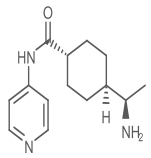
Data Sheet (Cat.No.T1870)

TargetMol
A DRUG SCREENING EXPERT

Y27632

Chemical Properties



CAS No.:	146986-50-7
Formula:	C14H21N3O
Molecular Weight:	247.34
Appearance:	Solid
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Y-27632 is a selective ATP-competitive inhibitor of ROCK-I and ROCK-II.
Targets(IC_{50})	ROCK1: 140nM(Ki) ROCK2: 300nM(Ki)
In vitro	Y-27632 inhibits the ROCK family of kinases 100 times more potently than other kinases including protein kinase C, cAMP-dependent kinase and myosin light chain kinase. Y-27632 prolongs the lag time and delays the appearance of BrdU-labeled cells in a concentration-dependent manner, delays of about 1 and 4 h are noticed in the Swiss 3T3 cells treated with 10 and 100 μM Y-27632, respectively[1]. Y-27632 promotes neuronal differentiation of adipose tissue-derived stem cells (ADSCs). Compared to 1.0 and 2.5 μM Y-27632 induced groups, percentages of neuroal-like cells achieved a peak in the 5.0 μM Y-27632 induced group[2].
In vivo	Y-27632 (5 and 10 mg/kg) significantly prolongs the onset time of myoclonic jerks when compare with saline group. Y-27632 (5 and 10 mg/kg) significantly prolongs the onset time of clonic convulsions when compare with saline group[3]. Treatment with Dimethylnitrosamine (DMN) causes a significant decrease in rat body and liver weight (DMN-S group) compared with control animals (S-S group). Oral Y27632 (30 mg/kg) essentially prevents this DMN-induced rat body and liver weight loss (DMN-Y group)[4].
Kinase Assay	Recombinant ROCK-I, ROCK-II, 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, pH 7.4, 10 mM MgCl2, 5 mM MnCl2, 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 CaCl2, 5 mM magnesium acetate, 25 μg/mL phosphatidyl serine, 50 ng/mL 12-O-tetradecanoylphorbol-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].

Cell Research	Y-27632 is dissolved in water and stored[1]. HeLa cells are plated at a density of 3×104 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), Y-30141, or vehicle is added and the cells are incubated for another 30 min[1].
Animal Research	Animal Model： Male, inbred Swiss albino mice

Solubility Information

Solubility	DMSO: 100 mM (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.043 mL	20.215 mL	40.43 mL
5 mM	0.809 mL	4.043 mL	8.086 mL
10 mM	0.404 mL	2.022 mL	4.043 mL
50 mM	0.081 mL	0.404 mL	0.809 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Ishizaki T, et al. Pharmacological properties of Y-27632, a specific inhibitor of rho-associated kinases. Mol Pharmacol. 2000 May;57(5):976-83.
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. Inan S, et al. Antiepileptic effects of two Rho-kinase inhibitors, Y-27632 and fasudil, in mice. Br J Pharmacol. 2008 Sep;155(1):44-51.
4. Tada S, et al. A selective ROCK inhibitor, Y27632, prevents dimethylnitrosamine-induced hepatic fibrosis in rats. J Hepatol. 2001 Apr;34(4):529-36.
5. Wei-jian L I, Zhen-yu W, Tian-jie Y, et al. The study of immortalized hepatocyte-derived liver progenitor-like cells used in bioartificial liver therapy[J]. Chinese Hepatolgy. 24(8): 871.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481