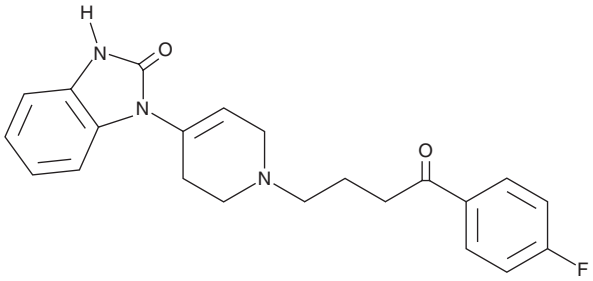
PRODUCT INFORMATION

Droperidol

Item No. 18884

CAS Registry No.:	548-73-2
Formal Name:	1-[1-[4-(4-fluorophenyl)-4-oxobutyl]-1,2,3,6-tetrahydro-4-pyridinyl]-1,3-dihydro-2H-benzimidazol-2-one
Synonyms:	DHBP, NSC 169874
MF:	$C_{22}H_{22}FN_3O_2$
FW:	379.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 282 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

Droperidol is supplied as a crystalline solid. A stock solution may be made by dissolving the droperidol in the solvent of choice, which should be purged with an inert gas. Droperidol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of droperidol in these solvents is approximately 0.25, 25, and 20 mg/ml, respectively.

Droperidol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, droperidol should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Droperidol has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Droperidol is a dopamine D_2 and D_4 receptor antagonist (K_is = 0.25 and 0.84 nM for human D_2 and D_4 receptors, respectively).[1] It also inhibits the voltage-gated potassium channel hERG, also known as K_v11.1 (IC_{50} = 32 nM), and binds to the serotonin (5-HT) receptor subtype 5-HT_2 (K_i = 1.1 nM).[2,3] Droperidol (1, 5, and 10 µM) decreases action potential duration in isolated canine Purkinje fibers.[4] It prevents apomorphine-induced vomiting in dogs (ED_{50} = 0.0012 mg/kg) and induces catalepsy in rats (ED_{50} = 0.13 mg/kg).[5] Formulations containing droperidol have been used in the treatment of surgery-associated nausea and vomiting.

References

1. Seeman, P. and Tallerico, T. Antipsychotic drugs which elicit little or no parkinsonism bind more loosely than dopamine to brain D2 receptors, yet occupy high levels of these receptors. *Mol. Psychiatry* **3(2)**, 123-134 (2016).
2. Rajamani, R., Tounge, B.A., Li, J., *et al.* A two-state homology model of the hERG K^+ channel: Application to ligand binding. *Bioorg. Med. Chem. Lett.* **15(6)**, 1737-1741 (2005).
3. Leysen, J.E., Janssen, P.M.F., Schotte, A., *et al.* Interaction of antipsychotic drugs with neurotransmitter receptor sites in vitro and in vivo in relation to pharmacological and clinical effects: Role of $5HT_2$ receptors. *Psychopharmacology (Berl).* **112(1 Suppl)**, S40-S54 (1993).
4. Wojtczak, J. and Beresewicz, A. Electrophysiological effects of the neuroleptanalgesic drugs on the canine cardiac tissue. *Naunyn Schmiedebergs Arch Pharmacol.* **286(2)**, 211-220 (1974).
5. Niemegeers, C.J.E. Antiemetic specificity of dopamine antagonists. *Psychopharmacology (Berl).* **78(3)**, 210-213 (1982).

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