

SCH900776

Catalog No: tcsc1117

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

891494-63-6

Formula:

 $\mathsf{C}_{15}\mathsf{H}_{18}\mathsf{BrN}_7$

Pathway: Cell Cycle/DNA Damage

Target: Checkpoint Kinase (Chk)

Purity / Grade:

>98%

Solubility: 10 mM in DMSO

Alternative Names:

MK-8776

Observed Molecular Weight:

376.25

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Product Description

SCH900776 is a potent, selective and orally bioavailable inhibitor of checkpoint kinase1 (**Chk1**) with IC_{50} of 3 nM, and has much greater selectivity than Chk2 (IC_{50} =1500 nM) and cyclin-dependent kinase CDK2 (IC_{50} =160 nM).

IC50 & Target: IC50: 3 nM (Chk1), 160 nM (CDK2), 1500 nM (Chk2)^[2]

In Vitro: SCH900776 (300 nM) shows potent inhibitory activities against phosphorylation at ser296-Chk1. SCH900776 (1 μ M) causes a 30-fold decrease in the IC₅₀ for hydroxyurea in MDA-MB-231 cells^[1]. The K_d value of SCH 900776 for the CHK1 kinase domain is 2 nM. SCH 900776 exhibits an approximate EC₅₀ of 60 nM in cells exposure to hydroxyurea. SCH 900776 induces dose-dependent suppression of CHK1 pS296 and concomitant accumulation of phospho-RPA signal in U2OS cells^[2].

In Vivo: SCH 900776 induces the γ -H2AX biomarker at 4 mg/kg (i.p.), and enhances tumor pharmacodynamic and regression responses in A2780 xenograft model. SCH 900776 (16 and 32 mg/kg, i.p.) induces incremental improvements in tumor response. Escalation of SCH 900776 dose to 20 and 50 mg/kg in combination with gemcitabine results in improvements in TTP 10× in the A2780 xenograft systems^[2].



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