For Research Use Only

Y-27632 dihydrochloride

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Catalog Number: CM00900

产品信息

Catalog Number:
CM00900

CAS号:
129830-38-2

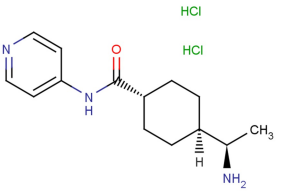
分子式:
$C_{14}H_{21}N_3O\cdot_2HCl$

主要靶点:
Apoptosis|ROCK

主要通路:
凋亡|干细胞|细胞周期|细胞骨架

分子量:
320.26

溶解度:
DMSO:199.8 mM,H2O:43.7 mM



靶点活性

ROCK2:300 nM (Ki, cell free)|ROCK1 (p160ROCK):140 nM (Ki, cell free)

体外活性

The inhibited potency of Y-27632 against the ROCK family is 100 times 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]. The application of a selective Rho-associated kinase (ROCK) inhibitor, Y-27632, to hES cells markedly diminishes dissociation-induced apoptosis, increases cloning efficiency (from approximately 1% to approximately 27%) and facilitates subcloning after gene transfer. Furthermore, dissociated hES cells treated with Y-27632 are protected from apoptosis even in serum-free suspension (SFEB) culture and form floating aggregates [2]. 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 neuronal-like cells achieved a peak in the 5.0 μM Y-27632 induced group [3]. Y-27632 selectively inhibits smooth-muscle contraction by inhibiting Ca2+ sensitization. We identified the Y-27632 target as a Rho-associated protein kinase, p160ROCK. Y-27632 consistently suppresses Rho-induced [4].

体内活性

Y-27632 significantly decreased the blood pressure in a dose-dependent manner in spontaneously hypertensive rats: a fall of 50 mm Hg was still observed 7 h after administration of 30 mg/kg of Y-27632. The same dose of this compound also caused a significant and persistent fall in blood pressure in renal hypertensive rats, as well as in deoxycorticosterone acetate (DOCA)-salt hypertensive rats. On the other hand, administration of the same dose of Y-27632 caused only a slight and transient fall in blood pressure in control Wistar rats [4]. Y-27632 (5-10 mg/kg) and fasudil 5-25 (mg/kg) diminished onset of myoclonic jerks, clonic convulsions and tonic hindlimb extensions in mice given pentylenetetrazole [5].

动物实验

A group of animals was injected with a single dose of pentylenetetrazole (PTZ, 65?mg/kg) to investigate if the two Rho-kinase inhibitors, fasudil, and Y-27632, changed the onset of PTZ seizures. Fasudil, Y-27632 or saline was given intraperitoneally 30?min before the PTZ injection. Each mouse was then observed for a 15-min period to measure the onset of the first myoclonic jerk, the onset of the first clonic convulsion and the occurrence of tonic hindlimb extension. Some of the animals died after tonic hindlimb extension, which is an expected outcome of acute PTZ injection. After the observation period, all animals were killed by halothane anesthesia [5]. Seven-week-old male Wistar rats were anesthetized with sodium pentobarbital. A silver clip (0.2 mm in diameter) was placed on the left renal artery in the preparation of the renal hypertensive rats. In the preparation of the DOCA-salt hypertensive rats, the left kidney was removed and a DOCA pellet (50 mg) was implanted subcutaneously. The DOCA rats were then fed an 8% salt diet. Rats from both groups were used after 8 weeks in the experiments, together with a male, 17–22-week old spontaneously hypertensive rats. The average systolic pressure in these groups of hypertensive rats ranged from 209 to 237 mm Hg, and no significant difference was found between groups. Eight-week-old male Wistar rats were used as controls. Their average systolic pressure was 139 mm Hg. Y-27632was administered orally. The systolic blood pressure was measured by the tail cuff method at 1, 3, 5, 7 and 24 h. The rats were prewarmed to 40 8C for 10 min before each measurement. No toxicity was found in rats treated with 30 mg kg?1 of Y-27632 administered per os once per day for 10 days [4].

细胞实验

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].

描述

Y-27632 is a selective inhibitor of ROCKs including p160ROCK (Ki: 140 nM) and ROCK2 (IC50: 800 nM).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years