Eribulin mesylate

Cat. No.: HY-13442A

CAS No.: 441045-17-6

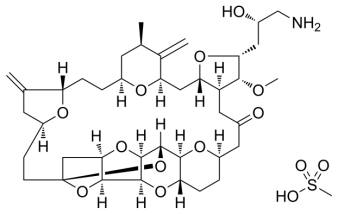
Molecular Formula: $C_{41}H_{63}NO_{14}S$

Molecular Weight: 826

Target: Microtubule/Tubulin; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis

Storage: -80°C, protect from light, stored under nitrogen



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (121.07 mM)

Ethanol : ≥ 100 mg/mL (121.07 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2107 mL	6.0533 mL	12.1065 mL
	5 mM	0.2421 mL	1.2107 mL	2.4213 mL
	10 mM	0.1211 mL	0.6053 mL	1.2107 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.03 mM); Clear solution
4. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.03 mM); Clear solution
5. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.03 mM); Clear solution
6. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eribulin (E7389) mesylate is a microtubule targeting agent that is used for the research of metastatic breast cancer. Eribulin mesylate inhibits the proliferation of cancer cells by binding microtubule proteins and microtubules.

In Vitro

Eribulin mesylate (1-100 nM; 72 h) inhibits cells proliferation, with IC_{50}s of 22.8 and 21.5 nM for LM8 and Dunn cells, respectively[1].
Eribulin mesylate (10-50 nM; 12-72 h) increases early apoptosis significantly after 24 h treatment at the dose of 50 nM in LM8 cells[1].
Eribulin mesylate (10-50 nM; 12-72 h) induces G2/M arrest by 12 h treatment with at the dose of 50 nM, but not by long-term treatment (72 h) with 10 nM in LM8 cells[1].
Eribulin mesylate (1-50 nM; 12 h) does not induce senescence in LM8 cells[1].
Eribulin mesylate (1-10 nM; 16 h) induces morphological change and suppresses cell migration in a low concentration in LM8 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	LM8 cells and Dunn cells
Concentration:	0, 1, 10, 100 nM
Incubation Time:	72 hours
Result:	Inhibited cells proliferation in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	LM8 cells
Concentration:	0, 10, 50 nM
Incubation Time:	12, 24, 48, 72 hour
Result:	Induced early apoptosis after 12 h at the concentration of 50 nM. Not detected apoptosis at the concentration of 10 nM.

Cell Cycle Analysis[1]

Cell Line:	LM8 cells
Concentration:	0, 10, 50 nM
Incubation Time:	12, 24, 48, 72 hour
Result:	Induced G2/M arrest by 12 h treatment with 50 nM. No G2/M arrest was induced by10 nM treatment.

In Vivo

Eribulin mesylate (1 mg/kg; i.v. once a week for 2 weeks) reduces primary tumor growth and lung metastasis of osteosarcoma in mice[1].
Eribulin mesylate (1 mg/kg; once i.v.) suppresses circulating tumor cells (CTC) appearance in the low-concentration phase [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C3H/HeN mice (4-week-old) are injected LM8 cells[1]
Dosage:	1 mg/kg
Administration:	I.v. once a week for 2 weeks

Result:	Suppressed primary tumor growth and induced apoptosis in tumor cells.Reduced lung metastasis.

CUSTOMER VALIDATION

- iScience. 6 September 2022, 105081.

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REFERENCES

[1]. Okouneva, T., et al., Inhibition of centromere dynamics by eribulin (E7389) during mitotic metaphase. Mol Cancer Ther, 2008. 7(7): p. 2003-11.

[2]. Smith, J.A., et al., Eribulin binds at microtubule ends to a single site on tubulin to suppress dynamic instability. Biochemistry, 2010. 49(6): p. 1331-7.

[3]. Towle, M.J., et al., Eribulin induces irreversible mitotic blockade: implications of cell-based pharmacodynamics for in vivo efficacy under intermittent dosing conditions. Cancer Res, 2011. 71(2): p. 496-505.

[4]. Watanabe K, et, al. Low-dose eribulin reduces lung metastasis of osteosarcoma in vitro and in vivo. Oncotarget. 2019 Jan 4; 10(2): 161-174.

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