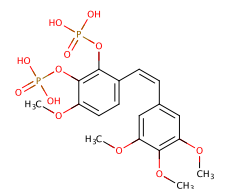


Combretastatin A-1 phosphate tetrasodium

Chemical Properties

CAS No. : 288847-34-7
 Formula: C₁₈H₁₈Na₄O₁₂P₂
 Molecular Weight: 580.24
 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	Combretastatin A-1 phosphate (OXi-4503) tetrasodium, a prodrug of Combretastatin A-1, is a tubulin-binding microtubule polymerization inhibitor targeting the colchicine-binding site. By inducing tubulin depolymerization, it inhibits the Wnt/ β -catenin pathway and deactivates AKT, possessing both anti-tumor and anti-vascular properties.
Targets(IC50)	Others, Microtubule Associated, Wnt/beta-catenin
In vitro	Combretastatin A-1 phosphate effectively inhibits growth in a variety of tumor cell lines in vitro, including HepG2, SMMC-7721, Hepa 1-6, LM-3, Bel-7402, Huh7, BGC-803, MDA-MB-231, MCF-7, A375, NCI-1975, CT-26, HT-29, and A549 cells, with IC ₅₀ values ranging from 9.2 to 2247.0 nM after a treatment duration of 72 hours. At concentrations between 1 and 10 nM over 24 hours, it initiates apoptosis in HepG2 cells through the disruption of microtubules leading to AKT inactivation and subsequent removal of GSK-3 β inhibition. Additionally, within 6 hours at concentrations of 1 to 50 nM, it decreases the mitochondrial membrane potential (MMP) and induces dose-dependent ROS accumulation in HepG2 cells. Western blot analysis confirms that at concentrations of 1, 5, and 10 nM, treated for 24 hours, there is a significant decrease in Mcl-1 expression level in HepG2 cells with unchanged Bcl-2 levels, a reduction in phospho-GSK 3 β (Ser9) indicating GSK-3 β activation, and lowered AKT phosphorylation at Ser473 without a change in total AKT protein levels.
In vivo	Combretastatin A-1 phosphate administered intravenously (i.v.) at doses of 1-4 mg/kg every other day for four weeks significantly reduced tumor volume in a HepG2 subcutaneous xenograft model, with marked effects observed at 2 mg/kg or 4 mg/kg doses. Additionally, a dose of 2 mg/kg administered every other day for 21 days demonstrated enhanced apoptosis in an orthotopic hepatocellular carcinoma mouse model. This was tested using male athymic BALB/c nu/nu mice, ranging from 16-18 g and aged between 4-6 weeks, which were inoculated with HepG2 cells.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7234 mL	8.6171 mL	17.2342 mL
5 mM	0.3447 mL	1.7234 mL	3.4468 mL
10 mM	0.1723 mL	0.8617 mL	1.7234 mL
50 mM	0.0345 mL	0.1723 mL	0.3447 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

Stratford MRL, et, al. Quantitative determination of the anticancer prodrug combretastatin A1 phosphate (OXI4503, CA1P), the active CA1 and its glucuronide metabolites in human urine and of CA1 in plasma by HPLC with mass spectrometric detection. *J Chromatogr B Analyt Technol Biomed Life Sci.* 2012 Jun 1;898:1-6.

Mao J, et, al. Combretastatin A-1 phosphate, a microtubule inhibitor, acts on both hepatocellular carcinoma cells and tumor-associated macrophages by inhibiting the Wnt/ β -catenin pathway. *Cancer Lett.* 2016 Sep 28;380(1):134-43.

Holwell SE, et, al. Anti-tumor and anti-vascular effects of the novel tubulin-binding agent combretastatin A-1 phosphate. *Anticancer Res.* Nov-Dec 2002;22(6C):3933-40.

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