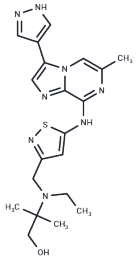
Data Sheet (Cat.No.T16862)

TargetMol

SCH-1473759

Chemical Properties



CAS No. : 1094069-99-4

Formula: C20H26N8OS

Molecular Weight: 426.54

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	SCH-1473759 is an inhibitor of the aurora (IC50s: 4 and 13 nM for Aurora A and B, respectively).
Targets(IC50)	Aurora Kinase
In vitro	SCH-1473759 inhibits HCT116 cells proliferation (IC50: 6 nM). SCH 1473759 inhibits tumor cell lines from different tissues (breast, ovarian, prostate, lung, colon, brain, gastric, renal, skin, and leukemia). SCH-1473759 also inhibits the Src family of kinases (IC5010 nM), Chk1 (IC50=13 nM), VEGFR2 (IC50=1 nM), and IRAK4 (IC50=37 nM). SCH-1473759 directly binds to aurora A and B with Kds of 20 and 30 nM, respectively. It does not have significant activity (IC50>1000 nM) against 34 other kinases representing different families of the kinome. The most sensitive cell lines include A2780, LNCap, N87, Molt4, K562, and CCRF-CEM with IC50 values <5 nM [1][2].
In vivo	SCH-1473759 shows good exposure in all species with the clearance being high in rodents and moderate in dog and monkey. SCH 1473759 dose- and schedule-dependent anti-tumor activity in four human tumor xenograft models. SCH-1473759 at a low dose of 5 mg/kg (i.p., bid) is well-tolerated in a continuous dosing schedule and shows 50% tumor growth inhibition(TGI) on day 16. A higher dose of 10mg/kg(i.p., bid) is well-tolerated in an intermittent schedule (5 days on, 5 days off) and gave 69% TGI on day 16. The half-life is also moderate, but tissue distribution is high [1][2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3444 mL	11.7222 mL	23.4445 mL
5 mM	0.4689 mL	2.3444 mL	4.6889 mL
10 mM	0.2344 mL	1.1722 mL	2.3444 mL
50 mM	0.0469 mL	0.2344 mL	0.4689 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.