Product Data Sheet

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

Sealed storage, away from moisture Storage:

> Powder -80°C 2 years

-20°C 1 year

HO HO	0=\	, IZ	O NH		NH:
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SOLVENT & SOLUBILITY

In Vitro

H₂O: 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.

In Vivo

1. Add each solvent one by one: PBS

Solubility: 100 mg/mL (162.66 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description Protease-Activated Receptor-2, amide (SLIGKV-NH₂) is a highly potent protease-activated receptor-2 (PAR2) activating

peptide.

IC₅₀ & Target

PAR2^[1]

In Vitro

The PAR2-activating peptides used are: SLIGKV-OH, SLIGRL-OH, SLIGKV-NH2, SLIGRL-NH2. 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-NH2) Ser-Leu-Ile-Gly-Arg-Leu-amide (SLIGRL-NH2) 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

^{*} In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

• Biochem Biophys Res Commun. 2021 Mar 18;552:150-156.

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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.

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

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