

# 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<sub>50</sub>**s of 8 nM, 60 nM, 62 nM

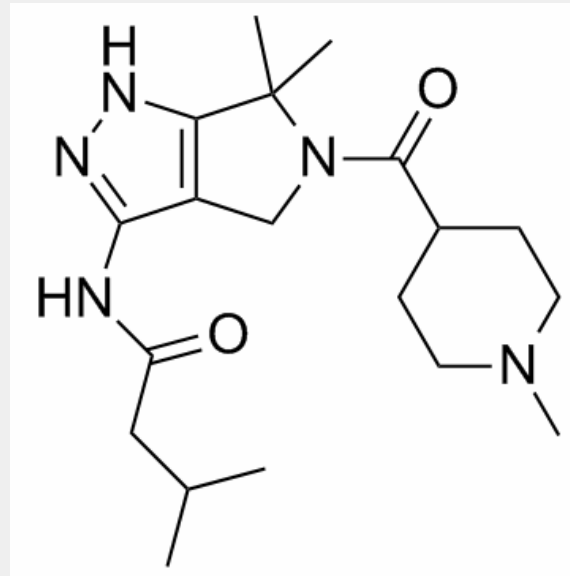
and 138 nM, respectively, and also inhibits glycogen synthase kinase 3 $\beta$  with an **IC<sub>50</sub>** of 79 nM.

IC<sub>50</sub> & Target: IC<sub>50</sub>: 8 nM (Cdk2), 60 nM (Cdk1), 62 nM (Cdk4) 138 nM (Cdk9), 79 nM (GSK-3 $\beta$ )<sup>[1][4]</sup>, 5 nM (CDK5/p25), 10 nM (CDK7/cyclin H)<sup>[4]</sup>

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

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

**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<sup>[1]</sup>. 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<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!