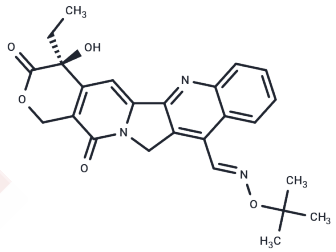
Data Sheet (Cat.No.T21319)

TargetMol

Gimatecan

Chemical Properties



CAS No. : 292618-32-7

Formula: C25H25N3O5

Molecular Weight: 447.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Gimatecan (STI481) is a potent topoisomerase I inhibitor. Gimatecan is an orally bioavailable camptothecin analogue with antitumor activity.
Targets(IC50)	Topoisomerase
In vitro	Gimatecan (3 to 300 ng/mL) significantly inhibits the growth of human bladder cancer models (HT1376 and MCR), demonstrating antiproliferative potency. At 0.003 μg/mL, Gimatecan causes a persistent S-phase arrest, with an increased number of S-phase cells observed at a higher concentration (0.03 μg/mL)[1].
In vivo	Gimatecan (2 mg/kg; administered orally every fourth day for four doses) effectively inhibits tumor growth[1].

Solubility Information

Solubility	DMSO: 31.5 mg/mL (70.39 mM),when pH is adjusted to 10 with NaOH. Sonication and heating to 60°C are recommended. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2 mg/mL (4.47 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0447 mL	0.2235 mL	0.4469 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Frapolli R, Zucchetti M, Sessa C, Marsoni S, ViganÃ² L, Locatelli A, Rulli E, Compagnoni A, Bello E, Pisano C, Carminati P, D'Incalci M. Clinical pharmacokinetics of the new oral camptothecin gimatecan: the inter-patient variability is related to alpha1-acid glycoprotein plasma levels. Eur J Cancer. 2010 Feb;46(3):505-16. Epub 2009 Dec 16. PubMed PMID: 20007015.

Pecorelli S, Ray-Coquard I, Tredan O, Colombo N, Parma G, Tisi G, KatsarÃ²s D, LhommÃ© C, Lissoni AA, Vermorken JB, du Bois A, Poveda A, Frigerio L, Barbieri P, Carminati P, Brienza S, Guastalla JP. Phase II of oral gimatecan in patients with recurrent epithelial ovarian, fallopian tube or peritoneal cancer, previously treated with platinum and taxanes. Ann Oncol. 2010 Apr;21(4):759-65. Epub 2009 Nov 11. PubMed PMID: 19906760; PubMed Central PMCID: PMC2844948.

Pace S, Capocasa F, Tallarico C, Frapolli R, Zucchetti M, Longo A. Determination of total and lactone form of a new camptothecin derivative gimatecan (ST1481) and its metabolite ST1698 in human plasma by high-performance liquid chromatography with fluorimetric detection. J Pharm Biomed Anal. 2009 Oct 15;50(3):507-14. Epub 2009 May 27. PubMed PMID: 19553057.