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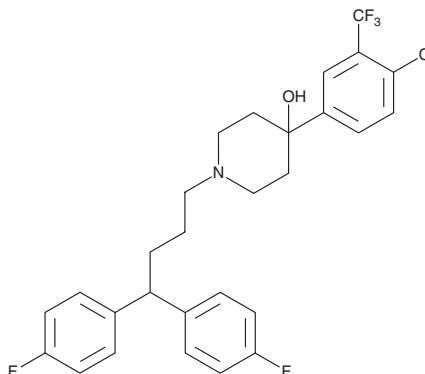
PRODUCT INFORMATION



Penfluridol

Item No. 26071

CAS Registry No.: 26864-56-2
Formal Name: 1-[4,4-bis(4-fluorophenyl)butyl]-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-piperidinol
Synonym: R-16341
MF: C₂₈H₂₇ClF₅NO
FW: 524.0
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 266, 272 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

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

Penfluridol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, penfluridol should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Penfluridol has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Penfluridol is a first generation typical antipsychotic that binds to dopamine receptors (IC₅₀ = 5.6 nM).¹ It binds to dopamine D₄ receptors and also to serotonin (5-HT) receptors (K_is = 31 and 63.1 nM, respectively).^{2,3} Penfluridol (1.25 and 2.5 mg/kg) inhibits stereotypic behavior induced by apomorphine (Item No. 16094) in cynomolgus monkeys.⁴ It also inhibits proliferation of triple-negative breast cancer cells (TNBCs; IC₅₀s = 6-8 μM), as well as inhibits migration and invasion of TNBCs and decreases the expression of integrin α6 and β4.⁵ Penfluridol reduces tumor growth and metastasis in a 4T1 orthotopic mouse model when administered at a dose of 10 mg/kg per day.

References

1. Burt, D.R., Creese, I., and Snyder, S.H. Properties of [³H]haloperidol and [³H]dopamine binding associated with dopamine receptors in calf brain membranes. *Mol. Pharmacol.* **12**(5), 800-812 (1976).
2. Roth, B.L., Tandra, S., Burgess, L.H., et al. D₄ dopamine receptor binding affinity does not distinguish between typical and atypical antipsychotic drugs. *Psychopharmacology (Berl)* **120**(3), 365-368 (1995).
3. Roth, B.L., Craigo, S.C., Choudhary, M.S., et al. Binding of typical and atypical antipsychotic agents to 5-hydroxytryptamine-6 and 5-hydroxytryptamine-7 receptors. *J. Pharmacol. Exp. Ther.* **268**(3), 1403-1410 (1994).
4. Shintomi, K. and Yamamura, M. Effects of penfluridol and other drugs on apomorphine-induced stereotyped behavior in monkeys. *Eur. J. Pharmacol.* **31**(2), 273-280 (1975).
5. Ranjan, A., Gupta, P., and Srivastava, S.K. Penfluridol: An antipsychotic agent suppresses metastatic tumor growth in triple-negative breast cancer by inhibiting integrin signaling axis. *Cancer Res.* **76**(4), 877-890 (2016).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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