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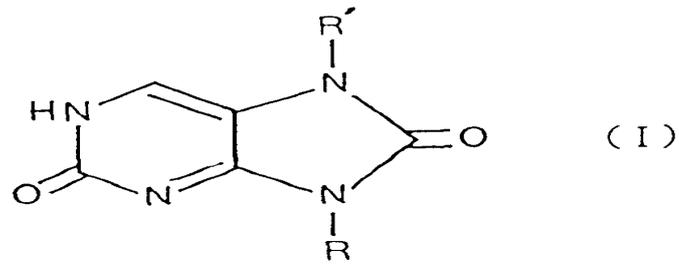
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(54)

(I)

(R , X , , , ,) , R'

가 가



(鼻汁分泌抑制作用)

(IgE) , , I IV 4가 (蕁麻疹) I

(杉木) 가 가 () , 가 가 , 가 ,

60 - 58726

61 - 26527

, 2,8 -

가가

가

(1)

I

- (6) (5) , R .
- (7) (5) , R .
- (8) (5) , R .
- (9) (5) , R 4 .
- (10) (4) (9) 1 , X가 .
- (11) (10) , X가 1 6 .
- (12) (10) , X가 .
- (13) (10) , X가 .
- (14) (10) , X가 .
- (15) (10) , X가 .
- (16) (10) , X가 4 .
- (17) (10) , X가 5 .
- (18) (10) , X가 6 .

(I)

- (a) R'가 , R 5 - -4 - N - 4,5 - 5 - -4 - N -
- (b) R'가 - COOX , (a) 7 - COOX R'가
 (- COOX X) , R'가
 R'가 - COOX

R, UV,

1

3.5g 4-N- -5- 0.7g 10% - , 400Mℓ
 7.4g 150 가 , IN
 , 1.6g 9- -1,2,7,8- -9H- -2,8- (1) .

: 320

MS (m/z) : 166 (M+)

: C₆H₆N₄O₂

: C = 43.38%、 H = 3.64%、 N = 33.72%

: C = 43.23%、 H = 3.74%、 N = 33.69%

9- -1,2,7,8- -9H- -2,8- (2)

: 320

MS (m/z) : 180 (M+)

: C₇H₈N₄O₂

: C = 46.67%、 H = 4.48%、 N = 31.10%

: C = 46.87%、 H = 4.65%、 N = 31.12%

9- -1,2,7,8- -9H- -2,8- (3)

: 320

MS (m/z) : 194 (M+)

: C₈H₁₀N₄O₂

: C = 49.48%、 H = 5.19%、 N = 28.85%

: C = 49.79%、 H = 5.36%、 N = 29.10%

9- -1,2,7,8- -9H- -2,8- (4)

: 320

MS (m/z) : 208 (M+)

: C₉H₁₂N₄O₂

: C = 51.92%、 H = 5.81%、 N = 26.91%

: C = 52.10%、 U = 5.87%、 N = 26.98%

9 - (1,3-) - 1,2,7,8 - -9H - -2,8 - (5) : 320

MS (m/z) : 236 (M+)

: C₁₁ H₁₆ N₄O₂ · 0.2 H₂O

: C = 55.09%、 H = 6.89%、 N = 23.36%

: C = 55.27%、 H = 6.92%、 N = 23.07%

9 - -1,2,7,8 - -9H - -2,8 - (6)

: 320

MS (m/z) : 234 (M+)

: C₁₁ H₁₄ N₄O₂

: C = 56.40%、 H = 6.02%、 N = 23.92%

: C = 56.59%、 H = 6.05%、 N = 24.00%

9 - -1,2,7,8 - -9H - -2,8 - (7)

: 320

: C₁₁ H₈ N₄O₂ · 0.1 c O H · 0.4 H₂O

: C = 55.72%、 H = 3.84%、 N = 23.20%

: C = 55.87%、 H = 3.68%、 N = 23.14%

9 - -1,2,7,8 - -9H - -2,8 - (8)

: 320

: C₁₂ H₁₀ N₄O₂

: C = 59.50%、 H = 4.16%、 N = 23.13%

: C = 59.83%、 H = 4.10%、 N = 23.45%

9 - (2-) - 1,2,7,8 - -9H - -2,8 - (9)

: 320

: C₁₃ H₁₂ N₄O₂

: C = 60.93%, H = 4.72%, N = 21.86%

: C = 61.07%, H = 4.87%, N = 22.12%

9 - (4 -) - 1,2,7,8 - - 9H - - 2,8 - (10)

: 320

: C₁₂ H₁₀ N₄ O₃ · 0.1 AcOH · 0.4 H₂O

: C = 53.98%, H = 4.16%, N = 20.64%

: C = 53.92%, H = 3.97%, N = 20.77%

9 - (2 -) - 1,2,7,8 - - 9H - - 2,8 - (11)

: 320

: C₁₂ H₉ F N₄ O₂

: C = 55.39%, H = 3.49%, N = 21.53%

: C = 55.33%, H = 3.36%, N = 21.37%

2

21g 5- - 4 - N - 200ml , 22.3g
 가 . 5 30 , 400ml 가 .
 20.7g 3 .

