Product Datasheet

Technical Data

Formula	$C_{18}H_{20}O_5$					
Molecular Weight	316.35	**CAS No.**	117048-59-6			
Solubility (25°C)*	In vitro	DMSO	63 mg/mL (199.14 mM)			
		Ethanol	34 mg/mL (107.47 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
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

Biological Activity

Description	Combretastatin A4 is a **microtubule**-targeting agent that binds β-tubulin with $\mathbf{K_d}$ of 0.4 μM. Phase 3.
Targets	β-tubulin [1] 0.4 μM(Kd)
In vitro	Combretastatin A4 inhibits the growth of MDA-MB-231, A549, Hela, HL-60, SF295, HCT-8, MDA-MB435, PC3M, OVCAR-8, NCI-H358M, and lymphocyte cells with IC50 of 2.8, 3.8, 0.9, 2.1, 6.2, 5.3, 7.9, 4.7, 0.37, 8, and 3.2 nM, respectively.[1] [2] 1 μM of this compound inhibits tubulin polymerization by 35%, and 10 μM nearly completely blocks tubulin polymerization. This chemical demonstrates great relative binding capacity, reaching 78% of colchicine binding.[1]
In vivo	In NT2 and MDA-MB-231 mammary tumor model, administration of Combretastatin A4 (100 mg/kg, i.p.) induces a significant decrease in lipids R1 and a reduction in tumor pO2 measured by electron paramagnetic resonance (EPR) oximetry.[2] This compound (100 mg/kg, i.p.) significant decreases the K^{trans} in male NMRI mice.[3]

Protocol (from reference)

Kinase Assay:[1]

- **Competitive binding assay using LC-MS/MS**
  Colchicine (1.2 μ M) is incubated with tubulin (1.3 mg/mL) in the incubation buffer (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 this compound are used to compete with colchicine originally bound to tubulin. After incubation, the filtrate 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 Assay:[1]

- **Cell lines**
  MDA-MB-231, A549, and Hela cells
- **Concentrations**
  ~3.8 nM
- **Incubation Time**
  72 h
- **Method**
  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 different 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 fluorescence at 560 nm (excitation) and 590 nm (emission) using a Victor microtiter plate fluorimeter. The IC50 is defined 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.

Animal Study:[2]

- **Animal Models**
  FVB/N or nude NMRI female mice bearing NT2 and MDA-MB-231 tumors
- **Dosages**

100 mg/kg

- **Administration**

  i.p.

References

https://pubmed.ncbi.nlm.nih.gov/24669888/ https://pubmed.ncbi.nlm.nih.gov/25765253/ https://pubmed.ncbi.nlm.nih.gov/25323069/

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SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

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