Y-27632 dihydrochloride

Cat. No.: HY-10583

CAS No.: 129830-38-2

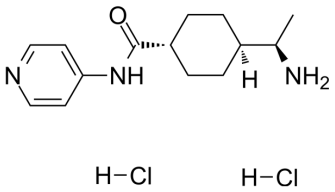
Molecular Formula: $C_{14}H_{23}Cl_2N_3O$

Molecular Weight: 320.26

Target: ROCK

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (312.25 mM; Need ultrasonic)
DMSO : 33.33 mg/mL (104.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 220 mg/mL (686.94 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.81 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.81 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 1.25 mg/mL (3.90 mM); Clear solution
6. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Y-27632 dihydrochloride is an orally active and ATP-competitive ROCK (Rho-kinase) inhibitor (ROCK-I K_i=220 nM; ROCK-II K_i

	=300 nM). Y-27632 dihydrochloride shows antiepileptic effects[1][2][3][4].

IC_{50} & Target				
	ROCK-I 220 nM (Ki)	ROCK-II 300 nM (Ki)	PKN 3.1 μM (Ki)	Citron kinase 5.3 μM (Ki)
	PKCα 73 μM (Ki)	PKA 25 μM (Ki)		

In Vitro

Y-27632 (1-5 μM; 0-60 min) promotes neuronal differentiation of adipose tissue-derived stem cells (ADSCs) [3].
Y-27632 (1-5 μM; 0-60 min) induces the expression of NSE, MAP-2 and nestin in ADSCs [3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	Adipose tissue-derived stem cells (ADSCs)
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Resulted in the up-regulation of NSE, MAP-2 and nestin protein levels by 25.3, 3.1 and 2.5 fold, respectively, compared to control cells not treated by Y-27632.

In Vivo

Y-27632 (oral gavage; 30 mg/kg; once daily; 4 w) prevents dimethylnitrosamine-induced hepatic fibrosis in rats[1].
Y-27632 (oral gavage; 5-10 mg/kg; once) shows antiepileptic effects in epilepsy induced by PTZ and MES[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats injected with dimethylnitrosamine[1]
Dosage:	30 mg/kg
Administration:	Oral gavage; 30 mg/kg; once daily; 4 weeks
Result:	Decreased the occurrence of dimethylnitrosamine-induced hepatic fibrosis and reduced the collagen and hydroxyproline content and α-smooth muscle actin expression in the liver.

Animal Model:	Male Swiss albino mice injected with PTZ (pentylenetetrazole) or induced by MES (maximal electroconvulsive shock)[2]
Dosage:	5-10 mg/kg
Administration:	Oral gavage; 5-10 mg/kg; once
Result:	Prolonged the onset time of myoclonic jerks when compared with those observed in the saline group (P<0.05). Prolonged the onset time of clonic convulsions when compared with saline group (P<0.05). Prevented the occurrence of tonic hindlimb extensions and death.

CUSTOMER VALIDATION

- Nature. 2022 Nov;611(7936):603-613.

- Nature. 2022 Jan;601(7894):600-605.
- Science. 2020 Dec 4;370(6521):eaay2002.
- Immunity. 2022 Mar 15;S1074-7613(22)00124-8.
- Cell Discov. 2022 Apr 19;8(1):35.

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REFERENCES

[1]. Tada S, et al. A selective ROCK inhibitor, Y27632, prevents dimethylnitrosamine-induced hepatic fibrosis in rats. J Hepatol. 2001 Apr;34(4):529-36.

[2]. 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.

[3]. 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.

[4]. Ishizaki T, et al. Pharmacological properties of Y-27632, a specific inhibitor of rho-associated kinases. Mol Pharmacol. 2000 May;57(5):976-83.

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