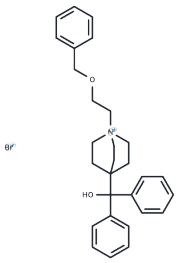
Data Sheet (Cat.No.T4997)

TargetMol

Umeclidinium bromide

Chemical Properties



CAS No. : 869113-09-7

Formula: C29H34BrNO2

Molecular Weight: 508.49

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Umeclidinium bromide (GSK573719A) is a novel mAChR antagonist.
Targets(IC50)	AChR
In vitro	In human embryonic kidney 293 cells, Umeclidinium bromide (GSK573719A) inhibits the human ether-a-go-go-related gene channel tail current in a concentration-dependent manner (IC50 = 9.4 μM).
In vivo	Administering Umeclidinium bromide (GSK573719A) intranasally at a dose of 0.025 μg to mice once daily for five days incrementally enhances its inhibitory effect on bronchomotor tone, with inhibition increasing from 35% after the first dose to 60% by the fifth day. Following a five-day rest period, where bronchomotor tone reverts to baseline, a subsequent dose yields an inhibition level comparable to that of the initial dose, indicating the absence of tolerance development with repeated intranasal administration. Conversely, oral administration of Umeclidinium bromide at 2.0 mg/kg, equivalent to 100 times the intranasal ED50 value, fails to offer any protective effect against an Mch challenge, demonstrating the method-specific efficacy of this compound.
Animal Research	Mice:Age-matched male BALB/c mice (23-25 gm) are pretreated intranasally (50 μL per mouse) with vehicle (0.9% saline) or Umeclidinium bromide at intervals (0.25-48 hours) prior to methacholine challenge, and placed into individual plethysmograph chambers. Fresh air is supplied by bias flow pumps to the chambers. After baseline respiratory [enhanced pause (Penh)] values are collected, the mice received methacholine (30 mg/mL or EC80) by aerosol delivery (flow=1.6 mL/min×2 minutes). An average Penh is then calculated for 5 minutes. Penh=[(expiratory time/relaxation time)?1]×(peak expiratory flow/peak inspiratory flow), and relaxation time is the amount of time required for 70% of the tidal volume to expire. In some cases, animals are treated on multiple, consecutive days as described in the figure legends. The data are expressed as the mean±S.E.M. percent inhibition of Penh or (mean Penh value of vehicle treated group-Penh for each drug-treated animal) divided by (mean Penh value of vehicle treated group)×100%. Data are analyzed using commercially available software.

Solubility Information

Solubility	DMSO: 83.3 mg/mL (163.82 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 8.33 mg/mL (16.38 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9666 mL	9.833 mL	19.6661 mL
5 mM	0.3933 mL	1.9666 mL	3.9332 mL
10 mM	0.1967 mL	0.9833 mL	1.9666 mL
50 mM	0.0393 mL	0.1967 mL	0.3933 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Cazzola M, et al. Pharmacology and therapeutics of bronchodilators. Pharmacol Rev. 2012 Jul;64(3):450-504.
Calzetta L, et al. Pharmacological characterization of the interaction between umeclidinium and vilanterol in human bronchi. Eur J Pharmacol. 2017 Jul 14. pii: S0014-2999(17)30470-3.
Salmon M, et al. Pharmacological characterization of GSK573719 (umeclidinium): a novel, long-acting, inhaled antagonist of the muscarinic cholinergic receptors for treatment of pulmonary diseases. J Pharmacol Exp Ther. 2013 May;345(2):260-70.