

Product Data Sheet

Product Name: Fexofenadine HCl

Cat. No.: GC10966

Chemical Properties

Cas. No. 153439-40-8

Chemical Name 2-[4-[1-hydroxy-4-[4-[hydroxy(diphenyl)methyl]piperidin-1-yl]butyl]phenyl]-2-methylpropanoic acid;hydrochloride

SMILES CC(C)(C1=CC=C(C=C1)C(CCCN2CCC(CC2)C(C3=CC=CC=C3)(C4=CC=CC=C4)O)O)C(=O)O.Cl

Formula $C_{32}H_{39}NO_4 \cdot HCl$

M.Wt 538.12

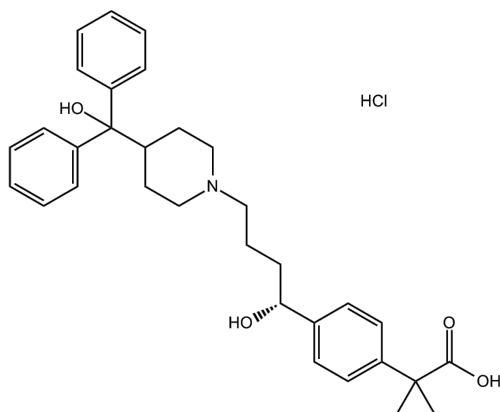
Solubility $\geq 26.9\text{mg/mL}$ in DMSO

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 Nasal fibroblasts

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

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Nasal fibroblasts were isolated from surgical tissues by enzymatic digestion with collagenase (500U/mL), hyaluronidase (30U/mL), and DNase (10U/mL). Cells were cultured in Dulbecco's Modified Eagle's Medium containing 10% (v/v) Preparation Method heat-inactivated fetal bovine serum, 1% (v/v), 10,000U/mL penicillin, and 10,000µg/mL streptomycin. Cells were pretreated with 1, 10, or 100µM Fexofenadine HCl for 1 hour and then stimulated with histamine (100µM) for 24 hours.

Reaction
Conditions 1, 10, or 100µM; 1h

Applications Fexofenadine HCl inhibited the expression of IL-6 protein in a dose dependent manner.

Animal experiment [2]:

Animal models Female BALB/c mice

Preparation Method Female BALB/c mice 10 to 12 weeks of age were sensitized by means of intraperitoneal injection of 20µg of OVA emulsified in 2.25mg of aluminum hydroxide in a total volume of 100µL on days 1 and 14. Mice were challenged for 20 minutes through the airways daily with OVA (1% in saline) for 3 days (days 26, 27, and 28) by using ultrasonic nebulization. The drug Fexofenadine HCl was suspended in saline and administered by means of gavage (without anesthesia) at a dose of 2.5mg twice daily beginning 3 days before allergen challenge and on each of the days of allergen challenge. Airway responsiveness was assessed with a single-chamber, whole-body plethysmograph.

Dosage form 2.5mg twice daily; p.o.; 6days

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Applications Fexofenadine HCl treatment of sensitized mice prevented airway hyperresponsiveness.

References:

- [1] Park IH, Um JY, Cho JS, Lee SH, Lee SH, Lee HM. Histamine Promotes the Release of Interleukin-6 via the H1R/p38 and NF- κ B Pathways in Nasal Fibroblasts. *Allergy Asthma Immunol Res.* 2014;6(6):567-572.
- [2] Gelfand EW, Cui ZH, Takeda K, Kanehiro A, Joetham A. Fexofenadine modulates T-cell function, preventing allergen-induced airway inflammation and hyperresponsiveness. *J Allergy Clin Immunol.* 2002;110(1):85-95.

Background

Fexofenadine HCl is an orally active and nonsedative histamine H1 receptor antagonist^[1]. Histamine H1 receptor is a G protein coupled receptor (GPCR) widely expressed in airway, vascular smooth muscle and central nervous system(CNS) that, upon histamine binding, mediates classic type-I hypersensitivity reactions including allergic inflammation, increased vascular permeability and pruritus^[2]. Fexofenadine HCl can be used in researches of allergic rhinitis and chronic idiopathic urticarial research via antagonism of the histamine H1 receptor^{[3][4]}.

In vitro, pretreatment of nasal fibroblast with 1, 10, or 100 μ M Fexofenadine HCl for 1 hour before histamine stimulation significantly inhibited the expression of IL-6 protein in a dose dependent manner and actively suppressed pp38 and NF- κ B expression but not pERK and pJNK^[5]. Pretreatment of cultured human monocytes with Fexofenadine HCl (0.1mM or 1mM; 20h) significantly inhibits the production of LTC4, LTD4, and LTE4 stimulated by zymosan, as well as the production of PGE2 stimulated by lipopolysaccharide^[6].

In vivo, Fexofenadine HCl (5-20mg/kg/day; p.o.; 3 weeks) inhibited eosinophilia and systemic allergic responses in C57BL/6 mice infected with *T. spiralis*^[1]. Fexofenadine HCl (2.5mg per dose; twice daily; p.o.; 6 days) treatment of sensitized mice prevented airway hyperresponsiveness in both primary sensitization and challenge, as well as in adoptive transfer experiments, and was accompanied with decreased bronchoalveolar lavage and tissue eosinophilia, lymphocyte numbers,

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and TH2 cytokine production^[7].

References:

- [1] Watanabe N, Matsuda E, Masuda A, Nariai K, Shibasaki T. The effects of fexofenadine on eosinophilia and systemic anaphylaxis in mice infected with *Trichinella spiralis*. *Int Immunopharmacol*. 2004;4(3):367-375.
- [2] Smit MJ, Hoffmann M, Timmerman H, Leurs R. Molecular properties and signalling pathways of the histamine H1 receptor. *Clin Exp Allergy*. 1999;29 Suppl 3:19-28.
- [3] Ming X, Knight BM, Thakker DR. Vectorial transport of fexofenadine across Caco-2 cells: involvement of apical uptake and basolateral efflux transporters. *Mol Pharm*. 2011;8(5):1677-1686.
- [4] Markham A, Wagstaff AJ. Fexofenadine. *Drugs*. 1998;55(2):269-276.
- [5] Park IH, Um JY, Cho JS, Lee SH, Lee SH, Lee HM. Histamine Promotes the Release of Interleukin-6 via the H1R/p38 and NF-κB Pathways in Nasal Fibroblasts. *Allergy Asthma Immunol Res*. 2014;6(6):567-572.
- [6] Juergens UR, Darlath W, Stober M, et al. Differential effects of fexofenadine on arachidonic acid metabolism in cultured human monocytes. *Pharmacology*. 2006;76(1):40-45.
- [7] Gelfand EW, Cui ZH, Takeda K, Kanehiro A, Joetham A. Fexofenadine modulates T-cell function, preventing allergen-induced airway inflammation and hyperresponsiveness. *J Allergy Clin Immunol*. 2002;110(1):85-95.

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