Mevociclib

Cat. No.: HY-128587

CAS No.: 1816989-16-8

Molecular Formula: $C_{31}H_{35}ClN_8O_2$

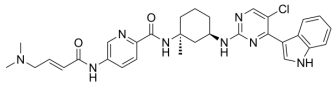
Molecular Weight: 587.12

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (212.90 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7032 mL	8.5161 mL	17.0323 mL
	5 mM	0.3406 mL	1.7032 mL	3.4065 mL
	10 mM	0.1703 mL	0.8516 mL	1.7032 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: ≥ 2.08 mg/mL (3.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mevociclib (SY-1365) is a potent and first-in-class selective CDK7 inhibitor, with a K_i of 17.4 nM. Mevociclib exhibits anti-proliferative and apoptotic effects in solid tumor cell lines. Mevociclib possesses anti-tumor activity in hematological and multiple aggressive solid tumors[1][2].

IC_{50} & Target

CDK7

In Vitro

Mevociclib exhibits inhibition for CDK7/CycH/MAT1 with an IC_{50} of 20 nM[2].

?Mevociclib is a highly selective covalent CDK7 inhibitor, induces apoptosis in leukemia cells, but not in non-malignant cells [2].

?Mevociclib exhibits activity in breast, ovarian, colorectal and lung cancer cells that exhibited low nM EC_{50} and rapid

induction of apoptosis[2].

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

In Vivo

Mevociclib (20mg/kg; i.v.; biw; for 35 days) inhibits tumor growth in TNBC in vivo models[2].

?Mevociclib induces unique transcriptional signature[2].

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

Animal Model:	Mice, HCC70 xenograft model[2]
Dosage:	20 mg/kg
Administration:	Intravenous injection, twice weekly, for 35 days
Result:	Inhibited tumor volume in vivo.

CUSTOMER VALIDATION

- Cell Rep. 2023 Apr 20.
- Int J Mol Sci. 2022 Feb 24;23(5):2493.
- J Biol Chem. 2021 Sep 2;101162.

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REFERENCES

[1]. Hu S, et al. Discovery and characterization of SY-1365, a selective, covalent inhibitor of CDK7. Cancer Res. 2019 May 7.

[2]. Shanhu Hu, et al. SY-1365, a potent and selective CDK7 inhibitor, exhibits promising anti-tumor activity in multiple preclinical models of aggressive solid tumors.

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