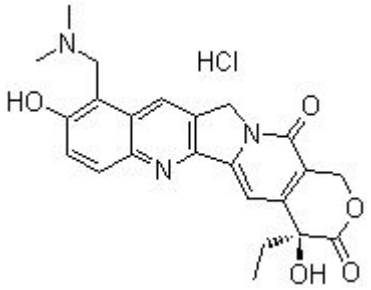
Product Introduction

Topotecan HCl

Topotecan (NSC 609699) is a topoisomerase I inhibitor for MCF-7 Luc cells and DU-145 Luc cells with IC50 of 13 nM and 2 nM, respectively.

Technical Data:

Molecular Weight (MW):	457.91	
Formula:	$C_{23}H_{23}N_3O_5$.HCl	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 92 mg/mL	
	Water 92 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	119413-54-6	

Biological Activity

Stronger drug activity of Topotecan is observed for DU-145 Luc and MCF-7 Luc cells. [1] Topotecan causes cytotoxicity during the course of DNA replication by stabilizing the covalent complex between topoisomerase I and DNA and preventing the religation of enzyme-linked single-strand DNA break. Topotecan stabilizes topoisomerase I/DNA cleavable complexes in radiation-resistant human B-lineage acute lymphoblastic leukemia (ALL) cells, causes rapid apoptotic cell death despite high-level expression of bcl-2 protein, and inhibits ALL cell clonogenic growth in a dose-dependent fashion. [2]

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Animals inoculate s.c. with DU-145 Luc cells and then treated with Topotecan demonstrates significant tumor growth and regression as measured with calipers and luminescent imaging. The correlation coefficient is 0.75 for the control untreated group and 0.93 for the Topotecan-treated group. Similarly, tumor progression and regression are measurable using luminescent imaging for untreated and Topotecan-treated mice inoculated i.p. with MCF-7 Luc cells. [1] Topotecan elicited potent antileukemic activity in severe combined immune-deficiency (SCID) mouse models of human poor prognosis ALL. Topotecan markedly improved event-free survival of SCID mice challenged with otherwise fatal doses of humaln leukemia cells at systemic drug exposure levels. [2] Gliomas preferentially express TRAIL R2 and that treatment with Topotecan significantly up-regulates its expression. [3]
Topotecan is a water-soluble derivative of camptothecin.

References

[1] Caceres G, et al. Anticancer Drugs. 2003, 14(7), 569-574.
[2] Uckun FM, et al. Blood. 1995. 85(10), 2817-2828.
[3] Ciusani E, et al. J Neurooncol. 2005, 71(1), 19-25.
[4] McCluskey AG, et al. J Nucl Med. 2012, 53(7), 1146-1154.
[5] Romanelli S, et al. Cancer Chemother Pharmacol. 1998, 41(5), 385-390.

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