Irinotecan

Cat. No.: HY-16562

CAS No.: 97682-44-5

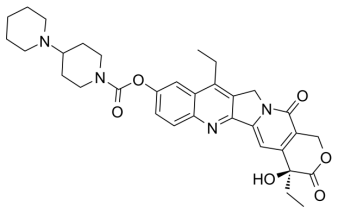
Molecular Formula: $C_{33}H_{38}N_4O_6$

Molecular Weight: 586.68

Target: Topoisomerase; Autophagy

Pathway: Cell Cycle/DNA Damage; Autophagy

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (42.61 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7045 mL	8.5225 mL	17.0451 mL
	5 mM	0.3409 mL	1.7045 mL	3.4090 mL
	10 mM	0.1705 mL	0.8523 mL	1.7045 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Irinotecan ((+)-Irinotecan) is a topoisomerase I inhibitor, preventing religation of the DNA strand by binding to topoisomerase I-DNA complex[1].

IC_{50} & Target

Topoisomerase I

In Vitro

Irinotecan 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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2022 Sep 1;185(18):3356-3374.e22.
- Signal Transduct Target Ther. 2021 May 28;6(1):188.
- Cell Discov. 2022 Sep 14;8(1):92.
- Gastroenterology. 2021 Nov;161(5):1601-1614.e23.
- Acta Pharm Sin B. 2023 Dec 30.

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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.

[4]. Dela Cruz FS, et al. A case study of an integrative genomic and experimental therapeutic approach for rare tumors: identification of vulnerabilities in a pediatric poorly differentiated carcinoma. Genome Med. 2016 Oct 31;8(1):116.

[5]. Huang MY, et al. Chemotherapeutic agent CPT-11 eliminates peritoneal resident macrophages by inducing apoptosis. Apoptosis. 2016 Feb;21(2):130-42.

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