

(19)  
(12)

(KR)  
(B1)

(51) 。 Int. Cl. <sup>6</sup>  
A01N 57/12

(45)  
(11)  
(24)

2002 11 05  
10 - 0349458  
2002 08 07

(21) 10 - 1994 - 0029658  
(22) 1994 11 11

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(43) 1995 06 15

(30) P4339119.2 1993 11 16 (DE)  
P4424065.1 1994 07 08 (DE)

(73) - 51368

(72) 51061 6  
47800 50  
51429 15

(74)

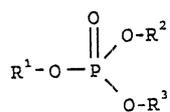
:

(54)

A)  
가

B)

(I)



(I)

R<sup>1</sup> 2 18 ,

R<sup>2</sup> R<sup>3</sup> 2 12 .

가

가

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

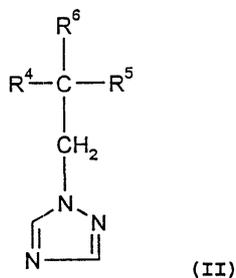
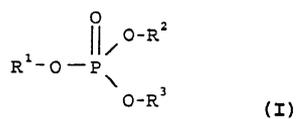
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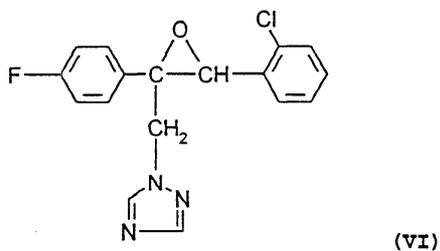
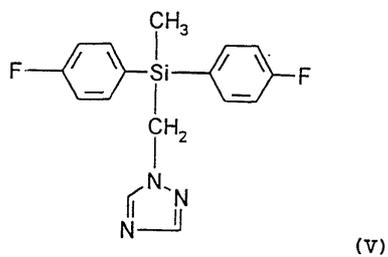
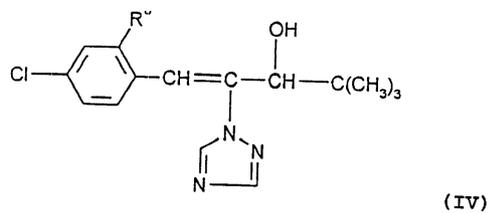
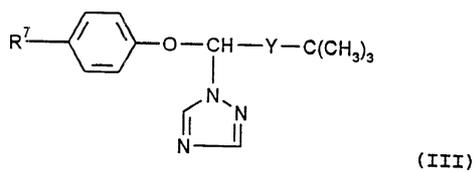
, N - N,N -  
 ( : 0 391 168 0 453 899).  
 , 1 - (4 - ) - 4,4 - - 3 - (1,2,4 - - 1 - - ) - - 3 - , N - /  
 5% N,N - , 50% N,N - , 40% N,N - 5% N,  
 N - 가

, 가 (±) - 2 - - 2,3 - - 3,3 - -  
 - 5 - - (= ) ( )  
 : 0 328 217).

A) (II) / (III) /  
 (IV) / (V) 1 - ([ - (4 - ) - -  
 ] - ) - 1H - (1,2,4 - ) / (VI) 1 - [3 - (2 - ) - 2 - (4 - ) -  
 - 2 - - ] - 1H - - (1,2,4 - )

B) 가 (I)  
 (II) (VI)





R<sup>1</sup> 2 18 ,

R<sup>2</sup> R<sup>3</sup> 2 12 ,

(II) ,

a) R<sup>4</sup>

R<sup>5</sup> t - ,

R<sup>6</sup> ,

b) R<sup>4</sup> 4 - ,

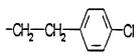
R<sup>5</sup> 2 - ,

R<sup>6</sup> ,

c) R<sup>4</sup> 2,4 - ,

R<sup>5</sup> n - ,

R<sup>6</sup> ,

d) R<sup>4</sup>  ,

R<sup>5</sup> ,

R<sup>6</sup> ,

e) R<sup>4</sup> 4 - ,

R<sup>5</sup>  ,

R<sup>6</sup> ,

(III) ,

a) Y - CH(OH) ,

R<sup>7</sup> ,

b) Y CO ,

R<sup>7</sup> ,

R<sup>8</sup> .

