

(19)
(12)

(KR)
(A)

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C07D 239/42

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(43)

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(87)	2001 06 14

[illegible]

(30) 19959291.8 1999 12 09 (DE)

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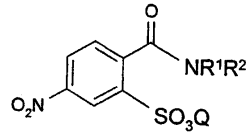
(72)	65812	가	19
	65510		33

(74)

(54) -

I :

I



,

 R^1 1 10 , 1 6 ;

 R^2 1 10 , 1 6 ,

 NR^1R^2 1 2 가 NR^1R^2 , 3 8 N, O S ;

Q H .

(:)

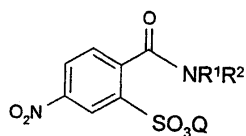
3,055,928 5,550,237 가 . ,

, (:)

(:) .

, I 4 - - 2 - :

I



,

R^1 1 10 , 1 6 ;

R^2 1 10 , 1 6 , 1 4 ,

NR^1R^2 가 NR^1R^2 N, O S
1 2 , 3 8 ;

Q H, (: Li, Na, K, Mg Ca), -
(: R_4N , R_3NH , R_2NH_2 , RNH_3 , $NH_{4,4}P$) , R 1 24
C₁-C₁₈ - , C₂-C₁₈ -
C₂-C₁₈ - C₅-C₁₈ - (, 4 , C₆-C₁₀ - , C₁-C₄ -
C₄ - , C₁-C₄ - , C₁-C₄ - , - (C₁-C₄ -) - , , , (C₁-C₄ -) -
(C₁-C₄ -) - , (C₁-C₄ -) - , C₁-C₄ - C₁-C₄ -
) , C₁-C₄ - , C₁-C₄ - ,
C₁-C₄ - , C₁-C₄ - .

,

R^1 C₁-C₆ - , C₂-C₆ - , C₂-C₆ - C₅-C₆ - (, 4
C₁-C₄ - , C₁-C₄ - , C₁-C₄ - , - (C₁-C₄ -) - , ,
, (C₁-C₄ -) - , (C₁-C₄ -) - , C₁-C₄ - C₁-C₄ -
) , C₁-C₄ - , C₁-C₄ -
C₄ - , C₁-C₄ - , C₁-C₄ - ;

R^2 가 C₁-C₆ - , C₂-C₆ - , C₂-C₆ - C₅-C₆ - (4 ,
C₁-C₄ - , C₁-C₄ - , C₁-C₄ - , - (C₁-C₄ -) - , , ,
, (C₁-C₄ -) - , (C₁-C₄ -) - , C₁-C₄ - C₁-C₄ -
) ,

NR^1R^2 가 N O 가
C₁-C₄ - , 4, 5 6 ;

Q가 H, , - , Li, Na
K I .

R^1 $C_1 - C_4 -$, , R^2 가 $C_1 - C_4 -$, , Q가 H, Na K
I .
I

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- ,

N,N- 4- -2- .

I , () , , , , , ,
() , 1 4 ,
2 4 ,
, n- , n- , 3 - 2 -
, (: n- , 1,3-) (: n- , 1- 1,4-)
;
1- -2- -1- , 2- -2- -1- , -2- -1- , -3- -1- , 1- -3-
- -1- 1- -2- -1- ; , -2- -1- , -3- -1-
1- -3- -1- .

, , , , , , , ,
, / , , , , , , ,
, CF_3 , CHF_2 , CH_2F , CF_3CF_2 , CH_2FCHCl , CCl_3 , $CHCl_2$, CH_2CH_2Cl ,
 OCF_3 , $OCHF_2$, OCH_2F , CF_3CF_2O , OCH_2CF_3 OCH_2CH_2Cl , -
.

, , , , , , , , 12
, 5 6 , , , , , , , ,
.

, , , , , , , , N, O S
, 5 6 1, 2 3

()

N S

2 3 (: , , ,) , 1 4 , 1 2 1,
 $C_1 - C_4 -$ (: , , ,), $C_1 - C_4 -$ (1 2),
 $C_1 - C_4 -$ (: , , ,), $C_1 - C_4 -$ (1 2), $C_1 - C_4 -$ 가
 가

$C_4 -$, $C_1 - C_4 -$, $C_1 - C_4 -$, $C_1 - C_4 -$, $C_1 -$
 3
 3- 4- - - , o-, m- p- , 2-, 3- 4- , 2-,
 , 2,4-, 3,5-, 2,5- 2,3- , o-, m- p-

(:) (: , N -
) , N -
 (: ($C_1 - C_4 -$) -), (,
),
 , N - - 1 -

, 3 ,

, 4- , N- , N- , N- , N-

(: ,
 (Alliquat 336;)),

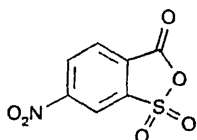
3 , N- , N- , N-
 , 2-, 3- 4- , 2,3-, 2,4-, 2,5-, 2,6-, 3,4- 3,5-
 N,N- (: N,N-)가

(:) . , $C_1 - C_8$ -

$$I \quad , \quad \text{NHR}^1 \text{R}^2 (\quad , \text{R}^1 \quad \text{R}^2 \quad I$$

$$II \quad :$$

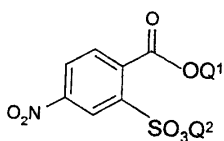
11



1. 10월 1일, 14일, 12일 (NHR 1R²) II
3
I
가
-50 300, -20 180, (:
) (:)
, ,
.

II, [Helv. Chim. Acta, 1949, 32, 172], a) Q¹ Q²가 K
 III 4- -2-, b) Q¹ H Q²가 K
 III 4- -2-, c) Q¹ Q²가
 H III 4- -2-, 184
 :

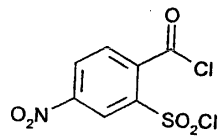
III



III 가 4 , 1 2 , , , 3 , , II () (:) (:) , , (:) , 0 300 , 40 150 .

, II : IV III

IV

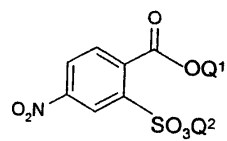


3 , , IV () 2616611 V) III (: , (RCO₂H, R C₁ - C₈ -) 3 N -) (:) (:) (0 300 , 40 150 , II .

III (, Q¹ Q² H) (2616611) III (, Q¹ Q² K) IV (2616611 V) .

, , , III I :

III



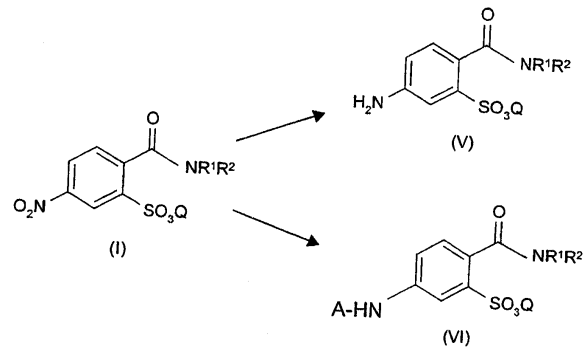
,
 Q^1 Q^2 , H, Li, Na, K, Mg
 Ca, R_4N , R_3NH , R_2NH_2 , RNH_3 , NH_4 R_4P ,
 R, 1 24 C_1
 $-C_{18}-$, $C_2-C_{18}-$, $C_2-C_{18}-$ $C_5-C_{18}-$ (4, C
 $6-C_{10}-$, C_1-C_4- , C_1-C_4- , $-C_4-$, - (C_1-C_4-) -
 , , (C_1-C_4-) - , (C_1-C_4-) - , C_1-C_4- C_1-C_4-
 C_1-C_4-) , C_1-C_4-
 C_1-C_4- , C_1-C_4- , C_1-C_4-
 .

, 3
 (:)
 (:)
 , 0 300 , 40 150 , I ,
 .

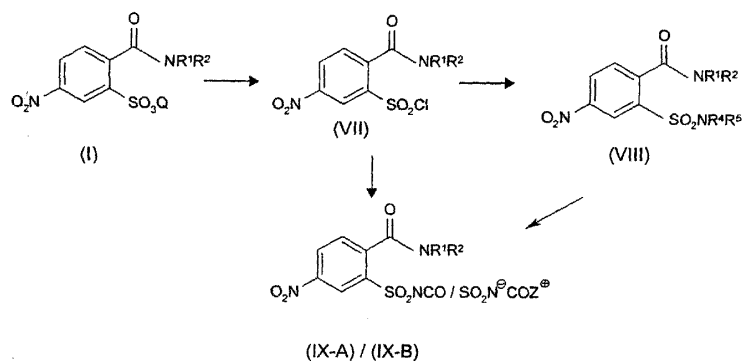
, 가 I
 R^1 R^2 1 , I V(, Q,
 $-Ni$ I () . Pd/C, Pt/C, Ru/C
 , (, 가 ,
 (, ()
 , , I , (,
 , -30 300 , -10 150 ,
 .

