Proteins

Irinotecan hydrochloride

Cat. No.: HY-16562A CAS No.: 100286-90-6 Molecular Formula: $C_{33}H_{39}CIN_4O_6$

Molecular Weight: 623.14

Target: Topoisomerase; Autophagy

Pathway: Cell Cycle/DNA Damage; Autophagy

4°C, sealed storage, away from moisture Storage:

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (200.60 mM; Need ultrasonic) H₂O: 3.33 mg/mL (5.34 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.6048 mL	8.0239 mL	16.0478 mL
	5 mM	0.3210 mL	1.6048 mL	3.2096 mL
	10 mM	0.1605 mL	0.8024 mL	1.6048 mL

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

In Vivo

- 1. Add each solvent one by one: 0.5% CMC-Na/saline water Solubility: 10 mg/mL (16.05 mM); Suspended solution; Need ultrasonic
- 2. Add each solvent one by one: 50% PEG300 >> 50% saline Solubility: 10 mg/mL (16.05 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 6 mg/mL (9.63 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 6 mg/mL (9.63 mM); Clear solution
- 5. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 6 mg/mL (9.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Irinotecan hydrochloride ((+)-Irinotecan hydrochloride) is a topoisomerase I inhibitor mainly used to treat colon cancer and rectal cancer^[1].

IC ₅₀ & Target	Topoisomerase I
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 μ M, 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 μ M ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Irinotecan hydrochloride (CPT-11 hydrochloride, 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 IC50 values are then estimated as the drug concentrations responsible for 50% growth inhibition as compared with cells incubated without $drug^{[2]}$.

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].

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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.



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