Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SCH-1473759 (hydrochloride)

Catalog No: tcsc3477

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1094067-13-6

Formula:
$C_{20}H_{27}ClN_8OS$

Pathway:
Cell Cycle/DNA Damage;Epigenetics

Target:
Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
463

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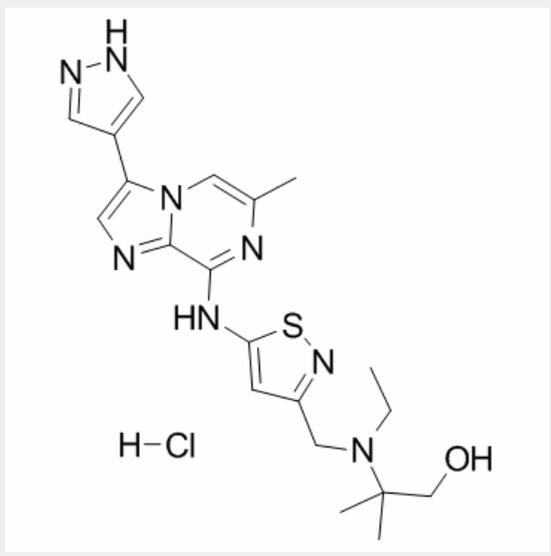
Product Description

SCH-1473759 hydrochloride is an **aurora** inhibitor with **IC_{50}**s of 4 and 13 nM for aurora A and B, respectively.

IC50 & Target: IC50: 4 nM (Aurora A), 13 nM (Aurora B)[1]

In Vitro: SCH-1473759 directly binds to aurora A and B with K_ds of 20 and 30 nM, respectively. SCH-1473759 also inhibits the Src family of kinases (IC_{50}50=13 nM), VEGFR2 (IC_{50}=1 nM), and IRAK4 (IC_{50}=37 nM). It does not have significant activity (IC_{50}>1000 nM) against 34 other kinases representing different families of the kinome. SCH-1473759 inhibits HCT116 cells proliferation with an **IC_{50}** of 6 nM[1]. 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 IC_{50} values [2].

In Vivo: SCH-1473759 at a low dose of 5 mg/kg (ip, 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(ip, bid) is well-tolerated in an intermittent schedule (5 days on, 5 days off) and gave 69% TGI on day 16. SCH-1473759 shows good exposure in all species with the clearance being high in rodents and moderate in dog and monkey. 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].



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