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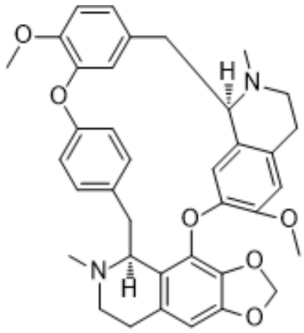
Cepharanthine



Cat. No.:	HY-N6972
CAS No.:	481-49-2
Molecular Formula:	$C_{37}H_{38}N_2O_6$
Molecular Weight:	606.71
Target:	SARS-CoV; Cytochrome P450; Apoptosis; Parasite
Pathway:	Anti-infection; Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (82.41 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6482 mL	8.2412 mL	16.4823 mL
	5 mM	0.3296 mL	1.6482 mL	3.2965 mL
	10 mM	0.1648 mL	0.8241 mL	1.6482 mL

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

In Vivo

1. Add each solvent one by one: 15% Cremophor EL >> 85% Saline
   Solubility: 6.02 mg/mL (9.92 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 6.02 mg/mL (9.92 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.12 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.43 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cepharanthine is a natural product that can be isolated from the plant Stephania cephalantha Hayata. Cepharanthine has anti-severe acute respiratory syndrome coronavirus 2 (anti-SARS-CoV-2) activities. Cepharanthine has good effective in suppressing viral proliferation (half maximal (50%) inhibitory concentration (IC_{50}) and 90% inhibitory concentration (IC_{90})

values of 1.90 and 4.46 μM[1]. Cepharanthine can also effectively reverses P-gp-mediated multidrug resistance in K562 cells and increase enhances the sensitivity of anticancer agents in xenograft mice model[2][3]. Cepharanthine shows inhibitory effects of human liver cytochrome P450 enzymes CYP3A4, CYP2E1 and CYP2C9. Cepharanthine has antitumor, anti-inflammatory and antinociceptive effects[4][5][6][7][8].

IC_{50} & Target	CYP3A4	CYP2E1	CYP2C9
	16.29 μM (IC_{50})	25.62 μM (IC_{50})	24.57 μM (IC_{50})

In Vitro

Cepharanthine (CEP) (2 μM, 48 h) inhibits cell viability and colony formation and induces apoptosis via the mitochondrial pathway in human TNBC cells[2].
Cepharanthine (2 μM, 48 h) Combinates with Epirubicin (HY-13624) impairs mitochondrial function and causes mitochondrial fission and apoptosis in MDA-MB-231 cells[2].
Cepharanthine (5 μM, 24 h) potently enhances the sensitivity of anticancer agents Doxorubicin (HY-15142A) and Vincristine (HY-N0488) and enhanced apoptosis induced by anticancer agents in K562 cells[3].
Cepharanthine (10-50 μM, 0.5-1 h) changes the distribution of Doxorubicin (HY-15142A) from cytoplasmic vesicles to nucleoplasm in K562 cells by inhibiting the acidification of cytoplasmic organellesin[3].
Cepharanthine (0-50 μM, 30 min) shows inhibitory effects of human liver cytochrome P450 enzymes CYP3A4,CYP2E1 and CYP2C9in vitro[4].
Cepharanthine(0-4 μM, 48 hours) blocks P. falciparum development in ring stage with IC_{50}s of 3.059, 0.927, 2.276, and 1.803 μM for FCM29, W2, 3D7 and K1, respectively[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	MDAMB-231 and BT549 cells
Concentration:	2 μM
Incubation Time:	48 h
Result:	Cepharanthine alone minimally increased apoptosis (~5% to ~10%), whereas combinated with Epirubicin (HY-13624) markedly increased apoptosis (~50%).

Western Blot Analysis[2]

Cell Line:	MDAMB-231 cells
Concentration:	2 μM
Incubation Time:	48 h
Result:	Combinated with Epirubicin (HY-13624) markedly resulted in oxidation of the actin-remodeling protein cofilin, which promoted formation of an intramolecular disulfide bridge between Cys39, Cys80 and Ser3 dephosphorylation, leading to mitochondria translocation of cofilin. Combinated with Epirubicin (HY-13624) induced mitochondrial fission in MDA-MB-231 cells.

Immunofluorescence[3]

Cell Line:	K562 cells or MIA-PaCa-2 cells
Concentration:	10,20,25,50 μM
Incubation Time:	0.5 h or 1 h
Result:	Made the intracellular localization of Doxorubicin (HY-15142A) in cytoplasmic vesicles shifted to the nucleoplasm.

