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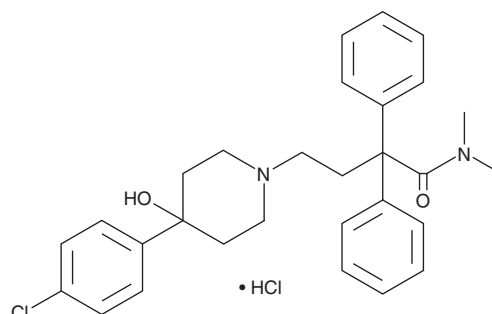
PRODUCT INFORMATION



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: NSC 696356, PJ 185, R 18553
MF: $C_{29}H_{33}ClN_2O_2 \cdot HCl$
FW: 513.5
Purity: $\geq 98\%$
Supplied as: A crystalline solid
Storage: $-20^\circ C$
Stability: ≥ 5 years



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

Description

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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2. Regnard, C., Twycross, R., Mihalyo, M., et al. Loperamide. *J. Pain Symptom Manage.* **42**(2), 319-323 (2011).
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).

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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