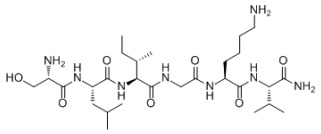
Protease-Activated Receptor-2, amide

Cat. No.:	HY-P0283		
CAS No.:	190383-13-2		
Molecular Formula:	$C_{28}H_{54}N_8O_7$		
Molecular Weight:	614.78		
Sequence:	Ser-Leu-Ile-Gly-Lys-Val-NH2		
Sequence Shortening:	SLIGKV-NH2		
Target:	Protease-Activated Receptor (PAR)		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 33.33 mg/mL (54.21 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6266 mL	8.1330 mL	16.2660 mL
	5 mM	0.3253 mL	1.6266 mL	3.2532 mL
	10 mM	0.1627 mL	0.8133 mL	1.6266 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Protease-Activated Receptor-2, amide (SLIGKV-NH_2) is a highly potent protease-activated receptor-2 (PAR2) activating peptide.

IC_{50} & 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.

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