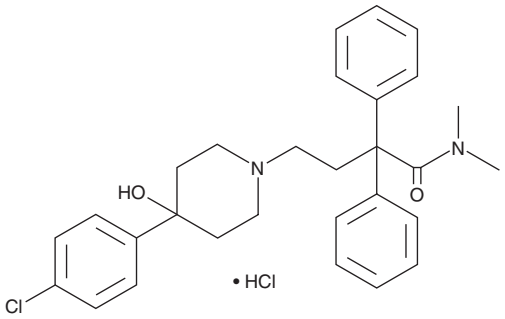
PRODUCT INFORMATION

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: $C_{29}H_{33}ClN_2O_2 \bullet HCl$
FW: 513.5
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°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

1. Breslin, H.J., Miskowski, T.A., Rafferty, B.M., *et al.* Rationale, design, and synthesis of novel phenyl imidazoles as opioid receptor agonists for gastrointestinal disorders. *J. Med. Chem.* **47(21)**, 5009-5020 (2004).
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. [^{3}H]Batrachotoxinin A 20α-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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