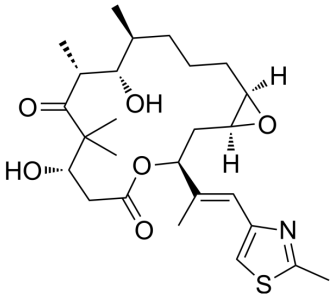
Epothilone A

Cat. No.:	HY-13503
CAS No.:	152044-53-6
Molecular Formula:	$C_{26}H_{39}NO_6S$
Molecular Weight:	493.66
Target:	Microtubule/Tubulin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (253.21 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0257 mL	10.1284 mL	20.2569 mL
	5 mM	0.4051 mL	2.0257 mL	4.0514 mL
	10 mM	0.2026 mL	1.0128 mL	2.0257 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: ≥ 2.08 mg/mL (4.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epothilone A is a competitive inhibitor of the binding of [^{3}H] paclitaxel to tubulin polymers, with a K_i of 0.6-1.4 μM.

In Vitro

Epothilone A is a competitive inhibitor of the binding of [^{3}H] paclitaxel to tubulin polymers. The apparent K_i value for Epothilone A is 1.4 μM by Hanes analysis and 0.6 μM by Dixon analysis[1]. Epothilone A, is noted to be highly cytotoxic (IC_{50} =0.05 μM) in vitro when applied to the human T-24 bladder carcinoma cell line. The binding affinity of Epothilone A to tubulin is of the same order of magnitude as the binding affinity of paclitaxel to tubulin based on competition assays. The IC_{50} for displacement of 100 nM of (^{3}H) paclitaxel from the tubulin binding site is 3.6 μM for paclitaxel, 2.3 μM for Epothilone A, and 3.3 μM for patupilone[2].

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

REFERENCES

[1]. Kowalski RJ, et al. Activities of the microtubule-stabilizing agents epothilones A and B with purified tubulin and in cells resistant to paclitaxel (Taxol(R)). J Biol Chem. 1997 Jan 24;272(4):2534-41.

[2]. Cheng KL, et al. Novel microtubule-targeting agents - the epothilones. Biologics. 2008 Dec;2(4):789-811.

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