

(19)  
(12)

(KR)  
(A)

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A61K 31/195

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(43)

2001 - 0071776  
2001 07 31

(21) 10 - 2001 - 7000253

(22) 2001 01 08

2001 01 08

(86) PCT/US1999/13947

(87)

WO 2000/02592

(86) 1999 06 18

(87)

2000 01 20

(81) : , , , - , , 가 ,  
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(30) 60/092,171 1998 07 09 (US)

(71) -  
 07950 201

(72) ,  
 07039 68  
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 07930 - 2707 5

(74)  
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(54)

G A B A

GABA,

(GABA)

GABA

WO 92/09560 (1990 11 27 618,692 )  
 WP 93/23383 (1992 5 20 886,080 )

WO 97/33858 가  
 . WO 97/33858

GABA

( , Rosner H; Rubi n L; Kestenbaum A., Gabapentin adjunctive therapy in neuropathic pain states. Clin J Pain, 1996 Mar, 12: 1, 56 - 8; Segal AZ; Rordorf G., Gabapentin as a novel treatment for postherpetic neuralgia. Neurology, 1996 Apr, 46:4. 1175 - 6; Wetzel CH; Connelly JF., Use of gabapentin in pain management. Ann Pharmacother, 1997 Sep, 31:9, 1082 - 3; Zapp JJ., Postpoliomyelitis pain treated with gabapentin [letter]. Am Fam Physician, 1996 Jun, 53:8, 2442, 2445; Cheville A, et al., Neuropathic pain in radiation myelopathy: a case report. Program book, American Pain Society (14th Annual Scientific Meeting). Abstract #95823, p. A - 115; Sist T; Filadora V; Miner M; Lema M., Gabapentin for idiopathic trigeminal neuralgia; report of two cases. Neurology, 1997 May, 48:5, 1467; Waldman SD, Tutorial 28: Evaluation and Treatment of Trigeminal Neuralgia. Pain Digest (1997) 7:21 - 24; Mellick LB; Mellick GA., Successful treatment of reflex sympathetic dystrophy with gabapentin [letter]. Am J Emerg Med, 1995 Jan, 13:1, 96; Mellick GA; Seng MI., The use of gabapentin in the treatment of reflex sympathetic dystrophy and a phobic disorder. Am J Pain Manage 1995; 5:7 - 9; Mellick GA; Mellicy LB; Mellicy LB., Gabapentin in the management of reflex sympathetic dystrophy [letter]. J Pain Symptom Manage, 1995 May, 10:4, 265 - 6; Mellick GA; Mellick LB., Reflex sympathetic dystrophy treated with gabapentin. Arch Phys Med Rehabil, 1997 Jan, 78:1, 98 - 105 and Mackin GA., Medical and pharmacologic management of upper extremity neuropathic pain syndromes. J Hand Ther, 1997 Apr - Jun, 10:2, 96 - 109 ).

5,589,180 2~10 %

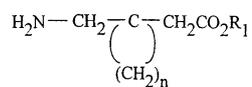
1

< >

GABA

I

I



, R<sub>1</sub>

, n 4 6

1 - ( ) -

R<sub>1</sub>

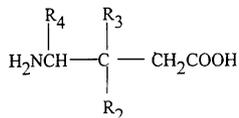
n 4

I

가

II

II



, R<sub>2</sub>

1 6

3 6

; R<sub>3</sub>

; R<sub>4</sub>

R<sub>4</sub> R<sub>3</sub>

, R<sub>2</sub>가 - (CH<sub>2</sub>)<sub>0-2</sub> - i C<sub>4</sub>H<sub>9</sub> (R), (S) (R,S)

II

가 (S) - 3 - ( ) - 5 -

3 -

- 5 -

GABA

. GABA

GABA

I

GABA , 4,024,175 . 5,563,175 II .

GABA  
kg 1 300 mg .  
1 10 5000 mg . 1 100 mg 3 600  
mg 4 . 가 100 mg, 300 mg 400 mg .  
가 .

가 II , 가 1/6 . 가 0.  
kg 0.15 mg 50 mg 가  
15 mg 65 mg 1 1.6 mg 840 mg .

가

II

10%

2%

. 가

20 800 mg .

5 50 mg ,

GABA .

I II , 가 IV 가 , ,

, . , . GABA . GABA .

(57)

1.

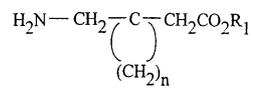
(a) GABA ;

(b)

2.

1 , GABA 가 I .

< I >



, R<sub>1</sub> , n 4 6 .

3.

2 , I 가 .

4.

1 , 가 , , , .

5.

2 , 10 mg 400 mg I .

6.

3 , 10 mg 400 mg 가 .

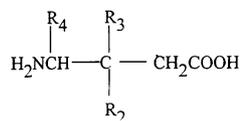
7.

3 , 10 mg 400 mg 가 60 mg 200 mg .

8.

1 , GABA 가 II .

< II >



, R<sub>2</sub> 1 6 , 3 6 ; R<sub>3</sub> ; R<sub>4</sub> , .

9.

8 , II 가 .

10.

8 , 0.15 mg 65 mg II .

11.

9 , 0.15 mg 65 mg 가 .

12.

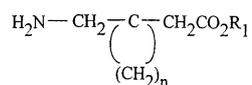
(a) GABA ;

(b)

13.

12 , GABA 가 I .

< I >



, R<sub>1</sub> , n 4 6 .

14.

13 , I 가 .

15.

13 , 10 mg 400 mg I .

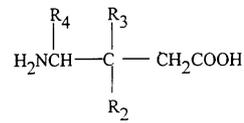
16.

14 , 10 mg 400 mg 가 .

17.

12 , GABA 가 II .

< II >



, R<sub>2</sub> 1 6 , 3 6 ; R<sub>3</sub>  
; R<sub>4</sub> , .

18.

17 , II 가 .

19.

17 , 0.15 mg 65 mg II .

20.

19 , 0.15 mg 65 mg 가 .