(±)-10-Hydroxycamptothecin

Cat. No.: HY-N0275

CAS No.: 64439-81-2

Molecular Formula: $C_{20}H_{16}N_2O_5$

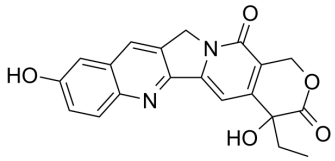
Molecular Weight: 364.35

Target: Topoisomerase

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (68.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7446 mL	13.7231 mL	27.4461 mL
	5 mM	0.5489 mL	2.7446 mL	5.4892 mL
	10 mM	0.2745 mL	1.3723 mL	2.7446 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.86 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

(±)-10-Hydroxycamptothecin is an indole alkaloid that inhibits the activity of topoisomerase I and has a broad spectrum of anticancer activity.

IC_{50} & Target

Topoisomerase I

In Vitro

(±)-10-Hydroxycamptothecin (10-OH-camptothecin) is an inhibitor of topo I[1]. (±)-10-Hydroxycamptothecin (10-HCPT, 5-20 nM) markedly inhibits the proliferation of Colo 205 cells in a dose-dependent manner. (±)-10-Hydroxycamptothecin (5-20 nM) arrests Colo 205 cells in the G2 phase of the cell cycle and triggers apoptosis through a caspase-3-dependent pathway[2]. (±)-10-Hydroxycamptothecin (HPT, 0.01-10 μg/mL) causes cell shrinage, nuclear fragmentation and condensed chromosomes and induces apoptosis of human urinary bladder cancer cell line (T24)[3].

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

In Vivo	(±)-10-Hydroxycamptothecin (10-HCPT, 2.5-7.5 mg/kg/2 days, p.o.) significantly suppresss tumor growth in mouse xenografts. (±)-10-Hydroxycamptothecin (1-7.5 mg/kg, p.o., once per 2 or 4 days) causes no obvious acute toxicity in nude mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Colo 205 cells (5×10^5) (ATCC: CCL-222) are seeded in 25T flasks overnight and then treated without (control) and with 5, 10, 15 or 20 nM of (±)-10-Hydroxycamptothecin, respectively. After treatment for 24-120 h, cells are harvested by trypsin-EDTA and then centrifuged at 1,500 rpm for 5 min at 4 ˚C. The cell pellet is resuspended in culture medium containing 0.04% trypan blue and the viable cells are enumerated by a hemocytometer[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	BALB/c-nu mice are housed in a laminar flow room under sterilized conditions with a maintained temperature of 25 ± 2 ˚C and a controlled 12-h light and 12-h dark cycle. The Colo 205 cells are harvested and resuspended in serum-free RPMI-1640 medium. Cells are adjusted to 1×10^7 cells/mL, and transplanted 0.1 mL subcutaneously to the flank regions of the mice. Each experimental group included six to seven mice bearing tumors. (±)-10-Hydroxycamptothecin is dissolved in propylene glycol and treatment begins when the tumor size reach 3-5 mm. (±)-10-Hydroxycamptothecin is administered via p.o. once per two or four days at doses of 1, 2.5, 5, 7.5 mg/kg (volume of injection: 0.1 mL/20 g of body weight), respectively. The control group receives propylene glycol vehicle once per two days. Tumor size and body weight are monitored twice a week throughout the experiment. The tumor size is measured using a vernier caliper. Tumor volume (V) is calculated according to the formula: $V\ (mm^3) = 0.4AB^2$, where A and B are the longest diameter and the shortest diameter, respectively. At the end of the experiment, all mice are sacrificed by CO_2 gas. Tumors, livers, kidneys and lungs are collected, fixed, embedded and stained with hematoxylin and eosin for pathological analysis[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liu SY, et al. Interaction of several nucleoside triphosphate analogues and 10-hydroxycamptothecin with human DNA topoisomerases. Cancer Res. 1989 Mar 15;49(6):1366-70.

[2]. Ping YH, et al. Anticancer effects of low-dose 10-hydroxycamptothecin in human colon cancer. Oncol Rep. 2006 May;15(5):1273-9.

[3]. Fan J, et al. Detection of apoptosis exposed to 10-hydroxycamptothecin in T24 human urinary bladder cancer cells. Zhonghua Wai Ke Za Zhi. 1999 Jan;37(1):57-9.

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