PHA-793887

Kinase Inhibitor

E1KS1487

Kinase Inhibitor Name: PHA-793887

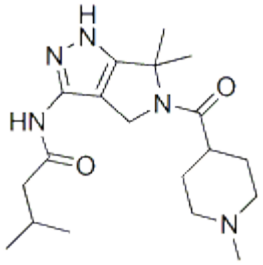
Catalog Number: E1KS1487

Quantity: 5mg

1. PHYSICAL AND CHEMICAL PROPERTIES

M.Wt:	361.48		
Formula:	$C_{19}H_{31}N_5O_2$		
Solubility:	DMSO ≥72 mg/mL	Water <1 mg/mL	Ethanol ≥72 mg/mL
Stability:	2 years	-20℃	Powder
	1 week	-4℃	in DMSO
	1 month	-80℃	in DMSO
CAS No.:	718630-59-2		

Molecular Structure:



2. Biological Activity

PHA-793887 is a novel pan-cdk inhibitor, including cdk1, cdk2, cdk4, cdk5, cdk7, and cdk9 with IC50 in the 5 to 140 nM range. [1]It is inactive against other 34 kinases representative of all kinase families, in particular c-abl, c-kit, lck, and TRKA with IC50＞10 mM. It shows anti-proliferative activity against several solid tumor cell lines, with IC50＜1 mM. In these cells, it is able to inhibit Rb phosphorylation and expression of S-phase cyclins, such as cyclin A. [1,2]

3. References:

Transcriptional Analysis of an E2F Gene Signature as a Inhibitor Biomarker of Activity of the Cyclin-Dependent Kinase PHA-793887 in Tumor and Skin Biopsies from a Phase I Clinical Study Roberta Bosotti, Marina Ciomei, et al. Mol Cancer Ther 2010;9:1265-1273

Poly ADP ribose polymerase (PARP) inhibitors Rachele Alzani,Olga Pedrini,et al. Experimental Hematology 2010 ;38:259–269

The pharmacological and toxicological properties of this product have not been fully investigated. Exercise caution in use and handling. This product must not be used in humans.

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