SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

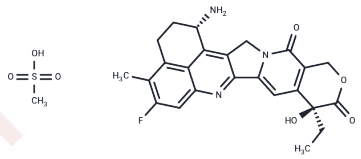
linkedin.com/company/szaboscandic

Data Sheet (Cat.No.TQ0088)

TargetMol

Exatecan Mesylate

Chemical Properties



CAS No. : 169869-90-3

Formula: C25H26FN3O7S

Molecular Weight: 531.55

Appearance: no data available

Storage: keep away from direct sunlight,store under nitrogen
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Exatecan Mesylate (DX8951f) is a DNA topoisomerase I inhibitor (IC50: 2.2 μM, 0.975 μg/mL).
Targets(IC50)	Topoisomerase,ADC Cytotoxin
In vitro	Exatecan Mesylate (DX-8951f) significantly inhibits the proliferation of several cancer cell lines, with mean GI50s 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 [1]. Exatecan displays cytotoxic activities against PC-6, PC-6/SN2-5 cells, with mean GI50s of 0.186 and 0.395 ng/mL, respectively. Exatecan Mesylate (34 nM) stabilizes DNA-TopoI complexes in PC-6 and PC-6/SN2-5 cells [2].
In vivo	Exatecan Mesylate (3.325-50 mg/kg, i.v.) exhibits antitumor activities in the mice model bearing tumor cells, without toxic death[1]. Exatecan Mesylate (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 Mesylate (15, 25 mg/kg, i.v.) also significantly suppresses BxPC-3 lymphatic metastasis and completely eliminates lung metastasis in the BxPC-3 late-stage cancer model [3].
Kinase Assay	Cells (5×10^6) are lysed with SDS buffer (10 mM HEPES, 2 mM orthovanadate, 10 mM NaF, 10 mM pyrophosphate, 1 mM PMSF, 10 μg/mL leupeptin, 10% 2-mercaptoethanol, 10% glycerol,8% SDS, 42 mM Tris-HCl, 0.002% bromophenol blue, pH 7.4). Protein in the whole-cell lysates is separated in 7.5% polyacrylamide gel and blotted onto the nitrocellulose membrane. The membrane is treated with anti-Topo I human antibody and subsequently, with horseradish peroxidase-conjugated protein A. The Topo I-specific band is detected with ECL reagents. To obtain a nuclear extract, cells (5×10^7) are washed with ice-cold buffer (2 mM K2HPO4, 5 mM MgCl2, 150 mM NaCl, 1 mM EGTA, 0.1 mM dithiothreitol), resuspended in buffer containing 0.35% Triton-X100 and PMSF and then incubated on ice for 10 min. The resulting lysates are centrifuged, and precipitates are then incubated with buffer containing 0.35 M NaCl for 1 hr at 4°C. After centrifugation (18,000g, 10 min), the protein concentration of the supernatant (nuclear extract) is determined using a protein assay kit. The same amount of nuclear protein is analyzed by Western blotting analysis using the anti-Topo I antibody [2].
Cell Research	Growth inhibition experiments are carried out in 96-well flat-bottomed microplates, and the amount of viable cells at the end of the incubation is determined by MTT assay. Thus, 500-20000 cells/well in 150 μL of medium are plated and grown for 24 h (P388,

	CCRF-CEM and K562 cells for 4h), the drug (including Exatecan Mesylate, in 150 μL medium/well), or the medium alone as a control, is added, and the cells are cultured for an additional 3 days. After the addition of MTT (20 μL/well, 5 mg/mL in phosphate-buffered saline), the plates are incubated for 4 h and centrifuged at 800 g for 5 min, then the medium is removed and the blue dye formed is dissolved in 150 μL of DMSO. the absorbance is measured at 540 nm using a Microplate Reader [1].
Animal Research	At 3 weeks after BxPC-3-GFP and MIA-PaCa-2-GFP orthotopic implantation, mice are randomized into five different groups of 5 mice each for treatment purposes. Group 1 serves as the negative control and does not receive any treatment. Groups 2 and 3 are treated with Exatecan Mesylate at 25 and 15 mg/kg/dose, respectively. Groups 4 and 5 receive gemcitabine treatments at 300 and 150 mg/kg/dose, respectively. At 6 weeks after BxPC-3-GFP orthotopic implantation, mice are randomized into three different groups of 20 mice each for treatment purposes. Group 1 serves as the negative control and does not receive any treatment. Group 2 is treated with 25 mg/kg/dose Exatecan Mesylate and group 3 receives 300 mg/kg/dose gemcitabine. Dosing for both drugs is performed once a week for 3 weeks, discontinued for 2 weeks, and then continued for another 3 weeks. In both early and late cancer models, primary tumor size and body weights are measured once a week. Tumor volumes are calculated using the formula a × b2 × 0.5, where a and b represent the larger and smaller diameters, respectively. At the termination of the studies, mice are sacrificed and explored. Final tumor weights and direct GFP images of primary tumor and metastases are recorded for each mouse. The tumor growth IR is calculated using the formula IR (%) = (1 ? TWt/TWc) × 100, where TWt and TWc are the mean tumor weight of treated and control groups, respectively [3].

Solubility Information

Solubility	DMSO: 8 mg/mL (15.05 mM),Sonication is recommended. H2O: 6 mg/mL (11.29 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8813 mL	9.4065 mL	18.8129 mL
5 mM	0.3763 mL	1.8813 mL	3.7626 mL
10 mM	0.1881 mL	0.9406 mL	1.8813 mL
50 mM	0.0376 mL	0.1881 mL	0.3763 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.

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.