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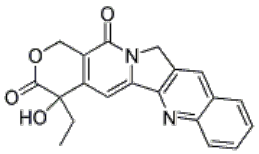
Camptothecin Datasheet

Technical Data

Molecular Weight (MW)	348.35
Formula	$C_{20}H_{16}N_2O_4$
CAS No.	7689-03-4
Synonyms	N/A

Solubility (25°C)	DMSO 3 mg/mL		
	Water <1 mg/mL		
	Ethanol <1 mg/mL		
Storage	2 years	-20°C	Powder
	2 weeks	4°C	in DMSO
	6 months	-80°C	in DMSO

Camptothecin Chemical Structure



Biological Activity

Description	Camptothecin (Camptohecine, 20-(S)-Camptothecin, CPT, NSC100880) is a specific inhibitor of DNA **topoisomerase I (Topo I)** with **IC50** of 0.68 μM.
Targets	DNA topoisomerase I (topo I)
IC50	0.68 μM [2]
In vitro	Camptothecin, a plant alkaloid orignially isolated from Camptotheca acuminate in 1966. [1] Camptothecin is noted to halt cells during the S phase of mitosis. Camptothecin displays nanomolar potency in cytotoxicity against many human tumor cell lines, including HT29, LOX, SKOV3, and SKVLB, with IC50 values ranging from 37 nM to 48 nM. [2] In combination with TNF, Camptothecin induces apoptosis in primary mouse hepatocytes, with an IC50 value of 13 μM. Camptothecin also abrogated the TNF-induced NF-κB Activation, as well as the expression of TNF-receptor associated factor 2 (TRAF2), X-linked inhibitor of apoptosis protein (X-IAP), and FLICE-inhibitory protein (FLIP). [4] In HCT116 cells, Camptothecin (5 μM) induces proteasome-mediated degradation of mixed lineage leukemia 5 (MLL5) protein, which leads to phosphorylation of p53 at Ser392. [5] Due to the low solubility and adverse effects of Camptothecin, various Camptothecin analogues have been developed, and two of them, topotecan and irinotecan, has been approved by FDA and are used in cancer chemotherapy.
In vivo	Camptothecin (8 mg/kg) displays complete growth inhibition and regression in mice xenografts of various tumors, including colon, lung, breast, stomach, and ovary tumors. [3] In mice, combinations of Camptothecin (50 mg/kg) and TNF (5 and 7 μg/kg), but not Camptothecin alone, induces liver damage. [4]
Clinical Trials	Investigations of Camptothecin and its analogues in multiple Phase I–III clinical trials for various cancers have been completed.
Features	

Protocol (Only for Reference)

Kinase Assay: [2]

Topoisomerase I Cleavable Complex Assay	Topoisomerase I is isolated from calf thymus and is devoid of topoisomerase II. All reactions are carried out in 10 mL volumes of reaction buffer (50 mM Tris-HCl, pH 7.5, 100 mM KCl, 0.5 mM EDTA, and 30 pg/mL BSA) in microtiter plates. Camptothecin is dissolved in DMSO at 10 mg/mL and serially diluted in 96-well microtiter plates to which the ^{32}P end-labeled pBR322 DNA and topoisomerase enzyme are added. The reaction mixture is incubated at room temperature for 30 min and then the reaction stopped by adding 2 mL of a mixture of sodium dodecyl sulfate and proteinase K (1.6% and 0.14 mg/mL final concentrations, respectively). The plates are heated at 50 °C for 30 min, 10 mL of standard stop mixture containing 0.45 N NaOH is added in order to generate single-stranded DNA, and the samples are electrophoresed in 1.5% agarose gels in TBE buffer. Gels are blotted on nitrocellulose paper, dried, and exposed to X-ray film. The units of cleavage are calculated from the autoradiographs and plotted against the log drug concentration. The IC50 values are then obtained.

Cell Assay: [2]

Cell Lines	U87MG, A549 and H838 cells
Concentrations	0.17 nM–10 mM
Incubation Time	48 hours
Methods	Tumor cells are plated in 100 μL of medium in 96-well microtiter plates at a density of 1500 to 4000 cells per well and allowed to adhere overnight. Cells are incubated with Camptothecin for 48 hours and then with fresh medium for 48 hours. Camptothecin at each concentration is added in quadruplicate. Following a 4-hour incubation of treated cells with MTT, the reduced dye product is extracted from the cells with 0.2 mL of DMSO followed by 50 μL of Sorensen's buffer. The plates are shaken briefly, and the absorbance at 570 nm is read and quantitated. Curves are fitted to the MTT assay data using a four-parameter logistic equation.

Animal Study: [3]

Animal Models	Nude mice (NIH-I high fertility strain) bearing xenografts of CASE, SW48, DOY, SPA, and CLO cells

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Formulation	Finely grounded and dispersed in intralipid 20% at 1 mg/mL by sonication
Doses	0–8 mg/kg
Administration	Administered via i.m. or i.v. injection

References

[1] Wall ME, et al. J Am Chem Soc, 1966, 88 (16), 3888–3890.
[2] Luzzio MJ, et al. J Med Chem, 1995, 38(3), 395-401.
[3] Giovanella BC, et al. Cancer Res, 1991, 51(11), 3052-3055.
[4] Hentze H, et al. Hepatology, 2004, 39(5), 1311-1320.
[5] Cheng F, et al. Oncogene, 2011, 30(33), 3599-3611.

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