(I)

(I)

(II)

(VI)

가 N -

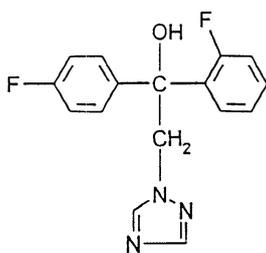
N,N -

(II)

(VI)

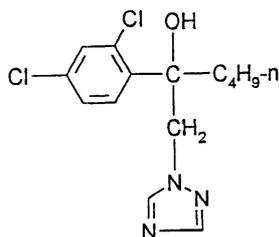
(I)





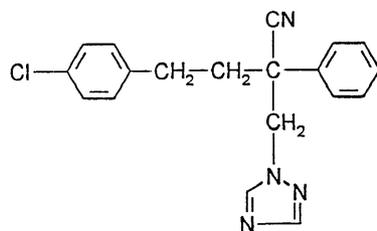
(II-b)

(II - c) 1 - (1,2,4 -                      - 1 -                      ) - 2 - (2,4 -                      ) -                      - 2 -



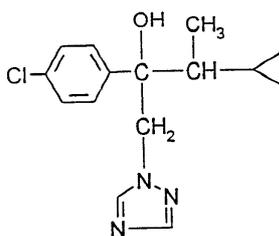
(II-c)

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



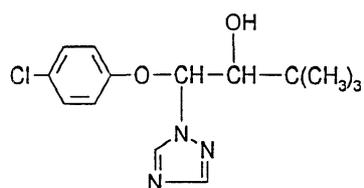
(II-d)

(II - e) 1 - (4 -                      ) - 1 - (1 -                      -                      ) - 2 - (1,2,4 -                      - 1 -                      ) -                      - 1 -



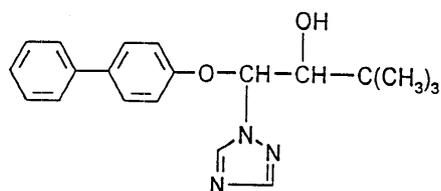
(II-e)

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



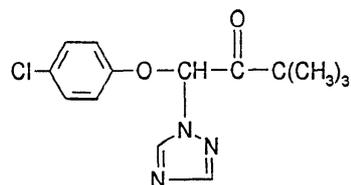
(III-a)

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



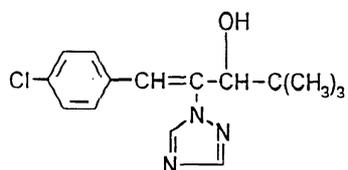
(III-b)

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



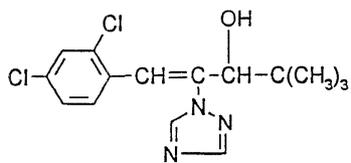
(III-c)

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



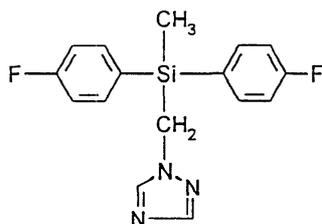
(IV-a)

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



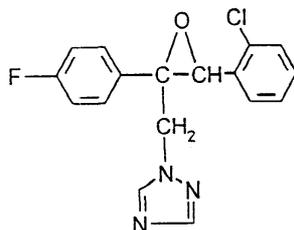
(IV-b)

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



(V)

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



(VI)

(II) (VI) (EP - OS( ))  
 0 040 345, 4 551 469, EP - PS( ) 0 015 756, EP - OS 0 068 813, DE - O  
 S( ) 3 406 993, DE - PS( ) 2 324 010, DE - PS 2 201 063,  
 DE - OS 2 838 847, DE - OS 3 010 560, DE - OS 2 821 971 EP - OS 0 196 038).

(II) (VI)

(II) (VI)

N,N - - N' - - (N' - ) - ( ),

N,N - - (N' - ) - N' - (4 - - ) - ( ),

N- -4- -1,2- ( ),

N- (1,1,2,2- - )- -4- -1,2- ( ),

N- - ( ),

N- - - ( ),

- - ( ),

4,5,6,7- ,

- - ( ),

- - ( ),

- - / - - ( ),

-1,2- - ( ),

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

N- -2,6- - ( ),

N- -2,6- - ( ),

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

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

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

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

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

2- - ( ),

1 - ( ) - 2- - ( ),

2,4- -6 - (2' - - ) - 1,3,4- ( ),

- (8- -0- ) - - ( ),

1 - (4- ) - 1- -3- - ( )가

가 , , ,

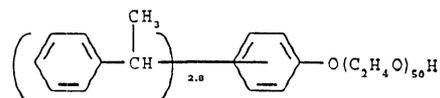
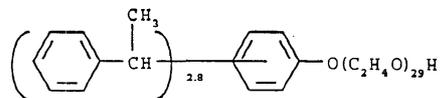
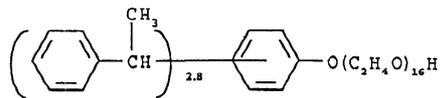
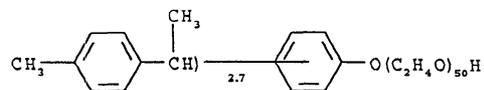
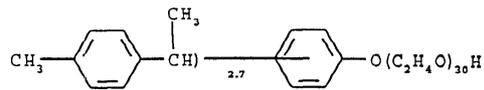
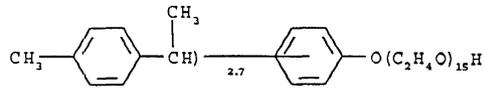
(zwitte - r)

가  
 1:20 1:60 , 1:5 1:50 C<sub>6</sub> -  
 C<sub>20</sub> , 1:2 1:20 , 1 2 3  
 1 2 3 10 50 10 50 , 1:5 1:30 C<sub>8</sub> - C<sub>12</sub>  
 C<sub>8</sub> - C<sub>16</sub>

가

가

:



, N- - , N- - , N- - , N- - ,  
 , N- - **γ** - ,  
 , n- i- , n- i- , -n- -n- , , , n-  
 i- , n- i- , n- i- , 1- -2- .

가

가

가

가

(I) . 5% N,N- , 50% N,N- , 40% N,N-  
 5% N.N- .

0.003 5 % , 0.003 3 % .

(II) (VI) (I) (I) 1:0.2 1:5,  
 (A) 1:0.6 1:2 .

가

30

(batch)

10

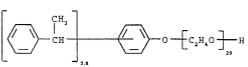
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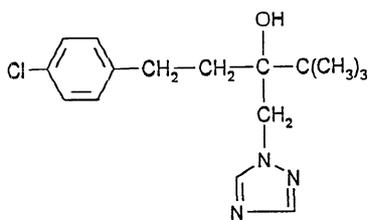
가

(II) (VI)

(I)

1

(II - a) 1 - (4 - ) - 4,4 - 3 - (1,2,4 - - 1 - - )  
 - - 3 - 25.0 , - n - 40.0 , ( 2 - ) - 4 - ( n - ) -  
 - 6.5 ,  
 6.5 , N - - 20.0  
 2.0



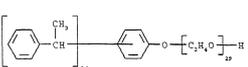
(II-a)

