

Certificate of Analysis

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Product Name: Loperamide hydrochloride

Catalog No.: 0840

Batch No.: 4

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

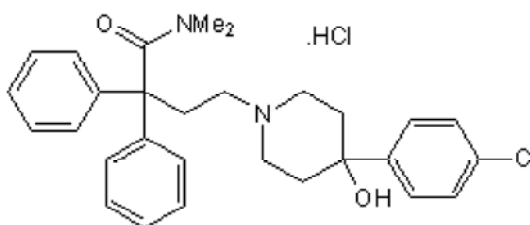
Batch Molecular Weight: 513.51

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 = 0.32 (Dichloromethane:Methanol:Ammonia soln. [10:1:0.1])

Melting Point: At 234°C

¹H NMR: Consistent with structure

Microanalysis:

| | Carbon | Hydrogen | Nitrogen |
|-------------|--------|----------|----------|
| Theoretical | 67.83 | 6.67 | 5.46 |
| Found | 6.61 | 6.6 | 5.3 |

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

bio-techne.com

info@bio-techne.com

techsupport@bio-techne.com

North America

Tel: (800) 343 7475

China

info.cn@bio-techne.com

Tel: +86 (21) 52380373

Europe Middle East Africa

Tel: +44 (0)1235 529449

Rest of World

www.tocris.com/distributors

Tel: +1 612 379 2956

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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:

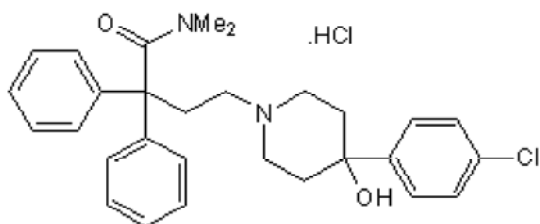
Batch Molecular Formula: $C_{29}H_{33}ClN_2O_2 \cdot HCl$

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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