Data Sheet (Cat.No.TQ0187)

SR-3677

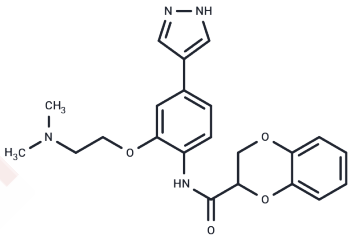
Chemical Properties

CAS No. : 1072959-67-1

Formula: C22H24N4O4

Molecular Weight: 408.45

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	SR-3677 is an effective and specific inhibitor of ROCK2 (IC50: 3 nM).
Targets(IC50)	Autophagy,ROCK
In vitro	SR-3677 has an IC50 of ~3 nM in enzyme and cell-based assays and has an off-target hit rate of 1.4% against 353 kinases, and inhibits only 3 out of 70 non-kinase enzymes and receptors. The IC50 value of SR-3677 for ROCK-I is 56 nM.
In vivo	SR-3677 increases ex vivo aqueous humor outflow in porcine eyes and inhibiting myosin light chain phosphorylation. Continuous exposure of 25 μM SR-3677 increases the outflow facility by 60% at 1 h perfusion, increasing to 70–80% for the 2–5 h time points.
Kinase Assay	5 μL mixture of a 1 μM STK2 substrate and ATP (ROCK-I: 4 μM; ROCK-II: 20 μM) in STK-buffer is added to the wells. 20 nL of test compounds (SR-3677) is dispensed. The reaction is started by addition of 5 μL of 2.5 nM. ROCK-I or 0.5 nM ROCK-II in STK-buffer. After 4 h at RT, the reaction is stopped by the addition of 10 μL of 1x antibody and 62.5 nM Sa-XL in the detection buffer.

Solubility Information

Solubility	DMSO: 40 mg/mL (97.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.9 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4483 mL	12.2414 mL	24.4828 mL
5 mM	0.4897 mL	2.4483 mL	4.8966 mL
10 mM	0.2448 mL	1.2241 mL	2.4483 mL
50 mM	0.049 mL	0.2448 mL	0.4897 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

Feng Y, et al. Discovery of substituted 4-(pyrazol-4-yl)-phenylbenzodioxane-2-carboxamides as potent and highly selective Rho kinase (ROCK-II) inhibitors. J Med Chem. 2008 Nov 13;51(21):6642-5.