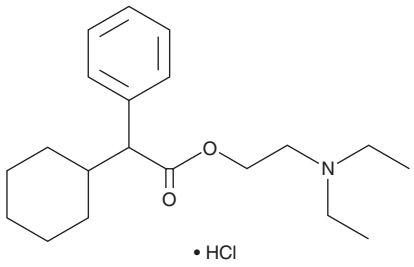
PRODUCT INFORMATION

Drofenine (hydrochloride)

Item No. 43153

CAS Registry No.:	548-66-3
Formal Name:	α-cyclohexyl-benzeneacetic acid, 2-(diethylamino)ethyl ester, monohydrochloride
Synonyms:	Hexahydroadiphenine, NSC 42559
MF:	$C_{20}H_{31}NO_2$ • HCl
FW:	353.9
Purity:	≥98%
Supplied as:	A 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

Drofenine (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the drofenine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Drofenine (hydrochloride) is soluble (≥10 mg/ml) in ethanol and DMSO.

Description

Drofenine is an antagonist of M_1 muscarinic acetylcholine receptors (mAChRs).[1] It inhibits carbachol-induced inositol phosphate accumulation in isolated guinea pig cortical slices, which endogenously express M_1 muscarinic receptors (pA_2 = 8.15). Drofenine also inhibits butyrylcholinesterase (BChE) isolated from human serum (K_i = 3 µM).[2] It induces inward currents in whole-cell patch-clamp assays using HEK293 cells overexpressing transient receptor potential vanilloid 3 (TRPV3; EC_{50} = 205 µM).[3] Drofenine (5 mg/kg twice per week) increases hepatic levels of α-smooth muscle actin (α-Sma) and collagen I in a mouse model of hepatic fibrosis induced by carbon tetrachloride (CCl_4).[4]

References

1. Kunysz, E.L., Michel, A.D., and Whiting, R.L. Functional and direct binding studies using subtype selective muscarinic receptor antagonists. *Br. J. Pharmacol.* **93(3)**, 491-500 (1988).
2. Bodur, E., Cokuğraş, A.N., and Tezcan, E.F. Inhibition effects of benactyzine and drofenine on human serum butyrylcholinesterase. *Arch. Biochem. and Biophys.* **386(1)**, 25-29 (2001).
3. Deering-Rice, C.E., Mitchell, V.K., Romero, E.G., *et al.* Drofenine: A 2-APB analogue with greater selectivity for human TRPV3. *Pharmacol. Res. Perspect.* **2(5)**, e00062 (2014).
4. Yan, L., Zhang, X., Fu, J., *et al.* Inhibition of the transient receptor potential vanilloid 3 channel attenuates carbon tetrachloride-induced hepatic fibrosis. *Biochem. Biophys. Res. Commun.* **558**, 86-93 (2021).

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