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AZD-5438

Catalog No: tcsc0023

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
602306-29-6

Formula:
$C_{18}H_{21}N_5O_2S$

Pathway:
Cell Cycle/DNA Damage

Target:
CDK

Purity / Grade:
>98%

Solubility:
DMSO : 100 mg/mL (269.21 mM; Need ultrasonic)

Observed Molecular Weight:
371.46

Product Description

AZD-5438 is a potent inhibitor of **CDK1/2/9** with **IC_{50}** of 16 nM/6 nM/20 nM in cell-free assays. It also inhibits GSK3β, but is less potent to CDK5/6.

IC50 & Target: IC50: 16 nM (CDK1), 6 nM (CDK2), 20 nM (CDK9)

[1]

In Vitro: AZD5438 potently inhibits the kinase activity of cyclin E-cdk2, cyclin A-cdk2, cyclin B1-cdk1, p25-cdk5, cyclin D3-cdk6, and cyclin T-cdk9 (IC_{50}, 6, 45, 16, 21, and 20 nM, respectively). AZD5438 potently inhibits the kinase activity of cyclin E-cdk2, cyclin A-cdk2, cyclin B1-cdk1, p25-cdk5, cyclin D3-cdk6, and cyclin T-cdk9 (IC_{50}, 6, 45, 16, 21, and 20 nM, respectively). In common with many other cdk inhibitors, AZD5438 also inhibits the kinase activity of p25-cdk5 and glycogen synthase kinase 3β in vitro (IC_{50}, 14 and 17 nM, respectively)[1]. AZD5438 significantly augments cellular radiosensitivity in NSCLC cells. Combined treatment with AZD5438 and irradiation also enhances tumor growth delay, with an enhancement factor ranging from 1.2-1.7[2].

In Vivo: AZD5438 (50 mg/kg twice daily or 75 mg/kg, p.o.) inhibits human tumor xenograft growth. In vivo, AZD5438 reduces the proportion of actively cycling cells. Further pharmacodynamic analysis of AZD5438-treated SW620 xenografts shows that efficacious doses of AZD5438 (>40% tumor growth inhibition) maintains suppression of biomarkers, such as phospho-pRbSer249/Thr252, for up to 16 hours following a single oral dose[1].