Imperatorin

Cat. No.: HY-N0285

CAS No.: 482-44-0

Molecular Formula: $C_{16}H_{14}O_4$

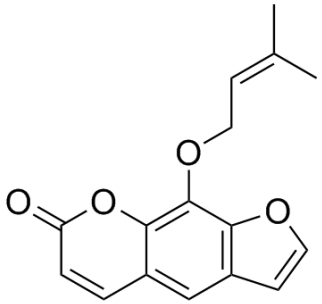
Molecular Weight: 270.28

Target: AChE; TRP Channel

Pathway: Neuronal Signaling; Membrane Transporter/Ion Channel

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 (184.99 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6999 mL	18.4993 mL	36.9987 mL
	5 mM	0.7400 mL	3.6999 mL	7.3997 mL
	10 mM	0.3700 mL	1.8499 mL	3.6999 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 (9.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Imperatorin is an effective of NO synthesis inhibitor (IC_{50}=9.2 μmol), which also is a BChE inhibitor (IC_{50}=31.4 μmol). Imperatorin is a weak agonist of TRPV1 with EC_{50} of 12.6±3.2 μM.

IC_{50} & Target

IC50: 9.2 μmol (NO synthesis), 31.4 μmol (BChE)[1]EC50: 12.6±3.2 μM (TRPV1)[2]

In Vitro

Imperatorin is a plant secondary metabolite belonging to the coumarins-specifically the furanocoumarins. Imperatorin enhances the GABA-induced chloride ion current (I_{GABA}) through the $\alpha_1\beta_2\gamma_{2S}$ receptors. Imperatorin potentiates I_{GABA} at 100 μmol by 50.5±16.3 % and at 300 μmol by 109.8±37.7 %, respectively. Imperatorin, together with Phellopterin, found in the roots of A. dahurica, inhibit [^{3}H]diazepam binding to the benzodiazepine site of the rat brain $GABA_A$ receptor in vitro with an IC_{50} of 12.3 μmol for Imperatorin and 400 nmol for Phellopterin. Imperatorin, in a concentration ranging from 3.5 to 14

	mmol, significantly and irreversibly inhibits GABA-T in a time-dependent and concentration-dependent manner, by irreversibly binding with the active site of GABA-T.Imperatorin is a reversible acetylcholinesterase (AChE) inhibitor, and acts in dose-dependent manner. The AChE and BChE inhibitory activities of Imperatorin and a crude extract from the fruits of Angelica archangelica L. is tested by the spectrophotometric method at concentrations of 12.5, 25, 50, and 100 μg/mL. Imperatorin displays low inhibition towards AChE (13.75-46.11 %), whereas it has remarkable inhibitory effect against BChE (37.46-83.98 %). Imperatorin shows selectivity toward BChE rather than AChE, with an IC_{50} for BChE of 31.4 μmol. Imperatorin, together with (+)-Byakangelicol, are found to be the most effective BACE-1 inhibitors, with IC_{50}s of 91.8 and 104.9 μmol, respectively. Imperatorin (IC_{50}=9.2 μmol) is also effective as an inhibitor of NO synthesis[1]. Imperatorin is a weak agonist of TRPV1, a channel implicated in detecting several noxious stimuli, exhibiting EC_{50} of 12.6±3.2 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	At doses of 10 and 20 mg/kg and 30 min after injection, Imperatorin shows an anxiolytic effect and improved different stages of memory and learning processes-both acquisition and consolidation. It is also shown that acute administration Imperatorin at doses of 10 and 20 mg/kg reduced the anxiogenic effect of nicotine (0.1 mg/kg, subcutaneous, s.c.). At 30 and 40 mg/kg, i.p. Imperatorin significantly potentiates the anticonvulsant activity of carbamazepine against maximal electroshock-induced seizures expressed by lowering the ED_{50} from 10.8 to 6.8 mg/kg (by 34 %) and 6 mg/kg (by 42 %), respectively. Moreover, Imperatorin at 30 mg/kg and carbamazepine at 6.8 mg/kg shows increases the total brain concentration of carbamazepine from 1.260 to 2.328 μg/mL (by 85%), which may be caused by modifying the blood-barrier permeability or acting like an inhibitor of multi-drug resistance proteins[1]. Imperatorin, a naturally occurring furanocoumarin, inactivates gamma-aminobutyric acid transaminase and inhibits acetylcholinesterase activity. Imperatorin administered acutely at the doses of 5 and 10 mg/kg prior to the injection of scopolamine (1 mg/kg) improves memory acquisition and consolidation impaired by scopolamine. Furthermore, repeatable (7 days, twice daily) administration of the highest dose of Imperatorin (10 mg/kg) significantly attenuates the effects of scopolamine on memory acquisition, whereas the doses of 5 and 10 mg/kg of this furanocoumarin are effective when memory consolidation is measured [3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3]	Mice[3] The experiments are carried out on naive male Swiss mice weighing 20-25 g. Drugs are administered intraperitoneally (i.p.) at the volume of 10 mL/kg. Fresh drug solutions are prepared on each day of experimentation. Control groups receive saline injections of the same volume and via the same route of administration. During the acute treatment, the animals are allocated to the following drug groups: saline, rivastigmine (0.5 mg/kg, i.p.), scopolamine (1 mg/kg, i.p.), Imperatorin (1, 5, 10 mg/kg, i.p.), or Imperatorin coadministered with scopolamine. To measure the memory acquisition processes, scopolamine is administered 20 min before the pretest, whereas Imperatorin and rivastigmine are administered 30 min before the pretest. To measure the memory consolidation processes, rivastigmine or scopolamine (1 mg/kg) is administered immediately after the pretest, whereas Imperatorin is administered 15 min after pretest or after scopolamine injection. On the second day, the mice are retested. In the second set of the experiments, animals are randomly allocated to receive 6 days of i.p. injections of Imperatorin (1, 5, and 10 mg/kg, i.p.) or saline, twice daily (8:00 a.m. and 8:00 p.m.). On the seventh day, these animals are subjected to saline, scopolamine (1 mg/kg, i.p.), Imperatorin (1, 5, and 10 mg/kg, i.p.), or Imperatorin coadministered with scopolamine. To measure the memory acquisition processes, scopolamine is administered 20 min before the pretest and Imperatorin 30 min before the pretest. To measure the memory consolidation processes, scopolamine (1 mg/kg) is administered immediately after the pretest, whereas Imperatorin is administered 15 min after the pretest or after scopolamine injection. On the eighth day, the mice are retested. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kozio? E, et al. Imperatorin-pharmacological meaning and analytical clues: profound investigation. Phytochem Rev. 2016;15:627-649.

[2]. Chen X, et al. Furanocoumarins are a novel class of modulators for the transient receptor potential vanilloid type 1 (TRPV1) channel. J Biol Chem. 2014 Apr

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[3]. Budzynska B, et al. Effects of imperatorin on scopolamine-induced cognitive impairment and oxidative stress in mice. Psychopharmacology (Berl). 2015 Mar;232(5):931-42.

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