Product Data Sheet

Product Name: Conessine
Cat. No.: GC12749

Chemical Properties

Cas. No.	546-06-5		
Chemical Name	(3S,3aS,5aS,5bR,9S,11aR,11bS,13aR)-N,N,2,3,11a-pentamethyl-2,3,3a,4,5,5a,5b,6,8,9,10,11,11a,11b,12,13-hexadecahydro-1H-naphtho[2',1':4,5]indeno[1,7a-c]pyrrol-9-amine		
SMILES	C[C@@H]1N(C)C[C@@]2([C@@H]1CC3)[C@@H]3[C@H]4[C@@H]([C@](CC[C@@H]5N(C)C)(C)C(C5)=CC4)CC2		
Formula	$C_{24}H_{40}N_2$	M.Wt	356.59
Solubility	≥ 19.65mg/mL in Ethanol	Storage	Store at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Conessine		

Protocol

Cell experiment [1]:

Cell lines	A549 cells (human lung carcinoma epithelial cell line), Huh7.5 cells (human hepatoma cell line), BHK21 cells (baby hamster kidney cell line)

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation Method	A549, Huh7.5, and BHK21 cells were maintained in Dulbecco's Modified Eagle's Medium (DMEM) supplemented with 10% fetal bovine serum (FBS) at 37°C with 5% CO_2. A549, Huh7.5, and BHK21 cells were treated with Conessine at 0-200μM for 24 hours to assess cytotoxicity, or pretreated with Conessine (10μM) 1 hour before infection in antiviral assays.
Reaction Conditions	Cytotoxicity assay: 0-200μM; 24h. Antiviral assay: 10μM; treatment from 1h pre-infection to 24h post-infection.
Applications	Conessine exhibited low cytotoxicity with CC50 values of 76.11μM (A549), 60.81μM (Huh7.5), and 85.76μM (BHK21). Pre-treatment with Conessine (10μM) significantly inhibited the replication of several enveloped viruses, including DENV, ZIKV, VSV, and HSV, in a dose-dependent manner. Conessine inhibits virus replication by upregulating cellular cholesterol levels, as evidenced by increased intracellular cholesterol, upregulation of cholesterol biosynthesis genes (HMGCR, HMGCS1, INSIG1, MSMO1), and loss of antiviral activity in cholesterol-depleted cells.

Animal experiment [2]:

Animal models	Swiss male mice

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Preparation Method	Mice were subcutaneously administered Conessine (0.1, 1.0, or 10.0mg/kg) one hour before an intraperitoneal injection of ethanol (2.0g/kg). Locomotor activity in an open field was then measured for 30 minutes. In separate experiments, mice were pretreated with Conessine (1.0 or 10.0mg/kg; s.c.) one hour before ethanol (1.0g/kg; i.p.) during the conditioning phase for conditioned place preference (CPP) assessment. For neurochemical analysis, mice were sacrificed 30 minutes after ethanol injection, and brain regions (PFC, NAc, VTA, CPu, SN) were dissected for HPLC quantification of monoamines and metabolites.
Dosage form	0.1, 1.0, 10.0mg/kg; s.c.; single injection
Applications	Conessine significantly exacerbated and prolonged ethanol-induced psychostimulation. Conessine itself induced conditioned place preference, but did not alter the acquisition of ethanol-induced CPP. Neurochemical analysis showed that Conessine blocked ethanol's effects on dopaminergic and noradrenergic neurotransmission in the prefrontal cortex. Furthermore, the combination of Conessine and ethanol decreased dopamine metabolite (DOPAC+HVA) concentrations in the substantia nigra.

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References:
[1] Cho WK, Ma JY. Anti-Viral Activity of Conessine Against Influenza A Virus. Int J Mol Sci. 2025 Aug 5;26(15):7572.
[2] Morais-Silva G, Ferreira-Santos M, Marin MT. Conessine, an H3 receptor antagonist, alters behavioral and neurochemical effects of ethanol in mice. Behav Brain Res. 2016 May 15;305:100-7.

Background

Conessine is a steroidal alkaloid and a potent, selective histamine H3 receptor antagonist (pK_i=8.27)[1-2]. Conessine is utilized in research areas such as antiviral, antibacterial resistance, and muscle atrophy[3-4].

In vitro, in A549 and RAW 264.7 cells, Conessine (1-40μM; 24h) significantly inhibited influenza A virus-induced cytopathic effects and viral plaque formation, and markedly reduced the expression of viral proteins (M2, NP, HA, NS1, PA, PB1)[5]. Pretreatment of A549, Huh7.5, and BHK21 cells with Conessine (10μM; 1h) upregulated intracellular cholesterol levels, increased the expression of cholesterol synthesis/metabolism-related genes (HMGCR, HMGCS1, INSIG1, MSMO1), and inhibited the replication of intracellular enveloped viruses[6].

In vivo,Swiss mice were treated with Conessine (0.1-10mg/kg; single subcutaneous

injection), and 1 hour later, the mice received an intraperitoneal injection of ethanol (2g/kg). Conessine significantly enhanced ethanol-induced psychomotor excitation and prolonged the duration of hyperlocomotion. Conessine blocked ethanol's effects on dopaminergic and noradrenergic neurotransmission in the prefrontal cortex and reduced the levels of dopamine metabolites in the substantia nigra[7]. In ICR male mice treated with methamphetamine (3mg/kg; intraperitoneal injection), administration of Conessine (20mg/kg; single intraperitoneal injection) 30 minutes later significantly inhibited methamphetamine-induced hyperlocomotion. The effect of Conessine was mediated by blocking H3 receptors, thereby releasing histamine, which subsequently activated postsynaptic H1 receptors[8].

References:

[1] Kim H, Jang M, Park R, et al. Conessine treatment reduces dexamethasone-induced muscle atrophy by regulating MuRF1 and atrogin-1 expression. J Microbiol Biotechnol. 2018 Feb 1.
[2] Dua VK, Verma G, Singh B, et al. Anti-malarial property of steroidal alkaloid conessine isolated from the bark of Holarrhena antidysenterica. Malar J. 2013 Jun 10;12:194. doi: 10.1186/1475-2875-12-194.
[3] Kim H, Lee KI, Jang M, et al. Conessine Interferes with Oxidative Stress-Induced C2C12 Myoblast Cell Death through Inhibition of Autophagic Flux. PLoS One. 2016 Jun 3;11(6):e0157096.
[4] Jewboonchu J, Saetang J, Saeloh D, et al. Atomistic insight and modeled elucidation of conessine towards Pseudomonas aeruginosa efflux pump. J Biomol Struct Dyn. 2022 Mar;40(4):1480-1489.
[5] Cho WK, Ma JY. Anti-Viral Activity of Conessine Against Influenza A Virus. Int J Mol Sci. 2025 Aug 5;26(15):7572.
[6] Zhou S, Li J, Ling X, et al. Conessine inhibits enveloped viruses replication through up-regulating cholesterol level. Virus Res. 2023 Dec;338:199234.
[7] Morais-Silva G, Ferreira-Santos M, Marin MT. Conessine, an H3 receptor antagonist, alters behavioral and neurochemical effects of ethanol in mice. Behav Brain Res. 2016 May 15;305:100-7.
[8] Kitanaka J, Kitanaka N, Hall FS, et al. In vivo evaluation of effects of histamine H3 receptor antagonists on methamphetamine-induced hyperlocomotion in mice. Brain Res. 2020 Aug 1;1740:146873.