Casein Kinase inhibitor A86

Cat. No.: HY-123955

CAS No.: 2079069-01-3

Molecular Formula: $C_{18}H_{25}FN_6$

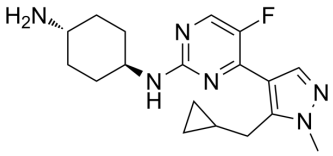
Molecular Weight: 344.43

Target: Casein Kinase; CDK; Apoptosis

Pathway: Cell Cycle/DNA Damage; Stem Cell/Wnt; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (36.29 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9033 mL	14.5167 mL	29.0335 mL
	5 mM	0.5807 mL	2.9033 mL	5.8067 mL
	10 mM	0.2903 mL	1.4517 mL	2.9033 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (3.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Casein Kinase inhibitor A86 is a potent and orally active casein kinase 1α (CK1α) inhibitor. Casein Kinase inhibitor A86 also inhibits of CDK7 (TFIIH) and CDK9 (P-TEFb). Casein Kinase inhibitor A861 induces leukemia cell apoptosis, and has potent anti-leukemic activities[1].

IC_{50} & Target			
	CKIα	CDK7 0.31 nM (Kd)	CDK9 5.4 nM (Kd)

In Vitro

Casein Kinase inhibitor A86 is highly effective in inducing leukemia cell apoptosis at 160 nM or lower, mostly in correlation to their capacity to stabilize p53[1].

Casein Kinase inhibitor A86 (0.08-2 μM; 6.5 hours) abolishes the expression of MYC, MDM2, and the anti-apoptotic oncogene MCL1. Casein Kinase inhibitor A86 induces a marked reduction in mRNA expression of MYC and MDM2, yet upregulates the expression of the Wnt targets AXIN2 and CCND1 (Cyclin D1)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MV4-11 cells
Concentration:	0.08 μM, 0.6 μM, 2 μM
Incubation Time:	6.5 hours
Result:	Abolishes the expression of MYC, MDM2, and the anti-apoptotic oncogene MCL1.

In Vivo

Pharmacokinetic studies of the inhibitor Casein Kinase inhibitor A86 at 20 mg/kg reveal rapid oral absorption with a T_{max} of 0.2-0.5 hr, C_{max} of 1115 ng/mL, $T_{1/2}$ of 4.3 hr, and area under the curve (AUC) values of 2606 (ng*hr/mL)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Waleed Minzel, et al. Small Molecules Co-targeting CKIα and the Transcriptional Kinases CDK7/9 Control AML in Preclinical Models. Cell. 2018 Sep 20;175(1):171-185.e25.

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