

# Certificate of Analysis

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**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- $\alpha,\alpha$ -diphenyl-1-piperidinebutanamide hydrochloride

## 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:** C<sub>29</sub>H<sub>33</sub>ClN<sub>2</sub>O<sub>2</sub>.HCl

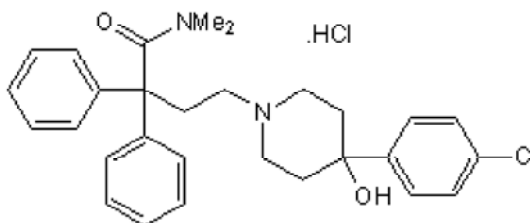
**Batch Molecular Weight:** 513.51

**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<sub>f</sub> = 0.31 (Dichloromethane:Methanol:Ammonia soln. [10:1:0.1])

**Melting Point:** Between 235 - 237°C

**<sup>1</sup>H 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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IUPAC Name: 4-(4-Chlorophenyl)-4-hydroxy-*N,N*-dimethyl- $\alpha,\alpha$ -diphenyl-1-piperidinebutanamide hydrochloride

### Description:

High affinity  $\mu$ -opioid receptor agonist with peripheral selectivity ( $K_i$  values are 2, 48 and 1156 nM for  $\mu$ -,  $\delta$ - and  $\kappa$ -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:

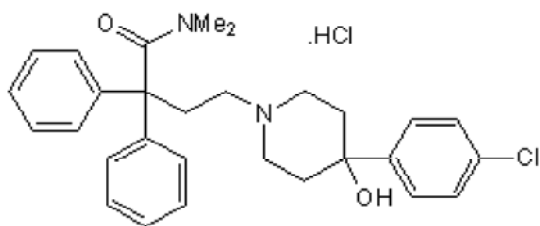
Batch Molecular Formula:  $C_{29}H_{33}ClN_2O_2 \cdot HCl$

Batch Molecular Weight: 513.51

Physical Appearance: White solid

**Minimum Purity:**  $\geq 99\%$

### Batch Molecular Structure:



**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.

### 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.

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