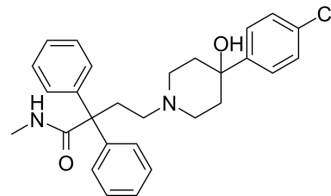


## N-Desmethyl-loperamide

Cat. No.:	HY-128038
CAS No.:	66164-07-6
Molecular Formula:	C <sub>28</sub> H <sub>31</sub> ClN <sub>2</sub> O <sub>2</sub>
Molecular Weight:	463.01
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

#### Description

N-Desmethyl-loperamide is a major metabolite of loperamide, a drug that selectively activates peripheral  $\mu$ opioid receptors with a  $K_i$  value of 0.16 nM. N-Desmethyl-loperamide is a substrate of the ATP-dependent efflux transporter P-glycoprotein<sup>[1]</sup> [2][3].

### REFERENCES

- [1]. Sklerov J, et al. Tissue distribution of loperamide and N-desmethylloperamide following a fatal overdose. *J Anal Toxicol.* 2005 Oct;29(7):750-4.
- [2]. Kalgutkar AS, et al. 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.* 2004 Sep;32(9):943-52.
- [3]. Kannan P, et al. N-desmethyl-loperamide is selective for P-glycoprotein among three ATP-binding cassette transporters at the blood-brain barrier. *Drug Metab Dispos.* 2010 Jun;38(6):917-22.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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