I ()
 1 , , a) 가 , ,
 (,) , Pd/C,
 Pt/C, Ru/C - Ni () , b)
 , , , , , , (:
) (:)
 , ()
 , / C_1-C_8- , -30 300 , -10
 150 , Q, R^1 R^2 I , A , VI(
 C_1-C_8- - C_1-C_8- -)
 .

1

[illegible]

2



VIII

가

VIII

3
VIII

VIII

V* (, R¹, R², R⁴ R
Pd/C, Pt/C, Ru/C - N

, 가

)

(:

(:

(

)

VIII
- 30

300 , - 10 150 ,

VIII

3

, a) 가

()

(

)

, Pd/C, Pt/C, Ru/C

- Ni

(

)

, b)

(:

)

(:

)

(

)

, - 30 300 ,

- 10 150

VIII

VI* (

, R¹, R², R⁴ R⁵
C₁ - C₈ -

VIII

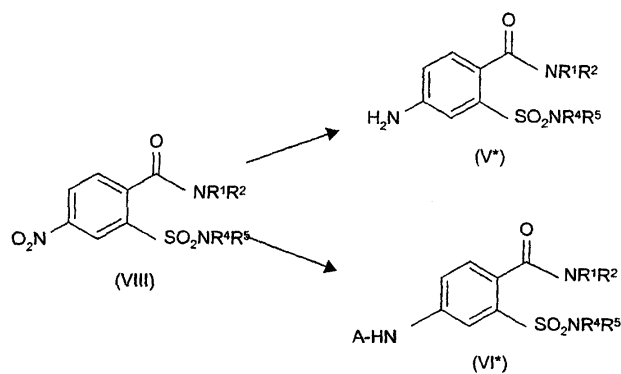
C₁ - C₈ -

, A

-

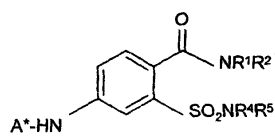
)

3



VIII , IX - A IX - B XII XIV
N - (4,6 - - 2 -) - 2 - (N,N -) - 5 - (N -
(N -) , N - (4,6 - - 2 -) - 2 - (N,N -) - 5 -
) - 5 - (N -) , N - (4,6 - - 2 -) - 2 - (N,N -
) - 5 - (N -) , N - (4,6 - - 2 -) - 2 - (N,N -
) - 2 - (N,N -) - 5 - (N -) , N - (4,6 - - 2 -
. - SO₂ - NH - 가
가
HCl, HBr, H₂SO₄ HNO₃
C₁ - C₈ -
(: 0 250 1 2
VIII (:)
A(V* VI*) A i)
- 50 150 (:
(:)
(:)
X ii) - 50 150
(:) (:
XI XIV
:

A



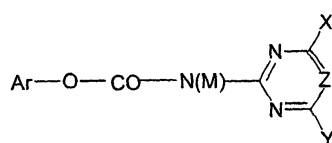
[,

R^1 R^2 I ;

R^4 R^5 $C_1 - C_{12}$ - ;

A^*]

X



[,

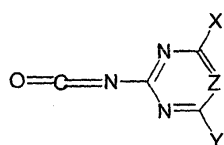
Ar ;

M H, $C_1 - C_4$ - ;

X Y , $C_1 - C_6$ - , $C_1 - C_6$, $C_1 - C_6$ - (,
 $C_1 - C_4$ - $C_1 - C_4$ -
) , $C_3 - C_6$ - , $C_2 - C_6$ - , $C_2 - C_6$ - , $C_3 - C_6$ -
 $C_3 - C_6$ - ;

Z CH N]

XI

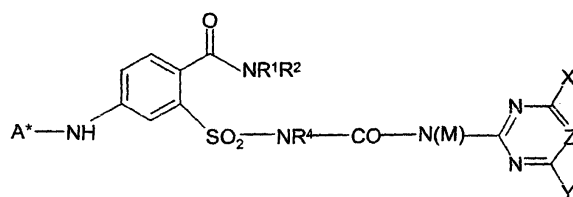


[,

X Y , $C_1 - C_6$ - , $C_1 - C_6$, $C_1 - C_6$ - (,
 $C_1 - C_4$ - $C_1 - C_4$ -
) , $C_3 - C_6$ - , $C_2 - C_6$ - , $C_2 - C_6$ - , $C_3 - C_6$ -
 $C_3 - C_6$ - ;

