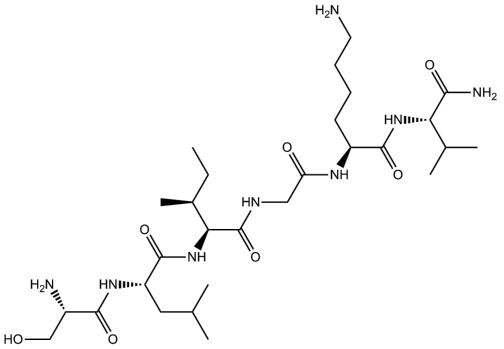
Product Data Sheet

Product Name: SLIGKV-NH2
Cat. No.: GC14540

Chemical Properties

Cas. No.	190383-13-2		
Chemical Name	(2S)-6-amino-2-[[2-[[(2S,3S)-2-[[(2S)-2-[[(2S)-2-amino-3-hydroxypropanoyl]amino]-4-methylpentanoyl]amino]-3-methylpentanoyl]amino]acetyl]amino]-N-[(2S)-1-amino-3-methyl-1-oxobutan-2-yl]hexanamide		
SMILES	CCC(C)C(C(=O)NCC(=O)NC(CCCCN)C(=O)NC(C(C)C)C(=O)N)NC(=O)C(CC(C)C)NC(=O)C(CO)N		
Formula	$C_{28}H_{54}N_8O_7$	M.Wt	614.78
Solubility	≥ 62.9 mg/mL in DMSO, ≥ 13.48 mg/mL in EtOH with ultrasonic and warming, ≥ 66.2 mg/mL in Water	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

Product Data Sheet

Cell experiment [1]:	
Cell lines	Human umbilical vein endothelial cells (HUVECs)
Preparation Method	HUVECs were cultured in an endothelial cell growth medium (ECGM) containing 12.5μg/ml ECGS, 100μg/ml heparin, 20% FBS, 1% GlutaMax-I, and 1% penicillin-streptomycin, at 37°C in an incubator with 5% CO_2. Cells were then exposed to various concentrations of SLIGKV-NH2 (0.1, 1, 10, and 100μM) for 24h. Concentrations of IL-1α, IL-8, IL-10, IFN-γ, and IL-12 in the HUVEC culture supernatants were quantified.
Reaction Conditions	0.1, 1, 10, and 100μM; 24h
Applications	SLIGKV-NH2 treatment enhanced the levels of IL-1α, IL-8, IL-10, IFN-γ, and IL-12 in the HUVECs in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Male Wistar rats
Preparation Method	Male Wistar rats (6 weeks old) were housed in a temperature-controlled facility at 23±2°C with free access to food and water and maintained on a 12h light, 12h dark cycle, and were checked twice a day. Intrathecal drugs were applied and flushed (45 or 50μl) from the catheter with physiological solution: SLIGKV-NH2 (10μl; 8μg) and VKGILS-NH2 (10μl; 8μg). The PWL to thermal stimulation was tested using a plantar test apparatus with radiant heat applied to the plantar surface of each hindpaw within 4h.
Dosage form	8μg; once; i.t.

Product Data Sheet

Applications	SLIGKV-NH2 decreased the PWL in response to a thermal stimulus in rats.
References:[1] Niu Q X, Chen H Q, Chen Z Y, et al. Induction of inflammatory cytokine release from human umbilical vein endothelial cells by agonists of proteinase-activated receptor-2[J]. Clinical and Experimental Pharmacology and Physiology, 2008, 35(1): 89-96.[2] Mrozkova P, Spicarova D, Palecek J. Hypersensitivity induced by activation of spinal cord PAR2 receptors is partially mediated by TRPV1 receptors[J]. PLoS One, 2016, 11(10): e0163991.	

Background

SLIGKV-NH2 is a protease-activated receptor 2 (PAR2) agonist with an IC_{50} value of 10.1μM[1]. SLIGKV-NH2 effectively increases intracellular Ca^{2+} levels, with EC_{50} values of 109μM, 23.2μM, and 7.46μM in human umbilical vein endothelial cells (HUVECs), A549, and MDA-MB-231 cells, respectively[2]. SLIGKV-NH2 activates PAR2, thereby inducing a strong pro-inflammatory response, and increases the levels of IL-6, IL-8, GM-CSF, and TNF-α[3]. SLIGKV-NH2 has been widely used in immunological research to induce the release of histamine by colonic mast cells[4].

In vitro, SLIGKV-NH2 treatment (50μM) for 24 hours promoted the proliferation of HepG2 cells and enhanced the activity of the ERK/AP-1 pathway[5]. Incubation with 100μM SLIGKV-NH2 for 16 hours promoted the secretion of IL-6 by human T cells[6]. Treatment with 100μM SLIGKV-NH2 for 24 hours downregulated expression of involucrin in HaCaT keratinocytes[7].

In vivo, Intrathecal injection of SLIGKV-NH2 at a dose of 8μg induced thermal hyperalgesia and reduced paw withdrawal latency (PWL) in rats within 4 hours[8].

References:
[1] Maryanoff B E, Santulli R J, McComsey D F, et al. Protease-activated receptor-2 (PAR-2): structure-function study of receptor activation by diverse peptides related to tethered-ligand epitopes[J]. Archives of biochemistry and biophysics, 2001, 386(2): 195-204.
[2] Stahn S, Thelen L, Albrecht I M, et al. Teleocidin A2 inhibits human proteinase-activated receptor 2 signaling in tumor cells[J]. Pharmacology Research & Perspectives, 2016, 4(4): e00230.
[3] Vesey D A, Suen J Y, Seow V, et al. PAR2-induced inflammatory responses in human kidney

tubular epithelial cells[J]. American Journal of Physiology-Renal Physiology, 2013, 304(6): F737-F750.
[4] He S H, He Y S, Xie H. Activation of human colon mast cells through proteinase activated receptor-2[J]. World Journal of Gastroenterology, 2004, 10(3): 327.
[5] Xie L, Zheng Y, Li X, et al. Enhanced proliferation of human hepatoma cells by PAR-2 agonists via the ERK/AP-1 pathway[J]. Oncology Reports, 2012, 28(5): 1665-1672.
[6] Li T, He S. Induction of IL-6 release from human T cells by PAR-1 and PAR-2 agonists[J]. Immunology and cell biology, 2006, 84(5): 461-466.
[7] Joo Y A, Chung H, Yoon S, et al. Skin barrier recovery by protease-activated receptor-2 antagonist lobaric acid[J]. Biomolecules & therapeutics, 2016, 24(5): 529.
[8] Mrozkova P, Spicarova D, Palecek J. Hypersensitivity induced by activation of spinal cord PAR2 receptors is partially mediated by TRPV1 receptors[J]. PLoS One, 2016, 11(10): e0163991.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA