 2 - , , 10a

(250ml) 2,3 - - 2,2 - (25g) (46g)
 (250ml) 1.6M BuLi . 30 - 40 1.5 - 40
 1 - - 4 - (32g) - 40 가 . 3

(500ml) 가 (3 x 500ml)
 (, / / 50:48:2)

가 7 - (1 - - 4 - - 4 -) - 2,3 - - 2,2 - (11
 g)

(150ml) 1 .

NaOH .

(3 x 100ml) ((, / / 50:48:2) 7 - (1 - - 1,2,3,6 - - 4 -) - 2,3
 - - 2,2 - (5.0g) .

(15ml) (20ml) 가 . 1
 7 - (1 - - 1,2,3,6 - - 4 -) - 2,3 -
 - 2,2 - (4.5g) . (50ml) KO
 H(3g) 가 . 20

- 4 -) (2.9g) . 2,3 - - 2,2 - - 7 - (1,2,3,6 -

2.7g) - 3 - (4 - - 1 -) - 2 (4.5g) 가 . 2 1 -
 . (

수득량: 1.9g, 용점: 145-47°C

¹H NMR (δ, CDCl₃): 1.55-1.75 (m, 2H), 1.80-1.95 (m, 2H), 2.45 (t, 2H), 2.55-2.70 (m, 4H), 3.00-3.15 (m, 4H), 4.00 (t, 2H), 4.20-4.40 (m, 4H), 6.45-6.60 (m, 2H), 6.75 (t, 1H), 7.00-7.30 (m, 6H), 7.45-7.55 (m, 2H).

[11]

1 - 3 - [4 - [4 - (2,3 - 2,2 - 7 -) - 1 -] - 1 -] - 2 - , 11a.

(20ml) 10a, (1.0g) 5% Pd/C(0.2g) / 가 4atm 36

수득량: 0.5g, 용점: 150-54 °C. ¹H NMR (δ, DMSO): 0.95-2.05 (m, 18H), 1.40 (s, 6H), 2.80-3.10 (m, 8H), 3.15-3.25 (m, 4H), 3.35-3.50 (m, 3H), 6.75 (t, 1H), 6.90 (d, 1H), 7.05 (d, 1H).

[12]

1 - [2 - [4 - (1,4 - 5 -) - 1 -]] - 3 - (4 -) - 2(3H) - , 12a

N - 2(3H) - (100ml) 1 - (2 -) (J. Davoll, J. Chem. Soc., 1960, 308) (9g), 4 - (23g), (8.0g), (l) (1g) (0.5g) 15
5 4.5 (500ml) 가 (3 × 200ml)

() - 3 - (2 -) - 2(3H) - (2g) : 124 - 26 1 - (4 -

(60ml) (10ml) (0.5ml) 가 16
2g) 1 - (2 -) - 3 - (4 -) - 2(3H) - (

2 1 - (1,4 - 5 -) (2.4g)

수득량: 1.7g, 용점: 161-62 °C. ¹H NMR (δ, CDCl₃): 2.55-2.65 (m, 4H), 2.70 (t, 2H), 2.85-2.95 (m, 4H), 4.05 (t, 2H), 4.15-4.25 (m, 4H), 6.35-6.50 (m, 2H), 6.70 (t, 1H), 6.95-7.20 (m, 3H), 7.30 (d, 1H), 7.40 (t, 2H), 7.55-7.65 (m, 2H).

[13]

1 - [4 - [4 - (1,4 - 5 -) - 1 -] - 1 -] - 3 - (4 -) - 2 - (3H) - , 13a.

(100ml) 1 - (4 -) - 3 - (1 - - 2 -) - 2(3H) - (12
 1 - (1 - - 2 -) - 2(3H) - (J. Davoll, J. Chem, Soc., 1960, 308)
) (5g) (50ml) . 1.5 (150ml) 가 .
 : 1 - (4 -) - 2(3H) - 4g, : 209 - 1

0

4g (100ml) tert - (3.0g) 5 - 10 가 . 10
 1,4 - (15ml) 가 50 5 가 .
 (, / 1:1) . 1 - (4 - - 1 -) -
 3 - (4 -) - 2 - (5.0g) .
 (2.5g) 2 1 - (1,4 - - 5 -) (2.5g)

수득량: 1.9g, 용점: 145-47°C

¹H NMR (δ, CDCl₃): 1.55-1.75 (m, 2H), 1.80-1.95 (m, 2H), 2.45 (t, 2H), 2.55-2.70
 (m, 4H), 3.00-3.15 (m, 4H), 4.00 (t, 2H), 4.20-4.40 (m, 4H), 6.45-6.60 (m, 2H),
 6.75 (t, 1H), 7.00-7.30 (m, 6H), 7.45-7.55 (m, 2H).

[14]

1 - - 3 - [2 - [4 - (2 - - 7 -) - 1 -]] - 2 - , , 14a.

