Hispidulin

Cat. No.: HY-N1950

CAS No.: 1447-88-7

Molecular Formula: $C_{16}H_{12}O_6$

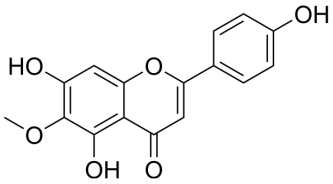
Molecular Weight: 300.26

Target: Pim

Pathway: JAK/STAT Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (208.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3304 mL	16.6522 mL	33.3045 mL
	5 mM	0.6661 mL	3.3304 mL	6.6609 mL
	10 mM	0.3330 mL	1.6652 mL	3.3304 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (33.30 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.93 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Hispidulin is a natural flavone with a broad spectrum of biological activities. Hispidulin is a Pim-1 inhibitor with an IC_{50} of 2.71 μM.

IC_{50} & Target

IC50: 2.71 μM (Pim-1)[1]

In Vitro	Hispidulin induces cell death in a dose- and time-dependent manner in HepG2 cells. Hispidulin induces apoptosis through mitochondrial dysfunction, which is characterized by decreased Bcl-2/Bax ratio, disrupted mitochondrial membrane potential and increased release of cytochrome C and activated capase-3[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Hispidulin shows significant inhibitory effect on mice tumor size[2]. Hispidulin treatment effectively prevents ovariectomy-induced body weight loss and attenuates ovariectomy-induced bone loss. Hispidulin treatment also decreases trabecular spacing in ovariectomy mice[3]. Intraperitoneally administering hispidulin(10 or 50mg/ kg) to rats 30 min before intraperitoneally injecting kainic acid (15mg/kg) increases seizure latency and decreases seizure score. In addition, hispidulin substantially attenuates kainic acid-induced hippocampal neuronal cell death, and this protective effect is accompanied by the suppression of microglial activation and the production of proinflammatory cytokines such as interleukin-1β, interleukin-6, and tumor necrosis factor-α in the hippocampus[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HepG2 cells are treated with different concentrations of hispidulin (50, 100, 200 μM) for 24, 48 and 72 h. Following treatment, cells are further incubated with MTT reagents at 37°C for 4 h before DMSO is added, to dissolve farmazan crystals, and absorbance is measured at 570 nm in a microplate reader[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice: Tumor are established in mice. Mice are treated with DMSO or Hispidulin at a dosage of 10, 20 or 40 mg/kg/day for 35 days. The body weight of tumour-bearing mice is recorded every week and tumour volume is calculated [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2021 Jan;11(1):143-155.
- Int J Mol Sci. 2022 Sep 7;23(18):10346.

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REFERENCES

[1]. Chao SW, et al. Total Synthesis of Hispidulin and the Structural Basis for Its Inhibition of Proto-oncogene KinasePim-1. J Nat Prod. 2015 Aug 28;78(8):1969-76.

[2]. Gao H, et al. Hispidulin induces apoptosis through mitochondrial dysfunction and inhibition of P13k/Akt signalling pathway in HepG2 cancer cells. Cell Biochem Biophys. 2014 May;69(1):27-34.

[3]. Zhou R, et al. Hispidulin exerts anti-osteoporotic activity in ovariectomized mice via activating AMPK signaling pathway. Cell Biochem Biophys. 2014 Jun;69(2):311-7.

[4]. Lin TY, et al. Protective effect of hispidulin on kainic acid-induced seizures and neurotoxicity in rats. Eur J Pharmacol. 2015 May 15;755:6-15.

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