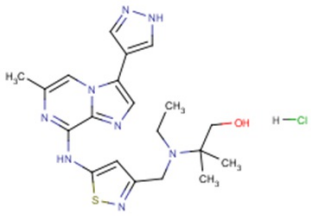
# Data Sheet (Cat.No.T12864)

TargetMol
A DRUG SCREENING EXPERT

SCH-1473759 hydrochloride

## Chemical Properties



| | |
|---|---|
| CAS No.: | 1094067-13-6 |
| Formula: | C20H27ClN8OS |
| Molecular Weight: | 463 |
| Appearance: | N/A |
| Storage: | 0-4℃ for short term (days to weeks), or -20℃ for long term (months). |

## Biological Description

| | |
|---|---|
| Description | SCH-1473759 hydrochloride is an inhibitor of aurora(aurora A and B with IC50s of 4 and 13 nM, respectively). |
| Targets($IC_{50}$) | Aurora A: 4 nM<br>Aurora B: 13 nM |
| In vitro | SCH-1473759 directly binds to aurora A and B(Kds of 20 and 30 nM, respectively), also inhibits the Src family of kinases with IC50 less than 10 nM, Chk1 with IC50 of 13 nM, VEGFR2 with IC50 of 1 nM), and IRAK4 with IC50 of 37 nM. SCH 1473759 inhibits tumor cell lines from different tissues (breast, ovarian, prostate, lung, colon, brain, gastric, renal, skin, and leukemia). The most sensitive cell lines includ 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)<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.16 mL | 10.799 mL | 21.598 mL |
| 5 mM | 0.432 mL | 2.16 mL | 4.32 mL |
| 10 mM | 0.216 mL | 1.08 mL | 2.16 mL |
| 50 mM | 0.043 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. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

2. 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.



Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481