



(72)

60031                      6957  
 60091                      ,                      1011  
 60076                      .                      8335  
 60048,                      ,                      2343

(74)

:

(54)

1mg                      100mg  
 2 -

1

가

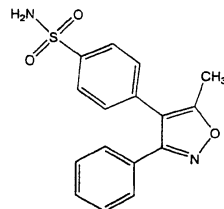
-2

(valdecoxib)

4 - (5 -                      - 3 -                      - 4 -                      )  
 (Talley)                      5,633,272

|                      :

|



-2(COX - 2)

5,633,272

가

- 1(COX - 1)

5,633,272

가

0 863 134

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

WO 00/32189

- 2 가

[ , Dionne(1999), " COX - 2 inhibitors - IBC Conference, 12 - 13 April 1999, Coronado, CA, U.S.A."IDrugs, 2(7), 664 - 666 ].

가

가

- 2

가 가 가

- 2

, 1 1 mg 100 mg 1 가

가 , 가

(a) 0.5 ;

(b) 3 (T<sub>max</sub>) ;

(c) 100 ng/Mℓ (C<sub>max</sub>)

" , 가 20 ng/Mℓ , 25 75 ng/Mℓ .

1 , , 가 , ,

가 , , 가 .

가

1 1 4 , - 2

가

1 ,  
 2 ,  
 3 (犬) ,  
 4 .

-2 1 mg 가 100 mg , ,  
 , 가 ,  
 가 . 가 -2

, 가 가

- (a) 0.5 ( , 20 ng/Mℓ ) ;
- (b) 3 (T<sub>max</sub> ) ;
- (c) 100 ng/Mℓ (C<sub>max</sub> )

(a) (c) 가 ( , ) , 1 mg 100 mg  
 가 ( , ) (a) (c)  
 5 mg 40 mg 1

, 가 20 mg ,

- (a) 20 ng/Mℓ, 50 ng/Mℓ 가 0.5 ;
- (b) T<sub>max</sub> 가 3 ;



, HIV

( )

(stent)



( ), S-  
 ( ), , 3- -4- , 2- -4  
 , p- , 5-  
 , p-  
 , 5'- -2'- , 1-  
 0-

[The Merck Index, 12, Therapeutic Category and Biological Activity Index, S. Budaviri (1996), pp. Ther - 2 Ther - 3 Ther - 12 (Analgesic(Dental), Analgesic(Narcotic), Analgesic(Non-narcotic), Anti-inflammatory(Nonsteroidal) ] 1 .

2 COX-2  
 가 2 ,  
 2 , - ,  
 1mg 100mg  
 2mg 60mg, 1/10  
 5mg 40mg , 5mg, 1



0mg, 20mg 40mg . 가 ( , , )  
1mg 100mg, 5mg 60mg,  
10mg 50mg, , 10mg, 20mg 40mg .

5,633,272

가 1

1

가

가

1

1

가

가

1

( )

가

1





가 , 가

2 가 , 가

(Pouring) 0.6 0.9g/Mℓ (tapping) 0.3 1.0g/Mℓ

N ) 가 ( 1 50k  
 4 kP, 5 kP, 6 kP : , 가  
 ; 18kP, 15kP, 12kP  
 가  
 1.0% , 0.8% , 0.5%

25 , 20 , 15 30 ,

. 2가 , ,

1 1 , , ( )  
 1 ( ) , 가 . ,  
 (Comil) , , 가 ,

가 . , ,

2 , 2 1 , , ,  
 , 1 , 가 . , ,  
 2 2 , 2 , (Fitz mill) .

가 , , ,

COX - 2 /

1: 10mg

1 :

[ 1 ]

		(mg)
		10
NF, #310		105
NF(Avicel™ PH - 101)	2	60
NF( 1500)		20
NF(Ac - Di - Sol™ )		4
		1
		200

, , 20  
 , (Hobart)  
 가 , 10  
 12 - 15  
 (Gruenberg) ( 가 60 ± 5 ) 2.0 ± 1.0%(  
 )  
 (Quadro) 14  
 Patterson Kelley V - V -  
 5 3  
 가 7.5mm Manesty DB16  
 가 10 ± 4 200 ± 10mg

2: 10mg

2 :

[ 2 ]

		(mg)
		10
NF, #310		103
NF(Avicel™ PH - 101)	2	603030
NF( 1500)		20
NF(Ac - Di - Sol™ )		633
		1
		200

