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AMG 900

Catalog No: tcsc0485

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
945595-80-2

Formula:
$C_{28}H_{21}N_7OS$

Pathway:
Cell Cycle/DNA Damage;Epigenetics

Target:
Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
503.58

Product Description

AMG 900 is a potent and highly selective **pan-Aurora** kinases inhibitor with **IC_{50}** of 5 nM, 4 nM and 1 nM for **Aurora A**, **B** and **C**,

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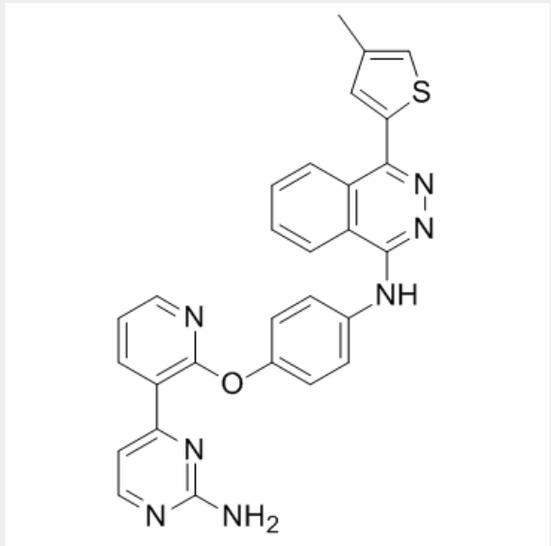
respectively.

IC50 & Target: IC50: 5 nM (Aurora A), 4 nM (Aurora B), 1 nM (Aurora C)[1]

Ki: 3 nM (Aurora A), 2 nM (Aurora B), 1 nM (Aurora C)[1]

In Vitro: AMG 900 inhibits the enzyme activity of all 3 aurora kinase family members with IC_{50} values of 5 nM or less. In HeLa cells, AMG 900 inhibits autophosphorylation of aurora-A and -B in a concentration-dependent manner. Treatment of HCT116 cells with 50 nM of AMG 900 for 48 hours resulted in polyploidy and suppresses the formation of colonies after cell replating. AMG 900 inhibits cell proliferation, with EC_{50} values ranging from 0.7 to 5.3 nM. Importantly, 4 of these AMG 900-sensitive cell lines (HCT-15, MES-SA-Dx5, 769P, and SNU449) are resistant to paclitaxel and other anticancer agents. AMG 900 inhibits p-histone H3 or induced polyploidy across all the cell lines tested irrespective of P-gp or BCRP status with uniform potency (IC_{50} or EC_{50} values ranging from 2 to 3 nM) [1].

In Vivo: AMG 900 exhibits significant antitumor activity in all 9 xenograft models tested (50%-97% TGI compared with the vehicle-treated control group, P[1]. Treatment with AMG 900 at 15 mg/kg significantly inhibits p-Histone H3 in the G_2M cell population in mouse bone marrow (upper panel) and cytokeratin positive COLO 205 tumor (lower panel) compared with vehicle-treated controls[2] . AMG 900 exhibits a low-to-moderate clearance and a small volume of distribution. Its terminal elimination half-life ranged from 0.6 to 2.4 h. AMG 900 is well-absorbed in fasted animals with an oral bioavailability of 31% to 107%. Food intake had an effect on rate (rats) or extent (dogs) of AMG 900 oral absorption[3].



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