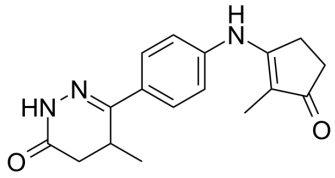
NSP-805

Cat. No.:	HY-19102
CAS No.:	125068-54-4
Molecular Formula:	$C_{17}H_{19}N_3O_2$
Molecular Weight:	297.35
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (140.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3630 mL	16.8152 mL	33.6304 mL
	5 mM	0.6726 mL	3.3630 mL	6.7261 mL
	10 mM	0.3363 mL	1.6815 mL	3.3630 mL

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

BIOLOGICAL ACTIVITY

Description

NSP-805 is a potent and selective inhibitor of guinea pig cardiac phosphodiesterase 3 (PDE3), and a cardiotonic agent with vasodilator properties.

In Vitro

In isolated guinea pig left atria, NSP-805 shows positive inotropic EC_{50} value in order of potency of 0.18 μM. The in vitro positive inotropic effects of NSP-805 is accompanied by increases in tissue cyclic AMP and abolished by carbachol[1].

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

In Vivo

In anesthetized dogs, intravenous (i.v.) injection of NSP-805 produces dose-dependent increases in left ventricular VVdp/dtmax and decreases in aortic blood pressure (ABP) with relatively small increases in heart rate (HR). The ED_{50} value for LVdP/dtmax of NSP-805 is 12 μg/kg. When the drugs is administered intraduodenally to anesthetized dogs, the ED_{50} value for LVdP/dtmax of NSP-805, is approximately 10 μg/kg. In the propranolol-induced heart failure model, NSP-805 completely improves the hemodynamic state of heart failure to normal levels[1]. NSP-805(100 μg/kg) reduces systemic blood pressure significantly, but the increase of chorio-retinal blood flow is less than that at the low dose of NSP-805 (40 μg/kg) in rabbit eyes[2].

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REFERENCES

[1]. Mochizuki N, et al. Cardiovascular effects of NSP-804 and NSP-805, novel cardiotonic agents with vasodilator properties. J Cardiovasc Pharmacol. 1993 Jun;21(6):983-95.

[2]. Uchida H, et al. [The effect of phosphodiesterase type 3 inhibitor on chorio-retinal blood flow in rabbits eyes]. Nippon Ganka Gakkai Zasshi. 2002 Oct;106(10):615-20.

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