가 45 (Watson Marlow) (Baker Perkins) 3  
 2.0 ± 1.0% ( ) ( 60 ± 5 )  
 800rpm 가 20 Patters 1  
 on Kelley V - 5 3 7.5 mm  
 Korsch PH - 230 200 ± 10mg  
 6,8,10 12kP  
 3: 5, 10, 20 40mg  
 2 3 15%  
 3% Opardry Yellow YS - 1 - 12525A Opardry White YS - 1 - 18027A

[ 3 ]

	/ (mg)			
	5	10	20	40
NF	108	103	206	186
NF	60	20	120	120
NF	20	20	40	40
NF	6	6	12	12
NF	1	1	2	2
( )	200	200	400	400
Opardry Yellow YS - 1 - 12525A	6			12
Opardry White YS - 1 - 18027A		6	12	

3 4  
 가 6 37 ± 2 가  
 . 1000Mℓ  
 가 2.5cm 2.5cm  
 가

[ 4 ]

	5mg	10mg	20mg	40mg
				7
(mm)	3.6 ± 0.2	3.6 ± 0.2	4.8 ± 0.4	4.2 ± 0.3
(kP)	9 ± 3	9 ± 3	13 ± 5	13 ± 5
(%)	< 0.8	< 0.8	< 0.8	< 0.8
	12	12	12	12

4:

23 20mg(2 ) 2 0.5, 1, 1.5, 2, 2.  
 5, 3, 4, 6, 8, 12 24 3000G HPLC 가 3  
 - 20

5:

24 20mg(2 ) 2 0.5, 1,  
 1.5, 2, 2.5, 3, 4, 6, 8, 12, 16 24 3000G HPLC  
 - 20  
 4

$C_{max}$  ( ) = 303 ± 93ng/Mℓ.  $T_{max}$  ( ) = 2.97 ± 0.73h

(57)

1.

1 1mg 100mg 가 1  
 :

(a) 0.5 ;

(b) 3 ( $T_{max}$ ) ;

(c) 100 ng/Mℓ ( $C_{max}$ )

2.

1 , 20ng/Mℓ .

3.

2 , 가 : .

(a) 0.5 ( , 20 ng/Mℓ ) ;

(b) 3 (T<sub>max</sub> ) ;

(c) 100 ng/Mℓ (C<sub>max</sub> )

4.

1 , 1 5mg 40mg .

5.

1 4 , 5 % 99 % 1 , 0.2 % 30 % 1 , 0.5 % 25 % 1 , 0.1% , 10 % .

6.

5 , .

7.

1 4 , , , , .

8.

1 , 1 .

9.

1 8 , D<sub>90</sub> 75μm .

10.

1 8 , 1μm 10μm .

11.

1 8 , 10nm 1000nm .

12.



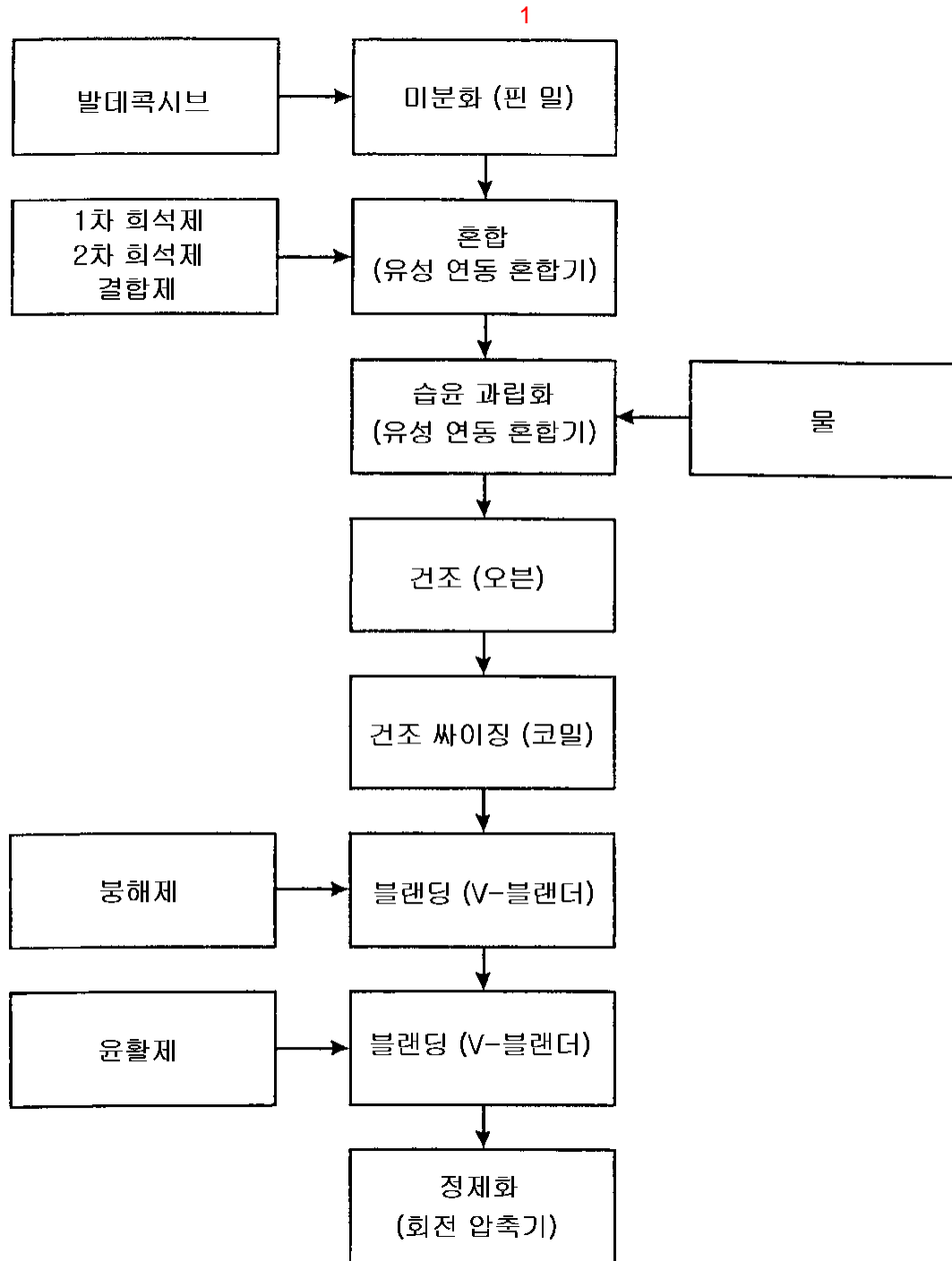
1 5 7 , , .

