

Product Data Sheet

Product Name: SLIGRL-NH₂

Cat. No.: GC17514

Chemical Properties

Cas. No. 171436-38-7

Chemical Name (2S,3S)-2-[[[(2S)-2-[[[(2S)-2-amino-3-hydroxypropanoyl]amino]-4-methylpentanoyl]amino]-N-[2-[[[(2S)-1-[[[(2S)-1-amino-4-methyl-1-oxopentan-2-yl]amino]-5-(diaminomethylideneamino)-1-oxopentan-2-yl]amino]-2-oxoethyl]-3-methylpentanamide

SMILES CCC(C)C(C(=O)NCC(=O)NC(CCCN=C(N)N)C(=O)NC(CC(C)C)C(=O)N)NC(=O)C(CC(C)C)NC(=O)C(CO)N

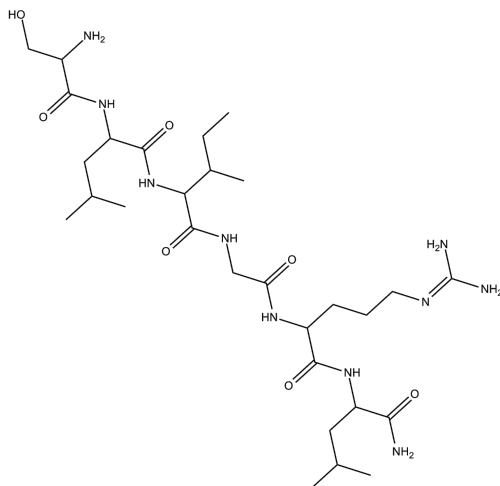
Formula C₂₉H₅₆N₁₀O₇ M.Wt 656.82

Solubility H₂O : 110 mg/mL (167.47 mM; Need ultrasonic); DMSO : 100 mg/mL (152.25 mM; Need ultrasonic) Storage Desiccate at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

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Animal experiment [1]:

Animal models Sprague-Dawley (SD) rat

Preparation Method Loperamide was injected subcutaneously to induce constipation twice a day for 3 days. SD rats were randomly divided into five groups: non-constipation group, constipation group, constipation+SLIGRL-NH2 low-dosage group, constipation+SLIGRL-NH2 high-dosage group, and constipation+prucalopride. The SLIGRL-NH2 low group and SLIGRL-NH2 high group were administered with 2.5μmol/kg and 5μmol/kg SLIGRL-NH2, respectively, and the prucalopride group received 2mg/kg prucalopride. The control and constipation group received 1× PBS under the same pattern. SLIGRL-NH2 and prucalopride were orally administrated once daily for 7 days. On the final day of oral administration, food intake, water intake, the number of stool pellets, weight, and fecal water content was calculated; moreover, the colons of rats in different groups were collected and histological features were examined by hematoxylin and eosin staining; furthermore, the expression of anoctamin-1 was determined by Immunohistochemical methods, and the expressions of c-kit and PAR-2 were examined using real-time quantitative polymerase chain reaction and Western blot methods; finally, the expressions of neurotransmitter vasoactive intestinal peptide (VIP) and substance P (SP) were examined using enzyme-linked immuno-sorbent assay methods.

Dosage form 2.5μmol/kg, 5μmol/kg; 7 days; p.o.

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Applications

The feeding and excretion behaviors, intestinal transit ratio, and the histological feature of the colon in the constipated rats were all improved by SLIGRL-NH2 treatment; moreover, SLIGRL-NH2 treatment induced significant increase in the expression of PAR-2 and also increased number of interstitial Cajal cells. Furthermore, SLIGRL-NH2 also decreased the contents of the inhibitory neurotransmitter VIP and increased the expression of the excitatory neurotransmitter SP. High dose of SLIGRL-NH2 has shown similar anti-constipation effects as prucalopride.

References:

[1] Zhang, Yonggang, et al. Therapeutic effect of protease-activated receptor 2 agonist SLIGRL-NH2 on loperamide-induced Sprague-Dawley rat constipation model and the related mechanism. Drug Design, Development and Therapy (2018): 2403-2411.

Background

SLIGRL-NH2 is a specific protease-activated receptor 2 (PAR2) agonist with an EC₅₀ value of approximately 10μM. SLIGRL-NH2 does not activate PAR1^[1, 2]. SLIGRL-NH2 is a recombinant peptide involved in the regulation of inflammatory responses and pain signaling pathways^[3]. The addition of SLIGRL-NH2 to the culture medium can reduce the average neurite length of PC12 neuronal cells^[4].

In vivo, SLIGRL-NH2 (2.5μmol/kg, 5μmol/kg) administered orally for 7 days to constipated rats improved their feeding and excretion behaviors, significantly increased the expression of PAR2 in colonic tissue, augmented the number of interstitial Cajal cells, reduced the expression of inhibitory neurotransmitter VIP, and enhanced the expression of excitatory neurotransmitter SP^[5]. SLIGRL-NH2 (1mM, 100μL) administered subcutaneously to mice significantly induced hyperalgesia in the mice^[6].

References:

[1] Devlin, Mark G., et al. Hepta and octapeptide agonists of protease-activated receptor 2. Journal of Peptide Science: An Official Publication of the European Peptide Society 13.12 (2007): 856-861.
[2] Boitano, Scott, et al. Development and evaluation of small peptidomimetic ligands to protease-

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activated receptor-2 (PAR2) through the use of lipid tethering. PloS one 9.6 (2014): e99140.

[3] Van der Merwe, Jacques. Proteinase-activated receptor-2 induces chloride secretion through multiple signaling pathways.(2008).

[4] Nguyen, Cathy. The Promotion of Peripheral Nerve Regeneration by PAR2 Activation Following Induction of Acute Nerve Injury. Library and Archives Canada= Bibliothèque et Archives Canada, 2007.

[5] Zhang, Yonggang, et al. Therapeutic effect of protease-activated receptor 2 agonist SLIGRL-NH₂ on loperamide-induced Sprague-Dawley rat constipation model and the related mechanism. Drug Design, Development and Therapy (2018): 2403-2411.

[6] Kido, Kanta, et al. Nociceptive sensitization by activation of protease-activated receptor 2 in a rat model of incisional pain. Brain Sciences 11.2 (2021): 144.

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