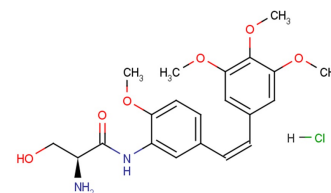


Ombrabulin hydrochloride

Chemical Properties

CAS No.:	253426-24-3
Formula:	C ₂₁ H ₂₇ ClN ₂ O ₆
Molecular Weight:	438.9
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Ombrabulin hydrochloride is a derivative of CA-4 phosphate. It is known to show antivasular effects through selective disruption of the tubulin cytoskeleton of endothelial cells.
Targets(IC ₅₀)	tubulin: None
In vitro	Comparative analysis of the nonlinear least-squares regression of the dose-response curves for each agent alone and combination Ombrabulin /Docetaxel show a significantly lower IC ₅₀ than either agent alone (P<0.005, all cell lines). The effect of Ombrabulin on endothelial or tumor cell viability is examined using the MTT assay. The IC ₅₀ of Ombrabulin for the mouse mesenteric endothelial cells (MMEC) is 10 nM and ranges between 7 and 20 nM for the tumor cell lines (HeyA8, SKOV3ip1, and HeyA8-MDR). The cytotoxicity of Docetaxel is 2- to 4-fold greater in combination with Ombrabulin for the endothelial and tumor cells compared with Docetaxel alone[1].
In vivo	The tolerability of various doses of Ombrabulin ranging from 10 to 100 mg/kg is tested given twice weekly via i.v., i.p., or s.c. routes in nude mice (n=3 per group) before performing therapy experiments. The i.p. route is well tolerated with doses up to 100 mg/kg. Starting 7 days after tumor cell injection, nude mice (n=5 per group) bearing HeyA8 ovarian cancer cells are treated with either vehicle or Ombrabulin 10, 30, 50, and 100 mg/kg twice weekly i.p. for 3 weeks. There is a 65% reduction in tumor weight in the 30 mg/kg group compared with the vehicle control group (P<0.02). The 10 mg/kg dose is not effective. The antitumor effects at doses >30 mg/kg are not significantly better; therefore, the 30 mg/kg dose is selected for subsequent therapy experiments[1].

Solubility Information

Solubility	H ₂ O: 20 mg/mL (45.57 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.278 mL	11.392 mL	22.784 mL
5 mM	0.456 mL	2.278 mL	4.557 mL
10 mM	0.228 mL	1.139 mL	2.278 mL
50 mM	0.046 mL	0.228 mL	0.456 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Kim TJ, et al. Antitumor and antivascular effects of AVE8062 in ovarian carcinoma. Cancer Res. 2007 Oct 1;67(19):9337-45.

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