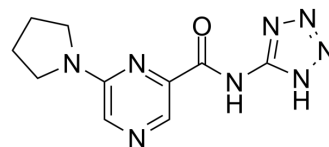


HSR6071

Cat. No.:	HY-U00133
CAS No.:	111374-21-1
Molecular Formula:	C ₁₀ H ₁₂ N ₈ O
Molecular Weight:	260.26
Target:	Others
Pathway:	Others
Storage:	<div> <div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> </div> <div> <div>In solvent</div> <div>-80°C 6 months</div> <div>-20°C 1 month</div> </div>



SOLVENT & SOLUBILITY

In Vitro

H₂O : 50 mg/mL (192.12 mM; ultrasonic and adjust pH to 12 with NaOH)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		3.8423 mL	19.2116 mL	38.4231 mL
	5 mM		0.7685 mL	3.8423 mL	7.6846 mL
	10 mM		0.3842 mL	1.9212 mL	3.8423 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

HSR6071, a pyrazinecarboxamide derivative, is an orally active and potent antiallergic agent. HSR6071 potently inhibits the experimental asthma in rat models^{[1][2]}.

In Vivo

The experimental asthma caused by IgE antibody in rats is inhibited by HSR-6071 (0.01-0.1 mg/kg; i.v.) in a dose-dependent manner. The bronchoconstriction mediated by IgE or IgG antibody in guinea-pigs is also prevented by HSR-6071 (0.3, 1, 3 mg/kg; i.v.). HSR-6071 inhibits cyclic AMP phosphodiesterase activity and produces relaxation of the guinea-pig isolated trachea^[1].

HSR-6071 inhibits the IgE-mediated histamine release with an IC₅₀ of 0.46 nM. HSR-6071 is effective not only by i.v. but also by p.o. administration on the IgE mediated PCA in rats. The most potent inhibition with HSR-6071 is observed when it is given p.o. 5 min before the antigen challenge, indicating the rapid absorption of HSR-6071 through the gastrointestinal tract^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[2]

Rats: In order to find out the proper pre treatment time with HSR-6071, 0.03 mg/kg, i.vi or 1 mg/kg, p.o. of HSR-6071 was given at varying times before the antigen challenge^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Makino E, et al. Inhibitory effect of HSR-6071, a new anti-allergic agent, on experimental asthma in rats and guinea-pigs. J Pharm Pharmacol. 1990 Apr;42(4):236-41.

[2]. Makino E, et al. Potent inhibitory activity of HSR-6071, a new antiallergic agent, on passive cutaneous anaphylaxis (PCA). Jpn J Pharmacol. 1990 Jan;52(1):87-94.

Caution: Product has not been fully validated for medical applications. For research use only.

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