上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Hydroxyfasudil
产品别名：羟基法舒地尔；HA-1100

生物活性：

Description	Hydroxyfasudil is a ROCK inhibitor, with IC50s 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 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 : ≥ 31 mg/mL (100.86 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2534 mL	16.2670 mL	32.5341 mL
	5 mM	0.6507 mL	3.2534 mL	6.5068 mL
	10 mM	0.3253 mL	1.6267 mL	3.2534 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。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 0.5 mg/mL (1.63 mM); Clear solution

此方案可获得 ≥ 0.5 mg/mL (1.63 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 5.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.5 mg/mL (1.63 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (1.63 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 0.5 mg/mL (1.63 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (1.63 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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：

Animal Administration	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 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.