

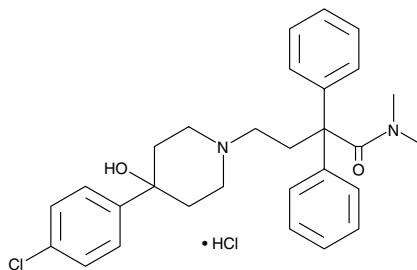
Product Information



Loperamide (hydrochloride)

Item No. 14875

CAS Registry No.: 34552-83-5
Formal Name: 4-(4-chlorophenyl)-4-hydroxy-N,N-dimethyl- α,α -diphenyl-1-piperidinebutanamide, monohydrochloride
Synonyms: Imodium, NSC 696356, PJ 185, R 18553
MF: C₂₉H₃₃ClN₂O₂ • HCl
FW: 513.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that loperamide (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

Loperamide (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the loperamide (hydrochloride) in the solvent of choice. Loperamide (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of loperamide (hydrochloride) in ethanol is approximately 10 mg/ml and approximately 2.5 mg/ml in DMSO and DMF.

Loperamide (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, loperamide (hydrochloride) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Loperamide (hydrochloride) 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.

Loperamide is an opiate which potently and selectively activates μ opioid receptors ($K_i = 0.16$ nM) exclusively in the periphery.^{1,2} It is a much weaker agonist of the δ opioid receptor ($K_i = 50$ nM).¹ Through its actions in the gut wall, loperamide has antidiarrheal action by increasing transit time and by altering intestinal transport of water and electrolytes.^{2,3} It also blocks voltage-sensitive sodium channels ($IC_{50} = 270$ nM) and high voltage-activated calcium channels ($IC_{50} = 900$ nM), presumably through an inhibitory effect on calmodulin.^{2,4,5} Loperamide is comparable to morphine in blocking peripheral pain in rats.⁶

References

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3. Trinkley, K.E. and Nahata, M.C. Treatment of irritable bowel syndrome. *J. Clin. Pharm. Ther.* **36**(3), 275-282 (2011).
4. McNeal, E.T., Lewandowski, G.A., Daly, J.W., *et al.* [³H]Batrachotoxinin A 20 α -benzoate binding to voltage-sensitive sodium channels: A rapid and quantitative assay for local anesthetic activity in a variety of drugs. *J. Med. Chem.* **28**(3), 381-388 (1985).
5. Church, J., Fletcher, E.J., Abdel-Hamid, K., *et al.* Loperamide blocks high-voltage-activated calcium channels and N-methyl-D-aspartate-evoked responses in rat and mouse cultured hippocampal pyramidal neurons. *Mol. Pharmacol.* **45**, 747-757 (1994).
6. Shannon, H.E. and Lutz, E.A. Comparison of the peripheral and central effects of the opioid agonists loperamide and morphine in the formalin test in rats. *Neuropharmacology* **42**(2), 253-261 (2002).

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