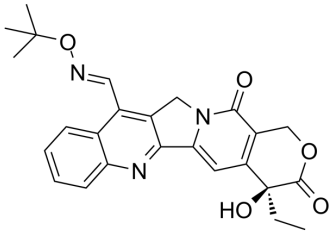
Gimatecan

Cat. No.:	HY-B0063
CAS No.:	292618-32-7
Molecular Formula:	$C_{25}H_{25}N_3O_5$
Molecular Weight:	447.48
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 : 33.33 mg/mL (74.48 mM; ultrasonic and warming and adjust pH to 10 with NaOH and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2347 mL	11.1737 mL	22.3474 mL
	5 mM	0.4469 mL	2.2347 mL	4.4695 mL
	10 mM	0.2235 mL	1.1174 mL	2.2347 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 (5.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gimatecan (ST1481) is a potent topoisomerase I inhibitor. Gimatecan is an orally bioavailable camptothecin analogue with antitumor activity[1].

IC_{50} & Target

Topoisomerase I

In Vitro

Gimatecan (3 to 300 ng/mL) significantly inhibits the growth of human bladder cancer models (HT1376 and MCR), thus reflecting antiproliferative potency[1].

Gimatecan causes a persistent S-phase arrest At 0.003 μg/mL and the number of S-phase cells increased after treatment with a higher concentration (0.03 μg/mL)[1].

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

Cell Proliferation Assay[1]

Cell Line:	HT1376 cells harbor a p53 mutation; MCR cells harbor two p53 mutations: one in exon 4 (CGC→CCC) and one in exon 9 (CAG→TAG)
Concentration:	3 to 300 ng/mL
Incubation Time:	1, 6, and 24 hours
Result:	IC_{50}s of 90±3 and 9.0±0.4 ng/mL for MCR and HT1376 cells after treatment for 1 hours. IC_{50}s of 5.0±0.2 and 2.8±0.1 ng/mL for MCR and HT1376 cells after treatment for 24 hours. The growth-inhibitory effect was dose-dependent and time-dependent. HT1376 cells were more sensitive than MCR cells at least following a short-term exposure.

In Vivo

Gimatecan (2 mg/kg; treatment per os, every fourth day for four times) is effective for inhibiting tumor growth[1].

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

Animal Model:	Athymic Swiss nude mice bearing HT1376 model[1]
Dosage:	2 mg/kg
Administration:	Treatment per os, every fourth day for four times
Result:	Caused a marked tumor growth inhibition during treatment.

REFERENCES

[1]. Paola Ulivi, et al. Cellular Basis of Antiproliferative and Antitumor Activity of the Novel Camptothecin Derivative, Gimatecan, in Bladder Carcinoma Models. Neoplasia. 2005 Feb;7(2):152-61.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA