

PRODUCT INFORMATION

GR73632 (acetate)

Item No. 43047

Formal Name: N-(5-amino-1-oxopentyl)-L-phenylalanyl-L-phenylalanyl-L-prolyl-N-methyl-L-leucyl-L-methioninamide, acetate

Synonym: Deamino-Orn-Phe-Phe-Pro-N(Me)Leu-Met-NH₂

Peptide Sequence: XFFPZM-NH₂ (X = Deamino ornithine, Z = N-methyl leucine)

MF: C₄₀H₅₉N₇O₆S • XC₂H₄O₂

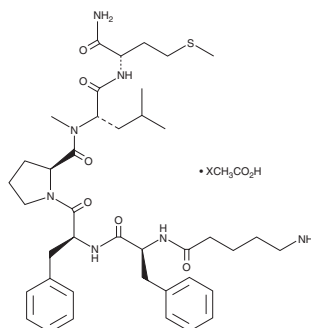
FW: 766.0

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

GR73632 (acetate) is supplied as a solid. A stock solution may be made by dissolving the GR73632 (acetate) in the solvent of choice, which should be purged with an inert gas. GR73632 (acetate) is soluble (≥10 mg/ml) in organic solvents such as ethanol and 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 GR73632 (acetate) can be prepared by directly dissolving the solid in aqueous buffers. GR73632 (acetate) is slightly soluble (0.1-1 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

GR73632 is a peptide neurokinin-1 (NK₁) receptor agonist.¹ It potentiates electrically induced contractions in isolated guinea pig vas deferens (EC₅₀ = 2 nM). Intradermal administration of GR73632 (30 nmol/animal) increases scratching behavior in gerbils.² It induces foot tapping behavior in gerbils when intracerebroventricularly administered at a dose of 3 pmol.³ GR73632 (5 mg/kg) induces emesis in shrews.⁴

References

- Hall, J.M. and Morton, I.K.M. Novel ligands confirm NK-1 receptor-mediated modulation of neurotransmission in the guinea pig vas deferens preparation. *Ann. N. Y. Acad. Sci.* **632**, 404-406 (1991).
- Trower, M.K., Fisher, A., Upton, N., *et al.* Neurokinin-1 receptor antagonist orvepitant is an effective inhibitor of itch-associated response in a Mongolian gerbil model of scratching behaviour. *Exp. Dermatol.* **23**(11), 858-860 (2014).
- Di Fabio, R., Alvaro, G., Griffante, C., *et al.* Discovery and biological characterization of (2R,4S)-1'-acetyl-N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-N-methyl-4,4'-bipiperidine-1-carboxamide as a new potent and selective neurokinin 1 (NK1) receptor antagonist clinical candidate. *J. Med. Chem.* **54**(4), 1071-1079 (2011).
- Zhong, W., Chebolu, S., and Darmani, N.A. Intracellular emetic signaling cascades by which the selective neurokinin type 1 receptor (NK1R) agonist GR73632 evokes vomiting in the least shrew (*Cryptotis parva*). *Neurochem. Int.* **122**, 106-119 (2019).

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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