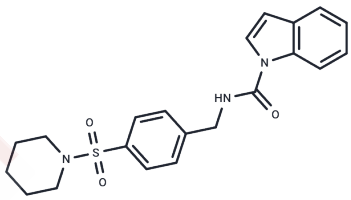
Data Sheet (Cat.No.T210882)

TargetMol

MXC-017

Chemical Properties



CAS No. : 3037024-97-5

Formula: C21H23N3O3S

Molecular Weight: 397.49

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	MXC-017 is an apoptosis inducer capable of crossing the blood-brain barrier, specifically targeting glioma stem cells (GSCs). It effectively prevents the formation of radiation-induced GSCs while promoting G0/G1 cell cycle arrest and apoptosis. With minimal off-target effects, MXC-017 exhibits no significant cytotoxicity even at concentrations up to 10 μM. Additionally, it significantly extends the median survival in patient-derived orthotopic xenograft (PDOX) glioblastoma (GBM) mouse models when used in conjunction with radiation therapy.
Targets(IC50)	Apoptosis
In vitro	MXC-017, at concentrations of 1-10 μM over 5-7 days, does not exhibit radiosensitizing effects but inhibits the induction of radiation-induced marker-positive cells. It reduces sphere formation in a dose-dependent manner in HK-374, HK-345, and HK-157 cells and accelerates GSC depletion in HK-374 and HK-217 cells at 10 μM. Alone or combined with radiation, 1-10 μM of MXC-017 over 10 days significantly reduces GSC frequency in HK-374, HK-390, HK-217, HK-146, HK-308, and HK-345 cells. At 10 μM for 2-5 days following 4 Gy radiation, it increases radiation-induced G0/G1 arrest in HK-374 cells, reduces S phase cell numbers, and significantly raises the proportion of apoptotic cells. MXC-017 at 10 μM for 0.25-24 hours binds to vimentin in HK-374 cells, preventing vimentin intermediate filament degradation without affecting total vimentin protein levels or phosphorylation at Ser39, Ser56, or Ser83. In 6-24 hours, 10 μM MXC-017 reduces baseline detectable vimentin levels in HK-374 cells and prevents radiation-induced vimentin signaling enhancement, while maintaining total vimentin levels. When combined with radiation for 16-24 hours, it independently and significantly inhibits the migration capacity of HK-374 cells. At 10 μM for 48 hours, MXC-017 shows no off-target effects or metabolic changes but induces differential expression of 357 genes (239 upregulated, 118 downregulated), enriched in KRAS pathway activation (pro-inflammatory response) and E2F/G2M checkpoint inhibition, without altering the cellular composition of HK-374, HK-390, HK-217, and HK-244 cells. MXC-017 at 1-10 μM for 24 hours has no significant toxicity to NSP cells (up to 2.5 μM), NIH3T3, and EOC20 cells (up to 10 μM) but significantly reduces the plating efficiency of normal human astrocytes.
In vivo	MXC-017, administered at 50 mg/kg via intraperitoneal injection for 5 days with a 2-day rest over 2 weeks and combined with 4 or 10 Gy radiation, significantly extended median survival in PDOX GBM mouse models and effectively eradicated implanted HK-374 cells with minimal damage to normal brain tissue. In C57BL/6 mouse models, a

In vivo	maximum tolerated dose of MXC-017 (150-900 mg/kg, intraperitoneal injection, once weekly or consecutive 5-day courses for 2 weeks) was found to be 150 mg/kg without clinical toxicity symptoms or notable hematological or biochemical changes. Additionally, MXC-017 at 150 mg/kg, administered for over 140 days with a 5-day on, 2-day off cycle, significantly reduced tumor-related mortality in PDOX GBM mouse models when used in conjunction with radiation therapy.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5158 mL	12.5789 mL	25.1579 mL
5 mM	0.5032 mL	2.5158 mL	5.0316 mL
10 mM	0.2516 mL	1.2579 mL	2.5158 mL
50 mM	0.0503 mL	0.2516 mL	0.5032 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.