

Product Data Sheet

Product Name: Lactisole
Cat. No.: GC44024

Chemical Properties

Cas. No. 150436-68-3

Chemical Name 2-(4-methoxyphenoxy)-propanoic acid, monosodium salt

SMILES COC1=CC=C(OC(C)C([O-])=O)C=C1.[Na+]

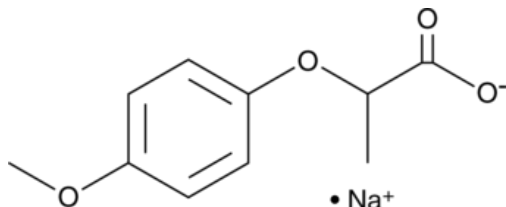
Formula $C_{10}H_{11}O_4 \cdot Na$ M.Wt 218.2

Solubility 10mg/mL in DMSO, 20mg/mL in DMF, 1mg/mL in Ethanol Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

Cell experiment [1]:

Cell lines MIN6 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method MIN6 cells were cultured in a 24-well plate and incubated for 48h. Cells were then incubated for 1h in KRH buffer containing 136mmol/l NaCl, 4.8mmol/l KCl, 1.3mmol/l CaCl₂, 1.2mmol/l MgSO₄, 1.2mmol/l KH₂PO₄, 5.0mmol/l NaHCO₃, 10mmol/l HEPES/NaOH (pH 7.4) and 0.1% BSA. Cells were then incubated for 1h in the same buffer containing 5.5mmol/l glucose in the presence and absence of sweeteners (acesulfame-K, sucralose, glycyrrhizin) and Lactisole(5-10mM). The supernatant was collected and centrifuged at 300g for 10min to remove cell debris. The insulin concentration in the supernatant was measured by RIA according to the manufacturer's protocol. Statistical analysis was performed by using Student's t-test.

Reaction Conditions 5-10mM; 1h

Applications Lactisole(5-10mM; 1h) dose-dependently inhibits insulin secretion induced by sweeteners (acesulfame-K, sucralose, glycyrrhizin) and glucose.

Animal experiment [2]:

Animal models APP/PS1 mice

Preparation Method APP/PS1 mice were randomly divided into 4 groups (n = 6–7/group), namely Vehicle, GV-971, GV-971+Lactisole, and Lactisole, and gavaged with water, GV-971 (100mg/kg/day) and/or Lactisole (30mg/kg/day) for 12 weeks starting at 7 months. After completion of behavior tests, animals were euthanized and tissues samples (blood and jejunum) were collected for analysis.

Dosage form 30mg/kg/day; i.g.; 12 weeks

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Applications Co-administration of Lactisole (30mg/kg/day; i.g.; 12 weeks) and Alzheimer's disease (AD) drug Sodium oligomannate (GV-971) attenuated the effects of GV-971 on serum serotonin and CCK levels, vagal afferent firing, and cognitive behaviors.

References:

- [1] Hamano K, Nakagawa Y, Ohtsu Y, et al. Lactisole inhibits the glucose-sensing receptor T1R3 expressed in mouse pancreatic β -cells. *J Endocrinol.* 2015;226(1):57-66.
- [2] Gong HS, Pan JP, Guo F, et al. Sodium oligomannate activates the enteroendocrine-vagal afferent pathways in APP/PS1 mice. *Acta Pharmacol Sin.* 2024;45(9):1821-1831.

Background

Lactisole is a canonical antagonist of sweet taste receptor, selectively targeting to T1R3 subunit [1]. T1R3 is a common key subunit of the sweet taste receptor (hT1R2/hT1R3) and the umami taste receptor (hT1R1/hT1R3), responsible for recognizing sugars, artificial sweeteners and L-amino acids, thereby triggering taste signaling pathways[2]. Lactisole blocks the activation of natural sweeteners by binding to the transmembrane domain of the receptor through a non-competitive allosteric mechanism and is commonly used in taste physiology and food science research[3-5].

In vitro, treatment of MIN6 cells with Lactisole(5-10mM; 1h) dose-dependently inhibits insulin secretion induced by sweeteners (acesulfame-K, sucralose, glycyrrhizin) and glucose (IC₅₀=4mM), reduces intracellular [NADH] and [ATP] levels, attenuates Ca²⁺ elevation induced by these sweeteners and glucose, but does not affect cAMP elevation[6].

In vivo, co-administration of Lactisole (30mg/kg/day; i.g.; 12 weeks) and Alzheimer's disease (AD) drug Sodium oligomannate (GV-971) attenuated the effects of GV-971 on serum serotonin and CCK levels, vagal afferent firing, and cognitive behaviors[7]. Oral administration of streptozotocin-induced diabetic male rats with Lactisole(10mg/kg; 2h) negates the beneficial effects of duodenal-jejunal bypass (DJB) surgeries on glucose tolerance by inhibiting GLP-1 and GLP-2 secretion, reducing intestinal villus height and crypt depth, and modulating the expression of taste receptors and glucose

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transporters^[8].

References:

- [1] Schiffman SS, Booth BJ, Sattely-Miller EA, Graham BG, Gibes KM. Selective inhibition of sweetness by the sodium salt of +/-2-(4-methoxyphenoxy)propanoic acid. *Chem Senses*. 1999;24(4):439-447.
- [2] Kojima I, Nakagawa Y, Hamano K, Medina J, Li L, Nagasawa M. Glucose-Sensing Receptor T1R3: A New Signaling Receptor Activated by Glucose in Pancreatic β -Cells. *Biol Pharm Bull*. 2015;38(5):674-679.
- [3] Jiang P, Cui M, Zhao B, et al. Lactisole interacts with the transmembrane domains of human T1R3 to inhibit sweet taste. *J Biol Chem*. 2005;280(15):15238-15246.
- [4] Johnson C, Birch GG, MacDougall DB. The effect of the sweetness inhibitor 2(-4-methoxyphenoxy)propanoic acid (sodium salt) (Na-PMP) on the taste of bitter-sweet stimuli. *Chem Senses*. 1994;19(4):349-358.
- [5] Schweiger K, Grüneis V, Trembl J, et al. Sweet Taste Antagonist Lactisole Administered in Combination with Sucrose, But Not Glucose, Increases Energy Intake and Decreases Peripheral Serotonin in Male Subjects. *Nutrients*. 2020;12(10):3133.
- [6] Hamano K, Nakagawa Y, Ohtsu Y, et al. Lactisole inhibits the glucose-sensing receptor T1R3 expressed in mouse pancreatic β -cells. *J Endocrinol*. 2015;226(1):57-66.
- [7] Gong HS, Pan JP, Guo F, et al. Sodium oligomannate activates the enteroendocrine-vagal afferent pathways in APP/PS1 mice. *Acta Pharmacol Sin*. 2024;45(9):1821-1831.
- [8] Sun S, Wang A, Kou R, et al. Duodenal-Jejunal Bypass Restores Sweet Taste Receptor-Mediated Glucose Sensing and Absorption in Diabetic Rats. *J Diabetes Res*. 2024;2024:5544296.

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