3

350mg 3 , 76mg
 0 가 . 10 , 0.15Ml 0 ,
 30 . 가 , 가 , 3
 . ,
 . 141mg 9- - 7 - - 1,2,7,8 -
 - 9H - 2,8 - (12) .

: 303 306

MS (m/z) : 252 (M+)

: C₁₀ H₁₂ N₄ O₄

: C = 47.62%, H = 4.80%, N = 22.21%

: C = 47.65%, H = 4.83%, N = 22.18%

7- -9- -1,2,7,8- -9H- -2,8- (13)

: 200 202

MS (m/z) : 266 (M+)

: $C_{11} H_{14} N_4 O_4$

: C = 49.63%、H = 5.30%、N = 21.04%

: C = 49.57%、H = 5.31%、N = 20.95%

9- -7- -1,2,7,8- -9H- -2,8- (14)

: 206 208

MS (m/z) : 280 (M+)

: $C_{12} H_{16} N_4 O_4$

: C = 51.42%、H = 5.75%、N = 19.99%

: C = 51.12%、H = 5.72%、N = 19.94%

9- -7- -1,2,7,8- -9H- -2,8- (15)

: 216 218

MS (m/z) : 280 (M+)

: $C_{12} H_{16} N_4 O_4 \cdot 0.4 H_2 O$

: C=50.13%、H = 5.89%、N = 19.49%

: C = 50.29%、H = 5.61%、N = 19.47%

7- -9- -1,2,7,8- -9H- -2,8- (16)

: 201 203

MS (m/z) : 278 (M+)

: $C_{12} H_{14} N_4 O_4$

: C = 51.80%、H = 5.07%、N = 20.14%

: C = 51.46%、H = 5.10%、N = 19.84%

7 - -9 - -1,2,7,8 - -9H - -2,8 - (17)

: 217 219

MS (m/z) : 294 (M+)

: C₁₃ H₁₈ N₄ O₄

: C = 53.05%、H = 6.16%、N = 19.04%

: C = 53.00%、H = 6.14%、N = 19.00%

7 - -9 - -1,2,7,8 - -9H - -2,8 - (18)

: 217 219

MS (m/z) : 294 (M+)

: C₁₃ H₁₈ N₄ O₄

: C = 53.05%、H = 6.16%、N = 19.04%

: C = 53.06%、H = 6.14%、N = 18.96%

7 - -9 - -1,2,7,8 - -9H - -2,8 - (19)

: 189 191

MS (m/z) : 322 (M+)

: C₁₅ H₂₂ N₄ O₄

: C = 55.89%、H = 6.88%、N = 17.38%

: C = 55.94%、H = 6.84%、N = 17.41%

9 - -7 - -1,2,7,8 - -9H - -2,8 - (20)

: 185 187

MS (m/z) : 350 (M+)

: C₁₇ H₂₀ N₄ O₄

: C = 58.27%、H = 7.48%、N = 15.99%

: C = 58.19%、H = 7.40%、N = 16.02%

7 - (2 -) -9 - -1,2,7,8 - -9H - -2,8 - (21)

:

MS (m/z) : 350 (M+)

: $C_{17} H_{26} N_4 O_4$

: C = 58.27%, H = 7.48%, N = 15.99%

: C = 58.20%, H = 7.54%, N = 16.02%

7- -9- -1,2,7,8- -9H- -2,8- (22)

: 141 143

MS (m/z) : 462 (M+)

: $C_{25} H_{42} N_4 O_4$

: C = 64.90%, H = 9.15%, N = 12.11%

: C = 64.78%, H = 9.18%, N = 12.01%

9- -7- (2-) -1,2,7,8- -9H- -2,8- (23)

: 218 220

MS (m/z) : 296 (M+)

: $C_{12} H_{16} N_4 O_5$

: C = 48.64%, H = 5.44%, N = 18.91%

: C = 48.64%, H = 5.47%, N = 18.90%

9- -7- -1,2,7,8- -9H- -2,8- (24)

: 255 257 MS (m/z) : 314 (M+)

: $C_{15} H_{14} N_4 O_4 \cdot 0.5 H_2 O$

: C = 55.73%, H = 4.68%, N = 17.33%

: C = 55.32%, H = 4.55%, N = 17.21%

7- -9- -1,2,7,8- -9H- -2,8- (25)

