

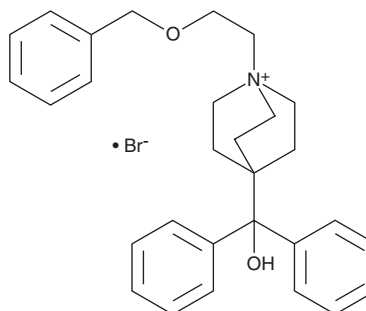
PRODUCT INFORMATION



Umeclidinium (bromide)

Item No. 20700

CAS Registry No.: 869113-09-7
Formal Name: 4-(hydroxydiphenylmethyl)-1-[2-(phenylmethoxy)ethyl]-1-azoniabicyclo[2.2.2]octane, monobromide
Synonym: GSK573719
MF: C₂₉H₃₄NO₂ • Br
FW: 508.5
Purity: ≥98%
UV/Vis.: λ_{max}: 257 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Umeclidinium (bromide) is supplied as a crystalline solid. A stock solution may be made by dissolving the umeclidinium (bromide) in the solvent of choice, which should be purged with an inert gas. Umeclidinium (bromide) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of umeclidinium (bromide) in these solvents is approximately 0.14, 15, and 10 mg/ml, respectively.

Umeclidinium (bromide) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, umeclidinium (bromide) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Umeclidinium (bromide) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Umeclidinium is an antagonist of muscarinic acetylcholine receptors (K_i s = 0.05-0.16 nM for M₁-M₅ human recombinant receptors).^{1,2} It inhibits acetylcholine-induced activation of recombinant M₃ receptors in CHO cell membranes (IC₅₀ = <10 nM).¹ Umeclidinium attenuates methacholine-induced calcium release in human airway smooth muscle cells (EC₅₀ = 10 μM) and bronchoconstriction in mice when administered intranasally (ED₅₀ = 0.02 μg).^{1,3} Formulations containing umeclidinium have been used in the treatment of chronic obstructive pulmonary disease (COPD).

References

1. Lainé, D.I., McClelland, B., Thomas, S., *et al.* Discovery of novel 1-azoniabicyclo[2.2.2]octane muscarinic acetylcholine receptor antagonists. *J. Med. Chem.* **52(8)**, 2493-2505 (2009).
2. Salmon, M., Luttmann, M.A., Foley, J.J., *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.* **345(2)**, 260-270 (2013).
3. Shaikh, N., Johnson, M., Hall, D.A., *et al.* Intracellular interactions of umeclidinium and vilanterol in human airway smooth muscle. *Int. J. Chron. Obstruct. Pulmon. Dis.* **12**, 1903-1913 (2017).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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