

Product Data Sheet

Product Name: Pilocarpine HCl

Cat. No.: GC17256

Chemical Properties

Cas. No. 54-71-7

Chemical Name (3S,4R)-3-ethyl-4-((1-methyl-1H-imidazol-5-yl)methyl)tetrahydrofuran-2(3H)-one hydrochloride

SMILES Cl[H].O=C1OC([H])([H])[C@@](C([H])([H])C2=C([H])N=C([H])N2C([H])([H])[H])([H])[C@]1([H])C([H])([H])C([H])([H])[H]

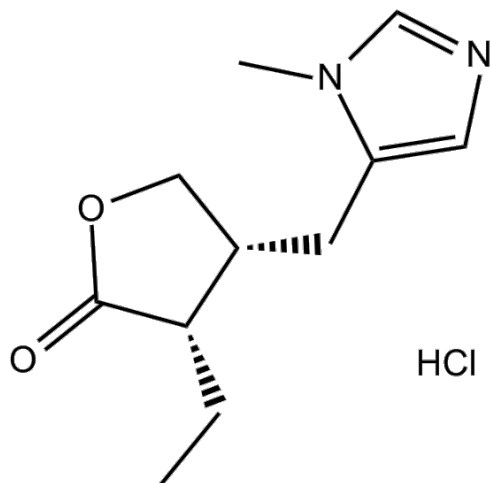
Formula $C_{11}H_{16}N_2O_2 \cdot HCl$ M.Wt 244.72

Solubility 50 mg/mL in DMSO(Need ultrasonic); 20mg/mL in Water Storage Store at -20°C,unstable in solution, ready to use.

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



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

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Protocol

Cell experiment [1]:	
Cell lines	Human Skin fibroblasts
Preparation Method	Skin fibroblasts were cultured in DMEM medium supplemented with 10% fetal bovine serum (FBS), 2mM L-glutamine and penicillin (100U/ml) and streptomycin (100µg/ml) at 37°C in an incubator with 5% CO ₂ . Cells (2×10 ⁶ /well) were seeded in 96-well plates and cultured at 37°C in 5% CO ₂ overnight and then treated with different concentrations of Pilocarpine HCl (0, 0.1, 1, 10, and 100nM) for 48h, cell proliferation was assessed.
Reaction Conditions	0, 0.1, 1, 10, and 100nM; 48h
Applications	Pilocarpine HCl treatment reduced cell proliferation of skin fibroblasts in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Female ICR mice

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Preparation Method	Female ICR mice (9-week-old; 30-32g) were housed in a specific-pathogen-free environment under a 12h/12h light-dark cycle and fed rodent diet ad libitum. Thirty mice were randomly divided into three groups: 1) Control group: CTR; untreated (n=10); 2) Irradiated group: IRD; irradiated without pilocarpine administration (n=10); 3) Irradiated and Pilocarpine HCl-treated group: IRD+Pilo; irradiated and treated with Pilocarpine HCl (2.5µg; twice a day; p.o.) from 5 days before to 63 days after irradiation (n=10). Before irradiation, mice were anesthetized with an intraperitoneal injection of 10mg/100g ketamine and 1mg/100g of xylazine. A 20×30mm window was opened on a 1mm thick lead plate, and only the area including the submandibular and parotid glands was exposed. Irradiation with a single dose of 15Gy was delivered using an X-ray unit. The amount of saliva secreted from all salivary glands after stimulation with pilocarpine was measured at 30 and 63 days after irradiation.
Dosage form	2.5µg; twice a day; 69 days; p.o.
Applications	Pilocarpine HCl treatment attenuated the irradiation-induced decrease in salivary flow in mice.
References: [1] Reina S, Sterin-Borda L, Passafaro D, et al. Muscarinic cholinceptor activation by pilocarpine triggers apoptosis in human skin fibroblast cells[J]. Journal of cellular physiology, 2010, 222(3): 640-647. [2] Taniguchi A, Susa T, Kogo H, et al. Long-term pilocarpine treatment improves salivary flow in irradiated mice[J]. Acta histochemica et cytochemica, 2019, 52(3): 45-58.	

