

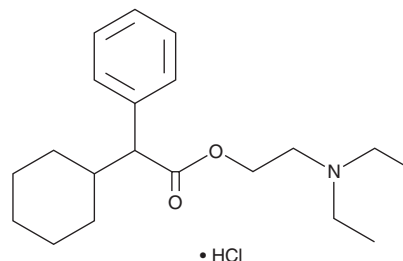
PRODUCT INFORMATION



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: $C_{20}H_{31}NO_2 \cdot HCl$
FW: 353.9
Purity: $\geq 98\%$
Supplied as: A solid
Storage: $-20^\circ C$
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 ($K_i = 3 \mu M$).² It induces inward currents in whole-cell patch-clamp assays using HEK293 cells overexpressing transient receptor potential vanilloid 3 (TRPV3; $EC_{50} = 205 \mu M$).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).

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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