Product Data Sheet

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;

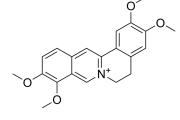
Bacterial; Parasite; mAChR

Metabolic Enzyme/Protease; Apoptosis; Anti-infection; Cell Cycle/DNA Damage; Pathway:

Epigenetics; GPCR/G Protein; Neuronal Signaling

4°C, protect from light Storage:

* 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 μ M and 157 μ M

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 IC₅₀ of 96 μM. Palmatine shows anti-cancer, anti-oxidation, anti-inflammatory,

neuroprotection, antibacterial, anti-viral activities^{[1][2][3][4][5]}.

IDO-1 IDO-1 IC₅₀ & Target WNV NS2B-NS3 96 μM (IC₅₀)

3 μM (IC₅₀, HEK 293-hIDO-157 μM (IC₅₀, rhIDO-1)

In Vitro

Palmatine (0-100 μ M; 42 h) suppresses WNV with an EC₅₀ value of 3.6 μ M, and reduce the viral titers of DENV-2 and YFV with EC₅₀ values of 26.4 μ M and 7.3 μ M, 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/<u>Lipopolysaccharides</u> (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-1 β , 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

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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 <u>Scopolamine</u> (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

- Biol Res. 2020 Sep 14;53(1):39.
- Int Immunopharmacol. 2022 Feb 9;106:108583.
- J Ethnopharmacol. 2023 Sep 27:117238.
- Molecules. 2024 May 14.
- Drug Dev Res. 2022 Aug 17.

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REFERENCES

- [1]. Lee WC, et al. Palmatine attenuates D-galactosamine/lipopolysaccharide-induced fulminant hepatic failure in mice. Food Chem Toxicol. 2010 Jan;48(1):222-8.
- [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.
- $[6].\ Zhang\ XJ,\ et\ al.\ Palmatine\ ameliorated\ murine\ colitis\ by\ suppressing\ tryptophan\ metabolism\ and\ regulating\ gut\ microbiota. Pharmacol\ Res.\ 2018\ Nov; 137:34-46.$

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 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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

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