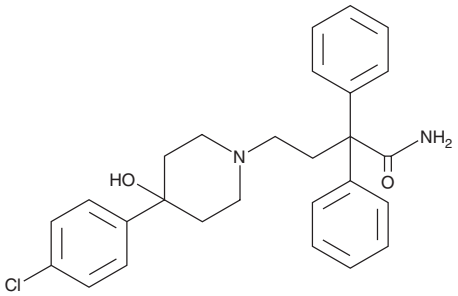
PRODUCT INFORMATION

N-didesmethyl Loperamide

Item No. 39559

CAS Registry No.:	66164-06-5
Formal Name:	4-(4-chlorophenyl)-4-hydroxy-α,α-diphenyl-1-piperidinebutanamide
Synonym:	R 21345
MF:	$C_{27}H_{29}ClN_2O_2$
FW:	449.0
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

N-didesmethyl Loperamide is supplied as a solid. A stock solution may be made by dissolving the N-didesmethyl loperamide in the solvent of choice, which should be purged with an inert gas. N-didesmethyl Loperamide is slightly soluble in acetonitrile and chloroform.

Description

N-didesmethyl Loperamide is an active metabolite of the peripheral μ_1-opioid receptor agonist loperamide.[1] It inhibits electricity-induced contractions in isolated guinea pig myenteric plexus (IC_{50} = 370 nM).[2] N-didesmethyl Loperamide sensitizes a chloroquine-resistant *P. falciparum* strain to chloroquine (EC_{50} = 482 nM) without inducing toxicity in A-10 vascular smooth muscle cells (IC_{50} = >10,000 nM).[3]

References

1. Yoshida, K., Nambu, K., Arakawa, S., *et al.* Metabolites of loperamide in rats. *Biomed. Mass Spectrom.* **6(6),** 253-259 (1979).
2. Wüster, M. and Herz, A. Opiate agonist action of antidiarrheal agents *in vitro* and *in vivo* - findings in support for selective action. *Naunyn Schmiedebergs Arch. Pharmacol.* **301(3),** 187-194 (1978).
3. Boudhar, A., Ng, X.W., Loh, C.Y., *et al.* Overcoming chloroquine resistance in malaria: Design, synthesis and structure-activity relationships of novel chemoreversal agents. *Eur. J. Med. Chem.* **119,** 231-249 (2016).

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