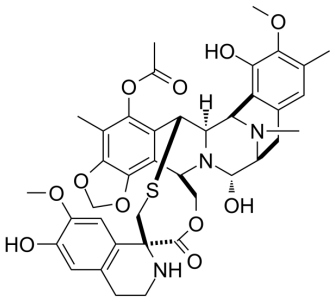
Trabectedin

Cat. No.:	HY-50936
CAS No.:	114899-77-3
Molecular Formula:	$C_{39}H_{43}N_3O_{11}S$
Molecular Weight:	761.84
Target:	Apoptosis; Reactive Oxygen Species
Pathway:	Apoptosis; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB
Storage:	-20°C, protect from light, stored under nitrogen * The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (43.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3126 mL	6.5631 mL	13.1261 mL
	5 mM	0.2625 mL	1.3126 mL	2.6252 mL
	10 mM	0.1313 mL	0.6563 mL	1.3126 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.28 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (3.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Trabectedin (Ecteinascidin 743; ET-743) is a tetrahydroisoquinoline alkaloid with potent antitumor activity. Trabectedin binds to the minor groove of DNA, blocks transcription of stress-induced proteins, induces DNA backbone cleavage and cancer cells apoptosis, and increases the generation of ROS in MCF-7 and MDA-MB-453 cells. Trabectedin has the potential for soft tissue sarcoma and ovarian cancer research[1][2][3].

IC_{50} & Target

IC50: 0.1 nM (MX-1 cells), 1.5 nM (MCF7 cells) and 3.7 nM (MCF7/DXR cells)[1]
Reactive oxygen species (ROS)[2]
Apoptosis[2]

In Vitro

Trabectedin (ET-743; 10 nM; 24-72 hours; MCF7 cells) treatment results in cell accumulation in late S to G2 phase[1].
Trabectedin inhibits cell growth of MX-1, MCF7 and MCF7/DXR cells with IC_{50} values of 0.1 nM, 1.5 nM and 3.7 nM, respectively[1].
Trabectedin induces cytotoxicity and apoptosis in both breast cancer cells in a time and concentration-dependent manner. The expression levels of the death receptor pathway molecules, TRAIL-R1/DR4, TRAIL-R2/DR5, FAS/TNFRSF6, TNF RI/TNFRSF1A, and FADD are significantly increased by 2.6-, 3.1-, 1.7-, 11.2- and 4.0-fold by Trabectedin treatment in MCF-7 cells. In MDA-MB-453 cells, the mitochondrial pathway related pro-apoptotic proteins Bax, Bad, Cytochrome c, Smac/DIABLO, and Cleaved Caspase-3 expressions are induced by 4.2-, 3.6-, 4.8-, 4.5-, and 4.4-fold, and the expression levels of anti-apoptotic proteins Bcl-2 and Bcl-XL are reduced by 4.8- and 5.2-fold in MDA-MB-453 cells[2].
In vitro treatment with noncytotoxic concentrations of Trabectedin selectively inhibits the production of CCL2, CXCL8, IL-6, VEGF, and PTX3 by myxoid liposarcoma (MLS) primary tumor cultures and/or cell lines[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	MCF7 cells
Concentration:	10 nM
Incubation Time:	24 hours, 48 hours, 72 hours
Result:	Led to pronounced S-G2-M accumulation.

In Vivo

Trabectedin (ET-743; 30-50 μg/kg; intravenous injection; every three days; female athymic nude mice) treatment increases the antitumor effects in nude mice bearing MX-1 mammary carcinoma xenografts without increasing toxicity[1].
A xenograft mouse model of human myxoid liposarcoma (MLS) shows marked reduction of CCL2, CXCL8, CD68+ infiltrating macrophages, CD31+ tumor vessels, and partial decrease of PTX3 after Trabectedin treatment[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic nude mice bearing the nu/nu gene (5-6 weeks old, 18-20 g) injected with MX-1 cells[1]
Dosage:	30 μg/kg, 40 μg/kg, 50 μg/kg
Administration:	Intravenous injection; every three days
Result:	Increased the antitumor effects in nude mice bearing MX-1 mammary carcinoma xenografts without increasing toxicity.

CUSTOMER VALIDATION

- Lab Invest. 2023: 100039.
- bioRxiv. 2024 Mar 3.
- The Ohio State University. 2023 Oct.

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REFERENCES

[1]. Takahashi N, et al. Sequence-dependent synergistic cytotoxicity of ecteinascidin-743 and NSC 125973 in human breast cancer cell linesin vitro and in vivo. Cancer Res. 2002 Dec 1;62(23):6909-15.

[2]. Germano G, et al. Antitumor and anti-inflammatory effects of trabectedin on human myxoid liposarcoma cells. Cancer Res. 2010 Mar 15;70(6):2235-44.

[3]. Atmaca H, et al. A diverse induction of apoptosis by trabectedin in MCF-7 (HER2-/ER+) and MDA-MB-453 (HER2+/ER-) breast cancer cells. Toxicol Lett. 2013 Jun 20;221(2):128-136.

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