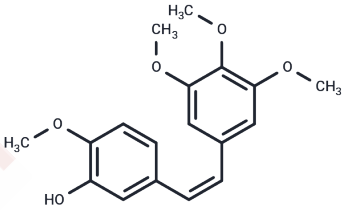
Data Sheet (Cat.No.T6212)

TargetMol

Combretastatin A4

Chemical Properties



CAS No. : 117048-59-6

Formula: C18H20O5

Molecular Weight: 316.35

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Combretastatin A4 (CA4) is a microtubule-targeting agent that binds β-tubulin (Kd: 0.4 μM).
Targets(IC50)	Microtubule Associated
In vitro	In NT2 and MDA-MB-231 breast tumor models, Combretastatin A4 (100 mg/kg, i.p.) significantly reduced lipid R1 levels and decreased pO2 as measured by Electron Paramagnetic Resonance Oxygen Imaging. Additionally, Combretastatin A4 (100 mg/kg, i.p.) notably reduced Ktrans in male NMRI mice.
In vivo	Combretastatin A4, at a concentration of 1 μM, inhibits microtubule polymerization by 35%, while a concentration of 10 μM nearly completely blocks it. Additionally, Combretastatin A4 effectively inhibits the growth of a variety of cell lines, including MDA-MB-231, Hela, A549, HL-60, SF295, HCT-8, MDA-MB435, OVCAR-8, PC3M, NCI-H358M, and lymphocytes, with respective IC50 values of 2.8, 0.9, 3.8, 2.1, 6.2, 5.3, 7.9, 0.37, 4.7, 8, and 3.2 nM.
Kinase Assay	Competitive binding assay using LC-MS/MS: Colchicine (1.2 μ M) is incubated with tubulin (1.3 mg/mL) in the incubation bu?er (80 mM PIPES, 2.0 mM MgCl2, 0.5 mM EGTA, pH 6.9) at 37℃ for 1 h. Varying concentrations (0.1 ? 125 μ M) of Combretastatin A4 are used to compete with colchicine originally bound to tubulin. After incubation, the ?ltrate is obtained. The ability of the analogue to inhibit the binding of colchicine is expressed as a percentage of control binding in the absence of any competitor.
Cell Research	MDA-MB-231, A549, and HeLa cells are grown in DMEM medium (115 units/mL of penicillin G, 115 μg/mL of streptomycin, and 10% fetal bovine serum). Cells are seeded in 96-well plates (5000 cells/well) containing 50 μL of growth medium for 24 h. After medium removal, 100 μL of fresh medium containing individual analogue compounds at di?erent concentrations is added to each well and incubated at 37 ℃ for 72 h. After 24 h of culture, the cells are supplemented with 50 μL of analogue compounds dissolved in DMSO (less than 0.25% in each preparation). After 72 h of incubation, 20 μL of resazurin is added for 2 h before recording ?uorescence at 560 nm (excitation) and 590 nm (emission) using a Victor microtiter plate ?uorimeter. The IC50 is de?ned as the compound concentration required to inhibit cell proliferation by 50% in comparison with cells treated with the maximum amount of DMSO (0.25%) and considered as 100% viability.(Only for Reference)

Solubility Information

Solubility	Ethanol: 31.6 mg/mL (99.89 mM),Sonication is recommended. DMSO: 45 mg/mL (142.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1611 mL	15.8053 mL	31.6106 mL
5 mM	0.6322 mL	3.1611 mL	6.3221 mL
10 mM	0.3161 mL	1.5805 mL	3.1611 mL
50 mM	0.0632 mL	0.3161 mL	0.6322 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.

Reference

Zheng S, et al. J Med Chem. 2014, 57(8), 3369-3381.
Colliez F, et al. Magn Reson Med. 2015, doi: 10.1002/mrm.25642.
Fruytier AC, et al. NMR Biomed. 2014, 27(11), 1403-1412.
Tochinai R, et al. Combretastatin A4 disodium phosphate-induced myocardial injury. J Toxicol Pathol. 2016 Jul;29 (3):163-71.
Signoretto E, et al. Stimulation of Eryptosis by Combretastatin A4 Phosphate Disodium (CA4P). Cell Physiol Biochem. 2016;38(3):969-81