13.

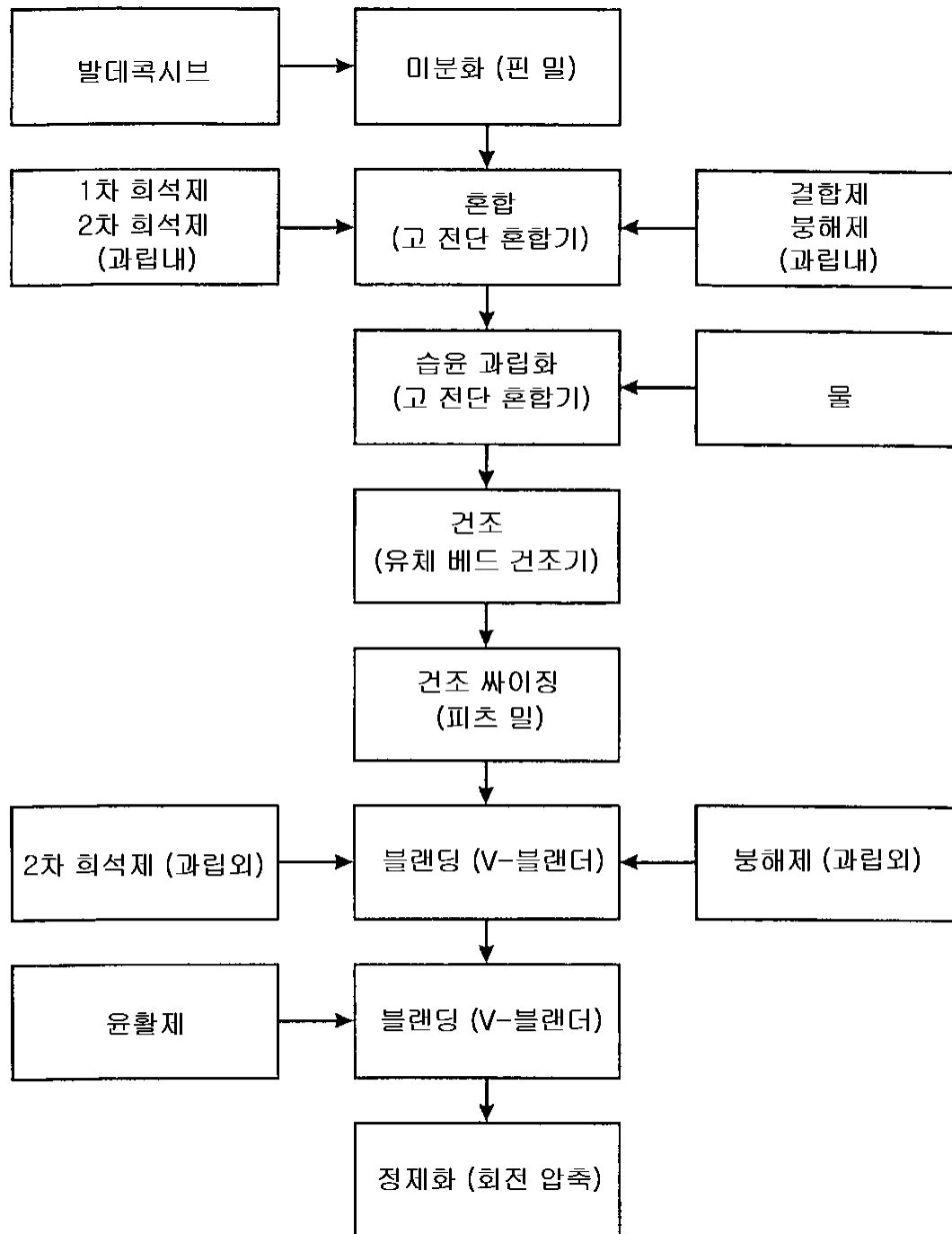
1 12 1 2 -  
2- 가 .

