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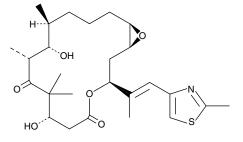
Product Information



Epothilone A

Item No. 16482

| CAS Registry No.: | 152044-53-6 |
|-------------------|---|
| Formal Name: | (1S,16R)-7S,11S-dihydroxy-8,8,10R,12S-tetramethyl- |
| | 3S-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]- |
| | 4,17-dioxabicyclo[14.1.0]heptadecane-5,9-dione |
| Synonym: | Epo A |
| MF: | $C_{26}H_{39}NO_{6}S$ |
| FW: | 493.7 |
| Purity: | ≥95% |
| Stability: | ≥2 years at -20°C |
| Supplied as: | A crystalline solid |
| UV/Vis.: | λ _{max} : 211, 250 nm |



Laboratory Procedures

For long term storage, we suggest that epothilone A (Epo A) be stored as supplied at -20°C. It should be stable for at least two years.

Epo A is supplied as a crystalline solid. A stock solution may be made by dissolving the epo A in the solvent of choice. Epo A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of epo A in ethanol and DMF is approximately 20 mg/ml and approximately 15 mg/ml in DMSO.

Epo A is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, epo A should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Epo A has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Epo A is a biologically active macrolide produced from the fermentation of the soil bacteria S. cellulosum that was discovered as a potent antimicrotubule agent.¹⁻³ In competition assays, epo A binds to β -tubulin with a similar order of magnitude as the binding of paclitaxel (Item No. 10461) to β -tubulin (IC₅₀s = 2.3 and 3.6 μ M, respectively).^{1.4} Epo A is cytotoxic to human T-24 bladder carcinoma cells (IC₅₀ = 0.05 μ M *in vitro*) but has poor pharmacological properties and is 2-fold less potent in stabilizing microtubules compared to epothilone B (Item No. 10924).¹

References

- 1. Cheng, K.L., Bradley, T., and Budman, D.R. Novel microtubule-targeting agents the epothilones. Biologics 2(4), 789-811 (2008).
- Bollag, D.M., McQueney, P.A., Zhu, J., et al. Epothilones, a new class of microtubule-stabilizing agents with a taxol-2. like mechanism of action. Cancer Res. 55(11), 2325-2333 (1995).
- Goodin, S., Kane, M.P., and Rubin, E.H. Epothilones: Mechanism of action and biologic activity. J. Clin. Oncol. 3. 22(10), 2015-2025 (2011).
- 4. Kowalski, R.J., Giannakakou, P., and Hamel, E. Activities of the microtubule-stabilizing agents epothilones A and B with purified tubulin and in cells resistant to paclitaxel (Taxol[®]). J. Biol. Chem. 272(4), 2534-2541 (1997).

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