Data Sheet (Cat.No.T61905)

TargetMol

RKI-1447 dihydrochloride

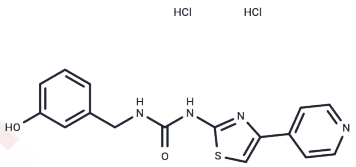
Chemical Properties

CAS No. : 1782109-09-4

Formula: C16H16Cl2N4O2S

Molecular Weight: 399.29

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	RKI 1447 dihydrochloride is an effective and selective ROCK inhibitor (ROCK1 IC50=14.5 nM, ROCK2 IC50=6.2 nM). RKI 1447 dihydrochloride can inhibit the growth of colorectal cancer cells and promote cell apoptosis.
Targets(IC50)	Apoptosis,Others,ROCK
In vitro	RKI 1447 effectively inhibits the phosphorylation of ROCK substrates MLC-2 and MYPT-1 in human cancer cells, specifically demonstrating no impact on AKT, MEK, and S6 kinase phosphorylation even at high concentrations up to 10 μM. Its potency ranges from 0.003-10 μM in targeting these substrates, highlighting its strong anticancer activity, particularly against colorectal carcinoma (CRC). Notably, at 10-320 μM for 24 hours, RKI 1447 significantly reduces the growth of CRC cell lines HCT-8 and HCT-116, and at 20-80 μM over the same period, it triggers apoptosis in a dose-dependent manner. Experimental results, including decreased cell viability and increased apoptosis in these cell lines, underscore RKI 1447's effective dose-dependent response, with Western Blot analysis also confirming the reduction of P-MLC-2 levels at concentrations starting from 100 nM, without affecting total MLC-2 levels.
In vivo	RKI 1447, administered at 200 mg/kg intraperitoneally (i.p.) daily for 14 days, effectively inhibits mammary tumor growth in MMTV/neu transgenic mice, demonstrating an 87% reduction in tumor volume growth compared to the control group. This compound, when given at a dose of 100 mg/kg i.p. once every three days for the same duration, also demonstrates significant antitumor activity against colorectal cancer (CRC) in 5-week-old male BALB/C nude mice, efficiently blocking tumor growth. Importantly, RKI 1447 does not exhibit physiological toxicity in mice, indicating its potential safety and efficacy for in vivo cancer treatment applications.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5044 mL	12.5222 mL	25.0445 mL
5 mM	0.5009 mL	2.5044 mL	5.0089 mL
10 mM	0.2504 mL	1.2522 mL	2.5044 mL
50 mM	0.0501 mL	0.2504 mL	0.5009 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.