Gigantol isomer-1

Cat. No.: HY-N2523

CAS No.: 67884-30-4

Molecular Formula: $C_{16}H_{18}O_4$

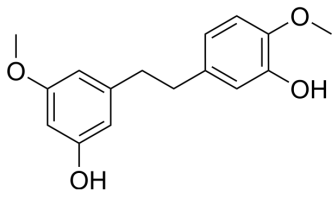
Molecular Weight: 274.31

Target: Wnt

Pathway: Stem Cell/Wnt

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 : ≥ 100 mg/mL (364.55 mM)

Ethanol : 50 mg/mL (182.28 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6455 mL	18.2276 mL	36.4551 mL
5 mM	0.7291 mL	3.6455 mL	7.2910 mL
10 mM	0.3646 mL	1.8228 mL	3.6455 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 (9.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gigantol isomer-1 is a bibenzyl compound derived from Dendrobium nobile. Gigantol isomer-1 shows promising therapeutic potential against cancer cells. Gigantol isomer-1 is a novel inhibitor of the Wnt/β-catenin pathway.

IC_{50} & Target

Wnt[1]

In Vitro	Gigantol isomer-1 decreases the level of phosphorylated LRP6 and cytosolic β-catenin in HEK293 cells. In breast cancer MDA-MB-231 and MDA-MB-468 cells, treatment with Gigantol isomer-1 reduces the level of phosphorylated LRP6[1]. Gigantol isomer-1 significantly inhibits the proliferation and induces apoptosis of the HepG2 cells. Gigantol isomer-1 at concentrations of 1, 40 and 150 μM markedly decreases the cell viability by 11.7, 30.0 and 56.4% at 24 h and 21.1, 66.8 and 85.5% at 48 h, respectively. The IC_{50} value is 9.30 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LDD and Gigantol isomer-1 (25–100 mg/kg, p.o.) significantly increase the hot-plate latency in comparison to vehicle-treated mice and decreased carrageenaninduced inflammation in rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HepG2 cells are treated with a series of concentrations of Gigantol (1, 10, 40, 80 and 150 μM) for different time intervals (12, 24 and 48 h). The cytotoxicity is measured using MTT assays[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Rats[3] The anti-inflammatory activity is determined by carrageenan-induced edema test in the hind paws of rats. Sprague-Dawley rats are fasted for 15 h before the experiment with free access to water. One hundred microlitres of 1% carrageenan (10 mg/mL, Type IV, lambda) suspension is prepared 30 min before each experiment and injected into the plantar side of right hindpaw of the rats. The CH_2Cl_2-MeOH Scaphyglottis livida and Maxillaria densa extracts (150-600 mg/kg), as well as compound LDD and gigantol (25-100 mg/kg), are orally administered. The extracts, LDD and gigantol are administered 1 h before the carrageenan treatment[3]. Mice[3] Mice receive an oral administration of vehicle (0.2% Tween-80) or increasing doses of Scaphyglottis livida and Maxillaria densa extracts (150–600 mg/kg) or LDD and gigantol (25-100 mg/kg) 30 min before the thermal noxious stimuli in the hot-plate test. Morphine (1.5-6 mg/kg, p.o.) is used as positive control. Mice are observed before and at 30, 60, 90 and 120 min after drugs administration[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Oncotargets Ther. 2020 Nov 4;13:11337-11346.

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REFERENCES

[1]. Yu S, et al. Gigantol inhibits Wnt/β-catenin signaling and exhibits anticancer activity in breast cancer cells. BMC Complement Altern Med. 2018 Feb 14;18(1):59.

[2]. Chen H, et al. Gigantol attenuates the proliferation of human liver cancer HepG2 cells through the PI3K/Akt/NF-κB signaling pathway. Oncol Rep. 2017 Feb;37(2):865-870.

[3]. Déciga-Campos M, et al. Antinociceptive and anti-inflammatory effects of compounds isolated from Scaphyglottis livida and Maxillaria densa. J Ethnopharmacol. 2007 Nov 1;114(2):161-8.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA