Palmatine hydroxide

Cat. No.: HY-N0110B

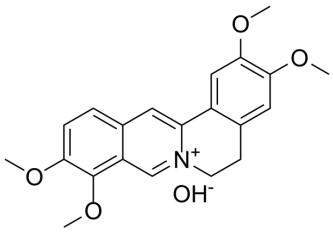
CAS No.: 131-04-4

Molecular Formula: $C_{21}H_{23}NO_5$

Molecular Weight: 369.41

Target: Indoleamine 2,3-Dioxygenase (IDO); Virus Protease; Aurora Kinase; Apoptosis; Bacterial; Parasite

Pathway: Metabolic Enzyme/Protease; Anti-infection; Cell Cycle/DNA Damage; Epigenetics; 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 : 15.62 mg/mL (42.28 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.7070 mL	13.5351 mL	27.0702 mL
	5 mM	0.5414 mL	2.7070 mL	5.4140 mL
	10 mM	0.2707 mL	1.3535 mL	2.7070 mL

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

BIOLOGICAL ACTIVITY

Description

Palmatine hydroxide is an orally active and irreversible indoleamine 2,3-dioxygenase 1 (IDO-1) inhibitor with IC_{50}s of 3 μM and 157μM against HEK 293-hIDO-1 and rhIDO-1, respectively. Palmatine hydroxide can also inhibit West Nile virus (WNV) NS2B-NS3 protease in an uncompetitive manner with an IC_{50} of 96 μM. Palmatine hydroxide shows anti-cancer, anti-oxidation, anti-inflammatory, neuroprotection, antibacterial, anti-viral activities[1][2][3][4][5].

IC_{50} & Target			
	IDO-1 3 μM (IC_{50}, HEK 293-hIDO-1)	IDO-1 157 μM (IC_{50}, rhIDO-1)	WNV NS2B-NS3 96 μM (IC_{50})

In Vitro

Palmatine (0-100 μM; 42 h) suppresses WNV with an EC_{50} value of 3.6 μM, and reduce the viral titers of DENV-2 and YFV with EC_{50} values of 26.4 μM and 7.3 μM, respectively[3].

Palmatine (0-1128 μM; 24-72 h) inhibits colon cancer cell proliferation[5].

Palmatine (0-704 μM; 24 h) reduces AURKA protein levels, induces G2/M phase arrest, and induces apoptosis in colon cancer

cells via the mitochondrial associated pathway[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[5]

Cell Line:	HCT-116, SW480, HT-29
Concentration:	0, 88, 176, 352, and 704 μM (HCT-116, SW480); 0, 141, 282, 564, and 1128 μM (HT-29)
Incubation Time:	24, 48 and 72 h
Result:	Decreased cell viability in a dose-dependent manner.

Western Blot Analysis[5]

Cell Line:	HCT-116, SW480, HT-29
Concentration:	100 nM for HCT-116, 500 nM for SW480 and HT-29
Incubation Time:	24, 48 and 72 h
Result:	Promoted the expression of apoptosis markers such as P53 / P73, Caspase3, and Caspase9. Reduced AURKA protein levels. Increased cyt. c in the cytoplasm while reduced Bcl2 and Bcl-xl in a dose-dependent manner.

Cell Cycle Analysis[5]

Cell Line:	HCT-116, SW480
Concentration:	88, 176, 352 and 704 μM
Incubation Time:	24, 48 and 72 h
Result:	Induced G2/M phase arrest in a dose-dependent manner.

Apoptosis Analysis[5]

Cell Line:	HCT-116, SW480
Concentration:	88, 176, 352 and 704 μM
Incubation Time:	24, 48 and 72 h
Result:	Induced apoptosis in a dose-dependent manner.

In Vivo

Palmatine (50 or 100 mg/kg; p.o.; daily for 7 days) ameliorates DSS (dextran sulfate sodium)-induced colitis and prevents infiltration of inflammatory cells[1].