Z CH N]

XIV



[,

 R^1, R^2, R^4 VIII ;

M, X, Y, Z, X ;

 A^*]

, VIII ,

) WO 97/16419 X

,

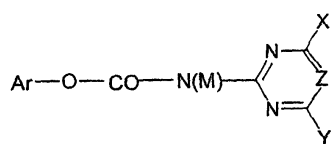
) WO 95/29899 (EP - A - 757679

) XI ,

) WO 00/05220

IX - A/IX - B , IX
 -50 150 , (: ,
) (:) XI* ,
 , (XII - :

X



[,

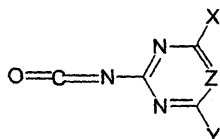
Ar ;

M, H, C₁ - C₄ - ;

X Y , C₁ - C₆ - , C₁ - C₆ , C₁ - C₆ - (,
 , C₁ - C₄ - C₁ - C₄ -
) , C₃ - C₆ - , C₂ - C₆ - , C₂ - C₆ - , C₃ - C₆ -
 C₃ - C₆ - ;

Z CH N]

XI

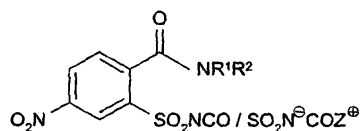


[,

X Y , C₁ - C₆ - , C₁ - C₆ , C₁ - C₆ - (,
 , C₁ - C₄ - C₁ - C₄ -
) , C₃ - C₆ - , C₂ - C₆ - , C₂ - C₆ - , C₃ - C₆ -
 C₃ - C₆ - ;

Z CH N]

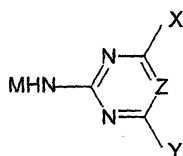
IX - A/IX - B



[,

R¹ R² 1 3 I]

XI*



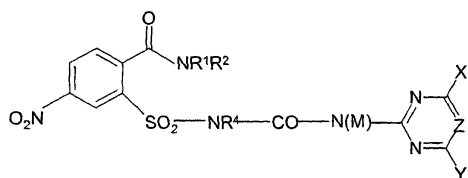
[,

M H, C₁ - C₄ - ;

X Y , C₁ - C₆ - , C₁ - C₆ , C₁ - C₆ - (, , C₁ - C₄ - C₁ - C₄ -) , C₃ - C₆ - , C₂ - C₆ - , C₂ - C₆ - , C₃ - C₆ - C₃ - C₆ - ;

Z CH N]

XII



[,

R¹, R² R⁴ VIII ;

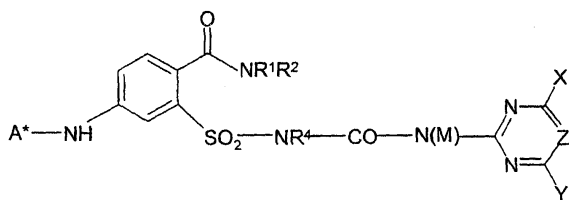
M, X, Y Z X]

, I VIII
WO 97/16419

XIV

, XII -
가 :

XIV



,

R¹, R² R⁴ VIII ;

M, X, Y Z X ;

A* H .

, Pd/C, Pt/C, Ru/C - Ni ((, 가 , , (, (:) , ,)

() (:) ,
 , , , - 30 , 300 , - 10 150 ,
 .
 , I VIII
 WO 97/16419
 , XII - XIV(, R¹, R² R⁴ V
 III , M, X, Y Z X , A * ,
 C₁ - C₈ - C₁ - C₈ -)
 - 가
 , a) 가 , , (, Pd/C, Pt/C, Ru/C - Ni
) , b)
 (:) (:)
 , , , / C₁ - C₈ - , - 30
 300 , - 10 150 , XIV -
 (:)가

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

A) (240ml) 4 - - 2 - (III) 31.9g 가 , 0.6
 0.8ml , 85 90 (0.23ml) (0.16
 ml) 가 , 4 (10.1g) 가 . 85 90 가 2 가 ,
 , 98 103
 (4.78g) 가 . 1 , 20 (2
 × 20ml) 75 /50 . : 35.1g(=90%), 80.1% w/w; :
 320 ().

