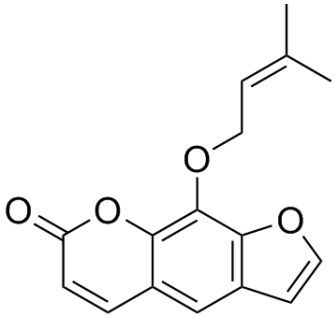
FT-AX5EV0

Imperatorin

Product Description

Product Name: **Imperatorin (Ammidin)**
Synonyms: Ammidin
9-[(3-Methyl-2-buten-1-yl)oxy]-7H-furo[3,2-g][1]benzopyran-7-one;
Ammidin; Marmelosin; 9-[(3-Methyl-2-buten-1-yl)oxy]-7h-furo[3,2-g][1]benzopyran-7-one



Cat Number : AX5EV0, 1mg AX5EV2, 5mg AX5EV3, 10mg
AX5EV4, 25mg AX5EV4, 50mg AX5EV-B, bulk
AX9VE0, 1ml at 10mM in DMSO.

CAS: 482-44-0

Molecular Weight: 270.28

Purity (): >98%

Solubility: in DMSO : 50 mg/mL (184.99 mM; Need ultrasonic)
in H2O : < 0.1 mg/mL (insoluble)

Targets: AChE; TRP

Channel Pathway: Neuronal Signaling; Membrane Transporter/Ion

Storage: Powder : Store at -20°C for 3 years, at 4°C for 2 years (M)
In solvent : Store at -80°C for 6 months, at -20°C for 1 month

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.

Technical and Scientific Information

Imperatorin is a plant secondary metabolite belonging to the coumarins-specifically the furanocoumarins.

Biological activity:

- **IC50 & Target** IC_{50}: 9.2 μmol (NO synthesis), 31.4 μmol (BChE)[1]. EC_{50}: 12.6±3.2 μM (TRPV1)[2]

- **In Vitro**

Imperatorin enhances the **GABA**-induced chloride ion current (IGABA) through the α1β2γ2S receptors. Imperatorin potentiates IGABA 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 GABAA 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 IC50 for BChE of 31.4 μmol.

Imperatorin, together with (+)-Byakangelicol, are found to be the most effective BACE-1 inhibitors, with IC_{50s} 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 EC50 of 12.6±3.2 μM[2].

• **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].

Protocol :

•Solvent and solubility

Invitro

Preparing solutions: Stock solution: 50mg/ml (184.99 mM in DMSO; Need ultrasonic)

Concentration \ Mass	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

In vivo:

Administration [1]

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

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 4;289(14):9600-10.

[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.

Ordering information

Catalog size quantities and prices may be found at www.interchim.com.

Please contact InterBioTech – Interchim for any other information Hotline : +33(0)4 70 03 73 06 – Interbiotech@interchim.com