

(19) (KR)
(12) (A)

(51) 。 Int. Cl. ⁷ A61K 31/4164	(11) (43)	10-2004-0030654 2004 04 09
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(21)	10-2003-7015978	
(22)	2003 12 05	
	2003 12 05	
(86)	PCT/GB2002/002635	(87) WO 2002/100414
(86)	2002 06 07	(87) 2002 12 19

(30)	0114008.6	2001 06 08	(GB)
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(71)	2 7	7
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(72)	, , 40	, . ,
	, , 40	, . ,

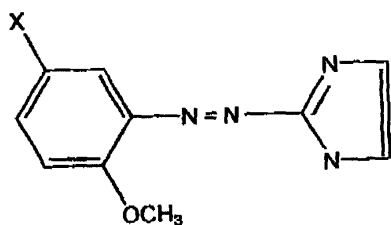
(74)

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(54)	-
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- .

-1H- , , , , US-A-4315003 2-[(2-)] :



, X H .

(Ohnishi) , , 2-[(5- -2-)]-1H- (Arz
neim, Forsch/Drug Research 31():1425-1429).

2-[(5- -2-)]-1H- - - 1
, ' ; Tocris Cookson Ltd., Bristol, UK Tocris catalogue 2001, 1, 20)
(243) Tocris .

가 . 1 1A, 1L(가), , 1B 1D 4

(species) , 1 .

가 (LUT) , 1A(/ 1L) , 1B ()
, 가 1B 가 가 .

LUT 가,
가 LUT 가 2-[(5- -2-)]-1H-
가 .

, 2-[(5- -2-)]-1H- , 가
, LUT 가

2-[(5- -2-)]-1H- 50%

2-[(5- -2-)]-1H- (X=Cl) .

가

(troches), (lozenges), 가

가

1 100 mg 0.1 1000,

LUT
)
500 750 μm)
4
-
24
(
)
/

NaCl 118.4; KCl 4.7; CaCl_2 1.9; NaHCO_3 25.0; MgSO_4 1.2; KH_2PO_4 1.2;
11.7 (mM) 1 g 5% CO_2 35
: (Lectromed UF1 57G) Cambridge Elect
ronic Design 1401 - - , CHART
가 (10 μM) 60 (10 μM) , B
(1 μM)

2-[(5-
-2-
)
]-1H-
,
-
.
LUT
,
2-[(5-
-2-
)
]-1H-
KCl 100 μM
가
.
(agonism), 2-[(5-
-2-
)
]-1H-
(supramaximal)
가
.

pEC50(EC50) 가 EC50 . , .

2-[(5- -2- ()]-1H- ()LUT
()

LUT , - 가 가 . pEC50
5.4 ± 0.39 100 mM (n=3) 63.7 ± 4.8% 가 . 2-[(5-
-2-)]-1H- 100 mM 62.5% 가 p
EC50 5.7 . LUT , 2-[(5- -2-)]-1H-
.

, 4.22 ± 2.21.g(n=10) , pEC50 6.18 ± 0.32 . 2-[(5- -2-)]-
1H- 1.1 ± 0.7 g(n=3) , pEC50 5.3 ± 0.1 . 2-[(5- -2-)]-
]-1H- . 2-[(5- -2-)]-1H-
- ()]-1H- ,
2-[(5- -2-)]-1H- 가
2-[(5- -2-)]-1H- 가
가 (organ bath) 가
가 2.7 ± 0.9g (n=3) .

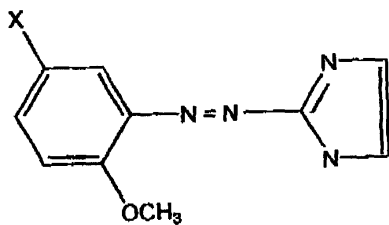
(57)

1.

, -
.

2.

1 , 가 ,



X H

3.

1 , 2-[(5- -2-)]-1H- .