: 210 212

: $C_{16} H_{16} N_4 O_4$

: C = 58.52%、H = 4.91%、N = 17.06%

: C = 58.21%、H = 4.96%、N = 16.86%

4

2, 4 9 , 3

7- -9- -1,2,7,8- -9H- -2,8- (26)

: 220 223

MS (m/z) : 252 (M+)

: C₁₀ H₁₂ N₄ O₄ · 0.1 H₂O

: C = 47.28%、H = 4.84%、N = 22.06%

: C = 47.44%、H = 4.73%、N = 21.86%

9- -7- -1,2,7,8- -9H- -2,8- (27)

: 209 211

MS (m/z) : 280 (M+)

: C₁₂ H₁₆ N₄ O₄

: C = 51.42%、H = 5.75%、N = 19.99%

: C = 51.33%、H = 5.75%、N = 19.88%

7- -9- (2-) -1,2,7,8- -9H- -2,8- (28)

: 235 237

MS (m/z) : 328 (M+)

: C₁₆ H₁₆ N₄ O₄ · 0.2 H₂O

: C = 57.90%、H = 4.98%、N = 16.88%

: C = 58.01%、H = 4.96%、N = 16.89%

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1.

1)

5mg, 1μg (1Mℓ) 2
 6 7 2 50μg 1% 3
 7 5
 2)
 0.25mg 가 60 (1mg/kg) (浪松)
 (Int Arch Allergy Immunol, 95, p29 - 34(1991))

1
 1

피검물	비중분비억제율
화합물 2	14.2%
화합물 3	39.6%
화합물 4	15.6%
화합물 6	13.3%
화합물 8	15.4%
화합물 11	13.2%

3)
 (1) R'가 1
 2,8 -
 7 -COOX
 가 , 7 -COOX (R'가)
 가 , -COOX 7
 가 R'가 -COOX R'가
 7 -COOX
 (3) 51.5 μ mol/kg 7 가

화합물	화합물3의 혈중농도($\mu\text{g}/\text{mL}$)			
	투여 15분후	투여 30분후	투여 1시간후	투여 2시간후
3 (대조)	0. 0 2	0. 0 3	0. 1 4	0. 2 7
1 2	0. 9 1	1. 0 8	0. 7 9	0. 3 5
1 3	3. 5 9	2. 2 7	1. 1 7	0. 2 8
1 4	2. 4 2	2. 5 7	1. 5 7	0. 5 1
1 5	6. 4 3	5. 5 2	2. 8 5	0. 5 9
1 6	0. 5 7	0. 7 1	1. 3 0	0. 3 9
1 7	4. 3 1	3. 5 4	1. 7 9	0. 5 0
1 8	4. 7 1	3. 5 5	1. 4 7	0. 6 2
1 9	1. 0 5	1. 2 5	0. 7 1	0. 3 8
2 1	1. 1 2	0. 9 4	0. 8 0	0. 5 1
2 4	0. 5 9	0. 7 2	1. 0 1	0. 3 1
2 5	0. 6 0	0. 8 5	0. 9 0	0. 3 2

()

1

2 , 7 가 -COOX

가

가 가

가 가

가 가

가 , (白糖),

(滑澤劑),

가

00mg 1 () 1 0.02 200mg, 0.1 1

, 0.01 50mg 3 10 1
1

3

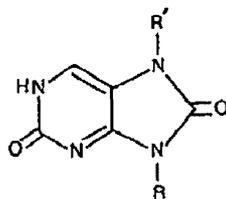
처방예 1(정제)	성분	1정당
	본발명물질	1mg
	유당	150mg
	옥수수전분	40mg
	스테아르산마그네슘	10mg
		계 201mg

(57)

1.

(1)

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(1)

(R C₁₋₆, C₃₋₈, C₁₋₄, R', C₁₋₄ -COOX; X C₁₋₂₀, C₂₋₆, C₁₋₄, R)

2.

1, R' - COOX

3.

2, X가 C₁₋₂₀

4.

3, X가 1 6

5.

1, R'

6.

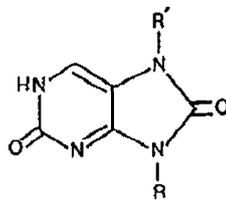
1 5, R C₁₋₆

7.

6, R

8.

(1)



(1)

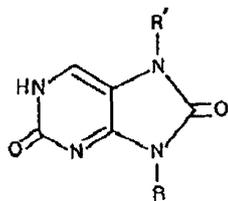
(R C₁₋₆, C₃₋₈, C₁₋₄, R', C₁₋₄, -COOX; X C₁₋₂₀, C₂₋₆, C₁₋₄, R)

9.

1 5 7 8

10.

(1)



(1)

(R C₁₋₆ , C₃₋₈ , C₁₋₄ - COOX ; X C₁₋₂₀ , C₂₋₆ ,
 C₁₋₄ , C₁₋₄ ; R')

11.

6