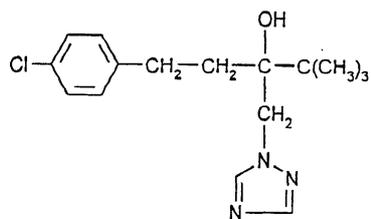
(CIPAC - C ) 0.5 %

CIPAC - C = 1 1 4 mmol

1 mmol

2

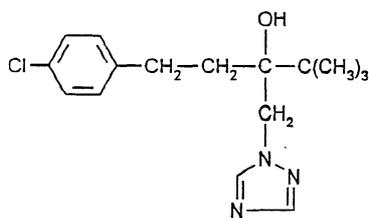
(II - a) 1 - (4 - ) - 4,4 - - 3 - (1,2,4 - - 1 - - )  
 - - 3 - 25.0 , - n - 20.0 , ( 2 - ) - 4 - ( n - ) -  
 - 6.5 ,  
 6.5 , N - - 5.0 , 2.  
 0 , 5% N,N - , 50% N,N - , 40% N,N - 5  
 % N,N - 가 35.0



(II-a)

%, (CIPAC - C ; : 1) 0.5  
3

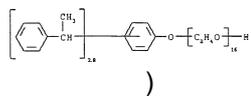
(II - a) 1 - (4 - ) - 4,4 - - 3 - (1,2,4 - - 1 - - )  
- - 3 - 25.0 , - n - 20.0 , 5% N,N - , 50% N,N -  
, 40% N,N - 5% N,N - 가  
35.0 , 1:30 20.0



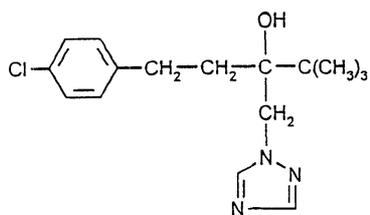
(II-a)

%, (CIPAC - C ; : 1) 0.5  
4

(II - a) 1 - (4 - ) - 4,4 - - 3 - (1,2,4 - - 1 - - )  
- - 3 - 25.0 , - (2 - - ) 20.0 , 5% N,N - , 50%  
N,N - , 40% N,N - 5% N,N - 가  
35.0 ,



) 6.5 , N - - 5.0 , 2.0 6.5 , 4 - (n - ) - - (2 -



(II-a)

(CIPAC - C ; : 1)

0.5

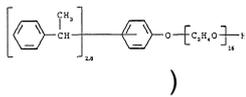
%

5

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

- - 3 - 25.0 , - n - 30.0 , N - 20.0 , N - - )

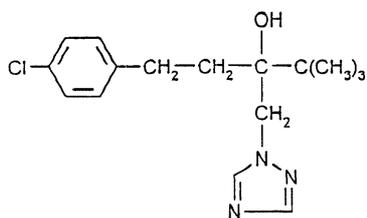
9.0



8.0

8.0

4 - (n - ) - - (2 -



(II-a)

(CIPAC - C ; : 1)

0.5

%

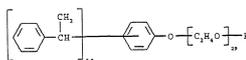
A ( )

EP - OS( ) 0 453 899

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

- - 3 - 25.0 , 5% N,N - , 50% N,N - , 40% N,N - )

