PRODUCT INFORMATION

Fluphenazine-N-2-chloroethane (hydrochloride)

Item No. 18427

CAS Registry No.: 3892-78-2
Formal Name: 10-[3-[4-(2-chloroethyl)-1-piperazinyl]propyl]-2-(trifluoromethyl)-10H-phenothiazine dihydrochloride

Synonyms: Fluphenazine-N-mustard, FNMM, FPCE, SKF 7171A

MF: C_{22}H_{25}ClF_{3}N_{3}S • 2HCl
FW: 528.9

Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max} 257, 307 nm

Laboratory Procedures

For long term storage, we suggest that fluphenazine-N-2-chloroethane (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

Fluphenazine-N-2-chloroethane (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the fluphenazine-N-2-chloroethane (hydrochloride) in the solvent of choice. Fluphenazine-N-2-chloroethane (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of fluphenazine-N-2-chloroethane (hydrochloride) in ethanol is approximately 1 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Fluphenazine-N-2-chloroethane (hydrochloride) is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Fluphenazine is a traditional antipsychotic compound that tightly binds the dopamine D_{2} receptor (K_{i} = 0.55 nM) and also reversibly inhibits calmodulin at micromolar concentrations.\(^1,2\) Fluphenazine-N-2-chloroethane is a derivative of fluphenazine that contains an alkylating chloroethylamine chain, which produces irreversible protein binding.\(^3,4\) It is a relatively selective, irreversible antagonist of D_{2} receptors both in vitro (IC_{50} = 100 nM) and in vivo, inactivating approximately 90% of D_{2} receptors in mice within 4 hours of treatment.\(^5,6\) Through this action, fluphenazine-N-2-chloroethane can be used to induce catalepsy in mice.\(^5,7\) It irreversibly inhibits calmodulin at higher doses (IC_{50} = 10 µM), which can sensitize cancer cells to TRAIL-induced apoptosis.\(^3,8\)

References