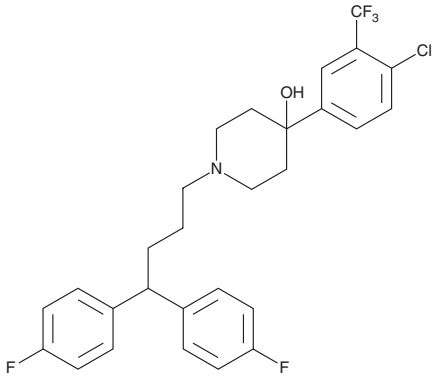
PRODUCT INFORMATION

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: $C_{28}H_{27}ClF_5NO$
FW: 524.0
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 266, 272 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

Penfluridol is supplied as a crystalline solid. A stock solution may be made by dissolving the penfluridol in the solvent of choice, which should be purged with an inert gas. Penfluridol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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 (IC_{50} = 5.6 nM).[1] It binds to dopamine D_4 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; IC_{50}s = 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 [^{3}H]haloperidol and [^{3}H]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.* D_4 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).

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