Web: www.taiclone.com
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PHA-793887

Catalog No: tcsc0020

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
718630-59-2

Formula:
$C_{19}H_{31}N_5O_2$

Pathway:
Cell Cycle/DNA Damage

Target:
CDK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
361.48

Product Description

PHA-793887 is a potent, ATP-competitive **CDK** inhibitor, can inhibit Cdk2, Cdk1, Cdk4, and Cdk9 with **IC_{50}**s of 8 nM, 60 nM, 62 nM

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and 138 nM, respectively, and also inhibits glycogen synthase kinase 3β with an **IC_{50}** of 79 nM.

IC50 & Target: IC50: 8 nM (Cdk2), 60 nM (Cdk1), 62 nM (Cdk4) 138 nM (Cdk9), 79 nM (GSK-3β)[1][4], 5 nM (CDK5/p25), 10 nM (CDK7/cyclin H)[4]

Ki: 8 nM (CDK2/Cyclin A)[2]

In Vitro: PHA-793887 partially inhibits Rb phosphorylation at 1 μM and almost completely at 3 μM, in A2780 tumor cell line. PHA-793887 (1 μM) partially inhibits phosphorylation of the Cdk2 substrates Rb and NPM in A2780 tumor cell line. PHA-793887 (6 μM) significantly inhibits Rb and NPM phosphorylation in MCF7 cell line[1]. PHA-793887 shows cytotoxic activities against leukemic cell lines in vitro, with IC_{50} ranging from 0.3 to 7 μM. In colony assays, PHA-793887 is highly cytotoxic for leukemia cell lines, with an IC_{50} 50 in the 5 to 140 nM range[3].

In Vivo: PHA-793887 induces tumor growth inhibition in the range of 50% at dose of 15 mg/kg to 75% at dose of 30 mg/kg in CD-1 nude mice. PHA-793887 (30 mg/kg, i.v.) also induces significant downregulation of the 58-gene panel in the skin of CD-1 mice[1]. PHA-793887 (20 mg/kg, i.v.) induces tumor regression in the HL60 model. In the K562 model, PHA-793887 significantly reduces tumor growth. Moreover, PHA-793887 (20 mg/kg, i.v.) inhibits human primary leukemia growth in engraftment setting in vivo[3].