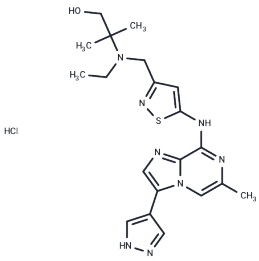
Data Sheet (Cat.No.T12864)

TargetMol

SCH-1473759 hydrochloride

Chemical Properties



CAS No. : 1094067-13-6

Formula: C20H27ClN8OS

Molecular Weight: 463

Storage: Store at low temperature
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	SCH-1473759 hydrochloride is an inhibitor of aurora(aurora A and B with IC50s of 4 and 13 nM, respectively).
Targets(IC50)	Aurora Kinase
In vitro	SCH-1473759 directly binds to aurora A and B (Kds of 20 and 30 nM, respectively) and inhibits the Src family of kinases (IC50 less than 10 nM), Chk1 (IC50 of 13 nM), VEGFR2 (IC50 of 1 nM), and IRAK4 (IC50 of 37 nM). It inhibits tumor cell lines from various tissues, including breast, ovarian, prostate, lung, colon, brain, gastric, renal, skin, and leukemia. The most sensitive cell lines include A2780, LNCap, N87, Molt4, K562, and CCRF-CEM with IC50 values <5 nM [2].
In vivo	SCH-1473759(5 mg/kg ,ip, bid) was well tolerated in the continuous dosing regimen and showed 50% tumor growth inhibition (TGI) on day 16. SCH-1473759(10mg/kg,ip, bid) is well-tolerated in an intermittent schedule (5 days on, 5 days off) and gave 69% TGI on day 16. SCH-1473759 showed good exposure in all species, with high clearance rates in rodents and moderate clearance rates in dogs and monkeys.The half-life is also moderate, but the tissue distribution is high[1]. SCH 1473759 dose- and schedule-dependent anti-tumor activity in four human tumor xenograft models. Further, the efficacy is enhanced in combination with taxanes and found to be most efficacious when SCH 1473759 is dosed 12-h post-taxane treatment[2].

Solubility Information

Solubility	DMSO: 70 mg/mL (151.19 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: 1 mg/mL (2.16 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	2.1598 mL	10.7991 mL	21.5983 mL
5 mM	0.432 mL	2.1598 mL	4.3197 mL
10 mM	0.216 mL	1.0799 mL	2.1598 mL
50 mM	0.0432 mL	0.216 mL	0.432 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

Yu T, et al. Discovery of a Potent, Injectable Inhibitor of Aurora Kinases Based on the Imidazo-[1,2-a]-Pyrazine Core. ACS Med Chem Lett. 2010 Jun 7;1(5):214-8.
Basso AD, et al. SCH 1473759, a novel Aurora inhibitor, demonstrates enhanced anti-tumor activity in combination with taxanes and KSP inhibitors. Cancer Chemother Pharmacol. 2011 Oct;68(4):923-33.