AZ31

Cat. No.: HY-112198

CAS No.: 2088113-98-6

Molecular Formula: $C_{24}H_{28}N_4O_3$

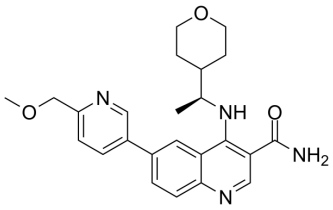
Molecular Weight: 420.5

Target: ATM/ATR

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR

Storage: -20°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (237.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3781 mL	11.8906 mL	23.7812 mL
	5 mM	0.4756 mL	2.3781 mL	4.7562 mL
	10 mM	0.2378 mL	1.1891 mL	2.3781 mL

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

BIOLOGICAL ACTIVITY

Description

AZ31 is a a potent, highly selective, and orally active ATM inhibitor with an IC_{50} of <1.2 nM for ATM enzyme, and an IC_{50} of 46 nM for ATM in cell. AZ31 shows excellent selectivity over ATR (>500-fold) and excellent PIKK-family selectivity and pan-kinase selectivity. AZ31 is a potent radiosensitizer in vitro, it can be used for the research of cancer[1].

IC_{50} & Target

ATM 1.2 nM (IC_{50})	ATM 46 nM (IC_{50}, in cell)

In Vitro

AZ31 (0.3-3 μM; 1 h) affects phosphorylation of a panel of ATM targets[1].

AZ31 (10 μM; 1 h) affects stabilization of p53 in H2228 lung cancer cells after radiation[1].

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

Western Blot Analysis[1]

Cell Line:	Human glioma cell line
Concentration:	0.3, 1 and 3 μM
Incubation Time:	1 hour

Result:	Blocked phosphorylation of p53-S15, KAP1-S824, and ATM auto-phosphorylation at S1981.

Western Blot Analysis[1]

Cell Line:	H460 and mutant p53 H2228 cell lines
Concentration:	10 μM
Incubation Time:	1 hour
Result:	Destabilized p53 of mutant p53 but not wild-type after radiation.

In Vivo

AZ31 (50-100 mg/kg; p.o. twice a day) shows low brain coverage[1].

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

Animal Model:	Nude mice[1]
Dosage:	50 and 100 mg/kg
Administration:	Oral gavage; 50 and 100 mg/kg twice a day
Result:	Exhibited exposure over IC_{50} at 0.046 μM in brain only for 2-3 hours.

REFERENCES

[1]. Karlin J, et al. Orally Bioavailable and Blood-Brain Barrier-Penetrating ATM Inhibitor (AZ32) Radiosensitizes Intracranial Gliomas in Mice. Mol Cancer Ther. 2018 Aug;17(8):1637-1647.

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