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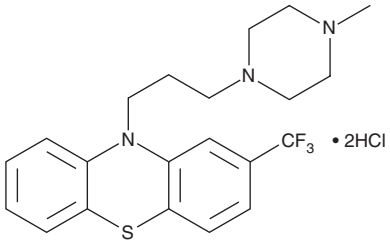
PRODUCT INFORMATION

Trifluoperazine (hydrochloride)

Item No. 15068

CAS Registry No.: 440-17-5
Formal Name: 10-[3-(4-methyl-1-piperazinyl) propyl]-2-(trifluoromethyl)-10H-phenothiazine, dihydrochloride
Synonyms: SKF 5019, TFP
MF: $C_{21}H_{24}F_3N_3S \bullet 2HCl$
FW: 480.4
Purity: ≥98%
UV/Vis.: λ_{max}: 260, 313 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TFP (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the TFP (hydrochloride) in the solvent of choice. TFP (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of TFP (hydrochloride) in these solvents is approximately 1.25, 20, and 10 mg/ml, respectively.

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 TFP (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of TFP (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

TFP is a phenothiazine compound with anti-adrenergic and anti-dopaminergic actions typical of antipsychotic agents. It antagonizes adrenergic receptors, with selectivity for α_1 over the α_2 subtypes (K_is = 24, 653, 163, and 391 nM for α_{1A}, α_{2A}, α_{2B}, and α_{2C}, respectively).[1] TFP binds with much higher affinity to the dopamine D_2-like receptor (K_d = 0.96 nM) compared to the dopamine D_4-like and the serotonin 5-HT_{2A} receptors (K_ds = 44 and 135 nM, respectively).[2] Furthermore, TFP antagonizes calmodulin (CaM) and alters the calcium-binding properties of calsequestrin (CSQ).[3,4] TFP has been shown to activate type-2 ryanodine receptors independently of its CaM and CSQ activity.[4]

References

1. Kroeze, W.K., Hufeisen, S.J., Popadak, B.A., *et al*. H1-histamine receptor affinity predicts short-term weight gain for typical and atypical antipsychotic drugs. *Neuropsychopharmacology* **28(3)**, 519-526 (2003).
2. Seeman, P., Corbett, R., and Van Tol, H.H. Atypical neuroleptics have low affinity for dopamine D_2 receptors or are selective for D_4 receptors. *Neuropsychopharmacology* **16(2)**, 93-110 (1997).
3. Zimmer, M. and Hofmann, F. Calmodulin antagonists inhibit activity of myosin light-chain kinase independent of calmodulin. *Eur. J. Biochem*. **142(2)**, 393-397 (1984).
4. Qin, J., Zima, A.V., Porta, M., *et al*. Trifluoperazine: A ryanodine receptor agonist. *Pflugers. Arch*. **458(4)**, 643-651 (2009).

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