Camptothecin

Cat. No.: HY-16560

CAS No.: 7689-03-4

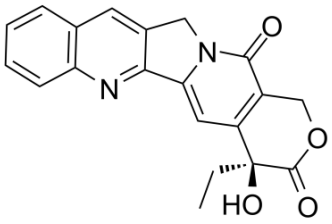
Molecular Formula: $C_{20}H_{16}N_2O_4$

Molecular Weight: 348.35

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

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

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (17.94 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	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.

BIOLOGICAL ACTIVITY

Description

Camptothecin (Campathecin) is a potent DNA enzyme topoisomerase I inhibitor, with an IC_{50} of 679 nM.

IC_{50} & Target

Topoisomerase I 679 nM (IC_{50})	Camptothecins

In Vitro

[^{3}H]BrCPT labeling of topoisomerase I is enhanced greatly by the presence of DNA; very little labeling of isolated topoisomerase I or isolated DNA occurrs. Even in the presence of DNA, [^{3}H]BrCPT labeling of topoisomerase I is inhibited by camptothecin, suggesting that both CPT and BrCPT bind to the same site on the DNA-topoisomerase I binary complex[1]. With increasing concentrations of camptothecin, closed circular pRR322 DNA (form I) is converted to nicked circular DNA (form 11). This apparent nicking activitv of camptothecin required DNA topoisomerase I[2].

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

PROTOCOL

Kinase Assay [1]	Each reaction mixture (200 μL, total volume) contained 2 μg of supercoiled pDPT2789 DNA (2.4 nM plasmid concentration), 50 mM Tris-HCl, pH 7.5, 120 mM KCl, 10 mM $MgCl_2$, 0.5 mM EDTA, 0.05% dimethyl sulfoxide, 1% methanol, 100 μg/mL BSA, 4.3 ng of calf thymus topoisomerase I (0.26 nM), and a CPT derivative. The reaction mixture is incubated at 37°C, and at the indicated times 20-μL aliquots are removed and terminated by the addition of 5 μL of SDS/Ficoll stop mix (final concentrations, 0.5% SDS, 2% Ficoll, 0.025% bromphenol blue). The samples are loaded onto a 1% agarose gel and analyzed by electrophoresis. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2019 Aug 21;10(1):3761.
- Nat Commun. 2018 Oct 8;9(1):4139.
- Cell Death Differ. 2020 Nov;27(11):3162-3176.
- Autophagy. 2021 Mar 22;1-17.
- J Cell Physiol. 2019 Mar;234(3):2683-2692.

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REFERENCES

[1]. Hertzberg RP, et al. Irreversible trapping of the DNA-topoisomerase I covalent complex. Affinity labeling of the camptothecin binding site. J Biol Chem. 1990 Nov 5;265(31):19287-95.

[2]. Hsiang YH, et al. Camptothecin induces protein-linked DNA breaks via mammalian DNA topoisomerase I. J Biol Chem. 1985 Nov 25;260(27):14873-8.

[3]. 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.

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