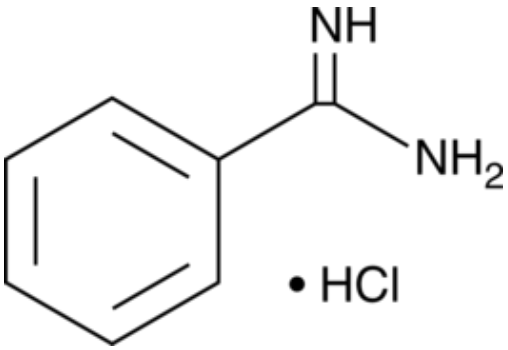
Product Data Sheet

Product Name: Benzamidine (hydrochloride)
Cat. No.: GC42915

Chemical Properties

Cas. No.	1670-14-0		
SMILES	NC(C1=CC=CC=C1)=N.Cl		
Formula	C7H8N2•HCl	M.Wt	156.6
Solubility	DMF: 25 mg/ml,DMSO: 25 mg/ml,Ethanol: 10 mg/ml,PBS (pH 7.2): 3 mg/ml	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]:

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Cell lines	Human fetal lung fibroblasts (HFL1), adult lung parenchymal fibroblasts, adult airway fibroblasts, and adult dermal fibroblasts
Preparation Method	Fibroblasts were maintained in Dulbecco's modified Eagle's medium (DMEM) containing penicillin (100U/ml), streptomycin (100μg/ml), and newborn calf serum (NCS) at 37°C in a humidified atmosphere of air containing 10% CO_2. Cells were seeded onto 96-well microtiter plates at 10^4 cells/well and grown to confluence. The medium was replaced with serum-free medium containing BSA (1mg/ml) and holo-transferrin (1μg/ml) for 24 hours. Cells were then treated with tryptase (17mU/ml) that had been preincubated with Benzamidine (1-100μM) for 60 minutes at 37°C.
Reaction Conditions	1-100μM; preincubation with tryptase for 60 minutes; cell treatment for 48 hours
Applications	Benzamidine caused concentration-dependent inhibition of tryptase-induced fibroblast proliferation. Benzamidine inhibited the response to tryptase. Benzamidine inhibited tryptase-induced cleavage of the synthetic peptide substrate tosyl-Gly-Pro-Arg pNA.

Animal experiment [2]:

Animal models	WT mice with MC903-induced AD model
Preparation Method	Benzamidine was applied by intragastric administration on WT mice with AD for 14 consecutive days.
Dosage form	100μM in 200μl; intragastric administration; 14 consecutive days

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Applications	Benzamidine application resulted in a milder inflammatory phenotype and less inflammatory infiltration than in WT mice treated with MC903 alone.

References:
[1] Luo W, Meng J, Yu XH, et al. Indole-3-Carboxaldehyde Inhibits Inflammatory Response and Lipid Accumulation in Macrophages Through the miR-1271-5p/HDAC9 Pathway. J Cell Mol Med. 2024 Dec;28(24):e70263.
[2] Liu X, Liu R, Wang Y. Indole-3-carboxaldehyde alleviates acetaminophen-induced liver injury via inhibition of oxidative stress and apoptosis. Biochem Biophys Res Commun. 2024 May 28;710:149880.

Background

Benzamidine (hydrochloride) is a reversible, competitive trypsin-like serine protease inhibitor. Benzamidine inhibits the activities of tryptase (K_i=20μM), trypsin (K_i=21μM), uPA (K_i=97μM, factor Xa (K_i=110μM), thrombin (K_i=320μM), and tPA (Ki=750μM). Benzamidine can be used in studies related to the coagulation and fibrinolytic systems, physiological enzymology, and relevant pharmacology[1-4].

In vitro, human fetal lung fibroblasts were pretreated with Benzamidine (1–100μM) for 60 minutes, followed by stimulation with human mast cell tryptase (17.6mU/ml) for 48 hours. Benzamidine inhibited tryptase-induced fibroblast proliferation[5]. HEK293 cells incubated with KIF (10μM) and SWA (50μM) were treated with Benzamidine (5mM) for 48 hours. Benzamidine promoted cellular corin expression and inhibited corin autocleavage[6].

In vivo, Benzamidine (100μM; 200μl) was administered by intragastric gavage to MC903-induced atopic dermatitis (AD) model mice for 14 consecutive days. Benzamidine significantly alleviated the inflammatory phenotype and inflammatory infiltration in the mice[7].

References:
[1] Katz BA, Mackman R, Luong C, et al. Structural basis for selectivity of a small molecule, S1-binding, submicromolar inhibitor of urokinase-type plasminogen activator. Chem Biol. 2000

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Apr;7(4):299-312.
[2] Cairns JA, Walls AF. Mast cell tryptase stimulates the synthesis of type I collagen in human lung fibroblasts. J Clin Invest. 1997 Mar 15;99(6):1313-21.
[3] Ristow SS, Starkey JR, Hass GM. In vitro effects of protease inhibitors on murine natural killer cell activity. Immunology. 1983 Jan;48(1):1-8.
[4] Wang X, Jin X, Xie Z, et al. Benzamidine Conjugation Converts Expelled Potential Active Agents into Antifungals against Drug-Resistant Fungi. J Med Chem. 2023 Oct 12;66(19):13684-13704.
[5] Akers IA, Parsons M, Hill MR, et al. Mast cell tryptase stimulates human lung fibroblast proliferation via protease-activated receptor-2. Am J Physiol Lung Cell Mol Physiol. 2000 Jan;278(1):L193-201.
[6] Wang H, Liu YS, Peng Y, et al. Golgi α-mannosidases regulate cell surface N-glycan type and ectodomain shedding of the transmembrane protease corin. J Biol Chem. 2023 Oct;299(10):105211.
[7] Jia T, Che D, Zheng Y, et al. Mast Cells Initiate Type 2 Inflammation through Tryptase Released by MRGPRX2/MRGPRB2 Activation in Atopic Dermatitis. J Invest Dermatol. 2024 Jan;144(1):53-62.e2.

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