Phloretin

Cat. No.: HY-N0142

CAS No.: 60-82-2

Molecular Formula: $C_{15}H_{14}O_5$

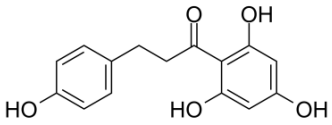
Molecular Weight: 274.27

Target: SGLT; Endogenous Metabolite; GLUT

Pathway: Membrane Transporter/Ion Channel; 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 (182.30 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6460 mL	18.2302 mL	36.4604 mL
5 mM	0.7292 mL	3.6460 mL	7.2921 mL
10 mM	0.3646 mL	1.8230 mL	3.6460 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 (9.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.12 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Phloretin (NSC 407292; RJC 02792) is a flavonoid extracted from Prunus mandshurica, has anti-inflammatory activities. Phloridzin is a specific, competitive and orally active inhibitor of sodium/glucose cotransporters in the intestine (SGLT1) and kidney (SGLT2). Phloretin inhibits Yeast-made GLUT1 as well as Human erythrocyte GLUT1 with IC_{50}values of 49 μM and 61 μM, respectively[1].Phloretin has the potential for the treatment of rheumatoid arthritis (RA) and allergic airway inflammation [4].

IC_{50} & Target	Human Endogenous Metabolite	GLUT1	GLUT2

In Vitro

Phloretin induced obvious cytotoxicity against BEL-7402 cells with IC_{50} of 89.23 μM[2].
Phloretin (40-160 μM; 24 hours) induces BEL-7402 cell apoptosis though the mitochondrial pathway, the cells exposed to phloretin exhibits nuclear chromatin condensation and increased fluorescence intensity. The caspase-9 reaches the peak level at 12 h, and leak levels of caspase-6 and caspase-3 activities occurrs 18 and 24 h after the exposure, respectively[2].
Phloretin (0-100 μM; 24 hours) has effects on transcription factors of adipogenesis in differentiated 3T3-L1 adipocytes, decreases PPAR-γ, C/EBPα and C/EBPβ as a dose-dependent manner[3].
Phloretin (0-100 μM; 24 hours) has effects on the AMPK pathway in differentiated 3T3-L1 adipocytes, increases the phosphorylation of substrate ACC-1, AMPK in PT-treated cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	BEL-7402 cell
Concentration:	40-160 μM
Incubation Time:	24 hours
Result:	Induced cell apoptosis and activated caspase 3, 6 and 9.

Western Blot Analysis[3]

Cell Line:	3T3-L1 adipocytes
Concentration:	0 μM, 3 μM, 10 μM, 30 μM, 100 μM
Incubation Time:	24 hours
Result:	Inhibited PPAR-γ, C/EBPα and C/EBPβ expression.

Western Blot Analysis[3]

Cell Line:	3T3-L1 adipocytes
Concentration:	0 μM, 3 μM, 10 μM, 30 μM, 100 μM
Incubation Time:	24 hours
Result:	Upregulated the expression of p-ACC-1, p-AMPK, p-AMPKα and β .

In Vivo

Phloretin (oral administration; 50 or 100 mg/kg; once daily) decreases oxidative stress and diminished levels of malondialdehyde (MDA) and hydrogen peroxide (H2O2) in paw tissue, reduces productivity of anti-collagen antibodies in serum[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Collagen-Induced Arthritis (CIA) Mice[3]
Dosage:	50 or 100 mg/kg
Administration:	Oral adminstration
Result:	Showed mitigation of clinical symptoms of RA in addition to reduced inflammation of hind-limbs compared to the control group.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2021 Jan;11(1):143-155.

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REFERENCES

[1]. Kasahara T, Kasahara M. Expression of the rat GLUT1 glucose transporter in the yeast Saccharomyces cerevisiae. Biochem J. 1996 Apr 1;315 (Pt 1):177-82.

[2]. Luo H, et al. Phloretin induces apoptosis of BEL-7402 cells in vitro. Nan Fang Yi Ke Da Xue Xue Bao. 2008 Jul;28(7):1249-51.

[3]. Huang WC, et al. Phloretin and phlorizin promote lipolysis and inhibit inflammation in mouse 3T3-L1 cells and in macrophage-adipocyte co-cultures.Mol Nutr Food Res. 2013 Oct;57(10):1803-13.

[4]. Wang SP, et al. Potent Antiarthritic Properties of Phloretin in Murine Collagen-Induced Arthritis.Evid Based Complement Alternat Med. 2016;2016:9831263.

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