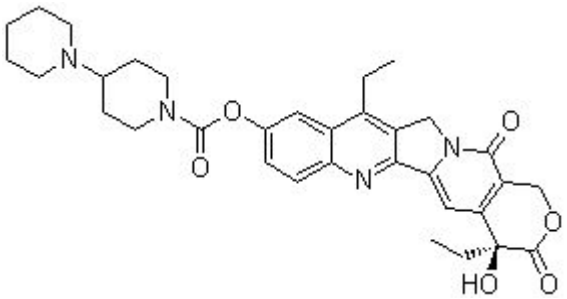
Product Introduction

Irinotecan

Irinotecan is a topoisomerase I inhibitor for LoVo cells and HT-29 cells with IC50 of 15.8 μM and 5.17 μM, respectively.

Technical Data:

Molecular Weight (MW):	586.68	
Formula:	$C_{33}H_{38}N_4O_6$	
Solubility (25°C) *** <1 mg/ml means slightly soluble or insoluble:**	DMSO 7 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	97682-44-5	

Biological Activity

Irinotecan is activated to SN-38 by carboxylesterases to become able to interact with its target, topoisomerase I. Irinotecan induces similar amounts of cleavable complexes at its IC50 in LoVo cells and HT-29 cell lines. SN-38 induces a concentration-dependent formation of cleavable complexes, which is not significantly different in LoVo cells and HT-29 cell lines. Cell accumulation of Irinotecan is markedly different, reaching consistently higher levels in HT-29 cells than in LoVo cells. [1] The lactone E-ring of Irinotecan and SN-38 hydrolyses reversibly in aqueous solutions, and the interconversion between the

lactone and carboxylate forms is dependent on pH and temperature. Liver is primarily responsible for the activation of Irinotecan to SN-38. At equal concentrations of Irinotecan and SN-38 glucuronide, the rate of beta-glucuronidase-mediated SN-38 production is higher than that formed from Irinotecan in both tumour and normal tissue. [2] Irinotecan is also converted to SN-38 in intestines, plasma and tumor tissues. [3] Irinotecan is significantly more active in SCLC than in NSCLC cell lines, whereas no significant difference between histological types is observed with SN-38. [4]

In COLO 320 xenografts, Irinotecan induces a maximum growth inhibition of 92%. [5] A single dose of Irinotecan significantly increases amounts of topoisomerase I covalently bound to DNA in stomach, duodenum, colon and liver. Concomitantly, the Irinotecan-treated group shows significantly higher amounts of DNA strand breaks in colon mucosa cells compared to the control group. [6]

Irinotecan is a prodrug that is used to treat metastatic colorectal cancer.

References

[1] Pavillard V, et al. Cancer Chemother Pharmacol. 2002, 49(4), 329-335.

[2] Tobin P, et al. Br J Clin Pharmacol. 2006, 62(1), 122-129.

[3] Shingyoji M, et al. Cancer Sci. 2004, 95(6), 537-540.

[4] van Ark-Otte J, et al. Br J Cancer. 1998, 77(12), 2171-2176.

[5] Jansen WJ, et al. Int J Cancer. 1997, 70(3):335-340.

[6] Na YS, et al. Cancer Chemother Pharmacol. 2011, 68(2), 389-398.

[7] Wagner LM, et al. Pediatr Blood Cancer. 2007, 48(2), 132-139.

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