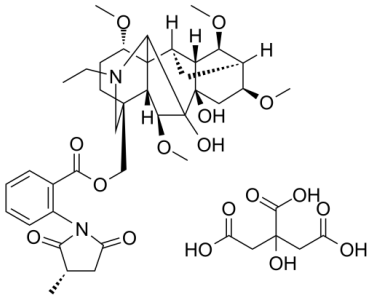
Data Sheet

Product Name:	Methyllycaconitine citrate
Cat. No.:	CS-0021211
CAS No.:	351344-10-0
Molecular Formula:	C43H58N2O17
Molecular Weight:	874.92
Target:	nAChR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 250 mg/mL (285.74 mM; Need ultrasonic and warming); H2O : 2.18 mg/mL (2.49 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

Methyllycaconitine citrate is a specific antagonist of **α7 neuronal nicotinic acetylcholine receptor** (**α7nAChR**). IC50 & Target: α7 nAChR[1] **In Vitro:** Pretreatment with 5 and 10 μM Methyllycaconitine citrate (MLA) inhibits the decreased cell viability induced by Aβ $_{25-35}$. Cell viability does not decrease after exposure to Methyllycaconitine citrate (2.5, 5, 10, 20 μM). Aβ$_{25-35}$ treatment increases LC3-II levels, which is inhibited by administration of Methyllycaconitine citrate. Methyllycaconitine citrate also inhibits Aβ-induced autophagosome accumulation in SH-SY5Y cells. Flow cytometry also demonstrates decreased MDC-labeled vacuoles with Methyllycaconitine citrate treatment[1]. **In Vivo:** Methyllycaconitine citrate (MLA) (6 mg/kg) given alone intraperitoneally does not cause climbing behavior when compare with the saline group. Pretreatment with Methyllycaconitine citrate significantly inhibits methamphetamine (METH)-induced climbing behavior, by about 50%. Methyllycaconitine citrate does not modify either basal locomotor activity or METH-induced hyperlocomotion. The METH-induced depletion of dopamine neuron terminals is attenuated in mice pretreated with Methyllycaconitine citrate (250±43 fmol/mg, n=7). A direct effect of Methyllycaconitine citrate on body temperature is ruled out because Methyllycaconitine citrate does not affect basal body temperature (37.0±0.5°C, n=5) or reduce the METH-induced hyperthermia (38.2±0.4°C, n=6, MLA+METH group, n.s. versus METH group)[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]Cells are plated in 96-well plates containing complete medium and cultured for 24 h. Then cells are treated with **Methyllycaconitine citrate at the indicated concentrations for specified times**. After drug treatment, cell viability is measured by MTT assay. Briefly, 10 μL of the MTT solution (5 mg/mL) is added to each well and incubated for 4 h at 37°C. After removing the supernatant, 100 μL DMSO is added into each well. The absorbance is measured at 570 nm with a microplate reader. All experiments are repeated 3 times[1]. **Animal Administration:** [2]**Adult male Swiss CD-1 mice** are used in all experiments. They are housed at 22±1°C under a 12-h light/dark cycle with free access to food and drinking water. Climbing behavior is measured. Briefly, mice of 20 to 26 g are intraperitoneally administered saline (5 mL/kg) or **Methyllycaconitine citrate** (MLA) (**6 mL/kg**) at the beginning of the test. Twenty minutes later, the animals receive a single dose of saline or methamphetamine (METH) (1 mL/kg) subcutaneously and are placed individually, for habituation, into the experimental chamber consisting of a cylindrical cage with the wall made of plastic bars and covered with a lid. After a 20-min period of exploratory activity, stereotypy measurement is performed for a period of 30 min[2].

References:

[1]. Zheng X, et al. Methyllycaconitine alleviates amyloid-β peptides-induced cytotoxicity in SH-SY5Y cells. PLoS One. 2014 Oct 31;9(10):e111536.

[2]. Escubedo E, et al. Methyllycaconitine prevents methamphetamine-induced effects in mouse striatum: involvement of alpha7 nicotinic receptors. J

Pharmacol Exp Ther. 2005 Nov;315(2):658-67.

CAIndexNames:

Aconitane-7,8-diol, 20-ethyl-1,6,14,16-tetramethoxy-4-[[[2-[(3S)-3-methyl-2,5-dioxo-1-pyrrolidinyl]benzoyl]oxy]methyl]-, (1α,6β,14α,16β)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1)

SMILES:

CO[C@@H]1C(C(N(CC)C2)C3(O)[C@H]4OC)([C@@](C[C@@]5([H])[C@@H]6OC)([H])[C@@]6([H])[C@@]3(C[C@@H]5OC)O)[C@@]4([H])[C@@]2(COC(C7=C(N(C8=O)C(C[C@@H]8C)=O)C=CC=C7)=O)CC1.O=C(CC(C(O)=O)(O)CC(O)=O)O

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA