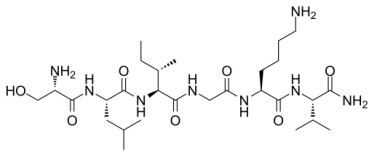
Data Sheet

Product Name:	Protease-Activated Receptor-2, amide
Cat. No.:	CS-7032
CAS No.:	190383-13-2
Molecular Formula:	C28H54N8O7
Molecular Weight:	614.78
Target:	Protease-Activated Receptor (PAR)
Pathway:	GPCR/G Protein
Solubility:	H2O : 33.33 mg/mL (54.21 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Protease-Activated Receptor-2, amide (SLIGKV-NH_2) is a highly potent protease-activated receptor-2 (PAR2) activating peptide. Sequence: Ser-Leu-Ile-Gly-Lys-Val-NH2. IC50 & Target: PAR2[1] **In Vitro:** The PAR2-activating peptides used are: SLIGKV-OH, SLIGRL-OH, SLIGKV-NH_2, SLIGRL-NH_2. The synthetic agonist peptides mimicking the tethered ligand of PAR2, Ser-Leu-Ile-Gly-Lys-Val (SLIGKV-OH), Ser-Leu-Ile-Gly-Arg-Leu (SLIGRL-OH) and their amidated forms Ser-Leu-Ile-Gly-Lys-Val-amide (SLIGKV-NH_2) Ser-Leu-Ile-Gly-Arg-Leu-amide (SLIGRL-NH_2) have also been demonstrated being able to activate the receptor without enzymatic cleavage, therefore, have been utilised as biological tools to examine physiological functions of PAR2. Protease-Activated Receptor-2, amide is one of a four family subgroup of G-protein-coupled receptors (GPCRs), called PARs. Protease-activated receptors are distinguished from other GPCRs through their unique proteolytic mechanism of activation. For PAR2, activating proteases, such as trypsin, tryptase and coagulation factors VIIa and Xa, cleave a specific extracellular amino-terminal domain of the receptor to reveal a "tethered ligand", SLIGKV- and SLIGRL- for human and mouse/rat PAR2, respectively, which subsequently interacts with the activation domain of the receptor, initiating intracellular signaling pathways[1]. The protease-activated receptor-2 (PAR2) has been implicated in the pathogenesis of several inflammatory and autoimmune disorders, and is expressed in a wide variety of human tissues and cells. PAR2 belongs to a family of seven transmembrane domain receptor proteins that are activated by proteolysis. Enzymatic digestion exposes an N-terminus ligand sequence that binds intramolecularly to the activation site on the extracellular loop II, initiating a G-protein-mediated cell-signalling cascade and nuclear factor-kappa B (NF-κB)-regulated gene transcription[2].

References:

[1]. Kanke T, et al. Binding of a highly potent protease-activated receptor-2 (PAR2) activating peptide, [3H]2-furoyl-LIGRL-NH2, to human PAR2. Br J Pharmacol. 2005 May;145(2):255-63.

[2]. Ramelli G, et al. Protease-activated receptor 2 signalling promotes dendritic cell antigen transport and T-cellactivation in vivo. Immunology. 2010 Jan;129(1):20-7.

CAIndexNames:

L-Valinamide, L-seryl-L-leucyl-L-isoleucylglycyl-L-lysyl-

SMILES:

CC(C)[C@@H](C(N)=O)NC([C@H](CCCCN)NC(CNC([C@H]([C@@H](C)CC)NC([C@H](CC(C)C)NC([C@H](CO)N)=O)=O)=O)=O)=O

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

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