

(+)-Shikonin

Chemical Properties

CAS No. : 517-89-5

Formula: C₁₆H₁₆O₅

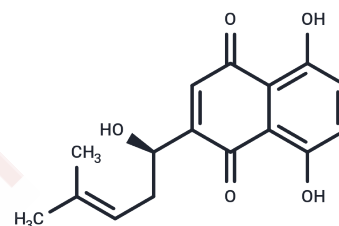
Molecular Weight: 288.30

Keep away from direct sunlight, Store at low temperature

Storage:

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	(+)-Shikonin (Anchusa acid) is a natural product, a TMEM16A chloride channel inhibitor (IC ₅₀ =6.5 μM) and selective PKM2 inhibitor. (+)-Shikonin exhibits antitumor, anti-inflammatory and wound healing activities.
Targets (IC ₅₀)	NF-κB, HIV Protease, AIM2, Chloride channel, PKM, TNF
In vitro	<p>METHODS: Human glioma cells U87 and U251 were treated with (+)-Shikonin (2.5-7.5 μmol/L) for 12-72 h. Cell viability was measured by CCK-8.</p> <p>RESULTS: (+)-Shikonin inhibited the proliferation of U87 and U251 cells in a time- and dose-dependent manner. [1]</p> <p>METHODS: Macrophages RAW264.7 were pretreated with (+)-Shikonin (0.5-2 μM) for 1 h, then treated with LPS (250 ng/mL) and IFN-γ (100 ng/mL) for 24 h. Inflammatory factor levels were measured using RT-qPCR and ELISA.</p> <p>RESULTS: In LPS+IFN-γ-mimicked RAW264.7 cells, mRNA and protein expression of IL-1β, IL-6 and TNF-α were reduced. [2]</p>
In vivo	<p>METHODS: To investigate the efficacy against murine colitis, (+)-Shikonin (6.125-25 mg/kg) was administered by gavage to a DSS-induced Balb/c mouse model of colitis once daily for seven days.</p> <p>RESULTS: (+)-Shikonin attenuated the overall symptoms of DSS-induced colitis in mice and reduced colonic injury. [2]</p> <p>METHODS: To detect anti-tumor activity in vivo, (+)-Shikonin (0.1-10 mg/kg) was administered intraperitoneally to SCID mice bearing human melanoma B16 once daily for nine days.</p> <p>RESULTS: (+)-Shikonin treatment inhibited B16 cell growth in SCID mice in a dose-dependent manner. [3]</p>
Cell Research	U87 and U251 cells are seeded into 96-well plates at a density of 1×10 ⁴ cells per well in standard DMEM and incubated for 24 h under standard conditions (37°C and 5% CO ₂). Then the medium is replaced with either blank, serum-free DMEM or DMEM containing (+)-Shikonin at concentrations of 2.5, 5, and 7.5 μM. The total volume in each well is 200 μL. Finally, the plates are shaken softly and the optical density is recorded at 570 nm (OD ₅₇₀) using a plate reader. At least three independent experiments are performed [4].

Animal Research	Healthy male Sprague-Dawley rats (n=30; 8 to 10-weeks old, 250 to 300 g) are used in this study. Rats were randomly assigned to three groups: Sham-operated group (n=10), osteoarthritis model group (n=10) and (+)-Shikonin-treated group (n=10). In the sham-operated group, the right knee joint of the anesthetized rat is only exposed under sterile conditions, and the rats are treated with 0.1 ml/100 g physiological saline (i.p.). In the osteoarthritis model group, osteoarthritis model rats were treated with 0.1 ml/100 g physiological saline (i.p.). In the (+)-Shikonin-treated group, osteoarthritis model rats are treated with 10 mg/kg (+)-Shikonin(i.p.) once daily for 4 days after osteoarthritis modeling[5].
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Solubility Information

Solubility	DMSO: 130.00 mg/mL (450.92 mM),Sonication is recommended. H2O: Insoluble, Ethanol: 13.00 mg/mL (45.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5.70 mg/mL (19.77 mM),Suspension. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4686 mL	17.343 mL	34.6861 mL
5 mM	0.6937 mL	3.4686 mL	6.9372 mL
10 mM	0.3469 mL	1.7343 mL	3.4686 mL
50 mM	0.0694 mL	0.3469 mL	0.6937 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Zhang FY, et al. Shikonin Inhibits the Migration and Invasion of Human Glioblastoma Cells by Targeting Phosphorylated β -Catenin and Phosphorylated PI3K/Akt: A Potential Mechanism for the Anti-Glioma Efficacy of a Traditional Chinese Herbal Medicine. *Int J Mol Sci.* 2015 Oct 9;16(10):23823-48.
- Lu J, Liu S Y, Zhang J, et al. Inhibition of BAG3 enhances the anticancer effect of shikonin in hepatocellular carcinoma. *American Journal of Cancer Research.* 2021, 11(7): 3575.
- Sha X, Lin J, Wu K, et al. The TRPV1-PKM2-SREBP1 axis maintains microglial lipid homeostasis in Alzheimer's disease. *Cell Death & Disease.* 2025, 16(1): 14.
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- Zhao X, et al. Shikonin Inhibits Tumor Growth in Mice by Suppressing Pyruvate Kinase M2-mediated Aerobic Glycolysis. *Sci Rep.* 2018 Sep 28;8(1):14517.
- Zhang F Y, Hu Y, Que Z Y, et al. Shikonin inhibits the migration and invasion of human glioblastoma cells by targeting phosphorylated β -catenin and phosphorylated PI3K/Akt: a potential mechanism for the anti-glioma efficacy of a traditional Chinese herbal medicine[J]. *International journal of molecular sciences*, 2015, 16(10): 23823-23848.
- Fu D, Shang X, Ni Z, et al. Shikonin inhibits inflammation and chondrocyte apoptosis by regulation of the PI3K/Akt signaling pathway in a rat model of osteoarthritis[J]. *Experimental and therapeutic medicine*, 2016, 12(4): 2735-2740.
- Zhang FY, et al. Shikonin Inhibits the Migration and Invasion of Human Glioblastoma Cells by Targeting Phosphorylated β -Catenin and Phosphorylated PI3K/Akt: A Potential Mechanism for the Anti-Glioma Efficacy of a Traditional Chinese Herbal Medicine. *Int J Mol Sci.* 2015 Oct 9;16(10):23823-48.
- Jiang Y et al. Shikonin Inhibits Intestinal Calcium-Activated Chloride Channels and Prevents Rotaviral Diarrhea. *Front Pharmacol.* 2016 Aug 23;7:270.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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