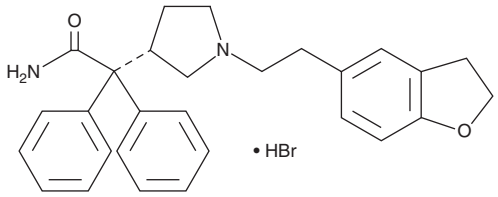
PRODUCT INFORMATION

Darifenacin (hydrobromide)

Item No. 14424

CAS Registry No.: 133099-07-7
Formal Name: 1-[2-(2,3-dihydro-5-benzofuranyl)ethyl]-α,α-diphenyl-3-pyrrolidineacetamide, monohydrobromide
Synonym: UK 88525-04
MF: $C_{28}H_{30}N_2O_2$ • HBr
FW: 507.5
Purity: ≥95%
UV/Vis.: λ_{max}: 205, 287 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

Darifenacin (hydrobromide) is supplied as a crystalline solid. A stock solution may be made by dissolving the darifenacin (hydrobromide) in the solvent of choice, which should be purged with an inert gas. Darifenacin (hydrobromide) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of darifenacin (hydrobromide) in these solvents is approximately 0.3, 2, and 3 mg/ml, respectively.

Darifenacin (hydrobromide) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, darifenacin (hydrobromide) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Darifenacin (hydrobromide) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Darifenacin is an antagonist of M_3 muscarinic acetylcholine receptors (mAChRs; K_i = 0.76 nM).[1] It is selective for M_3 over M_1, M_2, M_4, and M_5 mAChRs (K_is = 7.08, 44.67, 45.71, and 9.33 nM, respectively). Darifenacin selectively inhibits contractions in isolated guinea pig ileum, bladder, and trachea (pA_2s = 9.44, 8.66, and 8.7, respectively), tissues that endogenously express high levels of M_3 mAChRs, over isolated rabbit vas deferens and isolated guinea pig atria (pA_2s = 7.9 and 7.48, respectively), which endogenously express M_1 and M_2 mAChRs, respectively. It inhibits micturition pressure (ED_{50} = 0.089 mg/kg, i.v.), as well as micturition interval and volume in rats. Formulations containing darifenacin have been used in the treatment of overactive bladder.

References

1. Wallis, R.M. and Napier, C.M. Muscarinic antagonists in development for disorders of smooth muscle function. *Life Sci.* **64(6-7)**, 395-401 (1999).

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