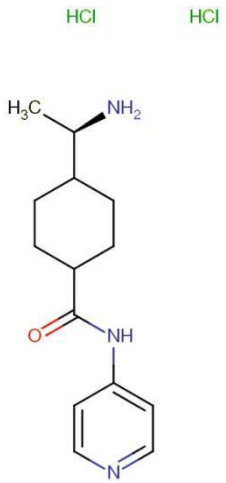
Y-27632 dihydrochloride (ROCK 抑制剂)

货号：RPM0007

◆ 产品信息

中文名称/Chinese Name:	Y-27632 二盐酸盐
别称/Synonyms:	反式-4-[(R)-1-氨基乙基]-N-(4-吡啶基)环己烷甲酰胺二盐酸盐
CAS 号/CAS NO.:	129830-38-2
化学式/Formula:	$C_{14}H_{21}N_3O \cdot 2HCl$
分子量/M.Wt:	320.26
外观/Appearance:	固体
保存条件/Storage:	Powder：-20℃，3 年 Insolvent：-80℃，1 年



◆ 溶解信息

溶解度/Solubility:	DMSO:	50 mg/mL (156.12 mM)
	H_2O:	32.03 mg/mL (100 mM)

溶液配制参考/Preparing Stock Solutions:

	1 mg	5 mg	10 mg
1 mM	3.1225 mL	15.6123 mL	31.2246 mL
5 mM	0.6245 mL	3.1225 mL	6.2449 mL
10 mM	0.3122 mL	1.5612 mL	3.1225 mL
50 mM	0.0624 mL	0.3122 mL	0.6245 mL

◆ 生物活性

产品描述/Description	Y-27632 二盐酸盐是 ATP 竞争性的 ROCK-I 和 ROCK-II 抑制剂。
靶点活性/Targets (IC_{50})	ROCK-I: 140 nM (Ki), ROCK-II: 300 nM (Ki)
体外活性/In vitro	1.用 Y-27632（5-20 μM）处理 marmoset iPSC 7 天，使用 AKP 检测克隆形成情况。Y-27632 显著提高 marmoset iPSC 的克隆效率。[1] 2.用 Y-27632（5 μmol/L）处理成人脂肪组织衍生干细胞 ADSCs 1 h，检测细胞形态变化。Y-27632 剂量依赖性诱导 ADSCs 的神经元分化，ADSCs 的神经元样细胞百分比为（93.5±4.7）%。[2] 3.用 Y-27632（1-10 μM）处理食蟹猴胚胎干细胞 cyES 24 h，使用 Flow Cytometry 方法进行活-死染色，检测 BrdU。Y-27632 促进 cyES 存活细胞增加。Y-27632 没有促进细胞增殖，但保护细胞在单细胞消化后免于细胞死亡。[3]

体内活性/In vivo	1.将 Y-27632（2 or 30 mg/kg in drinking water）口服给 ALS 模型的 SOD1G93A 小鼠，持续 137 天，研究 Y-27632 在运动神经元疾病的治疗潜力。Y-27632 2 mg/kg 治疗的效果不佳，30 mg/kg 治疗可改善雄性小鼠的运动功能，雌性小鼠仅表现出有限的改善。[4] 2.将 Y-27632（30 mg/kg）口服给药给 DMN 诱导肝纤维化的大鼠，每天一次，持续四周，研究 Y-27632 对肝纤维化的影响。Y-27632 治疗显著减少了 DMN 诱导的肝纤维化的发生，并降低了肝脏中胶原和羟脯氨酸的含量以及α-SMA 的表达。[5]
激酶实验/Kinase Assay	Recombinant ROCK1/2, PKN, or citron kinase is expressed in HeLa cells as Myc-tagged proteins by transfection using Lipofectamine and is precipitated from the cell lysates by the use of 9E10 monoclonal anti-Myc antibody coupled to G protein-Sepharose. Recovered immunocomplexes are incubated with various concentrations of [32P]ATP and 10 mg of histone type 2 as substrates in the absence or presence of various concentrations of either Y-27632 or Y-30141 at 30°C for 30 min in a total volume of 30 μL of the kinase buffer containing 50 mM HEPES-NaOH, pH7.4, 10 mM $MgCl_2$, 5 mM $MnCl_2$, 0.02% Briji 35, and 2 mM dithiothreitol. PKCa is incubated with 5 μM [32P]ATP and 200 μg/mL histone type 2 as substrates in the absence or presence of various concentrations of either Y-27632 or Y-30141 at 30°C for 10 min in a kinase buffer containing 50 mM Tris-HCl, pH 7.5, 0.5 mM $CaCl_2$, 5 mM magnesium acetate, 25 μg/mL phosphatidylserine, 50 ng/mL 12-O-tetradecanoyl phorbol-13-acetate and 0.001% leupeptin in a total volume of 30 μL. Incubation is terminated by the addition of 10 μL of 43 Laemmli sample buffer. After boiling for 5 min, the mixture is subjected to SDS-polyacrylamide gel electrophoresis on a 16% gel. The gel is stained with Coomassie Brilliant Blue and then dried. The bands corresponding to histone type 2 are excised, and the radioactivity is measured [1].
细胞实验/Cell Research	HeLa cells are plated at a density of 3×10^4 cells per 3.5-cm dish. The cells are cultured in DMEM containing 10% FBS in the presence of 10 mM Thymidine for 16 h. After the cells are washed with DMEM containing 10% FBS, they are cultured for an additional 8 h, and then 40 ng/mL of Nocodazole is added. After 11.5 h of the Nocodazole treatment, various concentrations of Y-27632 (0-300 μM) or vehicle is added and the cells are incubated for another 30 min [1].

◆ 参考文献

[1] Wu Y, et al. ROCK inhibitor Y27632 promotes proliferation and diminishes apoptosis of marmoset induced pluripotent stem cells by suppressing expression and activity of caspase 3. Theriogenology. 2016 Jan 15;85(2):302-14.
[2] Xue ZW, et al. Rho-associated coiled kinase inhibitor Y-27632 promotes neuronal-like differentiation of adult human adipose tissue-derived stem cells. Chin Med J (Engl). 2012 Sep;125(18):3332-5.
[3] Takehara T, et al. Rho-associated kinase inhibitor Y-27632 promotes survival of cynomolgus monkey embryonic stem cells. Mol Hum Reprod. 2008 Nov;14(11):627-34.
[4] Günther R, et al. The rho kinase inhibitor Y-27632 improves motor performance in male SOD1(G93A) mice. Front Neurosci. 2014 Oct 7;8:304.
[5] Tada S, et al. A selective ROCK inhibitor, Y27632, prevents dimethylnitrosamine-induced hepatic fibrosis in rats. J Hepatol. 2001 Apr;34(4):529-36.

◆ 注意事项

1. 请在收到本产品后立即按照说明书中推荐的条件保存，使用前进行离心，使粉末充分沉降至管底。
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