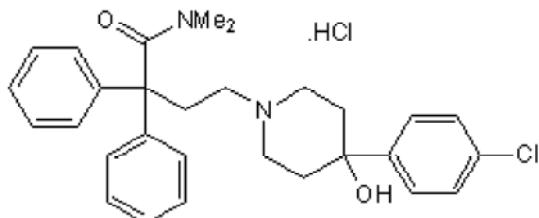


Certificate of Analysiswww.tocris.com**Product Name:** Loperamide hydrochloride**Catalog No.:** 0840**Batch No.:** 3

CAS Number: 34552-83-5

EC Number: 252-082-4

IUPAC Name: 4-(4-Chlorophenyl)-4-hydroxy-N,N-dimethyl- α , α -diphenyl-1-piperidinebutanamide hydrochloride**1. PHYSICAL AND CHEMICAL PROPERTIES****Batch Molecular Formula:** C₂₉H₃₃ClN₂O₂.HCl**Batch Molecular Weight:** 513.51**Physical Appearance:** White solid**Solubility:** ethanol to 20 mM
DMSO to 20 mM**Storage:** Store at RT**Batch Molecular Structure:****2. ANALYTICAL DATA****TLC:** R_f = 0.31 (Dichloromethane:Methanol:Ammonia soln. [10:1:0.1])**Melting Point:** Between 235 - 237°C**¹H NMR:** Consistent with structure**Microanalysis:** Carbon Hydrogen Nitrogen

Theoretical 67.83 6.67 5.46

Found 67.59 6.63 5.44

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Product Information

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Product Name: Loperamide hydrochloride

CAS Number: 34552-83-5

IUPAC Name: 4-(4-Chlorophenyl)-4-hydroxy-N,N-dimethyl- α , α -diphenyl-1-piperidinebutanamide hydrochloride

Catalog No.: 0840

Batch No.: 3

EC Number: 252-082-4

Description:

High affinity μ -opioid receptor agonist with peripheral selectivity (K_i values are 2, 48 and 1156 nM for μ -, δ - and κ -opioid receptors respectively). Antidiarrhoeal and antihyperalgesic agent. Also a Ca^{2+} channel blocker; at low micromolar concentrations it blocks broad spectrum neuronal HVA Ca^{2+} channels and at higher concentrations it reduces Ca^{2+} flux through NMDA receptor operated channels. Inhibits replication of MERS-CoV and SARS-CoV in vitro.

Physical and Chemical Properties:

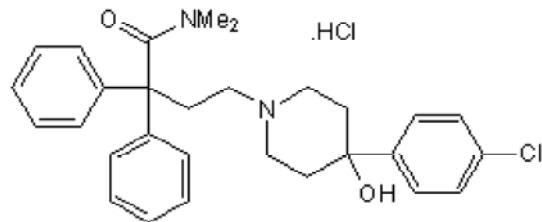
Batch Molecular Formula: $\text{C}_{29}\text{H}_{33}\text{ClN}_2\text{O}_2\text{-HCl}$

Batch Molecular Weight: 513.51

Physical Appearance: White solid

Minimum Purity: $\geq 99\%$

Batch Molecular Structure:



References:

de Wilde et al (2014) Screening of an FDA-approved compound library identifies four small-molecule inhibitors of Middle East Respiratory Syndrome coronavirus replication in cell culture. *Antimicrob Agents Chemother.* **58** 4875.

Dehaven-Hudkins et al (1999) Loperamide (ADL 2-1294), an opioid antihyperalgesic agent with peripheral selectivity. *J Pharmacol Exp Ther.* **289** 494. PMID: 10087042.

Daly et al (1995) Maitotoxin-elicited calcium influx in cultured cells - effect of calcium channel block. *Biochem Pharmacol.* **50** 1187. PMID: 7488233.

Church et al (1994) Loperamide blocks high-voltage activated calcium channels and N-MthD-aspartate -evoked responses in rat and mouse cultured hippocampal pyramidal neurons. *Mol Pharmacol.* **45** 747. PMID: 8183255.

Awouters et al (1983) Pharmacology of antidiarrheal drugs. *Annu Rev Pharmacol Toxicol.* **23** 279. PMID: 6307123.

Storage: Store at RT

Solubility & Usage Info:

ethanol to 20 mM
DMSO to 20 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

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