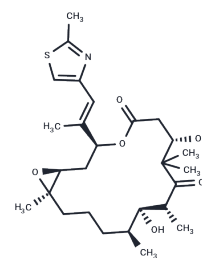


## Epothilone B

## Chemical Properties

CAS No. :	152044-54-7
Formula:	C <sub>27</sub> H <sub>41</sub> NO <sub>6</sub> S
Molecular Weight:	507.68
Storage:	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	Epothilone B (EPO 906) is a compound isolated from the myxobacterium Sorangium cellulosum. Similar to paclitaxel, patupilone induces microtubule polymerization and stabilizes microtubules against depolymerization conditions. In addition to promoting tubulin polymerization and stabilization of microtubules, this agent is cytotoxic for cells overexpressing P-glycoprotein, a characteristic that distinguishes it from the taxanes. Patupilone may cause complete cell-cycle arrest.
Targets(IC50)	Apoptosis, Microtubule Associated, Antibacterial, Antibiotic, Antifungal
In vitro	Epothilone B shows better activity than Epothilone A. The EC <sub>0.01</sub> of Epothilone B is 1.8 μM. Epothilone B potently inhibits cell proliferation in HCT116 cells, with IC <sub>50</sub> of 0.8 nM. [1] Epothilone B induces mitotic arrest and displays cytotoxicity in KB3-1, KBV-1, HeLa, and Hs578T cells, with IC <sub>50</sub> of 3 nM to 92 nM. Epothilone B competes with Taxol in binding to microtubules, with IC <sub>50</sub> of 3.3 μM. [2] In MCF-7 cells overexpressing GFP-α-tubulin, Epothilone B (3.5 nM) efficiently blocks microtubule dynamics. Meanwhile, Epothilone B induces mitotic arrest with IC <sub>50</sub> of 3.5 nM. [3] In multiple myeloma (MM) cells, including RPMI 8226, U266, MM.1S, LR5, and MR20, Epothilone B directly suppresses proliferation with IC <sub>50</sub> of 1 nM to 10 nM. Similarly, Epothilone B (10 nM) also induces cell cycle arrest and apoptosis. [4] A recent study reveals that, in ovarian cancer Hey cells, Epothilone B (5 nM-100 nM) enhances surface epithelial cell adhesion antigen (EpCAM), without affecting the transcription or the total cellular level of EpCAM. [5]
In vivo	In a mouse xenograft model of RPMI 8226 cells, Epothilone B (2.5 mg/kg-4 mg/kg) prolongs survival and suppresses tumor growth. [4] Similarly, in mouse xenograft models of prostate cancer cells, including DU145 and PC3, Epothilone B at the same dose also inhibits tumor growth. [6]
Kinase Assay	Tubulin polymerization assay: Calf brain microtubule proteins (MTP) are purified, which includes approximately 15%-20% microtubule associated proteins. The buffer (MES buffer) used for the Epothilone B-microtubule studies contains 0.1 M 2-morpholinoethanesulfonic acid (MES), 1 mM EGTA, 0.5 mM MgCl <sub>2</sub> , and 3 M glycerol at pH 6.6. Samples for electron microscopy are placed on carbon-over-Parlodion-coated grids (300 mesh) and negatively stained with 2% uranyl acetate. Microtubule assembly in the presence or absence of Epothilone B is monitored spectrophotometrically by using a spectrophotometer equipped with a thermostatically regulated liquid circulator. The temperature is held at 35 °C and changes in turbidity (representative of polymer mass) are monitored at 350 nm. Effective concentration (EC <sub>0.01</sub> ), defined as the interpolated

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Kinase Assay	concentration capable of inducing an initial slope of 0.01 OD/min rate, is calculated using the formula $EC_{0.01} = \text{concentration/slope}$ and expressed as the mean with standard deviation obtained from three different concentrations.
Cell Research	For mitotic block and aberrant mitosis, cells are plated either in 48-well plates (for trypan blue and cell counting) or onto coverslips. After 24 hours, cells are treated with Etoposide B and scored at regular intervals. For the cytotoxicity analysis, cells are counted and scored as trypan blue positive or negative. Concurrently, coverslips and aliquots of cells in the culture supernatant are fixed and stained with Hoechst33342 in PBS. These cells are scored for cells blocked at the G2/M transition and aberrant mitosis. (Only for Reference)

### Solubility Information

Solubility	DMSO: 250 mg/mL (492.44 mM), Sonication is recommended. ( $< 1$ mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.97 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9697 mL	9.8487 mL	19.6974 mL
5 mM	0.3939 mL	1.9697 mL	3.9395 mL
10 mM	0.197 mL	0.9849 mL	1.9697 mL
50 mM	0.0394 mL	0.197 mL	0.3939 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

- Regueiro-Ren A, et al. Org Lett, 2001, 3(17), 2693-2696.
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- Shahabi S, et al. Gynecol Oncol, 2010, 119(2), 345-350.

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