Background

Pilocarpine HCl is a parasympathomimetic agent that acts primarily as a non-specific muscarinic

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acetylcholine receptor agonist^[1]. Pilocarpine HCl promotes physiological salivation by binding the muscarinic acetylcholine receptor 3 (M3R) in the acinus cells of the salivary glands, thus improving salivary gland flow and relieving symptoms of xerostomia caused by radiation therapy^[2]. Pilocarpine HCl stimulates contraction of the ciliary muscle, increases tension on the scleral spur, opens the trabecular meshwork, and facilitates aqueous humor outflow from the eye, thereby reducing intraocular pressure^[3]. Pilocarpine HCl has been widely used in neuroscience research to establish rat models of epilepsy, causing a series of behavioral and electroencephalogram changes^[4].

In vitro, Pilocarpine HCl (0.1 μ M) treatment for 48 hours significantly induced apoptosis in human skin fibroblasts and increased the accumulation of total inositol phosphates (InsP)^[5]. Treatment with 4% Pilocarpine HCl for 24 hours markedly decreased the survival of human meibomian gland epithelial cells (HMGECS), resulting in cell shrinkage and decreased adhesion^[6]. Treatment with 50mM Pilocarpine HCl for 24 hours inhibited the formation of *Candida albicans* biofilms and regulated the hydrophobicity of the cell wall^[7].

In vivo, Pilocarpine HCl treatment via a single intraperitoneal injection at a dose of 400mg/kg induced status epilepticus in adult male Wistar rats within 2 hours and caused neuronal damage in the hippocampal structure of the rats^[8]. Oral administration of Pilocarpine HCl at a dose of 25 μ g twice daily for 2 months attenuated the irradiation-induced decrease in salivary flow in mice^[9].

References:

- [1] Wiseman L R, Faulds D. Oral pilocarpine: a review of its pharmacological properties and clinical potential in xerostomia[J]. *Drugs*, 1995, 49(1): 143-155.
- [2] Kapourani A, Kontogiannopoulos K N, Barmpalexis P. A review on the role of pilocarpine on the management of xerostomia and the importance of the topical administration systems development[J]. *Pharmaceuticals*, 2022, 15(6): 762.
- [3] Jain N, Verma A, Jain N. Formulation and investigation of pilocarpine hydrochloride niosomal gels for the treatment of glaucoma: intraocular pressure measurement in white albino rabbits[J]. *Drug delivery*, 2020, 27(1): 888-899.
- [4] Scorza F A, Arida R M, Naffah-Mazzacoratti M G, et al. The pilocarpine model of epilepsy: what

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have we learned?[J]. Anais da Academia Brasileira de Ciencias, 2009, 81(3): 345-365.

[5] Reina S, Sterin-Borda L, Passafaro D, et al. Muscarinic cholinergic activation by pilocarpine triggers apoptosis in human skin fibroblast cells[J]. Journal of cellular physiology, 2010, 222(3): 640-647.

[6] Zhang Y, Kam W R, Liu Y, et al. Influence of pilocarpine and timolol on human meibomian gland epithelial cells[J]. Cornea, 2017, 36(6): 719.

[7] Nile C, Falleni M, Cirasola D, et al. Repurposing pilocarpine hydrochloride for treatment of Candida albicans infections[J]. Msphere, 2019, 4(1): 10.1128/msphere. 00689-18.

[8] Curia G, Longo D, Biagini G, et al. The pilocarpine model of temporal lobe epilepsy[J]. Journal of neuroscience methods, 2008, 172(2): 143-157.

[9] Taniguchi A, Susa T, Kogo H, et al. Long-term pilocarpine treatment improves salivary flow in irradiated mice[J]. Acta histochemica et cytochemica, 2019, 52(3): 45-58.

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