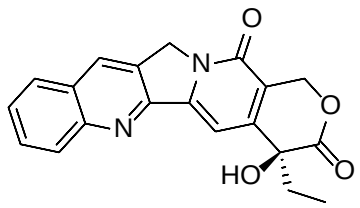
Product data sheet

MedKoo Cat#: 406279 Name: Camptothecin CAS#: 7689-03-4 Chemical Formula: $C_{20}H_{16}N_2O_4$ Exact Mass: 348.1110 Molecular Weight: 348.35	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Camptothecin (CPT) is a cytotoxic quinoline alkaloid which inhibits the DNA enzyme topoisomerase I (topo I). It was discovered in 1966 by M. E. Wall and M. C. Wani in systematic screening of natural products for anticancer drugs. It was isolated from the bark and stem of Camptotheca acuminata (Camptotheca, Happy tree), a tree native to China used as a cancer treatment in Traditional Chinese Medicine. CPT showed remarkable anticancer activity in preliminary clinical trials but also low solubility and (high) adverse drug reaction. Because of these disadvantages synthetic and medicinal chemists have developed numerous syntheses of Camptothecin and various derivatives to increase the benefits of the chemical, with good results. Two CPT analogues have been approved and are used in cancer chemotherapy today, topotecan and irinotecan.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	2.0	5.74
DMSO	3.75	10.77
DMSO:PBS (pH 7.2) (1:3)	0.25	0.72

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.87 mL	14.35 mL	28.71 mL
5 mM	0.57 mL	2.87 mL	5.74 mL
10 mM	0.29 mL	1.44 mL	2.87 mL
50 mM	0.06 mL	0.29 mL	0.57 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Wolff DW, Lee MH, Jothi M, Mal M, Li F, Mal AK. Camptothecin exhibits topoisomerase1-independent KMT1A suppression and myogenic differentiation in alveolar rhabdomyosarcoma cells. Oncotarget. 2018 May 25;9(40):25796-25807. doi: 10.18632/oncotarget.25376. PMID: 29899822; PMCID: PMC5995248.
2. Gigliotti CL, Minelli R, Cavalli R, Occhipinti S, Barrera G, Pizzimenti S, Cappellano G, Boggio E, Conti L, Fantozzi R, Giovarelli M, Trotta F, Dianzani U, Dianzani C. In Vitro and In Vivo Therapeutic Evaluation of Camptothecin-Encapsulated β-Cyclodextrin Nanosponges in Prostate Cancer. J Biomed Nanotechnol. 2016 Jan;12(1):114-27. doi: 10.1166/jbn.2016.2144. PMID: 27301177.

In vivo study

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1. Wolff DW, Lee MH, Jothi M, Mal M, Li F, Mal AK. Camptothecin exhibits topoisomerase1-independent KMT1A suppression and myogenic differentiation in alveolar rhabdomyosarcoma cells. Oncotarget. 2018 May 25;9(40):25796-25807. doi: 10.18632/oncotarget.25376. PMID: 29899822; PMCID: PMC5995248.
2. Gigliotti CL, Minelli R, Cavalli R, Occhipinti S, Barrera G, Pizzimenti S, Cappellano G, Boggio E, Conti L, Fantozzi R, Giovarelli M, Trotta F, Dianzani U, Dianzani C. In Vitro and In Vivo Therapeutic Evaluation of Camptothecin-Encapsulated β-Cyclodextrin Nanosponges in Prostate Cancer. J Biomed Nanotechnol. 2016 Jan;12(1):114-27. doi: 10.1166/jbn.2016.2144. PMID: 27301177.

7. Bioactivity

Biological target: Camptothecin (CPT) is a DNA enzyme topoisomerase I inhibitor with an IC50 of 679 nM.

In vitro activity

Treatment of cells with CPT as well as its derivatives CPT-11 and SN38 influences KMT1A independently of DNA damage induction, which raises the possibility that CPT can modulate KMT1A activity. The effect of CPT on KMT1A activity was examined in an in vitro histone methyltransferase (HMTase) assay. The data shows dose-dependent inhibition of KMT1A methyltransferase activity in the presence of CPT (Figure 6A). Furthermore, a subsequent experiment showed that CPT-11 and SN38 have similar dose-dependent inhibitory effects on KMT1A methyltransferase activity in this assay system (Figure 6B). Collectively, these data demonstrate that CPT can directly inhibit KMT1A activity in vitro.

Reference: Oncotarget. 2018 May 25;9(40):25796-25807. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5995248/

In vivo activity

The in vivo effect of CPT-11 on differentiation was evaluated using an Rh30 aRMS (alveolar rhabdomyosarcoma) xenograft model. Tumor-bearing mice were treated with CPT-11 or PBS as a control, and tumor volume was measured weekly. A substantial reduction in tumor growth was observed in treated animals (Supplementary Figure 2B). Tumor sections from CPT-11 treated and control mice were subjected to immunohistochemical (IHC) analysis for MyHC, and proliferation marker Ki-67 following experimental endpoints. A decrease in Ki-67-positive cells and an increase in MyHC-positive cells were evident in tumor sections from CPT-11 treated mice (Figure 3B). Additionally, lysates from tumor samples were analyzed via immunoblot for KMT1A and MyoG expression. The data shows a loss of KMT1A and induction of MyoG from tumors in mice treated with CPT-11 compared to PBS control (Figure 3C), demonstrating these biochemical changes in therapeutically achievable concentrations in mice. Collectively, these data demonstrate that treatment with CPT-11 leads to the suppression of cell and tumor growth coupled with induction of terminal myogenic differentiation in aRMS.

Reference: Oncotarget. 2018 May 25;9(40):25796-25807. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5995248/