

Product Data Sheet

Product Name: Y-27632
Cat. No.: GC15712

Chemical Properties

Cas. No. 146986-50-7

Chemical Name 4-[(1R)-1-aminoethyl]-N-pyridin-4-ylcyclohexane-1-carboxamide

SMILES CC(C1CCC(CC1)C(=O)NC2=CC=NC=C2)N

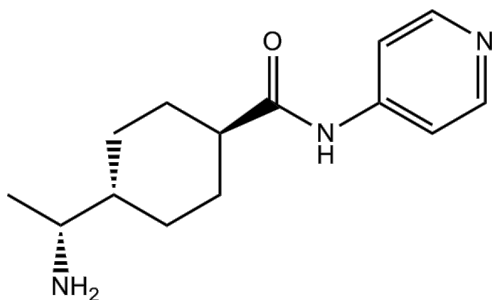
Formula C₁₄H₂₁N₃O M.Wt 247.34

Solubility 49mg/mL(198.11mM) in DMSO, 49mg/mL(198.11mM) in Ethanol, Insoluble in Water
Storage Store at -20°C, protect from light, stored under nitrogen

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Kinase experiment [1]:

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Binding assays

Recombinant ROCK-I, ROCK-II, PKN, or citron kinase was expressed in HeLa cells as Myc-tagged proteins by transfection using Lipofectamine, and was precipitated from the cell lysates by the use of 9E10 monoclonal anti-Myc antibody coupled to G protein-Sepharose. Recovered immunocomplexes were 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 at 30°C for 30 min in a total volume of 30 ml of the kinase buffer (50 mM HEPES-NaOH, pH 7.4, 10 mM MgCl₂, 5 mM MnCl₂, 0.02% Brij 35, and 2 mM dithiothreitol). PKCa was incubated with 5 mM [³²P]ATP and 200 mg/ml histone type 2 as substrates in the absence or presence of various concentrations of either Y-27632 at 30°C for 10 min in a kinase buffer (50 mM Tris-HCl, pH 7.5, 0.5 mM CaCl₂, 5 mM magnesium acetate, 25 mg/ml phosphatidyl serine, 50 ng/ml 12-O-tetradecanoylphorbol-13-acetate and 0.001% leupeptin) in a total volume of 30 ml. Incubation was terminated by 43 Laemmli sample buffer (10 ml).

Cell experiment [1]:

Cell lines

HeLa cells, Swiss 3T3 cells

Preparation method

The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 0.3 and 1 μM, 30 min or 24 h

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Applications

Y-27632 inhibited the kinase activity of both ROCK-I and ROCK-II in vitro, and this inhibition was reversed by ATP in a competitive manner. Y-27632 abolished stress fibers in Swiss 3T3 cells at 10 μ M, but the G1-S phase transition of the cell cycle and cytokinesis were little affected at this concentration. In Swiss 3T3 cells, prior treatment of cells with Y-27632 for 30 min prevented cell shape and actin-stress fibers changes in a concentration-dependent manner; almost complete inhibition was observed at 10 μ M. 10 and 100 μ M Y-27632 prolonged the lag time and delayed the appearance of BrdU-labeled cells in a concentration-dependent manner, delays of about 1 and 4 h. In HeLa cells, 30 μ M Y-27632 inhibited cytokinesis.

Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Ishizaki T, Uehata M, Tamechika I, et al. Pharmacological properties of Y-27632, a specific inhibitor of rho-associated kinases[J]. Molecular pharmacology, 2000, 57(5): 976-983.

Background

Y-27632 is an ATP-competitive inhibitor of ROCK-I and ROCK-II, with K_i of 220 nM and 300 nM for ROCK-I and ROCK-II, respectively, which primes human induced pluripotent stem cells (hIPSCs) to selectively differentiate towards mesendodermal lineage via epithelial-mesenchymal transition-like modulation.

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 μ M Y-27632, respectively[1]. Y-27632 promotes neuronal differentiation of adipose tissue-derived stem cells

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(ADSCs). Compared to 1.0 and 2.5 μ M Y-27632 induced groups, percentages of neuroal-like cells achieved a peak in the 5.0 μ M Y-27632 induced group[2].

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].

References:

- [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]. Maldonado M, et al. ROCK inhibitor primes human induced pluripotent stem cells to selectively differentiate towardsmesendodermal lineage via epithelial-mesenchymal transition-like modulation. Stem Cell Res. 2016 Sep;17(2):222-227.

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