B) (120ml) 4 - - 2 - (50g), (14.2g)
 (1.0g) 100 가 , 45 (15ml) 가 .
 2 , 20 , (2 × 50ml) . : 61.72g(=91.
 3%), 70.0% w/w.

2: N,N - 2 - - 4 - (VIII)

A) (570ml) 4 - - 2 - (I) 79.9g 88 92 가 ,
 (1ml) 가 , (58g) 3 가 . 가 1 ,
 , 4 - - 2 - (75.7g) (0.6ml) 가 . ,

1 138 가 95 100 , 2 (23.5g) 가
 30 가 . , 70 75 2 (10ml)
 (0.38ml) (77.1g) 가 . 1 ,
 0 5 . (19.5g) 가 5 .
 , 20 가 (420ml) (7.4g) (pH=4) 가 , 15 .
 . (5 × 100ml) 75 /50 .
 111.4g(=81%), 93.4% w/w.

B) 4 - - 2 - (III) 31.9g (240ml) 가 , 0.6 0.
 8ml . , 82 87 (0.91g)
 가 2 (25ml) , 95 100 가 1 ,
 (7.5g) 가 .
 1 , 70 75 , 2 (2ml) (0.08m
 l) (15.8g) 가 . 1 , , 0 5
 (4g) 가 . 40 가 (3 × 20ml)
 . (85ml) (2.5ml)
 (5 × 20ml) 75 /50 . : 23.6g(=82%), 95.6% w/w, : 1
 61 - 162 .

3: N,N - 2 - - 4 - (VI*)

(200ml) N,N - 2 - - 4 - (VIII) 40g, (0.08g) Pd
 /C(3g, 5%, 50%) 50 40 7 (20ml) 가 . 20 2 (20ml) 50
 l) . (200ml) 가 . 20 2
 , , . : 35.3g(=84.3%), 94.1% w/w, : 192 - 193 .
 /50 .

4: N,N - 2 - (N - (N - (4,6 - - 2 -) -) -) - 4 -
 (XII)

0 2.5 (70ml) (20g) (200ml) N,N - 2 -
 - 4 - (VIII) 20g (39ml) 가 . 30 ,
 11.9g) 가 . 가 1.5 , (200ml) (80ml) 2 - - 4,6 - ((5N, 45ml) 가 ,
 . (2 × 50ml) , (3N, 35ml) pH 2 . ,
 40 /50 . 22.3g(=58%), 87.1% w/w.

5: N,N - 2 - (N - (N - (4,6 - - 2 -) -) -) - 4 -
 (XIV)

A) (225ml) N,N - 2 - (N - (N - (4,6 - - 2 -) -) -) - 4
 - (XII) 75g, (0.05g) Pd/C(3g, 5%, 50%) 30 35

3 (20ml) (35)
 (240ml) 가 . 45 1 , 0 5 가 2
 (2 × 25ml) , (200ml)
 50 55 2 가 20 , (2 × 25ml)
 45 /50 . 60.6g(=83.6%), 95.5% w/w.

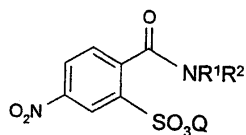
B) (250ml) N,N- 2 - - 4 - (VI *) 30g N - (4,6 -
 - 2 -) 3.3g 20 (30g) . 3 , N - (4,6 -
 - 2 -) (2g) 가 가 . 1 , (400ml) 가
 (45) , (1N, 400ml) 가 , (2 × 2
 00ml), (2 × 200ml) . 42g(=66.1%), 78.7% w/w.

(57)

1.

I :

I



,

R^1 1 10 ;

R^2 1 10 ,

NR^1R^2 NR^1R^2 , 3 8

;

Q H .

2.

1 ,

R^1 $C_1 - C_6 -$, $C_2 - C_6 -$, $C_2 - C_6 -$ $C_5 - C_6 -$ (, 4
 , $C_1 - C_4 -$, $C_1 - C_4 -$, $C_1 - C_4 -$, - ($C_1 - C_4 -$) - , ,
 , ($C_1 - C_4 -$) - , ($C_1 - C_4 -$) - , $C_1 - C_4 -$ $C_1 - C_4 -$
) , , $C_1 - C_4 -$, $C_1 - C$
 4 - , $C_1 - C_4 -$, $C_1 - C_4 -$;

R^2 $C_1 - C_6 -$, $C_2 - C_6 -$, $C_2 - C_6 -$ $C_5 - C_6 -$ (, 4
 $C_1 - C_4 -$, $C_1 - C_4 -$, $C_1 - C_4 -$, $C_1 - C_4 -$ - $(C_1 - C_4 -)$ - , ,
 $(C_1 - C_4 -)$ - , $(C_1 - C_4 -)$ - , $C_1 - C_4 -$ $C_1 - C_4 -$
 $(C_1 - C_4 -)$,

$NR^1 R^2$ 가 N O
 $C_1 - C_4 -$

가

, 4, 5 6 ;

Q H, , , I .

