Azenosertib

Cat. No.: HY-132295

CAS No.: 2376146-48-2

Molecular Formula: $C_{29}H_{34}N_8O_2$

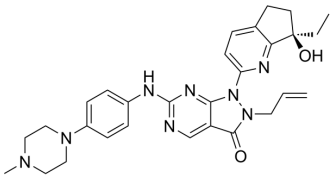
Molecular Weight: 526.63

Target: Wee1

Pathway: Cell Cycle/DNA Damage

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 : 250 mg/mL (474.72 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8989 mL	9.4943 mL	18.9887 mL
	5 mM	0.3798 mL	1.8989 mL	3.7977 mL
	10 mM	0.1899 mL	0.9494 mL	1.8989 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: ≥ 2.5 mg/mL (4.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Azenosertib (ZN-c3) is a selective, orally active inhibitor for Wee1 inhibitor (IC_{50}=3.9 nM). Azenosertib exhibits antitumor activity[1].

IC_{50} & Target

IC50: 3.9 nM (Wee1)[1]

In Vitro

Azenosertib inhibits proliferations of cancer cells H23 and A427 with IC_{50}s 103 and 75 nM[1].

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

Cell Proliferation Assay[1]

Cell Line:	NCI H23, A427
Concentration:	
Incubation Time:	3 days for A427 cell and 4 days for NCI H23 cell
Result:	Inhibited proliferation of A427 and NCI H23.

In Vivo

Azenosertib (80 mg/kg; p.o.; 28 days) inhibits tumor growth in A427 xenograft NOD/SCID mice model[1].

Azenosertib (10 mg/kg, p.o.) shows plasma exposure C_{max} of 2.1 μM, a half-time $T_{1/2}$ of 2.3 h, an $AUC_{0\text{-}24\ h}$ of 9.7 μM·h, and an oralbioavailability of F=142% in beagle dog model[1].

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

Animal Model:	A427 xenograft NOD/SCID mice model[1]
Dosage:	80 mg/kg
Administration:	p.o. for 28 days
Result:	Inhibited tumor growth.

REFERENCES

[1]. Huang PQ, et al. Discovery of ZN-c3, a Highly Potent and Selective Wee1 Inhibitor Undergoing Evaluation in Clinical Trials for the Treatment of Cancer [published online ahead of print, 2021 Aug 23]. J Med Chem. 2021;10.1021/acs.jmedchem.1c01121.

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