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

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 **IC_{50}**

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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 G_2 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 IC_{50} 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 G_2 arrest induced by Camptothecin with an average EC_{50} 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].