3.

1 2 ,

R^1 $C_1 - C_4 -$, ;

R^2 가 $C_1 - C_4 -$, ;

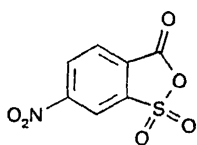
Q가 H, Na K I .

4.

$NHR^1 R^2$ (, R^1 R^2 1 3 I)
 II , 1 3

I :

II

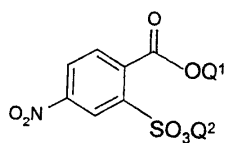


5.

$NHR^1 R^2$ (, R^1 R^2 1 3 I)
 III , 1 3

I :

III



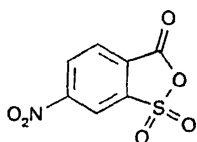
,

Q^1 Q^2 , H .

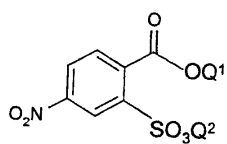
6.

III , II :

II



III



,

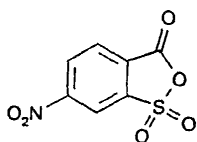
Q^1 Q^2 , H .

7.

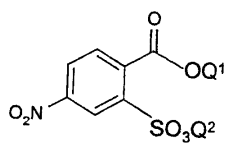
IV III , II

:

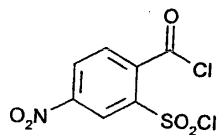
II



III



IV



,

Q¹ Q²

, H

.

8.

1

3

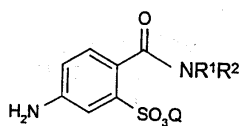
I

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V

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V



,

Q, R¹ R²

1

3

I

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9.

1

3

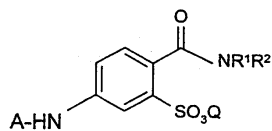
I

,

VI

:

VI



,

Q, R¹ R²

1

3

I

;

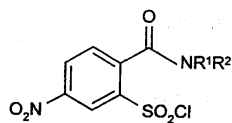
A

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10.

1, 3, VII : I

VII

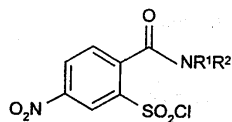


R^1 R^2 1 3 I .

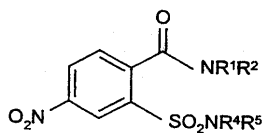
11.

VII, NHR^4R^5 (VIII, R^4 R^5 : $C_1 - C_{12}$ -)

VII



VIII



R^1 R^2 1 3 I ;

R^4 R^5 $C_1 - C_{12}$ - .

12.

6, 4, 10, 11 ; 7, 4, 10, 11 ;
 ; 4, 10, 11 ; 6, 5, 10, 11 ;
 ; 7, 5, 10, 11 ; 5, 10, 11 ;
 ; 10, 11 , VIII

13.

6 , 4 10 ; 7 4 10 ; 4
 10 ; 5 10 ; 7 , 5 10
 ; 5 10 , VII

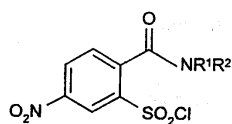
14.

VII

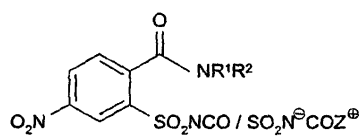
IX - A/IX - B

:

VII



IX - A/IX - B



,

R¹ R² 1 3

I

;

IX - B Z 3

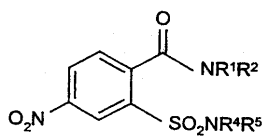
15.

VIII

IX - A/IX - B

:

VIII



IX - A/IX - B



,

 R^1 R^2 1 3 I ;

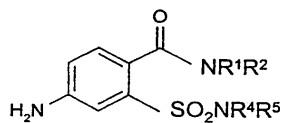
IX - B Z 3 ;

 R^4 R^5 $C_1 - C_{12}$ - .

