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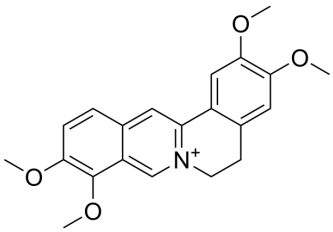
Palmatine

Cat. No.:	HY-N0110A
CAS No.:	3486-67-7
Molecular Formula:	$C_{21}H_{22}NO_4^+$
Molecular Weight:	352.4
Target:	Indoleamine 2,3-Dioxygenase (IDO); Apoptosis; Virus Protease; Aurora Kinase; Bacterial; Parasite
Pathway:	Metabolic Enzyme/Protease; Apoptosis; Anti-infection; Cell Cycle/DNA Damage; Epigenetics
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



BIOLOGICAL ACTIVITY

Description

Palmatine 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 can also inhibit West Nile virus (WNV) NS2B-NS3 protease in an uncompetitive manner with an IC_{50} of 96 μM. Palmatine 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 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 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 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.

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CUSTOMER VALIDATION

- Biol Res. 2020 Sep 14;53(1):39.
- Int Immunopharmacol. 2022 Feb 9;106:108583.
- J Ethnopharmacol. 2023 Sep 27:117238.
- Drug Dev Res. 2022 Aug 17.
- Oxid Med Cell Longev. 2021 Mar 13.

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

[3]. 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.

[4]. 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.

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

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