2ii (1.1g), 5% Pd/C, (2ml) (100ml) 4atm 72 가
 (15ml)

수득량: 0.5, 용점: 182-83°C.

¹H NMR (δ, DMSO): 0.95-1.80 (m, 8H), 2.95-3.15 (m, 4H), 3.15-3.35 (m, 8H),
 3.40-3.60 (m, 4H), 6.80 (d, 1H), 7.15 (t, 1H), 7.25 (d, 1H), 7.35-7.45 (m, 2H), 7.50
 (t, 2H), 7.95 (d, 2H).

1 - - 3 - [2 - [4 - (2,3 - - 3,3 -) - 7 -) - 1 -]] - 2 - ,
 , 14b, : 94 - 98

¹H NMR (CDCl₃) δ 1.25 (s, 6H), 1.40-1.75 (m, 8H), 3.00 (t, 2H), 3.05-3.35 (m, 12H),
 3.40 (t, 2H), 4.00-4.15 (m, 1H), 4.20 (s, 2H), 6.65-6.75 (m, 1H), 6.75-6.85 (m, 2H).

1 - - 3 - [6 - [4 - (2,3 - - 3,3 -) - 7 -) - 1 -] - 1 -] - 2 - ,
 , 14c, : 128 - 31

¹H NMR (CDCl₃) δ 1.25 (s, 6H), 1.20-1.75 (m, 16H), 2.95-3.10 (m, 4H), 3.15-3.40
 (m, 12H), 3.95-4.10 (m, 1H), 4.20 (s, 2H), 6.65-6.75 (m, 1H), 6.75-6.90 (m, 2H).

1 - , - 3 - [3 - [4 - (2,3 - - 2,2 -) - 4 -) - 1 -] - 1 -] - 2 - , 14d, : 181 - 83

¹H NMR (CDCl₃) δ 0.95-1.45 (m, 5H), 1.35 (s, 6H), 1.50-1.65 (m, 3H), 1.65-1.90 (m, 4H), 2.80-3.00 (m, 4H), 3.00-3.30 (m, 14H), 3.40-3.55 (m, 1H), 6.35 (d, 1H), 6.40 (d, 1H), 7.00 (t, 1H).

[]

5 - HT_{1A}

5 - HT_{1A}

³H - 8 - OH - DPAT

5 - HT_{1A}

5 - HT_{1A}

³H - 8 - OH - DPAT (1nM)

5 - HT_{1A}

Hyttel et al., Drug Dev. Res. 1988, 15, 389 - 404

8 - OH - DPAT

(Discriminative Stimulus Properties)

5 - HT_{1A}

Tricklebank, M.

D., et al, Eur. J. Pharmacol, 1987, 133,47 - 56; Arnt, J. Pharmacology & Toxicology, 1989, 64, 165

가

8 - OH - DPAT (0.4mg/kg, i.p., 15)

(0.1ml)

24

(fixed ratio; FR)

(FR=32)

8 - OH - DPAT

()

가

× 100

(= 90%;

75%)가

8 - OH - DPAT

s.c. p.o.

8 - OH - DPAT

15

32

20

가

20 - 30

10

가

ED₅₀

8 - OH - DPAT

5 - HT_{1A}

Tricklebank, M.

D.,supra; Arnt, J.Pharmacology & Toxicology, 1989, 64, 165

8 - OH - DPAT () ED₅₀ 30 45 s.c. -

5 - MeO - DMT 5 - HT .

5 - HT 5 - HT (5 - HT_{1A}) 5 - HT
 (Smith, L.M. and Peroutka, S.J., Pharmacol. Biochem, & Behaviour, 1986, 24, 1513; Trick
 lebank, M. et al, Eur. J. Pharmacol. 1985, 117. 15). 5 - MeO - DMT 5 - HT
 5 - HT_{1A} .

170 - 240g (Mol:Wist) 5 - MeO - DMT 5mg/kg, s.c. .
 . 10, 15 20
 (5 - HT) , 1) (" "), 2)
 3) (flat motility)
 (2), (1) (0)
 24
 ED₅₀ -

1 - 3 .

³H 8 - OH - DPAT (IC₅₀ (nM))

화합물 No.	IC ₅₀	화합물 No.	IC ₅₀
1a	2.6	2ee	43
1b	7.8	2ff	6.6
1c	2.6	2gg	2.8
1d	190	2hh	130
1e	23	2ii	300
1f	1.1	2jj	1.1
2a	16	2kk	5.7
2b	18	2ll	10
2c	13	2mm	1.7
2d	17	2nn	5.4
2e	0.45	2oo	44
2f	54	2pp	20
2g	37	2qq	300
2h	28	3a	1.8
2i	30	4a	18
2j	53	4b	40
2k	15	4c	19
2l	72	5a	11
2m	12	5b	12
2n	3.2	6a	220
2o	51	7a	51000
2p	3.7	8a	3.9
2q	13	9a	230
2r	23	10a	1.2
2s	32	11a	3.5
2t	15	12a	36
2u	110	13a	22
2v	71	14a	9.7
2x	75	14b	38
2y	28	14c	7.5
2z	34	14d	22
2aa	11	부스피론	41
2bb	0.92	계피론	310
2cc	83	이프사피론	17
2dd	0.5	플레시독산	4

1
5-HT_{1A}

2:8 - OH - DPAT (cue) (ED₅₀ (μmol/kg, s.c))

3 5 - MeO - DMT

1615; Skarsfeldt, T. et al, Eur. J. Pharmacol., 1986, 125, 323) D (Hyttel, J. et al, J. Neurochem., 1985, 44, 1615) (Hyttril et al, J. Neurochem, 1985, 44, 1615) ³H - ³H - (H D₂)

5 - HT_{1A}

HT_{1A}

D₂

1, 2 3

5 - HT_{1A}

가

5 - MeO - DMT

8 - OH - DPAT

5 - HT_{1A}

D₂

8 - OH - DPAT

[]

/

가

가

가

가

1) 1a 5.0mg :

화합물 1a	5.0mg
락토스	60mg
옥수수전분	30mg
히드록시프로필셀룰로스	2.4mg
미결정 셀룰로스	19.2mg
크로스카르멜로스 나트륨 A형	2.4mg
스테아르산 마그네슘	0.84mg

2) 1f 0.5mg :

화합물 1f	0.5mg
락토스	46.9mg
옥수수전분	23.5mg
포비돈	1.8mg
미결정 셀룰로스	14.4mg
크로스카르멜로스 나트륨 A형	1.8mg
스테아르산 마그네슘	0.63mg

3) :

화합물 2bb	2.5mg
소르비톨	500mg
히드록시프로필셀룰로스	15mg
글리세롤	50mg
메틸- 파라벤	1mg
프로필- 파라벤	0.1mg
에탄올	0.005mg
향료	0.05mg

사카린나트륨	0.5mg
물	ad 1ml

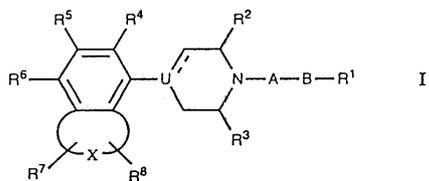
4) :

화합물 2e	0.5mg
소르비톨	5.1mg
아세트산	0.08mg
주사용 증류수	ad 1ml

(57)

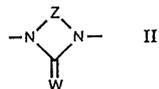
1.

가 .



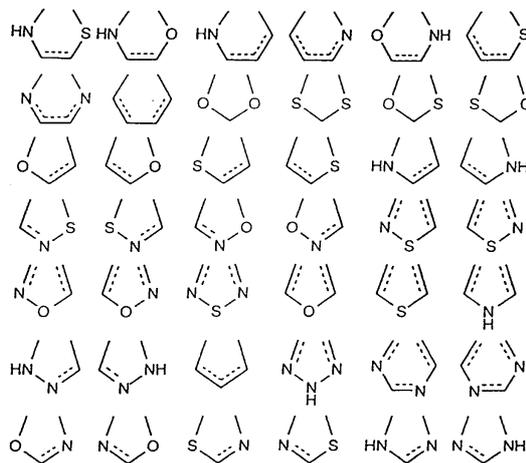
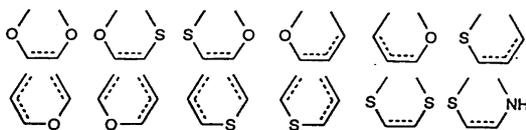
[A 2 6 , 3-7 ;

B SO, SO₂



2가 , W O S Z - (CH₂)_n - (n 2 3) , -CH=CH
 -, -COCH₂ -, -CSCH₂ -, 1,2- ; U N CH
 , , U C ;

X



(; R¹) , 2가 3 - 4 , () , (/) , Z가 1,2 - U가 N ; R² R³ ; R⁴ R⁵ R⁶ ; R⁷ R⁸ - COOR⁹ - CONR¹⁰ R¹¹ (R⁹, R¹⁰ R¹¹) .]

2.

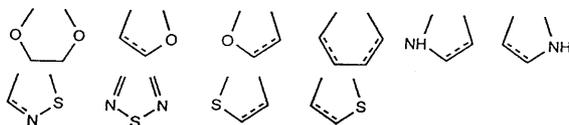
1 , A가 2 6 .

3.

1 , B가 SO, SO₂ 1 W 0 Z - (CH₂) n - (n 2 3) , -CH=CH - 1,2 - .

4.

1 , X가



2가 3 - 4 .

5.

1 , R¹ , - .

6.

5 , R¹ , 1 , C₅ - C₆ , 1 - .

7.

1 , R² R³ .

8.