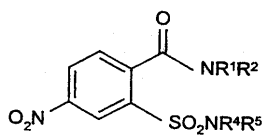
16.

 VIII , V*
 :

V*



VIII



,

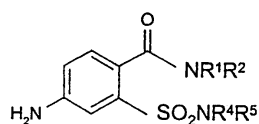
 R^1 R^2 1 3 I ;

 R^4 R^5 $C_1 - C_{12}$ - .

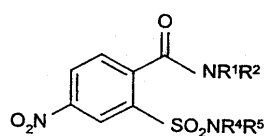
17.

 VIII ,
 VI* :

VI*



VIII



,
 R^1 R^2 1 3 I ;

R^4 R^5 $C_1 - C_{12}$ - ;

A .

18.

a) NHR^1R^2 (, R^1 R^2 1 3 I)
 , () II () III ,
 I ;

b) a) I , VII
 ;

c) b) VII NHR^4R^5 (, R^4 R^5 $C_1 - C_{12}$ -
) , VIII ;

d) c) VIII ,

)
 , A i) X , A ii)
 XI , XIV(, A*)
 ,

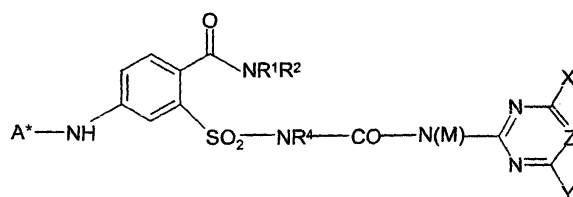
) X , XII -
 ,

) XI , XII -
 ,

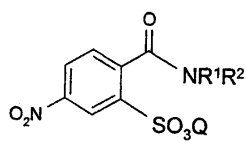
) XI* , IX - A/IX - B , IX - A/IX - B
 ; XII -

e)) d)),)) XII A* H XIV
 , A*) d)),)) XII
 XIV ,
 XIV :

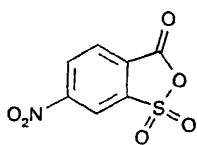
XIV



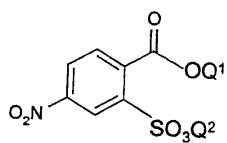
I



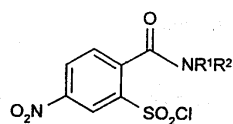
II



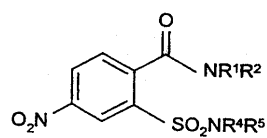
III



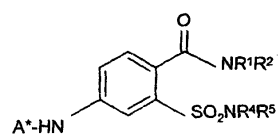
VII



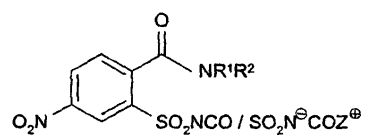
VIII



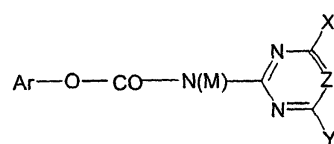
A



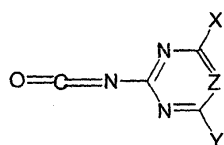
IX - A/IX - B



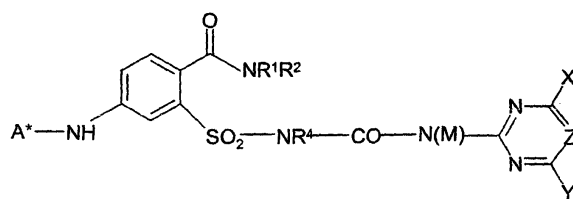
X



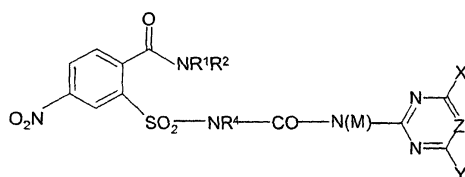
XI



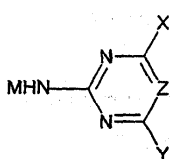
XIV



XII



XI*



,

R¹ 1 10

;

R² 1 10

,

NR¹ R²NR¹ R²

, 3 8

;

Q H ;

R⁴ R⁵ C₁ - C₁₂ - ;

A* ;

M H, C₁ - C₄ - ;

X Y , C₁ - C₆ - , C₁ - C₆ , C₁ - C₆ - (, , , C₁ - C₄ - C₁ - C₄ -) , C₃ - C₆ - , C₂ - C₆ - , C₂ - C₆ - , C₃ - C₆ - C₃ - C₆ - ;

Z CH N ;

IX - B Z 3 ;

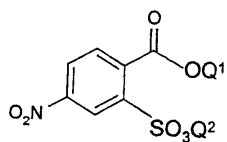
Ar .

