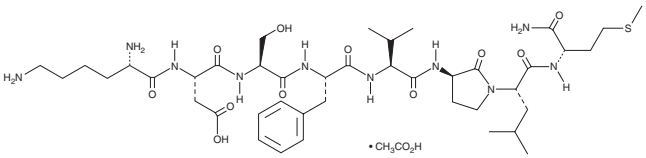
PRODUCT INFORMATION

GR64349 (acetate)

Item No. 42915



Formal Name: (S)-4-(((S)-1-(((S)-1-(((S)-1-(((R)-1-((S)-1-(((S)-1-amino-4-(methylthio)-1-oxobutan-2-yl)amino)-4-methyl-1-oxopentan-2-yl)-2-oxopyrrolidin-3-yl)amino)-3-methyl-1-oxobutan-2-yl)amino)-1-oxo-3-phenylpropan-2-yl)amino)-3-hydroxy-1-oxopropan-2-yl)amino)-3-((S)-2,6-diaminohexanamido)-4-oxobutanoic acid

Synonyms: Lys-Asp-Ser-Phe-Val-[R-γ-Lac]-Leu-Met-NH_2, [Lys^3,Gly^8-R-Lac-Leu^9]NKA (3-10), [Lys^3,Gly^8-R-γ-lactam-Leu^9]NKA (3-10), [Lys^3,Gly^8-R-Lac-Leu^9]Neurokinin A (3-10),

Peptide Sequence: KDSFV-[R-γ-Lac]-LM-NH_2

MF: $C_{42}H_{68}N_{10}O_{11}S \bullet C_2H_4O_2$

FW: 981.2

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

GR64349 (acetate) is supplied as a solid. A stock solution may be made by dissolving the GR64349 (acetate) in the solvent of choice, which should be purged with an inert gas. GR64349 (acetate) is slightly soluble (0.1-1 mg/ml) in acetonitrile.

GR64349 (acetate) is slightly soluble (0.1-1 mg/ml) in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

GR64349 is a peptide agonist of the neurokinin-2 (NK_2) receptor.[1] It selectively induces contractions in isolated rat colon muscularis mucosae, which endogenously express NK_2 receptors, over isolated guinea pig ileum longitudinal smooth muscle and everted rat portal vein, which endogenously express NK_1 and NK_3 receptors, respectively (EC_{50}s = 3.7, 4,237, and 1,177 nM, respectively). GR64349 also induces contractions in isolated guinea pig trachea in a concentration-dependent manner.[2] *In vivo*, GR64349 (1.1 nmol/animal) induces contralateral rotations in rats when infused into the substantia nigra.[3]

References

1. Deal, M.J., Hagan, R.M., Ireland, S.J., *et al.* Conformationally constrained tachykinin analogues: Potent and highly selective neurokinin NK-2 receptor agonists. *J. Med. Chem.* **35(22)**, 4195-4204 (1992).
2. Beresford, I.J., Sheldrick, R.L., Ball, D.I., *et al.* GR159897, a potent non-peptide antagonist at tachykinin NK2 receptors. *Eur. J. Pharmacol.* **272(2-3)**, 241-248 (1995).
3. Elliott, P.J., Mason, G.S., Stephens-Smith, M., *et al.* Behavioural and biochemical responses following activation of midbrain dopamine pathways by receptor selective neurokinin agonists. *Neuropeptides* **19(2)**, 119-126 (1991).

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