Loperamide hydrochloride

Cat. No.: HY-B0418A

CAS No.: 34552-83-5

Molecular Formula: $C_{29}H_{34}Cl_2N_2O_2$

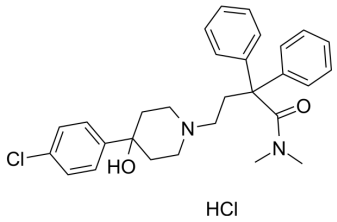
Molecular Weight: 513.5

Target: Opioid Receptor; Autophagy

Pathway: GPCR/G Protein; Neuronal Signaling; Autophagy

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (97.37 mM; Need ultrasonic)

H_2O : 1 mg/mL (1.95 mM; Need ultrasonic)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	1.9474 mL	9.7371 mL	19.4743 mL
5 mM	0.3895 mL	1.9474 mL	3.8949 mL
10 mM	0.1947 mL	0.9737 mL	1.9474 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Loperamide (hydrochloride) (R-18553 (hydrochloride)) is an opioid receptor agonist[1][2][3]. Loperamide hydrochloride is a selective and competitive human intestinal carboxylesterases (hiCE) inhibitor. Loperamide hydrochloride has anti-diarrheal effect[4].

In Vitro

Loperamide (17.5 μM, 1-48 h) induces hallmarks of ER stress and autophagy in GBM cells and MEFs[5].
Loperamide (17.5 μM, 48 h) decrease in LC3B and GABARAP lipidation levels in ATF4 KO cells compared to WT cells[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Autophagy Assay[5]

Cell Line:	GBM cell and mouse embryonic fibroblasts (MEFs)
Concentration:	17.5 μM
Incubation Time:	1, 2, 4, 6, 8, 24, 30, 48 h
Result:	Increased the levels of the major chaperone HSPA5 in both cell lines.

CUSTOMER VALIDATION

- J Transl Med. 2021 Jul 23;19(1):317.
- Viruses. 2021 Jun 28;13(7):1255.
- J Appl Microbiol. 2023 Jul 22;lxad153.

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REFERENCES

[1]. Svenja Zielke, et al. ATF4 links ER stress with reticulophagy in glioblastoma cells. Autophagy. 2021, 17, 9.

[2]. Hanauer, S.B., The role of loperamide in gastrointestinal disorders. Rev Gastroenterol Disord, 2008. 8(1): p. 15-20.

[3]. Litovitz, T., et al., Surveillance of loperamide ingestions: an analysis of 216 poison center reports. J Toxicol Clin Toxicol, 1997. 35(1): p. 11-9.

[4]. http://www.drugs.com/mmx/loperamide-hydrochloride.html

[5]. Hatfield MJ, et al. Carboxylesterase inhibitors. Expert Opin Ther Pat. 2011 Aug;21(8):1159-71.

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