Palmatine (0-200 mg/kg; i.p.; once) attenuates D-galactosamine/Lipopolysaccharides (HY-D1056)-induced fulminant hepatic failure in mice[2].

Palmatine (0-1 mg/kg; i.p.; 10 days) shows memory-enhancing activity in mice[4].

Palmatine (33.75-135 mg/kg; p.o.; daily for 26 days) can effectively inhibit the growth of HCT-116 xenografts in mice[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	DSS- induced Colitis BALB/c mice model (8-week-old)[1]
Dosage:	50 or 100 mg/kg
Administration:	Orally, daily, for 7 days

Result:	Ameliorated DSS-induced colitis and prevented infiltration of inflammatory cells; remarkably extended the colon length; significantly suppressed the colonic MPO activity. Decreased the levels of colonic inflammatory cytokines (TNF-α, IFN-γ, IL-1β, IL-6, IL-4 and IL-10); Protected mucosal integrity by modulating TJs protein and apoptosis proteins; Restored DSS-induced decreases of TJ protein ZO-1, ZO-2 and claudin-1; Reduced Bax expression and enhanced Bcl-2 expression at the dose of 100 mg/kg, prevented epithelial apoptosis and improved intestinal integrity. Prevented DSS-induced changes of gut microbiota in colitis mice.

Animal Model:	Male ICR mice (20–22 g), D-galactosamine/lipopolysaccharide (GalN/LPS)-induced fulminant hepatic failure model[2]
Dosage:	25, 50, 100, or 200 mg/kg
Administration:	Intraperitoneal injection, 1 h before the GalN/LPS treatment
Result:	Attenuated the mortality and serum aminotransferase activities increased by GalN/LPS. Prevented the increase of serum TNF-α and augmented that of serum IL-10. Decreased the TNF-a mRNA expression and increased the IL-10 mRNA expression. Attenuated the apoptosis of hepatocytes.

Animal Model:	Swiss young male albino mice, with Scopolamine (HY-N0296)- and diazepam-induced amnesia model[4]
Dosage:	0.1, 0.5, 1 mg/kg
Administration:	Intraperitoneal injection, 10 days
Result:	Significantly improved learning and memory of mice at 0.5 and 1 mg/kg and did not show any significant effect on locomotor activity of the mice. Significantly reversed scopolamine- and diazepam-induced amnesia in mice. Significantly reduced brain acetylcholinesterase activity of mice.

Animal Model:	BALB/c-nude mice, HCT-116 xenograft model[5]
Dosage:	33.75, 67.5 and 135 mg/kg
Administration:	Oral administration, once a day for 26 days
Result:	The tumor volume and weight of the treatment group were significantly reduced.

CUSTOMER VALIDATION

- Oxid Med Cell Longev. 2021 Mar 13.
- Int Immunopharmacol. 2022 Feb 9;106:108583.
- Biol Res. 2020 Sep 14;53(1):39.
- Drug Dev Res. 2022 Aug 17.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Zhang XJ, et al. Palmatine ameliorated murine colitis by suppressing tryptophan metabolism and regulating gut microbiota.Pharmacol Res. 2018 Nov;137:34-46.

[2]. Lee WC, et al. Palmatine attenuates D-galactosamine/lipopolysaccharide-induced fulminant hepatic failure in mice. Food Chem Toxicol. 2010 Jan;48(1):222-8.

[3]. Jia F, et al. Identification of palmatine as an inhibitor of West Nile virus. Arch Virol. 2010 Aug;155(8):1325-9.

[4]. Dhingra D, et al. Memory-enhancing activity of palmatine in mice using elevated plus maze and morris water maze. Adv Pharmacol Sci. 2012;2012:357368.

[5]. Liu X, et al. Palmatine induces G2/M phase arrest and mitochondrial-associated pathway apoptosis in colon cancer cells by targeting AURKA. Biochem Pharmacol. 2020 May;175:113933.

[6]. Long J, et al. Palmatine: A review of its pharmacology, toxicity and pharmacokinetics. Biochimie. 2019 Jul;162:176-184.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA