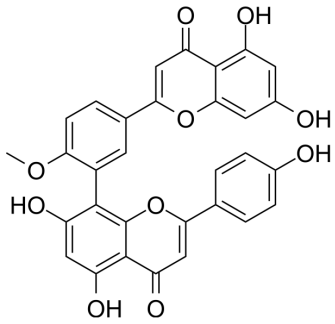
Bilobetin

Cat. No.:	HY-N2118
CAS No.:	521-32-4
Molecular Formula:	$C_{31}H_{20}O_{10}$
Molecular Weight:	552.48
Target:	PPAR; PKA; Akt; p38 MAPK; ERK
Pathway:	Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor; Stem Cell/Wnt; PI3K/Akt/mTOR; MAPK/ERK Pathway
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (452.51 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8100 mL	9.0501 mL	18.1002 mL
	5 mM	0.3620 mL	1.8100 mL	3.6200 mL
	10 mM	0.1810 mL	0.9050 mL	1.8100 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.76 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Bilobetin, an active component of Ginkgo biloba, can reduce blood lipids and improve the effects of insulin. Bilobetin ameliorated insulin resistance, increased the hepatic uptake and oxidation of lipids, reduced very-low-density lipoprotein triglyceride secretion and blood triglyceride levels, enhanced the expression and activity of enzymes involved in β-oxidation and attenuated the accumulation of triglycerides and their metabolites in tissues. Bilobetin also increased the phosphorylation, nuclear translocation and activity of PPARα accompanied by elevated cAMP level and PKA activity[1].

IC_{50} & Target

PPARα | PKA

In Vitro

Bilobetin (0-40 μM, 24/48/72 h) inhibits the cell proliferation in Huh7 and HepG2 cells[4].
Bilobetin (0-20 μM, 24 and 48 h) induces apoptosis and increases sub G1 population in Huh7 and HepG2 cells[4].
Bilobetin (0-20 μM, 24 h) induces accumulation of ROS and DNA damage in Huh7 and HepG2 cells[4].
Bilobetin (1-2 μM, 1 days) inhibits the phosphorylation of AKT in sebocytes[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[4]

Cell Line:	Huh7 and HepG2 cells
Concentration:	0, 2.5, 5, 10, 20, and 40 μM
Incubation Time:	24/48/72 h
Result:	Inhibited cell proliferation in a dose dependent manner, with IC_{50}s of 18.28 μM at 48 h in Huh7 cells, and 19 μM at 72 h in HepG2 cells.

Apoptosis Analysis[4]

Cell Line:	Huh7 and HepG2 cells
Concentration:	0, 2.5, 5, 10, 20 μM
Incubation Time:	24/48 h
Result:	Showed the total apoptotic cell rate of 2.2, 2.2, 1.9, and 10.6% for 24 h (Huh7 cells) at 0, 5, 10, and 20 μM, and 1.7, 1.5, 7.5, and 22.5% for 48 h (Huh7 cells) at 0, 5, 10, and 20 μM. Showed the total apoptotic cell rate of 1.1, 1.2, 1.6, and 3.0% for 24 h (Huh7 cells) at 0, 5, 10, and 20 μM, and 1.5, 1.1, 3.2, and 14.2% for 48 h (Huh7 cells) at 0, 5, 10, and 20 μM, respectively.

In Vivo

Bilobetin (i.p., 12 mg/kg/day, 4 or 14 days) ameliorates hyperlipidaemia, lipotoxicity and insulin resistance by PKA-mediated phosphorylation of PPARα in rats fed a high-fat diet[1].
Bilobetin (i.p., 50 mg/kg, for 7 days) induces kidney injury in rats, and promotes the trafficking of AQP-2 onto the plasma membrane in rats[2].
Bilobetin (6 and 12 mg/kg, i.p., daily for ten days.) shows protective effects against Cisplatin (HY-17394) (7 mg/kg, i.p., a single dose on the third day)-induced testicular toxicity in rats[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	rats fed a high-fat diet[1]
Dosage:	12 mg/kg/day
Administration:	i.p., 4 or 14 days after mice were fed a HFD for 8 weeks.
Result:	Increased glucose infusion rate (GIR, $P < 0.05$) and reduced EGP ($P < 0.05$) in basal and clamp states. Reduced total TG ($P < 0.01$) and VLDL-TG ($P < 0.01$). Enhances hepatic Intralipid-TG uptake. Reduced the total amount of lipid level in the liver and muscle. Promoted the phosphorylation of PPARα and the translocation of PPARα from the cytoplasm to the nucleus in rats liver.

CUSTOMER VALIDATION

- Arab J Chem. 2023 Jun 28, 105094.

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REFERENCES

[1]. Wang Q, et al. Bilobetin induces kidney injury by influencing cGMP-mediated AQP-2 trafficking and podocyte cell cycle arrest. Phytomedicine. 2019 Nov;64:153073.

[2]. Negm WA, et al. The Mechanistic Perspective of Bilobetin Protective Effects against Cisplatin-Induced Testicular Toxicity: Role of Nrf-2/Keap-1 Signaling, Inflammation, and Apoptosis. Biomedicines. 2022 May 13;10(5):1134

[3]. Han Ki Lee, et al. Bilobetin induces apoptosis in human hepatocellular carcinoma cells via ROS level elevation and inhibition of CYP2J2.Arabian Journal of Chemistry. Volume 16, Issue 9, September 2023, 105094.

[4]. Wang C, et al. Inhibition of Insulin-Like Growth Factor-1–Induced Sebum Production by Bilobetin in Cultured Human Sebocytes [J]. Annals of Dermatology, 2019, 31(3): 294.

[5]. Kou XH, et al. Bilobetin ameliorates insulin resistance by PKA-mediated phosphorylation of PPARα in rats fed a high-fat diet. Br J Pharmacol. 2012 Apr;165(8):2692-706.

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