

## Certificate of Analysis

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**Product Name:** Loperamide hydrochloride

**Catalog No.:** 0840

**Batch No.:** 7

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

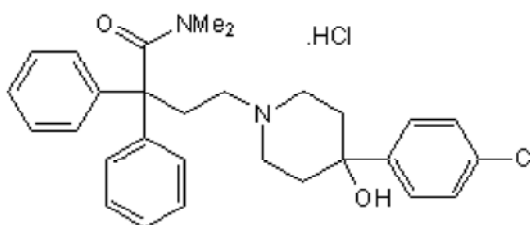
**Batch Molecular Weight:** 513.51

**Physical Appearance:** White solid

**Solubility:** ethanol to 20 mM  
DMSO to 20 mM

**Storage:** Store at RT

**Batch Molecular Structure:**



### 2. ANALYTICAL DATA

**HPLC:** Shows 99.8% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	67.83	6.67	5.45
Found	67.57	6.74	5.55

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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**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:**

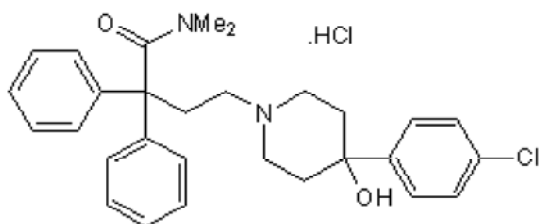
Batch Molecular Formula:  $C_{29}H_{33}ClN_2O_2 \cdot HCl$

Batch Molecular Weight: 513.51

Physical Appearance: White solid

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

**Batch Molecular Structure:**



**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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