14.

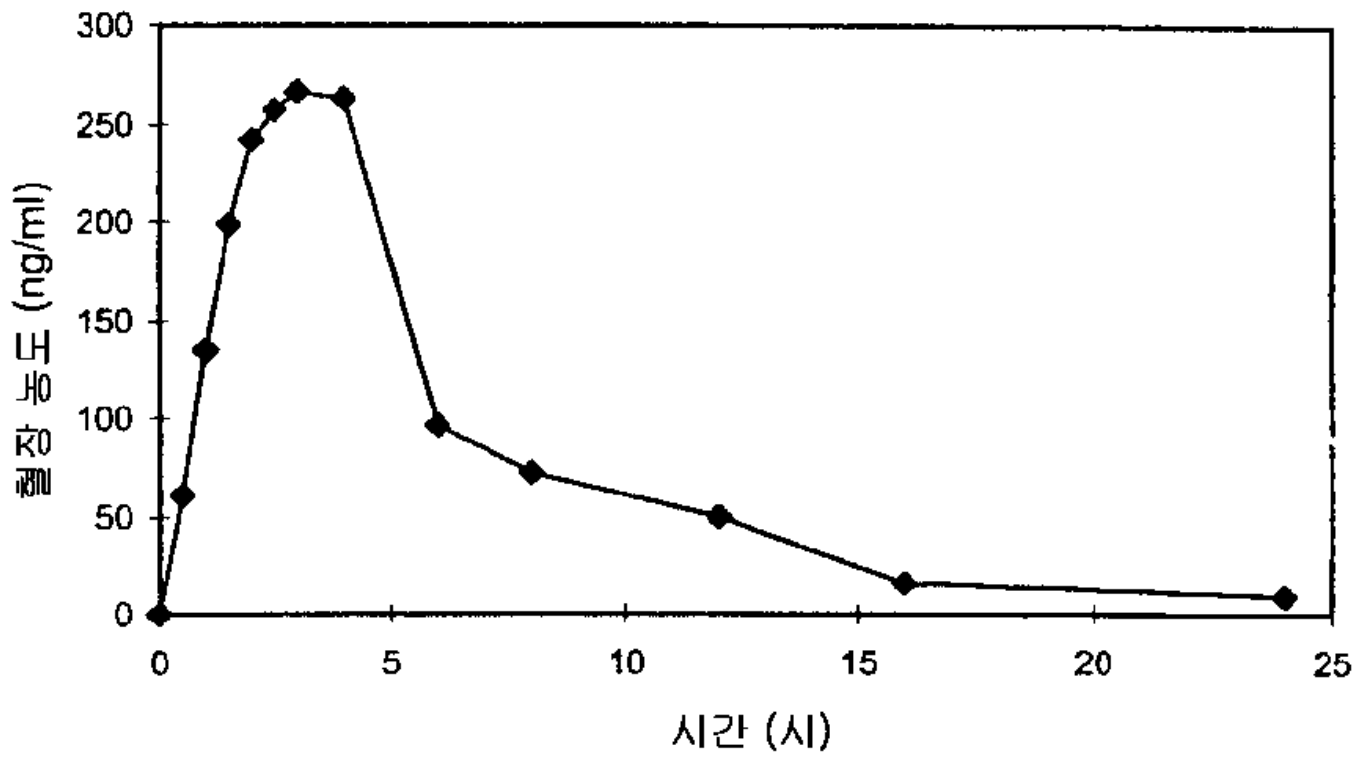
1 12 -2- 가 ,



2



3



4

