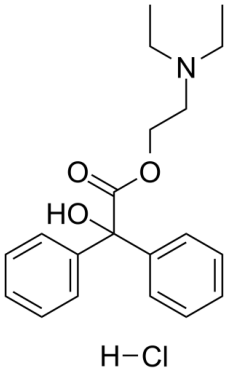
Data Sheet

Product Name:	Benactyzine hydrochloride
Cat. No.:	CS-8073
CAS No.:	57-37-4
Molecular Formula:	$C_{20}H_{26}ClNO_3$
Molecular Weight:	363.88
Target:	AChE
Pathway:	Neuronal Signaling
Solubility:	DMSO : 150 mg/mL (412.22 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

Benactyzine hydrochloride is a **butyrylcholinesterase** (**BChE**) inhibitor with a **K_i** of 0.010 mM. IC50 & Target: Ki: 0.010 mM (BChE) [1] **In Vitro:** Benactyzine hydrochloride and drofenine are widely used anticholinergic drugs which are also competitive inhibitors of BChE with K_i values of 0.010±0.001 and 0.003±0.000 mM, respectively. Results indicate that the inhibition of BChE by Benactyzine hydrochloride is pure or partial competitive. Pure competitive inhibition can be distinguished from partial competitive inhibition by plotting v vs [Benactyzine] at a fixed [BTCh][1]. **In Vivo:** Benactyzine hydrochloride (1 mg/kg) does not influence the attention response. Benactyzine hydrochloride increases the duration of the exploratory-motor reaction, but slightly diminishes the salivation elicited by acetylcholine. There is no blocking effect of Benactyzine hydrochloride and promazine on the EEG-seizure activity elicited by acetylcholine, and almost no inhibitory effect on emotional reactions. Emotional reactions are blocked by high doses of imipramine and promazine, but not by Benactyzine hydrochloride. The data indicates that imipramine, promazine and Benactyzine hydrochloride influence the autonomic effects of serotonin in different ways. Promazine, and especially Benactyzine hydrochloride, inhibit and shorten the period of salivation elicited by the intra-amygdaloid injection of serotonin[2].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]Butyrylcholinesterase activity is measured spectrophotometrically with spectrophotometer using butyrylthiocholine iodide (BTCh) as substrate. In the kinetic studies, initial velocities are measured at 37°C by using 0.25 mM 5,5'-dithio-bis(2-nitrobenzoic acid) (DTNB) in 5 mM 3-(N-morpholino)propanesulfonic acid (MOPS) buffer, pH 7.5, and appropriate concentrations of Benactyzine hydrochloride and drofenine solutions prepared in water, as a function of BTCh concentration from 0.25 to 5.0 mM BTCh in 0.5 mL final volume of assay mixture (0.5 mL assay mixture contains 1.56 mg purified enzyme). Assays are carried out in duplicate and activities are measured for up to 90 s[1]. **Animal Administration:** [2]Experiments are carried out in 36 cats of both sexes weighing 1.8 to 4 kg in a free-behavior situation. The experiments are carried out in the following order: after the first micro-injection of acetylcholine and/or serotonin and/or noradrenaline (200 μg) into the amygdala the changes in the behavior, autonomic reactions and EEG are recorded for a period of 10 min and then followed by intramuscular injections of imipramine and/or Benactyzine hydrochloride and/or promazine and/or saline (in control experiments). The second micro-injection of neurohormones (200 μg) into the amygdala is performed in 45 rain after the intramuscular injection of drugs and/or saline, and the effect is compared with the previous one, induced by the first micro-injection[2].

References:

[1]. Bodur E, et al. Inhibition effects of benactyzine and drofenine on human serum butyrylcholinesterase. Arch Biochem Biophys. 2001 Feb 1;386(1):25-9.

[2]. Allikmets LH, et al. Dissimilar influences of imipramine, benactyzine and promazine on effects of micro-injections ofnoradrenaline, acetylcholine and serotonin into the amygdala in the cat. Psychopharmacologia. 1969;15(5):392-403.

CAIndexNames:

Benzeneacetic acid, α-hydroxy-α-phenyl-, 2-(diethylamino)ethyl ester, hydrochloride (1:1)

SMILES:

O=C(OCCN(CC)CC)C(C1=CC=CC=C1)(O)C2=CC=CC=C2.[H]Cl

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