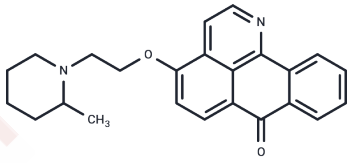
Data Sheet (Cat.No.T61501)

TargetMol

Topoisomerase I inhibitor 5

Chemical Properties



CAS No. : 2513461-95-3

Formula: C24H24N2O2

Molecular Weight: 372.46

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	Topoisomerase I inhibitor 5 is an efficient topoisomerase I inhibitor with an IC50 value, effectively disrupting DNA and inhibiting topoisomerase I activity. It induces apoptosis in MCF-7 cells and arrests the cell cycle at the G1 phase, displaying potency in reversing P-gp-mediated resistance to Adriamycin [1].
Targets(IC50)	Apoptosis,Others,DNA/RNA Synthesis,Topoisomerase
In vitro	Topoisomerase I inhibitor 5 (compound 14) demonstrates significant antiproliferative effects against various cancer cell lines at concentrations ranging from 0 to 50 μM over 48 hours while exhibiting lower cytotoxicity towards normal LO2 cells. At concentrations of 2 to 8 μM for 24 hours, it triggers G1 phase cell cycle arrest in MCF-7 cells and increases apoptotic rates in both MCF-7 and MCF-7/ADR cells over 48 hours. Additionally, it elevates the expression of apoptosis-inducing proteins cleaved-caspase-3 and cleaved-PARP in MCF-7 cells and modifies the expression of anti-apoptotic and pro-apoptotic proteins in MCF-7/ADR cells within 24 hours. Notably, at low doses (0.1 μM for 24 hours), it induces apoptosis through ROS accumulation in MCF-7/ADR cells. Furthermore, it enhances the intracellular accumulation of ADR and Rh123 in MCF-7/ADR cells and reduces P-gp expression, indicating decreased drug resistance mechanisms. Detailed cell proliferation assays revealed IC50 values showing the compound's efficacy across several cancer cell types with minimal impact on normal cells, underscoring its potential as a selective cancer therapeutic agent [1].
In vivo	Intravenous administration of the Topoisomerase I inhibitor 5 at doses of 1 mg/kg and 10 mg/kg every two days for a period of 21 days resulted in a significant decrease in tumor growth in an animal model utilizing Balb/c nude mice, which were injected with 10^6 MCF-7 cells in the left flank for 7 days. Notably, the tumor inhibition ratio reached 32.4% at a dosage of 1 mg/kg and 7.2% at 10 mg/kg, highlighting the compound's efficacy in reducing tumor size.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6849 mL	13.4243 mL	26.8485 mL
5 mM	0.537 mL	2.6849 mL	5.3697 mL
10 mM	0.2685 mL	1.3424 mL	2.6849 mL
50 mM	0.0537 mL	0.2685 mL	0.537 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.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481