

## Topoisomerase I inhibitor 5

## Chemical Properties

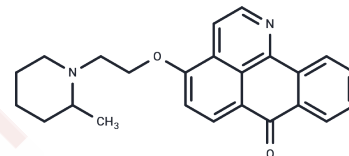
CAS No. : 2513461-95-3

Formula: C<sub>24</sub>H<sub>24</sub>N<sub>2</sub>O<sub>2</sub>

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 IC <sub>50</sub> 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(IC <sub>50</sub> )	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 IC <sub>50</sub> 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 <sup>6</sup> 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

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
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

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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.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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