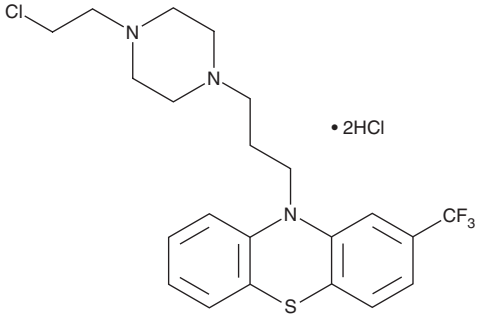
PRODUCT INFORMATION

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, FNM, FPCE, SKF 7171A
MF: $C_{22}H_{25}ClF_3N_3S \bullet 2HCl$
FW: 528.9
Purity: ≥95%
UV/Vis.: λ_{max}: 257, 307 nm
Supplied as: A crystalline 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

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, which should be purged with an inert gas. Fluphenazine-N-2-chloroethane (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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

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5. Qin, Z.H., Zhou, L.W., Zhang, S.P., *et al. Mol. Pharmacol.* **48(4)**, 730-737 (1995).
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7. Davidkova, G., Zhou, L.-W., Morabito, M., *et al. J. Pharmacol. Exp. Ther.* **285(3)**, 1187-1196 (1998).
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