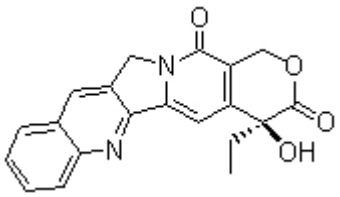
Product Introduction

Camptothecin

Camptothecin is a specific inhibitor of DNA **topoisomerase I (Topo I)** with **IC50** of 0.68 μM. Phase 2.

Technical Data:

Molecular Weight (MW):	348.35	
Formula:	$C_{20}H_{16}N_2O_4$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 3 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	7689-03-4	

Biological Activity

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.

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]

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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