	Decreased red AO (weakly basic fluorescence probe) fluorescence by dose-dependent mannar in K562 cells.

Cell Viability Assay[5]

Cell Line:	P. falciparum cultivated in type A+ human erythrocytes
Concentration:	2 μM
Incubation Time:	48 h
Result:	Blocked P. falciparum development in ring stage with IC_{50}s of 3.059, 0.927, 2.276, and 1.803 μM for FCM29, W2, 3D7 and K1, respectively.

In Vivo

Cepharanthine (12 mg/kg, i.p., once daily for 36 days) enhances the therapeutic efficacy of Epirubicin (HY-13624) in MDA-MB-231 cell xenografts[2].
Cepharanthine (10 mg/kg, i.p., single dose) prevents LPS-induced pulmonary vascular injury in rats by inhibiting leukocyte activation[6].
Cepharanthine (CE)(10 mg/kg, i.p., single dose) exerts anti-inflammatory effects via NF-kB inhibition in a LPS-induced rat model of systemic inflammation[7].
Cepharanthine (20-180 mg/kg, i.p.) results in a dose-dependent antinociceptive effect with an ED_{50} value of 24.5 mg/kg in mice pain models[8].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MDA-MB-231 cell xenografts in mice[1]
Dosage:	12 mg/kg
Administration:	Intraperitoneal injection (i.p.), once daily for 36 days
Result:	Combinated with Epirubicin (HY-13624) greatly enhanced the therapeutic efficacy compared with administration of either drug alone.

Animal Model:	LPS-induced pulmonary vascular injury in male Wistar rats[6]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection (i.p.), single dose
Result:	Decreased LPS-induced pulmonary vascular injury. Significantly inhibited the increases in plasma tumor necrosis factor-a (TNF-a) concentrations.

Animal Model:	LPS-induced Wistar rat model of systemic inflammation[7]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection (i.p.), single dose
Result:	Significantly inhibited the increase in LPS-induced IL-6, TNF-α and nitrate/nitrite levels. Reduced interstitial edema and inflammatory cell compared with the control group. Reduced pathologic abnormalities, such as vacuolization, dot necrosis, striped necrosis, and bridging necrosis appeared, and inflammatory cells compared with the control group.

	group compared with the LPS group.
Animal Model:	Mice pain models in Kunming (KM) strain male and female mice [8]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Resulted in a dose-dependent antinociceptive effect with an ED_{50} value of 24.5 mg/kg in mice pain models. Significantly decreased the intestinal propulsion with maximal inhibition at 33.6%.

CUSTOMER VALIDATION

- SSRN. 2023 Sep 21.
- Oxid Med Cell Longev. 2022 Feb 9;2022:4295208.
- bioRxiv. 2020 Jun.

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REFERENCES

[1]. Shen LW, et.al. Cepharanthine sensitizes human triple negative breast cancer cells to chemotherapeutic agent epirubicin via inducing cofilin oxidation-mediated mitochondrial fission and apoptosis. Acta Pharmacol Sin. 2022 Jan;43(1):177-193.

[2]. Ikeda R, et.al. Cepharanthine potently enhances the sensitivity of anticancer agents in K562 cells. Cancer Sci. 2005 Jun;96(6):372-6.

[3]. Zhang X, et.al. In vitro inhibitory effects of cepharanthine on human liver cytochrome P450 enzymes. Pharm Biol. 2020 Dec;58(1):247-252.

[4]. Hua P, et.al. Cepharanthine induces apoptosis through reactive oxygen species and mitochondrial dysfunction in human non-small-cell lung cancer cells. Biochem Biophys Res Commun. 2015 May 1;460(2):136-42.

[5]. Desgrouas C, et.al. In vitro antiplasmodial activity of cepharanthine. Malar J. 2014 Aug 22;13:327.

[6]. Murakami K, et.al. The prevention of lipopolysaccharide-induced pulmonary vascular injury by pretreatment with cepharanthine in rats. Am J Respir Crit Care Med. 2000 Jan;161(1):57-63.

[7]. Wei XY, et,al. Antinociceptive activities and mechanism of action of Cepharanthine. Biochem Biophys Res Commun. 2022 Jul 23;614:219-224.

[8]. Hijikata A, et al. Evaluating cepharanthine analogues as natural drugs against SARS-CoV-2. FEBS Open Bio. 2022;12(1):285-294

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