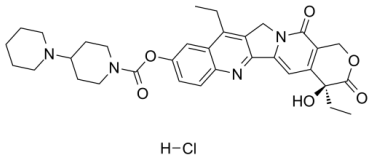
Data Sheet

Product Name:	Irinotecan (hydrochloride)
Cat. No.:	CS-2988
CAS No.:	100286-90-6
Molecular Formula:	C33H39ClN4O6
Molecular Weight:	623.14
Target:	Autophagy; Topoisomerase
Pathway:	Autophagy; Cell Cycle/DNA Damage
Solubility:	DMSO : ≥ 51 mg/mL (81.84 mM)



BIOLOGICAL ACTIVITY:

Irinotecan hydrochloride is a **topoisomerase I** inhibitor mainly used to treat colon cancer and rectal cancer. IC50 & Target: Topoisomerase I[1] **In Vitro:** Irinotecan hydrochloride is a topoisomerase I inhibitor. Irinotecan inhibits the growth of LoVo and HT-29 cells, with IC_{50}s of 15.8 ± 5.1 and 5.17 ± 1.4 μM, respectively, and induces similar amounts of cleavable complexes in both in LoVo and HT-29 cells[2]. Irinotecan suppresses the proliferation of human umbilical vein endothelial cells (HUVEC), with an IC_{50} of 1.3 μM[3]. **In Vivo:** Irinotecan (CPT-11, 5 mg/kg) significantly inhibits the growth of tumors by intratumoral injection daily for 5 days, on two consecutive weeks in rats, and such effects also occur via continuous intraperitoneal infusion by osmotic minipump into mice. However, Irinotecan (10 mg/kg) shows no effect on the growth of tumor by i.p[1]. Irinotecan (CPT-11, 100-300 mg/kg, i.p.) apparently suppresses tumor growth of HT-29 xenografts in athymic female mice by day 21. The two groups of Irinotecan (125 mg/kg) plus TSP-1 (10 mg/kg per day) or Irinotecan (150 mg/kg) in combination TSP-1 (20 mg/kg per day) are nearly equally effective and inhibit tumor growth 84% and 89%, respectively, and both are more effective than Irinotecan alone at doses of 250 and 300 mg/kg[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [2]Exponentially growing cells are seeded in 20 cm^2 dishes with an optimal cell number for each cell line (**20,000 for LoVo cells**, **100,000 for HT-29 cells**). They are treated 2 days later with **increasing concentrations of irinotecan** or SN-38 for one cell doubling time (24 h for LoVo cells, 40 h for HT-29 cells). After washing with 0.15 M NaCl, the cells are further grown for two doubling times in normal medium, detached from the support with trypsin-EDTA and counted in a hemocytometer. The IC_{50} values are then estimated as the drug concentrations responsible for 50% growth inhibition as compared with cells incubated without drug[2]. **Animal Administration:** Irinotecan is dissolved in 0.9% sodium chloride sterile solution.[1]**Irinotecan** has been administered by **intratumoral injection** at 0.1 cc volume of the appropriate solution, for a doses of **5 mg/kg daily for 5 days**, on two consecutive weeks, followed by a 7-days rest period, referred to as one cycle of therapy. Rats receive three cycles over a period of 8 weeks. Control animals receive 0.1 cc of sterile 0.9% sodium chloride solution by intratumoral injection in the same rule of administration as that of animals of group II[1].

References:

[1]. Morales C, et al. Antitumoral effect of irinotecan (CPT-11) on an experimental model of malignant neuroectodermal tumor. J Neurooncol. 2002 Feb;56(3):219-26.

[2]. Pavillard V, et al. Determinants of the cytotoxicity of irinotecan in two human colorectal tumor cell lines. Cancer Chemother Pharmacol. 2002 Apr;49(4):329-35. Epub 2002 Jan 30.

[3]. Allegrini G, et al. Thrombospondin-1 plus irinotecan: a novel antiangiogenic-chemotherapeutic combination that inhibits the growth of advanced human

colon tumor xenografts in mice. Cancer Chemother Pharmacol. 2004 Mar;53(3):261-6. Epub 2003 Dec 5.

CAIndexNames:

[1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester, hydrochloride (1:1)

SMILES:

O=C(N1CCC(N2CCCCC2)CC1)OC3=CC=C4N=C5C(CN6C(C(COC([C@@]7(CC)O)=O)=C7C=C65)=O)=C(CC)C4=C3.[H]Cl

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