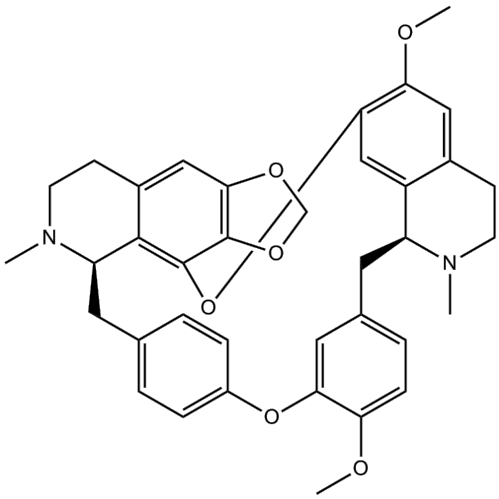
Product Data Sheet

Product Name: Cepharanthine
Cat. No.: GN10113

Chemical Properties

Cas. No.	481-49-2		
SMILES	CN1CCC2=CC3=C(C4=C2C1CC5=CC=C(C=C5)OC6=C(C=CC(=C6)CC7C8=CC(=C(C=C8CCN7C)OC)O4)OC)OCO3		
Formula	$C_{37}H_{38}N_2O_6$	M.Wt	606.71
Solubility	≥ 21.9mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines HT1376 cells

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Preparation Method	HT1376 cells were cultured in Roswell Park Memorial Institute-1640 medium supplemented with 10% fetal bovine serum (FBS) from a Chinese company and 1% antibiotics containing 100U/mL penicillin G and 100μg/mL streptomycin. Subsequently, cells were cultured under specific conditions maintained at 37°C, 5% CO_2, and 70% to 80% humidity. HT1376 cells were seeded in 96-well plates at a density of 5000 cells per well. After cell attachment, cells were treated with different concentrations (0, 5, 10, 15, 20, 25, and 30μM) of Cepharanthine (dissolved in dimethyl sulfoxide) for 24h. After washing with PBS, the cells were treated with CCK-8 reagent and incubated with complete medium for 2h at 37°C to determine the absorbance at 450nm.
Reaction Conditions	0, 5, 10, 15, 20, 25, and 30μM; 24h
Applications	Cepharanthine treatment reduced the cell viability of HT1376 cells in a concentration-dependent manner.

Animal experiment [2]:

Animal models	Female nude mice
Preparation Method	Twenty female nude mice were maintained under standard conditions, and each nude mouse was subcutaneously injected with 2×10^6 Hep3B cells in the right axilla. When the tumor diameter was between 5-8mm, the mice were randomly divided into control group, a positive control group (cisplatin; 5mg/kg), and treatment group (Cepharanthine; 20mg/kg), with 5 mice in each group. The drug was injected intraperitoneally every 2 days, and the longest (L) and longitudinal (R) axes of the tumor were recorded. The tumor volume (V) was calculated according to the following formula: $V=0.5\times L\times R^2$. After 24 days of treatment, the nude mice were sacrificed, and tumor tissues were collected and fixed overnight in 4% paraformaldehyde for subsequent immunohistochemical experiments.

Caution: Product has not been fully validated for medical applications. For research use only.
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Dosage form	20mg/kg every two days for 24 days; i.p.
Applications	Cepharanthine treatment significantly inhibited tumor weight and volume in mice, and decreased Ki67 expression in tumor tissues.

References:
[1] Chen B, Chen L, Yang J, et al. Cepharanthine inhibits migration, invasion, and EMT of bladder cancer cells by activating the Rap1 signaling pathway in vitro[J]. American journal of translational research, 2024, 16(5): 1602.
[2] Feng F, Pan L, Wu J, et al. Cepharanthine inhibits hepatocellular carcinoma cell growth and proliferation by regulating amino acid metabolism and suppresses tumorigenesis in vivo[J]. International journal of biological sciences, 2021, 17(15): 4340.

Background

Cepharanthine, a bisbenzylisoquinoline alkaloid, has potent antiviral activity with the EC_{50} values of 0.15μM and 0.026μM for SARS-CoV-2 and HIV-1, respectively[1]. Cepharanthine effectively reversed most of the dysregulated genes and pathways in virus-infected cells, including endoplasmic reticulum (ER) stress/unfolded protein response and heat shock factor 1 (HSF1)-mediated heat shock response[2]. Cepharanthine has been widely used as an anti-inflammatory agent to reduce the levels of TNF-α, IL-1β and IL-6 in cellular and animal models[3].

In vitro, Cepharanthine treatment for 48 hours significantly inhibited the proliferation of Eca109 cells with an IC_{50} value of 6.20 ± 0.17μM [4]. Treatment with 20μM Cepharanthine for 24 hours significantly inhibited the viability of HT1376 cells, reduced the migration and invasion of cells, and activated the Rap1 signaling pathway in the cells[5]. Pretreatment with 10μM Cepharanthine for 1h significantly inhibited lipopolysaccharide-induced NO production and iNOS and COX-2 expression in RAW264.7 cells[6].

In vivo, Cepharanthine (20mg/kg) administered intraperitoneally once every two days for 24 days significantly suppressed tumor weight and volume in a mouse model of hepatocellular carcinoma and reduced Ki67 expression in tumor tissues[7]. Cepharanthine treatment at a dose of 15mg/kg once

weekly via intraperitoneal injection for 12 weeks significantly ameliorated cartilage degeneration and prevented osteoarthritis (OA) in a mouse OA model[8].

References:

[1] Liu K, Hong B, Wang S, et al. Pharmacological activity of cepharanthine[J]. Molecules, 2023, 28(13): 5019.
[2] Shi L, Wang S, Zhang S, et al. Research progress on pharmacological effects and mechanisms of cepharanthine and its derivatives[J]. Naunyn-Schmiedeberg's Archives of Pharmacology, 2023, 396(11): 2843-2860.
[3] Liang D, Li Q, Du L, et al. Pharmacological effects and clinical prospects of cepharanthine[J]. Molecules, 2022, 27(24): 8933.
[4] Zhou P, Zhang R, Wang Y, et al. Cepharanthine hydrochloride reverses the mdr1 (P-glycoprotein)-mediated esophageal squamous cell carcinoma cell cisplatin resistance through JNK and p53 signals[J]. Oncotarget, 2017, 8(67): 111144.
[5] Chen B, Chen L, Yang J, et al. Cepharanthine inhibits migration, invasion, and EMT of bladder cancer cells by activating the Rap1 signaling pathway in vitro[J]. American journal of translational research, 2024, 16(5): 1602.
[6] Paudel K R, Karki R, Kim D W. Cepharanthine inhibits in vitro VSMC proliferation and migration and vascular inflammatory responses mediated by RAW264. 7[J]. Toxicology in vitro, 2016, 34: 16-25.
[7] Feng F, Pan L, Wu J, et al. Cepharanthine inhibits hepatocellular carcinoma cell growth and proliferation by regulating amino acid metabolism and suppresses tumorigenesis in vivo[J]. International journal of biological sciences, 2021, 17(15): 4340.
[8] Yao M, Zhang C, Ni L, et al. Cepharanthine ameliorates chondrocytic inflammation and osteoarthritis via regulating the MAPK/NF-κB-Autophagy pathway[J]. Frontiers in Pharmacology, 2022, 13: 854239.