# 9-Aminocamptothecin

**Cat. No.:** HY-100309

**CAS No.:** 91421-43-1

**Molecular Formula:** $C_{20}H_{17}N_3O_4$

**Molecular Weight:** 363.37

**Target:** Topoisomerase

**Pathway:** Cell Cycle/DNA Damage

**Storage:**

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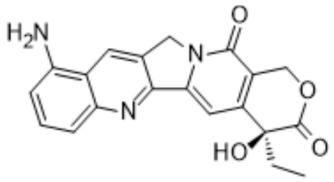
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 3.33 mg/mL (9.16 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.7520 mL | 13.7601 mL | 27.5202 mL |
| 5 mM | 0.5504 mL | 2.7520 mL | 5.5040 mL |
| 10 mM | --- | --- | --- |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.33 mg/mL (0.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.33 mg/mL (0.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.33 mg/mL (0.91 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

9-Aminocamptothecin (9-Amino-CPT) is a topoisomerase I inhibitor with potent anticancer activity[1].

**$IC_{50}$ & Target**

Topoisomerase I

**In Vitro**

In human breast (MCF-7), bladder (MGH-U1), and colon (HT-29) cancer cell lines, 9-Aminocamptothecin cytotoxicity increases with both higher drug concentrations and longer exposure times. Minimal cell killing is also observed unless 9-Aminocamptothecin concentrations exceeds a threshold of 2.7 nm[1]. 9-Aminocamptothecin inhibits PC-3, PC-3M, DU145,

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|---|---|
| | and LNCaP cells with $IC_{50}$ values of 34.1, 10, 6.5, and 8.9 nM, respectively after 96 h of drug exposure[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | 9-Aminocamptothecin (9-Amino-CPT) inhibits tumor growth at the lowest oral dose (0.35 mg/kg/day), whereas higher oral doses (0.75 and 1 mg/kg/day) and s.c. administration (4 mg/kg/week) causes tumor regression. 9-Aminocamptothecin is well tolerated at all doses, with no toxic death or weight loss of more than 10% observed in any group[2]. 9-Aminocamptothecin induces complete remissions in 55 % of SCID mice engrafted with human myeloid leukemia. The oral and intravenous routes are equally effective. The results with this pre-clinical model support the evaluation of 9-Aminocamptothecin as antileukemic agent in a phase I trial in patients with AML[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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|---|---|
| **Cell Assay** [1] | The cytotoxicity of 9-amino-CPT (9-amino-20(S)-camptothecin) is assessed by clonogenic assay. Exponentially growing cells are resuspended in media, cell number is determined using an electronic counter, and 100–250 cells are inoculated in triplicate onto 60 15-mm dishes containing 5 mL of medium. After an overnight incubation, 5 μL of 9-Aminocamptothecin stock solutions are added to the dishes to achieve final concentrations of 0, 0.27, 1.37, 2.74, 13.7, 27.4, 137, and 274 nM. After 4-, 8-, 12-, 24-, 48-, 72-, and 240-h exposures, medium is removed by aspiration and fresh medium is added to the dishes. Percentage of survival at each drug concentration with different exposure time is determined from the ratio of the number of the colonies in the drug-treated sample:the number in the control (DMSO vehicle-treated) sample[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [3] | Mice: Treatment with 9-Aminocamptothecin is started on day 7 after inoculation with KBM-3 cells. Five groups of 5 mice each (average weight of 22 g) are used and treatment is administered daily 4 days a week for 3 weeks as follows: 1) group 1 control mice are injected IV with PBS; 2) group 2 mice receive 1.33 mg/kg 9-Aminocamptothecin IV, 3) group 3 mice receive 1.33 mg/kg 9-Aminocamptothecin orally by gavage; 4) group 4 mice receive 2.0 mg/kg 9-Aminocamptothecin IV; 5) group 5 mice receive 2.0 mg/kg 9-Aminocamptothecin orally by gavage[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Li ML, et al. Pharmacological determinants of 9-aminocamptothecin cytotoxicity. Clin Cancer Res. 2001 Jan;7(1):168-74.

[2]. de Souza PL, et al. 9-Aminocamptothecin: a topoisomerase I inhibitor with preclinical activity in prostate cancer. Clin Cancer Res. 1997 Feb;3(2):287-94.

[3]. Jeha S, et al. Activity of oral and intravenous 9-aminocamptothecin in SCID mice engrafted with human leukemia. Leuk Lymphoma. 1998 Dec;32(1-2):159-64.





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