ATM Inhibitor-1

Cat. No.: HY-112614

CAS No.: 2135639-94-8

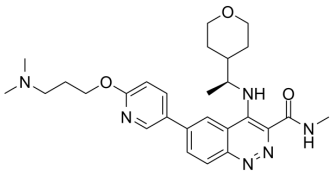
Molecular Formula: $C_{27}H_{36}N_6O_3$

Molecular Weight: 492.61

Target: ATM/ATR

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ATM Inhibitor-1 is a highly potent, selective and orally active ATM inhibitor, with an IC_{50} of 0.7 nM, shows weak activity against mTOR (IC_{50}, 21 μM), DNAPK (IC_{50}, 2.8 μM), PI3Kα (IC_{50}, 3.8 μM), PI3Kβ (IC_{50}, 10.3 μM), PI3Kγ (IC_{50}, 3 μM) and PI3Kδ (IC_{50}, 0.73 μM). ATM Inhibitor-1 exhibits anti-tumor activity[1].

IC_{50} & Target

ATM 0.7 nM (IC_{50})	ATM 2.8 nM (IC_{50}, Cellular assay)	PI3Kδ 0.73 μM (IC_{50})	PI3Kγ 3 μM (IC_{50})
PI3Kα 3.8 μM (IC_{50})	PI3Kβ 10.3 μM (IC_{50})	DNAPK 2.8 μM (IC_{50})	mTOR 21 μM (IC_{50})

In Vitro

ATM Inhibitor-1 (Compound 21) is a highly potent, selective and orally active ATM Inhibitor, with an IC_{50} of 0.7 nM, shows weak activity against mTOR (IC_{50}, 21 μM), DNAPK (IC_{50}, 2.8 μM), PI3Kα (IC_{50}, 3.8 μM), PI3Kβ (IC_{50}, 10.3 μM), PI3Kγ (IC_{50}, 3 μM) and PI3Kδ (IC_{50}, 0.73 μM)[1].

In cellular assays, ATM Inhibitor-1 exhibits IC_{50}s of 2.8 nM, >30 μM and >19 μM for ATM, ATR/PI3Kα and PI3Kβ/mTOR, respectively[1].

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

In Vivo

ATM Inhibitor-1 (Compound 21; 50 mg/kg p.o. once daily for 3 days every week starting 24 h post-irinotecan dosing, 21 days) in combination with 50 mg/kg irinotecan significantly reduces tumor growth in SW620 mice model[1].

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

Animal Model:	SW620 mice model[1]
Dosage:	50 mg/kg
Administration:	P.O., once daily for 3 days every week starting 24 h post-irinotecan dosing, 21 days
Result:	Inhibited the growth of tumor combined with 50 mg/kg irinotecan in SW620 mice model.

REFERENCES

[1]. Barlaam B, et al. Discovery of a Series of 3-Cinnoline Carboxamides as Orally Bioavailable, Highly Potent, and Selective ATM Inhibitors. CS Med Chem Lett. 2018 Jul 13;9(8):809-814.

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