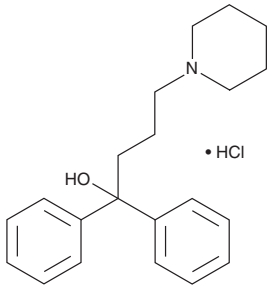
PRODUCT INFORMATION

Diphenidol (hydrochloride)

Item No. 18674

CAS Registry No.:	3254-89-5
Formal Name:	α,α-diphenyl-1-piperidinebutanol, monohydrochloride
Synonym:	SKF 478A
MF:	$C_{21}H_{27}NO \bullet HCl$
FW:	345.9
Purity:	≥98%
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

Diphenidol (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the diphenidol (hydrochloride) in the solvent of choice. Diphenidol (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of diphenidol (hydrochloride) in ethanol and DMSO is approximately 30 mg/ml and approximately 50 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of diphenidol (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of diphenidol (hydrochloride) in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Diphenidol is an antagonist of muscarinic acetylcholine receptors (mAChRs; K_is = 0.43, 2.8, 1.1, 0.91, and 1.28 µM in CHO cell membranes expressing $M_{1\text{-}5}$ receptors, respectively).[1] It also inhibits K_V channels in Neuro2A cells (IC_{50} = 28.2 µM), as well as L-type voltage-gated calcium channels in differentiated NG 108-15 cells in a concentration-dependent manner.[2] Microiontophoretic application of diphenidol inhibits rotation-induced firing of medial vestibular nucleus neurons in a cat model of vertigo.[3] Diphenidol (3.2 mg/kg, i.v.) prevents apomorphine-induced emesis in dogs.[4] Formulations containing diphenidol have been used in the treatment of vertigo and as antiemetics.

References

1. Varoli, L., Andreani, A., Burnelli, S., *et al.* Diphenidol-related diamines as novel muscarinic M4 receptor antagonists. *Bioorg. Med. Chem. Lett.* **18(9)**, 2972-2976 (2008).
2. Leung, Y.-M., Wong, K.-L., Cheng, K.-S., *et al.* Inhibition of voltage-gated K^+ channels and Ca^{2+} channels by diphenidol. *Pharmacol. Rep.* **64(3)**, 739-744 (2012).
3. Kawabata, A., Sasa, M., Kishimoto, T., *et al.* Effects of anti-vertigo drugs on medial vestibular nucleus neurons activated by horizontal rotation. *Jpn. J. Pharmacol.* **55(1)**, 101-106 (1991).
4. Nakayama, H., Yamakuni, H., Nakayama, A., *et al.* Diphenidol has no actual broad antiemetic activity in dogs and ferrets. *J. Pharmacol. Sci.* **96(3)**, 301-306 (2004).

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