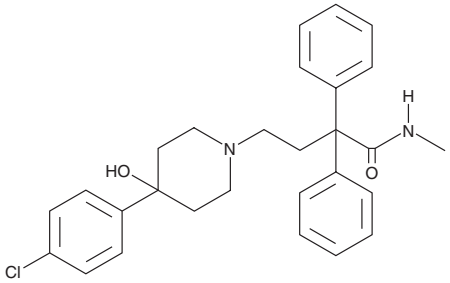
PRODUCT INFORMATION

N-desmethyl Loperamide

Item No. 16126

CAS Registry No.:	66164-07-6
Formal Name:	4-(4-chlorophenyl)-4-hydroxy-N-methyl-α,α-diphenyl-1-piperidinebutanamide
Synonym:	R 20905
MF:	$C_{28}H_{31}ClN_2O_2$
FW:	463.0
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥5 years



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

Description

Loperamide (Item No. 14875) is an opiate which potently and selectively activates μ opioid receptors (K_i = 0.16 nM) exclusively in the periphery.[1,2] N-desmethyl Loperamide is a major metabolite of loperamide.[3,4] Like loperamide, N-desmethyl loperamide is a substrate of the ATP-dependent efflux transporter, P-glycoprotein.[4,5] As a result, both the parent compound and the metabolite display restricted passage through the blood-brain barrier.[5,6] N-desmethyl Loperamide in biological samples can be analyzed by a variety of methods.[3,7]

References

1. Breslin, H.J., Miskowski, T.A., Rafferty, B.M., *et al.* Rationale, design, and synthesis of novel phenyl imidazoles as opioid receptor agonists for gastrointestinal disorders. *J. Med. Chem.* **47(21)**, 5009-5020 (2004).
2. Regnard, C., Twycross, R., Mihalyo, M., *et al.* Loperamide. *J. Pain Symptom Manage.* **42(2)**, 319-323 (2011).
3. Sklerov, J., Levine, B., Moore, K.A., *et al.* Tissue distribution of loperamide and N-desmethylloperamide following a fatal overdose. *J. Anal. Toxicol.* **29(7)**, 750-754 (2005).
4. Kalgutkar, A.S. and Nguyen, H.T. Identification of an N-methyl-4-phenylpyridinium-like metabolite of the antidiarrheal agent loperamide in human liver microsomes: Underlying reason(s) for the lack of neurotoxicity despite the bioactivation event. *Drug Metab. Dispos.* **32(9)**, 943-952 (2004).
5. Kannan, P., Brimacombe, K.R., Zoghbi, S.S., *et al.* N-desmethyl-loperamide is selective for P-glycoprotein among three ATP-binding cassette transporters at the blood-brain barrier. *Drug Metab. Dispos.* **38(6)**, 917-922 (2010).
6. Hsiao, P. and Unadkat, J.D. P-glycoprotein-based loperamide-cyclosporine drug interaction at the rat blood-brain barrier: Prediction from *in vitro* studies and extrapolation to humans. Mol. Pharm. **9(3)**, 629-633 (2012).
7. Johansen, S.S. and Jensen, J.L. Liquid chromatography-tandem mass spectrometry determination of loperamide and its main metabolite desmethylloperamide in biological specimens and application to forensic cases. *J. Chromatogr. B Analyt. Technol. Biomed. Life Sci.* **811(1)**, 31-36 (2004).

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