

AZD-7762

Catalog No: tcsc0025



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

860352-01-8

Formula:

$C_{17}H_{19}FN_4O_2S$

Pathway:

Cell Cycle/DNA Damage

Target:

Checkpoint Kinase (Chk)

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

362.42

Product Description

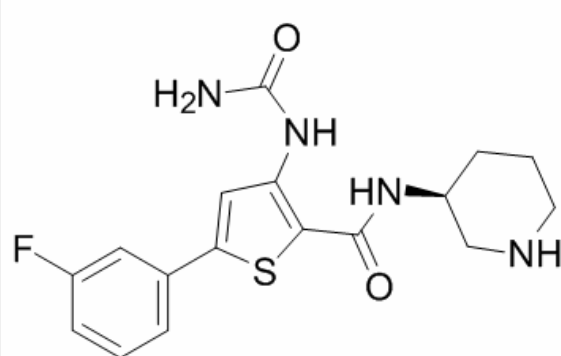
AZD-7762 is a potent ATP-competitive checkpoint kinase (**Chk**) inhibitor in with **IC₅₀**

of 5 nM for Chk1.

IC50 & Target: IC50: 5 nM (Chk1), 5 nM (Chk2)^[1]

In Vitro: AZD-7762 (AZD7762) is an equally potent inhibitor of Chk1 and Chk2 in vitro. AZD-7762 potently inhibits Chk1 and Chk2, abrogates DNA damage-induced S and G₂ checkpoints, enhances the efficacy of gemcitabine and topotecan, and modulates downstream checkpoint pathway proteins. AZD-7762 potently inhibits Chk1 phosphorylation of a cdc25C peptide with an IC₅₀ of 5 nM as measured by a scintillation proximity assay. The K_i for AZD-7762 is determined to be 3.6 nM. Kinetic characterization suggests that AZD-7762 binds in the ATP-binding site of Chk1 and is thought to compete directly for ATP binding in a reversible manner. AZD-7762 is shown to abrogate the G₂ arrest induced by Camptothecin with an average EC₅₀ of 10 nM (n=12) and maximal abrogation in the range of 100 nM^[1].

In Vivo: In the rat H460-DNp53 xenograft study, AZD-7762 (AZD7762) potentiates the antitumor activity of Gemcitabine in a dose-dependent manner by a decrease in %T/C with increasing dose (48% and 32%, 10 and 20 mg/kg AZD-7762, respectively). In the mouse xenograft study in combination with Irinotecan, SW620 established tumors are treated with vehicle, Irinotecan alone, AZD-7762 alone, or AZD-7762 in combination with Irinotecan. AZD-7762 dosed alone shows insignificant antitumor activity, whereas Irinotecan alone displays striking and significant activity (%T/C with increasing dose is 9 and 1, respectively). In combination with AZD-7762, %T/C increases significantly to -66% and -67%, respectively^[1]. AZD7762 combination with CX-5461 induces cancer cell death of *Tp53*-null (*Tp53*^{-/-}) Eμ-Myc lymphoma cells in vitro and in vivo^[2].



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