产品名称：**RHO-激酶抑制剂**

产品别名：羟基法舒地尔盐酸盐 ；**Hydroxyfasudil hydrochloride**

生物活性：

Description	Hydroxyfasudil hydrochloride is a ROCK inhibitor, with IC_{50}s of 0.73 and 0.72 μM for ROCK1 and ROCK2, respectively.

IC_{50} & Target	ROCK2	ROCK1	PKA
	0.72 μM (IC_{50})	0.73 μM (IC_{50})	37 μM (IC_{50})

In Vitro	Hydroxyfasudil hydrochloride is a ROCK inhibitor, with IC50s of 0.73 and 0.72 μM for ROCK1 and ROCK2, respectively. Hydroxyfasudil also less potently inhibits PKA, with an IC50 of 37 μM, 50-fold higher than those of the ROCKs. Hydroxyfasudil increases eNOS mRNA levels, with an EC50 value of 0.8 ± 0.3 μM. Hydroxyfasudil (0-100 μM) concentration-dependently increases eNOS activity and stimulates NO production in human aortic endothelial cells (HAEC). Hydroxyfasudil (10 μM) increases the half-life of eNOS mRNA from 13 to 16 hours, but does not affect eNOS promoter activity at concentrations from 0.1 to 100 μM[1].
In Vivo	Hydroxyfasudil (10 mg/kg, i.p.) significantly increases both the average and maximal voided volumes in SD rats. Hydroxyfasudil also significantly decreases the maximal detrusor pressure[2]. Hydroxyfasudil (3 mg/kg, i.p) inhibits hypercontractility induced by norepinephrine in spontaneously hypertensive rats (SHRs). Furthermore, Hydroxyfasudil (3, 10 mg/kg, i.p) significantly ameliorates decreased penile cGMP contents in rats[3].

Solvent&Solubility

In Vitro:

DMSO : 30 mg/mL (**87.25 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	1 mM	2.9084 mL	14.5421 mL	29.0841 mL
	5 mM	0.5817 mL	2.9084 mL	5.8168 mL
	10 mM	0.2908 mL	1.4542 mL	2.9084 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Rikitake Y, et al. Inhibition of Rho kinase (ROCK) leads to increased cerebral blood flow and stroke protection. Stroke. 2005 Oct;36(10):2251-7. Epub 2005 Sep 1.

[2]. Masago T, et al. Effect of the rho-kinase inhibitor hydroxyfasudil on bladder overactivity: an experimental rat model. Int J Urol. 2009 Oct;16(10):842-7.

[3]. Saito M, et al. Hydroxyfasudil ameliorates penile dysfunction in the male spontaneously hypertensive rat. Pharmacol Res. 2012 Oct;66(4):325-31.

实验参考：

	Micturition behavior is studied after intraperitoneal injection of either Hydroxyfasudil (10 mg/kg) or a corresponding volume of saline. Each rat is placed in a metabolic cage containing a urine collection funnel that is placed over an electronic balance. The balance is connected to a personal computer

Animal Administration	via a multiport controller and used to measure the cumulative weight of the collected urine. Every 150 s during a continuous 24-h period, the computer samples and records the data for the micturition frequency and volumes. The micturition reflex parameters that are collected includ: urine volume per micturition, maximal micturition volume, micturition frequency, and total urine output in the Hydroxyfasudil- or vehicle-treated animals. Each monitoring session started at 18.00 hours. Prior to being placed in the metabolic cage at the start of each experimental period, the animals receive either a single injection of Hydroxyfasudil (10 mg/kg) dissolved in saline or an injection of saline without the inhibitor[2].
References	[1]. Rikitake Y, et al. Inhibition of Rho kinase (ROCK) leads to increased cerebral blood flow and stroke protection. Stroke. 2005 Oct;36(10):2251-7. Epub 2005 Sep 1. [2]. Masago T, et al. Effect of the rho-kinase inhibitor hydroxyfasudil on bladder overactivity: an experimental rat model. Int J Urol. 2009 Oct;16(10):842-7. [3]. Saito M, et al. Hydroxyfasudil ameliorates penile dysfunction in the male spontaneously hypertensive rat. Pharmacol Res. 2012 Oct;66(4):325-31.