5% N,N - 가 35.0 ,

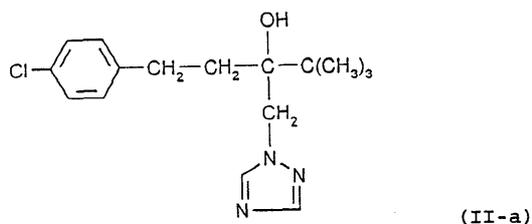


5.0 (2 - ) 4 - (n - ) - - 6.5 , N - 20.0 , N - 2.0

6.5 , N -

20.0 , N -

6.5 2.0



%, (CIPAC - C ; : 1) 0.5

(flow) 0.5 % 250 ml 5

가 가

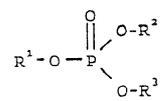
하기 실시예의 제제	플로우 장치의 체상에 결정이 침적된 정도
본 발명에 따른 실시예 1	9.9 시간후 체상에 결정 침적
본 발명에 따른 실시예 2	8.5 시간후 체상에 결정 침적
본 발명에 따른 실시예 3	11.8 시간후 체상에 결정 침적
본 발명에 따른 실시예 4	9.4 시간후 체상에 결정 침적
본 발명에 따른 실시예 5	100 시간후에도 체상에 결정 침적이 없음
A (공지)	6.4 시간후 체상에 결정 침적

(57)

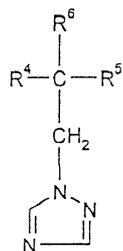
1.

(II) , (III) , (IV) , (V) 1 - ([ - (4 -  
 ) - - ] - ) - 1H - (1,2,4 - ) (VI) 1 - [3 - (2 - ) - 2 - (4 -  
 ) - - 2 - - ] - 1H - (1,2,4 - )

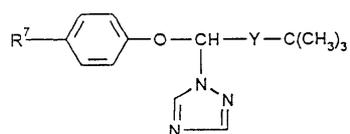
(I)



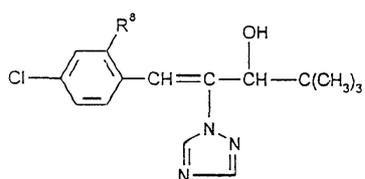
(I)



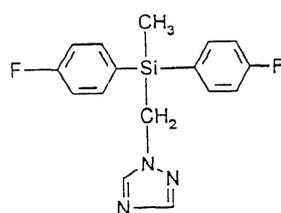
(II)



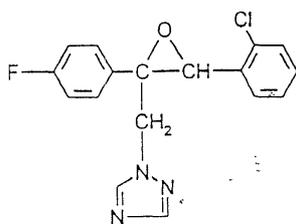
(III)



(IV)



(V)



(VI)

R<sup>1</sup> 2 18 ,

R<sup>2</sup> R<sup>3</sup> 2 12 ,

(II) ,

a) R<sup>4</sup>

R<sup>5</sup> t - ,

R<sup>6</sup> ,

b) R<sup>4</sup> 4 - ,

R<sup>5</sup> 2 - ,

R<sup>6</sup> ,

c) R<sup>4</sup> 2,4 - ,

R<sup>5</sup> n - ,

R<sup>6</sup> ,

d) R<sub>4</sub>

R<sup>5</sup> ,

R<sup>6</sup> ,

c) R<sup>4</sup> 4 - ,

R<sup>5</sup>

R<sup>6</sup> ,

(III) ,

a) Y -CH(OH) ,

R<sup>7</sup> ,

b) Y CO ,

R<sup>7</sup> ,

R<sup>8</sup> .

2.

1 ,

R<sup>1</sup> 4 12 ,

R<sup>2</sup> 2 8 ,

R<sup>3</sup> 2 8 (I) 가

3.

1 ,

R<sup>1</sup> n- , - , sec- , t- , n- , n- , 2- - , n- , n- , - , n- , - , n- , n- , n- ,

R<sup>2</sup> , n- , - , sec- , t- , n- , n- , 2- - , n- , n- -

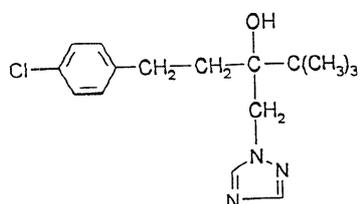
R<sup>3</sup> , n- , - , sec- , t- , n- , n- , 2- - , n- , n- -  
(I) 가

4.

1 , - n- 가 .

5.

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



(II-a)

6.

1 (I) 1 (II), (III), (IV), (V) (VI)  
가 ,  
(II) (VI)