Product Data Sheet

Product Name: Netarsudil (AR-13324)
Cat. No.: GC10716

Chemical Properties

Cas. No.	1253952-02-1		
Chemical Name	(R)-4-(3-amino-1-(isoquinolin-6-ylamino)-1-oxopropan-2-yl)benzyl 2,4-dimethylbenzoate dihydrochloride		
SMILES	[H]Cl.[H]Cl.O=C(OCC1=CC=C([C@H](CN)C(NC2=CC3=C(C=NC=C3)C=C2)=O)C=C1)C4=CC=C(C)C=C4C		
Formula	$C_{28}H_{29}Cl_2N_3O_3$	M.Wt	526.45
Solubility	≥ 26.3mg/mL in Water with ultrasonic and warming	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Netarsudil (AR-13324)		

Background

Ki: 0.2-10.3 nM

Netarsudil (AR-13324) is a ROCK inhibitor.

The Rho kinases are serine/threonine protein kinases existing as 2 isoforms, ROCK1 and ROCK2, which are widely expressed in various tissues, such as the trabecular meshwork. ROCK can promote the assembly of actin stress fibers and focal adhesions and can also regulate cell contraction and motility.

In vitro: Previous study showed that at the cellular level, netarsudil had been shown to be able to induce loss of actin stress fibers, cell shape changes, loss of focal adhesions, as well as changes in extracellular matrix composition of TM cells [1].

In vivo: Animal efficacy study found that the topical treatment of netarsudil was able to

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affect both proximal (trabecular meshwork and Schlemm's Canal) and distal portions (intrascleral vessels) of the mouse conventional outflow tract [2].

Clinical trial: Previous two phase II clinical trials showed that netarsudil 0.02% treatment was shown to produce significant DIOPs that ranged from 5.7 to 6.3 mmHg after four weeks of dosing in patients with glaucoma and ocular hypertension. In addition, it was found that netarsudil was well tolerated, with trace to mild hyperemia being the most frequently reported adverse event. Currently, netarsudil is in phase III clinical trials for the treatment of ocular hypertension and open-angle glaucoma [1].

References:
[1] Sturdivant JM et al. Discovery of the ROCK inhibitor netarsudil for the treatment of open-angle glaucoma. Bioorg Med Chem Lett. 2016 May 15;26(10):2475-80.
[2] Li G et al. Visualization of conventional outflow tissue responses to netarsudil in living mouse eyes. Eur J Pharmacol. 2016 Sep 15;787:20-31.

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