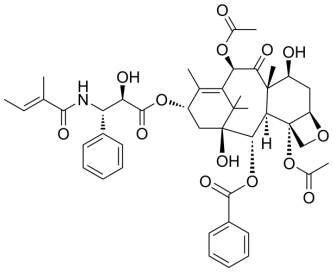
Cephalomannine

Cat. No.:	HY-77554
CAS No.:	71610-00-9
Molecular Formula:	$C_{45}H_{53}NO_{14}$
Molecular Weight:	831.9
Target:	Microtubule/Tubulin; HIF/HIF Prolyl-Hydroxylase
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (120.21 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent, Mass	1 mg	5 mg	10 mg
1 mM	1.2021 mL	6.0103 mL	12.0207 mL
5 mM	0.2404 mL	1.2021 mL	2.4041 mL
10 mM	0.1202 mL	0.6010 mL	1.2021 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cephalomannine is a Paclitaxel (HY-B0015) alkaloidal analog that can be isolated from most Cephalotaxus species. Cephalomannine is an orally active anti-tumor agent and can be used as a chemotherapy agent for cancer research[1][2][3][4].

IC_{50} & Target

HIF-1α

In Vitro

Cephalomannine significantly inhibits the proliferation of H460, A549 and H1299 cells under normal oxygen conditions, with IC_{50} values of 0.18, 0.20 and 0.37 μM, respectively. Cephalomannine shows obvious inhibitory effect on cell viability under hypoxia[3].

Cephalomannine (0, 0.025, 0.05, 0.1 μM; 0, 12, 24h) inhibiting the interaction of APEX1/HIF-1α can also significantly inhibit the cell viability, ROS production, intracellular pH, migration of hypoxic lung cancer cells, and angiogenesis of hypoxic

human umbilical vein endothelial cells (HUVECs)[3].
Cephalomannine dose-dependently inhibits UBE2S expression and thus suppresses prostate cancer (PCa) growth and metastasis[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Line:	
Concentration:	
Incubation Time:	
Result:	

RT-PCR[3]

Cell Line:	H460 and A549 cell lines
Concentration:	0, 0.025, 0.05, 0.1 μM
Incubation Time:	0, 12, 24h
Result:	After 24 h, the relative expression of APEX1 and HIF-1α was significantly inhibited.

Immunofluorescence[3]

Cell Line:	H460 and A549 cell lines
Concentration:	0, 0.025, 0.05, 0.1 μM
Incubation Time:	0, 12, 24h
Result:	HIF-1α levels were increased in hypoxic lung cancer cells.

Western Blot Analysis[3]

Cell Line:	H460 and A549 cell lines
Concentration:	0, 0.025, 0.05, 0.1 μM
Incubation Time:	0, 12, 24h
Result:	The expression of APEX1 and HIF-1α was decreased.

In Vivo

Cephalomannine (0.4 mg/kg, intraperitoneal injection, 10 days) could significantly inhibit the growth of lung cancer cells in nude mice xenograft model, with no obvious side effects[3].
Cephalomannine can interrupt the interaction between APEX1 and HIF-1α by competitive binding with APEX1[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/C nude mice xenograft model[3]
Dosage:	0.4 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Reduced the volume and weight of H460 xenograft tumors.

Animal Model:	BALB/c nude mice bone metastasis xenograft model[4]

Dosage:	10 mg/kg, 20 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Decreased bone destruction, reduced bioluminescence intensity and number of bone metastases, significantly extended time to endpoint events, decreased UBE2S expression and number of osteoclasts, and did not produce significant toxicity to the heart, liver, or kidney of nude mice.

REFERENCES

[1]. Ullah, Asmat et al. Cephalomannine inhibits hypoxia-induced cellular function via the suppression of APEX1/HIF-1α interaction in lung cancer. Cell death & disease vol. 2021;12(5):490.

[2]. Peng S, et al. UBE2S as a novel ubiquitinated regulator of p16 and β-catenin to promote bone metastasis of prostate cancer. Int J Biol Sci. 2022 May 16;18(8):3528-3543.

[3]. Feng Gao, et al. Synthesis, isolation, stereostructure and cytotoxicity of paclitaxel analogs from cephalomannine.Fitoterapia. 2013 Oct;90:79-84.

[4]. Jianhua Li, et al. Microbial transformation of cephalomannine by Luteibacter sp. J Nat Prod. 2007 Dec;70(12):1846-9.

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