(E)-3,4,5-Trimethoxycinnamic acid

Cat. No.: HY-W050162

CAS No.: 20329-98-0

Molecular Formula: $C_{12}H_{14}O_5$

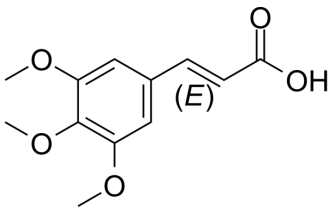
Molecular Weight: 238.24

Target: GABA Receptor; 5-HT Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; GPCR/G Protein

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 (419.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1974 mL	20.9872 mL	41.9745 mL
	5 mM	0.8395 mL	4.1974 mL	8.3949 mL
	10 mM	0.4197 mL	2.0987 mL	4.1974 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 (10.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(E)-3,4,5-Trimethoxycinnamic acid (TMCA) is a cinnamic acid substituted by multi-methoxy groups. (E)-3,4,5-Trimethoxycinnamic acid is an orally active and potent $GABA_A$/BZ receptor agonist. (E)-3,4,5-Trimethoxycinnamic exhibits favourable binding affinity to 5-HT_{2C} and 5-HT_{1A} receptor, with IC_{50} values of 2.5 and 7.6 μM, respectively. (E)-3,4,5-Trimethoxycinnamic acid shows anticonvulsant and sedative activity. (E)-3,4,5-Trimethoxycinnamic acid can be used for the research of insomnia, headache and epilepsy[1][2][3].

In Vitro

(E)-3,4,5-Trimethoxycinnamic acid (10 μg/mL, 1 h) increases the expressions of GAD_{65} and γ-subunit of $GABA_A$ receptors in

the cerebellar granule cells[3].
(E)-3,4,5-Trimethoxycinnamic acid (0-10 μg/mL, 1 h) shows a significant increase in Cl^- influx[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	Primary cultured cerebellar granule cells
Concentration:	10 μg/mL
Incubation Time:	1 h
Result:	Increased expression of GAD_{65} (glutamic acid decarboxylase) and γ-subunit of GABAA receptors, but did not influence the amounts of a-, b-subunits in the GABAA receptors.

Cell Viability Assay[3]

Cell Line:	Primary cultured cerebellar granule cells
Concentration:	1, 3, 5, 10 μg/mL
Incubation Time:	1 h
Result:	Produced a significant increase in Cl^- influx.

In Vivo

(E)-3,4,5-Trimethoxycinnamic acid (0-20 mg/kg, IP, once) shows anti-seizure effects[2].
(E)-3,4,5-Trimethoxycinnamic acid (0-10 mg/kg, Orally, once) enhances hypnotic effects in pentobarbital-treated mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Ault male KunMing-strain mice (18-20 g, maximal electroshock (MES) and pentylenetetrazol (PTZ) models)[2]
Dosage:	5, 10 and 20 mg/kg; 10 mL/kg
Administration:	IP, once
Result:	Significantly decreased the incidence of MES-induced THE (tonic hindlimb extension) to 50% and 20% of the value of the vehicle controls at 10 and 20 mg/kg. Decreased the incidence of MES-induced THE to only 80% at 5 mg/kg. Significantly delayed the onset of myoclonic jerks (MJ), and decreased the seizure severity and mortality compared with the vehicle-treated animals in PTZ seizure model. The incidence of generalized clonic convulsions (stage 4) disappeared at doses of both 10 and 20 mg/kg.

Animal Model:	ICR male mice (25-28 g, 10-12 in each group)[3]
Dosage:	2, 5 and 10 mg/kg
Administration:	Orally (p.o.), once, 15 min and 1 h prior to pentobarbital injection
Result:	Significantly decreased locomotor activity at 10 mg/kg. Increased NREM and total sleep, but decreased wakefulness.

REFERENCES

[1]. Zhao Z, et al. Research progress in the biological activities of 3,4,5-trimethoxycinnamic acid (TMCA) derivatives. Eur J Med Chem. 2019 Jul 1;173:213-227.

[2]. Chen CY, et al. 3,4,5-Trimethoxycinnamic acid, one of the constituents of Polygalae Radix exerts anti-seizure effects by modulating GABAAergic systems in mice. J Pharmacol Sci. 2016 May;131(1):1-5.

[3]. Lee CI, et al. 3,4,5-Trimethoxycinnamic acid (TMCA), one of the constituents of Polygalae Radix enhances pentobarbital-induced sleeping behaviors via GABAAergic systems in mice. Arch Pharm Res. 2013 Oct;36(10):1244-51.

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