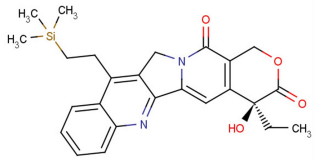
Data Sheet (Cat.No.T14703)

TargetMol
A DRUG SCREENING EXPERT

Karenitecin

Chemical Properties



CAS No.:	203923-89-1
Formula:	C25H28N2O4Si
Molecular Weight:	448.59
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Karenitecin (Cositecan) is an inhibitor of topoisomerase I. It has potent anti-cancer activity.
Targets(IC_{50})	Topoisomerase I: None
In vitro	Karenitecin is a topoisomerase I inhibitor, with potent anti-cancer activity. Karenitecin inhibits several human colon cancer cell lines such as COLO205, COLO320, LS174T, SW1398 and WiDr cells, with IC50s of 2.4 nM, 1.5 nM, 1.6 nM, 2.9 nM, and 3.2 nM, respectively[2]. Karenitecin induces DNA damage (0.01, 0.07, and 0.7 μM), and increases cyclin E and cdk2 protein expression in A253 cells (0.07, and 0.7 μM). Karenitecin inhibits cell growth of A253 cells with IC10, IC50, and IC90 values of 0.01, 0.07, and 0.7 μM after 2 h treatment. Karenitecin markedly enhances the cyclin B/cdc2-associated kinase activity at low concentration, but slightly suppresses this kinase activity at higher concentration[1].
In vivo	Karenitecin (1.0 mg/kg daily × 5 i.p.) significantly suppresses growth inhibition both in the parental Pgp-negative xenografts and in the Pgp-positive xenografts[2]. Karenitecin shows maximum growth inhibition of 61% on COLO320 cells and 54% on COLO205 colon cancer cells via i.p. administration of 1 mg/kg in mice.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.229 mL	11.146 mL	22.292 mL
5 mM	0.446 mL	2.229 mL	4.458 mL
10 mM	0.223 mL	1.115 mL	2.229 mL
50 mM	0.045 mL	0.223 mL	0.446 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Yin MB, et al. Characterization of protein kinase chk1 essential for the cell cycle checkpoint after exposure of human head and neck carcinoma A253 cells to a novel topoisomerase I inhibitor BNP1350. Mol Pharmacol. 2000 Mar;57(3):453-9.

2. Van Hattum AH, et al. New highly lipophilic camptothecin BNP1350 is an effective drug in experimental human cancer. Int J Cancer. 2000 Oct 15;88(2):260-6.

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