19.

4 18 ,

III , a)) II :

III



,

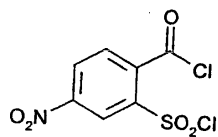
Q¹ Q₂ , H .

20.

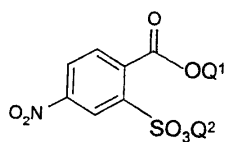
4 18 ,

IV III , a)) II :

IV



III



Q^1 Q^2 , H.

21.

a) NHR^1R^2 (, R^1 R^2 1 3 I)
() II () III ,
I ;

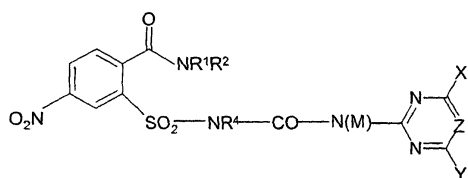
b) a) I , VII ;

c) b) VII NHR^4R^5 (, R^4 R^5 $C_1 - C_{12}$ -
() VIII ;

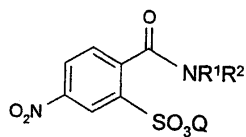
d) c) VIII ,
) X , XII -
,
) XI , XII -
,
) , IX - A/IX - B , IX - A IX - B
XI* , XII -
,

XII :

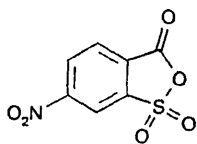
XII



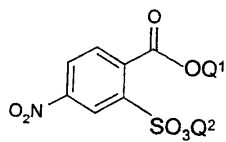
I



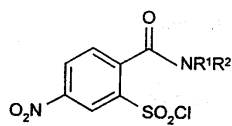
II



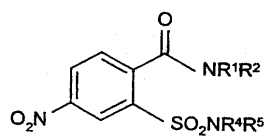
III



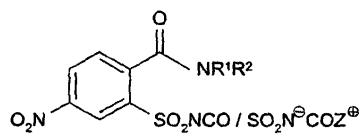
VII



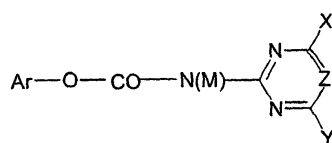
VIII



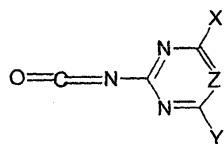
IX - A/IX - B



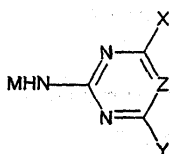
X



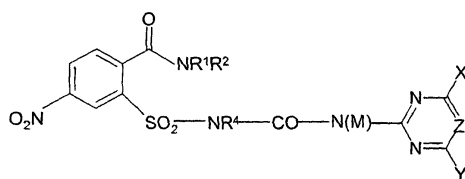
XI



XI*



XII



,

R¹ 1 10 ;R² 1 10 ,NR¹R²

1 2 가 ;

NR¹R²

, 3 8

N, O S

Q H ;

R⁴ R⁵ C₁ - C₁₂ - ;

Ar ;

M H, C₁ - C₄ - ;

X Y , C₁ - C₆ - , C₁ - C₆ , C₁ - C₆ - (, , , C₁ - C₄ - C₁ - C₄ -) , C₃ - C₆ - , C₂ - C₆ - , C₂ - C₆ - , C₃ - C₆ - C₃ - C₆ - ;

Z CH N ;

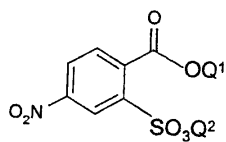
IX - B Z 3 .

22.

21 ,

III a)) II :

III



,

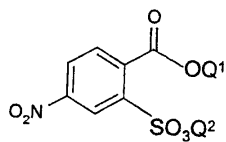
Q¹ Q² , H .

23.

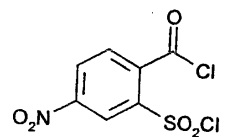
21 ,

IV III a)) II :

III



IV



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Q¹ Q²

, H .

24.

18

XIV

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3

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21

I

XII

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