

Netarsudil Dihydrochloride

Chemical Properties

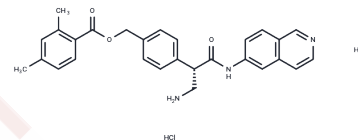
CAS No. : 1253952-02-1

Formula: C₂₈H₂₉Cl₂N₃O₃

Molecular Weight: 526.45

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Netarsudil Dihydrochloride (AR-13324 Dihydrochloride) is an inhibitor of Rho-related protein kinase (ROCK) and norepinephrine transporter (NET) and is effective in reducing intraocular pressure (IOP).
Targets(IC50)	ROCK
In vitro	<p>METHODS: Primary PTM cells were incubated for 6 hours in the presence of 0, 0.015, 0.138 or 1.2 μM Netarsudil, then fixed and stained with Alexa Fluor-488 phalloidin and Hoechst 33342, Netarsudil dosage in actin stress fiber assay reaction.</p> <p>RESULTS Netarsudil's ability to disrupt actin stress fibers and focal adhesions in PTM cells and HTM cells. [1]</p> <p>METHODS: Serum-starved primary HTM cells were incubated for 24 hours in the presence of either vehicle, fixed and stained for fibrosis markers with 8 ng/mL human TGF-β2, 500 nM netarsudil or 8 ng/mL TGF-β2 plus 500 nM netarsudil. α-SMA, fibroblast-specific protein 1 (FSP1) and collagen 1A were used to explore the effect of Netarsudil on the profibrotic effect of TGF-β on HTM cells.</p> <p>RESULTS Simultaneous treatment of HTM cells with TGF-β2 and Netarsudil abolished the induction of these markers by TGF-β2, indicating that Netarsudil has antifibrotic activity. [1]</p>
In vivo	<p>METHODS: Netarsudil 0.04% was administered once to one eye per animal for 3 days, with the untreated eye serving as a control. After dosing each morning on days 1 and 3, before test article administration (time 0) and at 1, 2, 4, 8 and 24 hours (rabbit) or 4, 8 and 24 hours (monkey) Intraocular pressure in both eyes.</p> <p>RESULTS Netarsudil 0.04% achieved greater IOP reduction and longer duration of efficacy. The greatest difference was seen 8 hours after dosing on Day 3, when Netarsudil 0.04% reduced IOP by 8.1 ± 0.7 mmHg. [1]</p>

Solubility Information

Solubility	DMSO: 260 mg/mL (493.87 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8995 mL	9.4976 mL	18.9952 mL
5 mM	0.3799 mL	1.8995 mL	3.799 mL
10 mM	0.190 mL	0.9498 mL	1.8995 mL
50 mM	0.038 mL	0.190 mL	0.3799 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lin CW, et al. Discovery and Preclinical Development of Netarsudil, a Novel Ocular Hypotensive Agent for the Treatment of Glaucoma. *J Ocul Pharmacol Ther.* 2018 Jan/Feb;34(1-2):40-51.

Kiel JW, et al. Effect of AR-13324 on episcleral venous pressure in Dutch belted rabbits. *J Ocul Pharmacol Ther.* 2015 Apr;31(3):146-151.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481