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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic



PRODUCT INFORMATION



N-desmethyl Loperamide

Item No. 16126

CAS Registry No.: 66164-07-6

Formal Name: 4-(4-chlorophenyl)-4-hydroxy-N-methyl-a,a-diphenyl-1-piperidinebutanamide

Synonym: R 20905

MF: C₂₈H₃₁ClN₂O₂

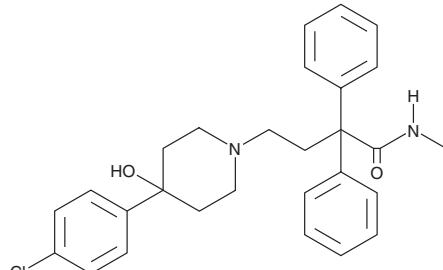
FW: 463.0

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

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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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 - USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM