Camptothecin

Cat. No.: HY-16560

CAS No.: 7689-03-4

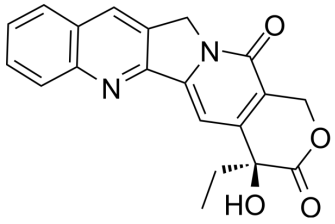
Molecular Formula: $C_{20}H_{16}N_2O_4$

Molecular Weight: 348.35

Target: Topoisomerase; ADC Cytotoxin; Apoptosis; Fungal; Influenza Virus; Antibiotic; MicroRNA

Pathway: Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related; Apoptosis; Anti-infection; Epigenetics

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



SOLVENT & SOLUBILITY

In Vitro

1M NaOH : 10 mg/mL (28.71 mM; ultrasonic and adjust pH to 11 with NaOH)
DMSO : 6.25 mg/mL (17.94 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8707 mL	14.3534 mL	28.7068 mL
5 mM	0.5741 mL	2.8707 mL	5.7414 mL
10 mM	0.2871 mL	1.4353 mL	2.8707 mL

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

In Vivo

1. Add each solvent one by one: 15% Cremophor EL >> 85% Saline
Solubility: 10 mg/mL (28.71 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Camptothecin (CPT), a kind of alkaloid, is a DNA topoisomerase I (Topo I) inhibitor with an IC_{50} of 679 nM[1]. Camptothecin (CPT) exhibits powerful antineoplastic activity against colorectal, breast, lung and ovarian cancers, modulates hypoxia-inducible factor-1α (HIF-1α) activity by changing microRNAs (miRNA) expression patterns in human cancer cells[2][3].

IC_{50} & Target

Topoisomerase I	Camptothecins
679 nM (IC_{50})	

In Vitro

High TOP1 enzymatic activity MCF7 (Luminal subtype) and HCC1419 (HER2 subtype) show high sensitivity toward Camptothecin (0.1 μM to 5 μM; 72 hours) treatment, exhibiting the IC_{50} values of 0.089 μM and 0.067 μM, respectively[4].
Camptothecin (0.5 μM; 6 and 24 hours) reduces desferrioxamine-activated VEGF expression.
Camptothecin (0.5 μM; 8 to 24 hours) strongly prevents the desferrioxamine-dependent HIF-1a accumulation[2].

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

Cell Viability Assay[4]

Cell Line:	MCF7 (Luminal subtype) and HCC1419 (HER2 subtype)
Concentration:	0.1 μM to 5 μM
Incubation Time:	72 hours
Result:	High TOP1 enzymatic activity MCF7 (Luminal subtype) and HCC1419 (HER2 subtype) show high sensitivity toward CPT (0.1 μM to 5 μM; 72 hours) treatment, exhibiting the IC_{50} values of 0.089 μM and 0.067 μM, respectively.

RT-PCR[2]

Cell Line:	HeLa and HEK293 cell lines
Concentration:	0.5 μmol/L
Incubation Time:	6 and 24 hours
Result:	Reduces desferrioxamine-activated VEGF expression in both cell lines after 6 and 24 hours of treatment, whereas in normoxic condition camptothecin does not affect the VEGF mRNA level.

Western Blot Analysis[2]

Cell Line:	HeLa and HEK293 cell lines
Concentration:	0.5 μmol/L
Incubation Time:	8 to 24 hours
Result:	Strongly prevents the desferrioxamine-dependent HIF-1a accumulation after 8 to 24 hours, whereas it does not affect HIF-1b levels.

In Vivo

Camptothecin (2 mg/kg every other day) treats mice, has developed numerous pulmonary metastases.
Treatment with both kinase inhibitor of nuclear factor-kappaB-1 (KINK-1) and Camptothecin led to a statistically significant reduction in the number of pulmonary metastases[5].

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

Animal Model:	C57BL6 mice (injected with B16F10 melanoma cells)[5]
Dosage:	2 mg/kg
Administration:	every other day, after 19 days
Result:	Has developed numerous pulmonary metastases.

CUSTOMER VALIDATION

- Immunity. 2022 Aug 9;55(8):1370-1385.e8.
- ACS Nano. 2024 Mar 4.

- Nat Commun. 2022 Aug 16;13(1):4822.
- Nat Commun. 2021 Aug 16;12(1):4961.
- Nat Commun. 2019 Aug 21;10(1):3761.

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REFERENCES

[1]. Luzzio MJ, et al. Synthesis and antitumor activity of novel water soluble derivatives of camptothecin as specific inhibitors of topoisomerase I. Synthesis and antitumor activity of novel water soluble derivatives of camptothecin as specific inhibitors of topoisomerase I.

[2]. Bertozzi D, et al. The natural inhibitor of DNA topoisomerase I, camptothecin, modulates HIF-1α activity by changing miR expression patterns in human cancer cells. Mol Cancer Ther. 2014;13(1):239-248.

[3]. Schön M, et al. KINK-1, a novel small-molecule inhibitor of IKKbeta, and the susceptibility of melanoma cells to antitumoral treatment. J Natl Cancer Inst. 2008;100(12):862-875..

[4]. Huang Q, et al. Evolution in medicinal chemistry of E-ring-modified Camptothecin analogs as anticancer agents. Eur J Med Chem. 2013;63:746-757.

[5]. Tesauro C, et al. Topoisomerase I activity and sensitivity to camptothecin in breast cancer-derived cells: a comparative study. BMC Cancer. 2019;19(1):1158. Published 2019 Nov 29.

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