Epinastine (hydrochloride)
Item No. 18136

CAS Registry No.: 108929-04-0
Formal Name: 9,13b-dihydro-1H-dibenzo[c,f]
imidazo[1,5-a]azepin-3-amine, monohydrochloride
Synonym: WAL 801CL
MF: C_{16}H_{15}N_{3} • HCl
FW: 285.8
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid

Laboratory Procedures

For long term storage, we suggest that epinastine (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

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

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 epinastine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of epinastine (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Epinastine is a non-sedating ophthalmic antihistamine that antagonizes histamine H\textsubscript{1} receptors (IC\textsubscript{50} = 1.58 nM in guinea pigs) and prevents the release of pro-inflammatory mediators from mast cells and eosinophils.\textsuperscript{1,2} Although it can pass through the blood-brain barrier, the low brain distribution of epinastine is accounted for by efficient efflux transport by P-glycoproteins and poor uptake by the influx transporter.\textsuperscript{3} Epinastine also inhibits superoxide generation by rat neutrophils.\textsuperscript{4}

References