Data Sheet (Cat.No.T14703)



Karenitecin

Chemical Properties

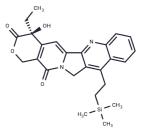
CAS No.: 203923-89-1

Formula: C25H28N2O4Si

Molecular Weight: 448.59

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

| Description | Karenitecin (Cositecan) is an inhibitor of topoisomerase I. It has potent anti-cancer activity. |
|---------------|--|
| Targets(IC50) | Topoisomerase |
| In vitro | Karenitecin, a topoisomerase I inhibitor, exhibits potent anti-cancer properties by effectively inhibiting the growth of various human colon cancer cell lines, including COLO205, COLO320, LS174T, SW1398, and WiDr, demonstrated by IC50 values of 2.4 nM, 1.5 nM, 1.6 nM, 2.9 nM, and 3.2 nM, respectively[2]. Furthermore, it not only induces DNA damage in A253 cells at concentrations of 0.01, 0.07, and 0.7 μM but also elevates the levels of cyclin E and cdk2 proteins at 0.07 and 0.7 μM. Significant cell growth inhibition in A253 cells is achieved with IC10, IC50, and IC90 values of 0.01, 0.07, and 0.7 μM after a 2-hour treatment. Additionally, at low concentrations, Karenitecin significantly augments cyclin B/cdc2-associated kinase activity, whereas it slightly diminishes this activity at higher concentrations[1]. |
| In vivo | Karenitecin (1.0 mg/kg daily \times 5 i.p.) significantly reduces growth in both parental Pgp-negative xenografts and Pgp-positive xenografts[2]. It demonstrates a maximum growth inhibition of 61% in COLO320 cells and 54% in COLO205 colon cancer cells following i.p. administration at a dosage of 1 mg/kg in mice. |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 1 mM | 2.2292 mL | 11.146 mL | 22.2921 mL |
| 5 mM | 0.4458 mL | 2.2292 mL | 4.4584 mL |
| 10 mM | 0.2229 mL | 1.1146 mL | 2.2292 mL |
| 50 mM | 0.0446 mL | 0.2229 mL | 0.4458 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.

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Reference

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.

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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