Piperine

Cat. No.: HY-N0144

CAS No.: 94-62-2

Molecular Formula: $C_{17}H_{19}NO_3$

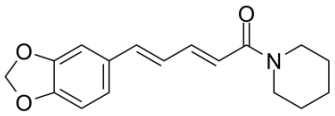
Molecular Weight: 285.34

Target: P-glycoprotein; Autophagy; Endogenous Metabolite

Pathway: Membrane Transporter/Ion Channel; Autophagy; Metabolic Enzyme/Protease

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 : 50 mg/mL (175.23 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5046 mL	17.5230 mL	35.0459 mL
5 mM	0.7009 mL	3.5046 mL	7.0092 mL
10 mM	0.3505 mL	1.7523 mL	3.5046 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piperine, a natural alkaloid isolated from Piper nigrum L, inhibits P-glycoprotein and CYP3A4 activities with an IC_{50} value of 61.94±0.054 μg/mL in HeLa cell.

IC_{50} & Target

Human Endogenous Metabolite

In Vitro

Piperine has shown to possess in vitro cytotoxic activity and in silico studies. The IC_{50} value is found to be 61.94±0.054 μg/mL and in silico studies, it has more number of hydrogen bonds with minimum binding and docking energy and may be

	considered as inhibitor of EGFR tyrosine kinase[1]. Piperine has been found to have immunomodulatory, anti-oxidant, anti-asthmatic, anti-carcinogenic, anti-inflammatory, anti-ulcer, and anti-amoebic properties[2]. Piperine could enhance the bioavailabilities of other drugs including rosuvastatin, peurarin and docetaxel (DOX) via inhibition of CYP3A and P-glycoprotein activity[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	At the dose of 3.5 mg/kg, the bioavailability of piperine is calculated to be 25.36%. Its $AUC_{0\to t}$ is unproportionally increased with doses, indicating a potential non-linear pharmacokinetics profile of piperine. It is found that the $AUC_{0\to t}$ and C_0 of docetaxel and t1/2of piperine are significantly increased after their combination use, suggesting potential enhanced bioavailability of not only docetaxel but also piperine, which may lead to the overall enhanced pharmacological effects[3]. The phosphorylation of I-κB, p65, p38, ERK, and JNK is inhibited by piperine in a dose-dependent manner, indicating that piperine may be a potential anti-inflammatory drug both in endometritis and in other S. aureus-induced diseases[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Standard solution is prepared by dissolving 10 mg of piperine in 100 mL of methanol. The MTT assay is carried out to measure cell viability. Ten thousand cells in 100 µL of DMEM media are seeded in the wells of a 96-well plate. After 24 h, existing media is removed and 100 µL of various concentrations of piperine (20–100 µg/mL) are added and incubated for 48 h at 37 °C in a CO_2 incubator. Control cells are supplemented with 0.05 % DMSO vehicle. At the 48th hour of incubation, MTT (10 µL of 5 mg/mL) is added to the plate. The contents of the plate are pipetted out carefully, the formazan crystals formed are dissolved in 100 µL of DMSO, and the absorbance is measured at 550 nm in a microplate reader[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3][4]	Rats: The stock solutions of piperine (PIP) and docetaxel (DOX)are prepared by dissolving appropriate amount of each authentic compound in DMSO separately at 1 mg/mL. The standard solutions containing both PIP and DOX are prepared by serial dilution of the stock solutions with 0.2% formic acid and acetonitrile (50:50, v/v) to yield concentrations of 25, 50, 100, 200, 400, 800, 1600, 3200, 6400, 12800 ng/mL. 25 Sprague-Dawley rats are divided into five groups receiving DOX(Group DOX 7 iv, 7 mg/kg, i.v.), PIP (Group PIP 35 po, 35 mg/kg,p.o.) and their combined administration (Group DOX+PIP) as well as PIP (Group PIP 3.5 po, 3.5 mg/kg, p.o.) and PIP (Group PIP 3.5 iv, 3.5 mg/kg, i.v.)[3]. Mice: Piperine is dissolved in 5 mL of tris buffered saline (TBS) at concentrations corresponding to 25, 50, and 100 mg/kg, based on the weight of the mice. After 24 h of S. aureus infection in the uterus, the piperine solution is injected intraperitoneally three times every 6 h. A total of 60 female BALB/c mice are used in this study. All mice are maintained on a 12 h light/dark cycle and cafeteria feeding[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- PLoS Biol. 2018 Jul 12;16(7):e2004921.
- Int Immunopharmacol. 2018 Oct 30;65:448-457.
- Biochem Biophys Res Commun. 2019 Aug 20;516(2):365-372.

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REFERENCES

[1]. Paarakh PM, et al. In vitro cytotoxic and in silico activity of piperine isolated from Piper nigrum fruits Linn. In Silico Pharmacol. 2015 Dec;3(1):9. Epub 2015 Oct 29.

[2]. Meghwal M,et al. Piper nigrum and piperine: an update. Phytother Res. 2013 Aug;27(8):1121-30.

[3]. Li C, et al. Non-linear pharmacokinetics of piperine and its herb-drug interactions with docetaxel in Sprague-Dawley rats. J Pharm Biomed Anal. 2016 Sep 5;128:286-93.

[4]. Zhai WJ, et al. Piperine Plays an Anti-Inflammatory Role in Staphylococcus aureus Endometritis by Inhibiting Activation of NF-κB and MAPK Pathways in Mice. Evid Based Complement Alternat Med. 2016;2016:8597208.

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