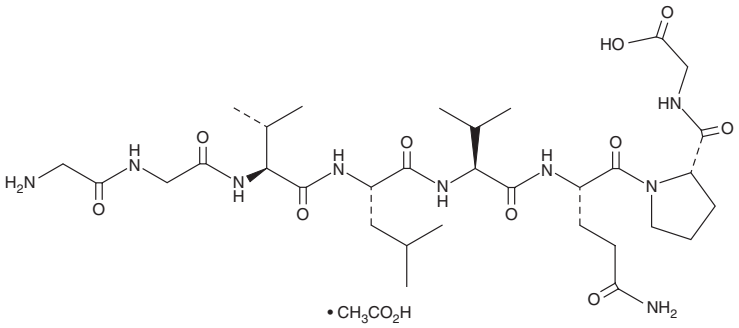
PRODUCT INFORMATION

Larazotide (acetate)

Item No. 43008

CAS Registry No.: 881851-50-9
Formal Name: glycylglycyl-L-valyl-L-leucyl-L-valyl-L-glutaminyl-L-prolyl-glycine, monoacetate salt
Synonyms: AT-1001, Gly-Gly-Val-Leu-Val-Gln-Pro-Gly
Peptide Sequence: GGVLVQPG
MF: $C_{32}H_{55}N_9O_{10} \bullet C_2H_4O_2$
FW: 785.9
Purity: ≥98%
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

Larazotide (acetate) is supplied as a solid. A stock solution may be made by dissolving the larazotide (acetate) in the solvent of choice, which should be purged with an inert gas. Larazotide (acetate) is sparingly soluble (1-10 mg/ml) in DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of larazotide (acetate) can be prepared by directly dissolving the solid in aqueous buffers. Larazotide (acetate) is sparingly soluble (1-10 mg/ml) in PBS (pH 7.2) We do not recommend storing the aqueous solution for more than one day.

Description

Larazotide is a peptide antagonist of α3β4 subunit-containing nicotinic acetylcholine receptors (nAChRs).[1] It selectively inhibits epibatidine-induced calcium mobilization in HEK293 cells expressing human α3β4 subunit-containing nAChRs over HEK293 cells expressing α4β2 subunit-containing nAChRs (IC_{50}s = 91 and 276 nM, respectively). Larazotide (100 µM) decreases inflammatory cytokine-induced tight junction dysfunction in isolated rat intestinal epithelial cells.[2] *In vivo*, larazotide (0.3, 3, and 30 µg/kg) inhibits nicotine self-administration and varenicline-induced reinstatement of nicotine-seeking behavior in rats. It also increases intestinal epithelial cell bridging and decreases intestinal damage without reducing liver damage in a rat model of thioacetamide-induced acute liver failure.[3]

References

1. Cippitelli, A., Wu, J., Gaiolini, K.A., *et al.* AT-1001: A high-affinity α3β4 nAChR ligand with novel nicotine-suppressive pharmacology. *Br. J. Pharmacol.* **172(7)**, 1834-1845 (2015).
2. Khaleghi, S., Ju, J.M., Lamba, A., *et al.* The potential utility of tight junction regulation in celiac disease: focus on larazotide acetate. *Therap. Adv. Gastroenterol.* **9(1)**, 37-49 (2016).
3. Caliskan, A.R., Gul, M., Yilmaz, I., *et al.* Effects of larazotide acetate, a tight junction regulator, on the liver and intestinal damage in acute liver failure in rats. *Hum. Exp. Toxicol.* **40 (12 suppl)**, S693-S701 (2021).

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