

Exatecan

Chemical Properties

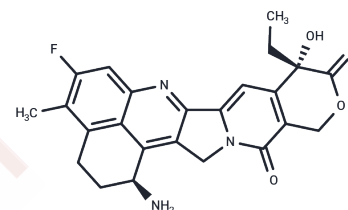
CAS No. : 171335-80-1

Formula: C₂₄H₂₂FN₃O₄

Molecular Weight: 435.45

Storage: Store at low temperature, Keep away from moisture
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	Exatecan (DX-8951f) is a DNA topoisomerase I (TOP1) inhibitor with an IC ₅₀ value of 2.2 μM (0.975 μg/mL). Exatecan has antitumor activity and may be used in cancer research.
Targets(IC ₅₀)	ADC Cytotoxin, Topoisomerase
In vitro	Exatecan (DX-8951f) displays cytotoxic activities against PC-6, and PC-6/SN2-5 cells, with mean GI ₅₀ s of 0.186 and 0.395 ng/mL, respectively.[2] Exatecan (34 nM) stabilizes DNA-TopoI complexes in PC-6 and PC-6/SN2-5 cells.[2] Exatecan is a potent topoisomerase I inhibitor, with an IC ₅₀ of 0.975 μg/mL.[2] Exatecan significantly inhibits the proliferation of several cancer cell lines, with mean GI ₅₀ s of 2.02 ng/mL, 2.92 ng/mL, 1.53 ng/mL, and 0.877 ng/mL for breast cancer cells, colon cancer cells, stomach cancer cells, and lung cancer cells, respectively.[2]
In vivo	Exatecan (DX-8951f) (3.325-50 mg/kg; i.v.) exhibits antitumor activities in the mice model bearing tumor cells, without toxic death.[3] Exatecan (15, 25 mg/kg; i.v.) highly inhibits MIA-PaCa, BxPC-3 primary tumor growth in the MIA-PaCa-2 early-stage model and early-stage model of BxPC-3. Exatecan also significantly suppresses BxPC-3 lymphatic metastasis and completely eliminates lung metastasis in the BxPC-3 late-stage cancer model.[3]

Solubility Information

Solubility	DMSO: 20 mg/mL (45.93 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2965 mL	11.4824 mL	22.9647 mL
5 mM	0.4593 mL	2.2965 mL	4.5929 mL
10 mM	0.2296 mL	1.1482 mL	2.2965 mL
50 mM	0.0459 mL	0.2296 mL	0.4593 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

Mitsui I, et al. A new water-soluble camptothecin derivative, DX-8951f, exhibits potent antitumor activity against human tumors in vitro and in vivo. *Jpn J Cancer Res.* 1995 Aug;86(8):776-82.

Sun FX, et al. Efficacy of camptothecin analog DX-8951f (Exatecan Mesylate) on human pancreatic cancer in an orthotopic metastatic model. *Cancer Res.* 2003 Jan 1;63(1):80-5.

Joto N, et al. DX-8951f, a water-soluble camptothecin analog, exhibits potent antitumor activity against a human lung cancer cell line and its SN-38-resistant variant. *Int J Cancer.* 1997 Aug 7;72(4):680-6.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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