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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

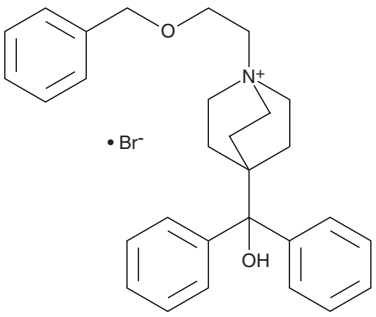
linkedin.com/company/szaboscandic

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:	$C_{29}H_{34}NO_2$ • Br
FW:	508.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 257 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 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. Umeclidinium (bromide) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. 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_is = 0.05-0.16 nM for M_1-M_5 human recombinant receptors).[1,2] It inhibits acetylcholine-induced activation of recombinant M_3 receptors in CHO cell membranes (IC_{50} = <10 nM).[1] Umeclidinium attenuates methacholine-induced calcium release in human airway smooth muscle cells (EC_{50} = 10 µM) and bronchoconstriction in mice when administered intranasally (ED_{50} = 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., McCleland, 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.

WARRANTY AND LIMITATION OF REMEDY
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CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM