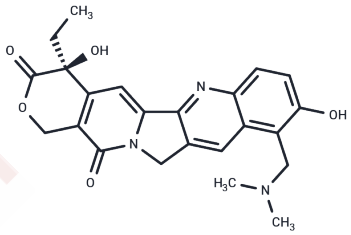
Data Sheet (Cat.No.T5784)

TargetMol

Topotecan

Chemical Properties



CAS No. : 123948-87-8

Formula: C23H23N3O5

Molecular Weight: 421.45

Storage: Store at low temperature
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	Topotecan (NSC-609669) is a Topoisomerase I inhibitor,and is an antineoplastic agent used to treat ovarian cancer that works by inhibiting DNA topoisomerases.
Targets(IC50)	Apoptosis,Autophagy,Topoisomerase
In vitro	Topoisomerase I inhibitors, ?topotecan, inhibited growth and induced apoptosis of GSCs as well as glioma cells, which suggested that they might be the potential anticancer agents targeting gliomas to provide a novel therapeutic strategy[1].
In vivo	Topotecan-hydrogels can deliver sustained concentrations of active drug into the vitreous with excellent biocompatibility in vivo and pronounced cytotoxic activity in retinoblastoma cells and may become an additional strategy for intraocular retinoblastoma treatment[2].
Cell Research	After isolated and identified the GSCs from glioma cells successfully, U251, U87, GSCs-U251 and GSCs-U87 cells were administrated with various concentrations of shikonin or topotecan at different time points to seek for the optimal administration concentration and time point.?The cell viability, cell cycle and apoptosis were detected using cell counting kit-8 and flow cytometer to observe the inhibitory effects on glioma cells and GSCs[1].
Animal Research	Hydrogel cytotoxicity was evaluated in retinoblastoma cells as a surrogate for efficacy and Topotecan vitreous pharmacokinetics and systemic as well as ocular toxicity were evaluated in rabbits. The pseudoplastic behavior of the hydrogels makes them suitable for intraocular administration. In vitro release profiles showed a sustained release of Topotecan from PCL-PEG-PCL up to 7days and drug loading did not affect the release pattern. Blank hydrogels did not affect retinoblastoma cell viability but 0.4% (w/w) Topotecan-loaded hydrogel was highly cytotoxic for at least 7days. After intravitreal injection, Topotecan vitreous concentrations were sustained above the pharmacologically active concentration. One month after injection, animals with blank or Topotecan-loaded hydrogels showed no systemic toxicity or retinal impairment on fundus examination, electroretinographic, and histopathological assessments[2].

Solubility Information

Solubility	DMSO: 84 mg/mL (199.31 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.83 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	2.3728 mL	11.8638 mL	23.7276 mL
5 mM	0.4746 mL	2.3728 mL	4.7455 mL
10 mM	0.2373 mL	1.1864 mL	2.3728 mL
50 mM	0.0475 mL	0.2373 mL	0.4746 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

Feng-Lei Z , Ping W , Yun-Hui L , et al. Topoisomerase I Inhibitors, Shikonin and Topotecan, Inhibit Growth and Induce Apoptosis of Glioma Cells and Glioma Stem Cells[J]. PLoS ONE, 2013, 8(11):e81815-.

Zeng X, Zhu S, Lu W, et al. Target identification among known drugs by deep learning from heterogeneous networks. Chemical Science. 2020, 11(7): 1775-1797.

Taich P , Moretton M A , Del Sole, María Jose, et al. Sustained-release hydrogels of topotecan for retinoblastoma[J]. Colloids and Surfaces B: Biointerfaces, 2016, 146:624-631.

Zeng H, Xie H, Ma Q, et al.Identification of N-(3-(methyl (3-(orotic amido) propyl) amino) propyl) oleanolamide as a novel topoisomerase I catalytic inhibitor by rational design, molecular dynamics simulation, and biological evaluation.Bioorganic Chemistry.2023: 106734.

Zeng X, Zhu S, Lu W, et al. Target identification among known drugs by deep learning from heterogeneous networks[J]. Chemical Science. 2020, 11(7): 1775-1797.