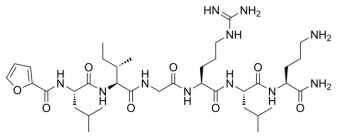
2-Furoyl-LIGRLO-amide

Cat. No.:	HY-P1314
CAS No.:	729589-58-6
Molecular Formula:	$C_{36}H_{63}N_{11}O_8$
Molecular Weight:	777.95
Sequence Shortening:	{Fur-2-oyl}-LIGRL-{Orn}-NH2
Target:	Protease Activated Receptor (PAR)
Pathway:	GPCR/G Protein
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (64.27 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2854 mL	6.4271 mL	12.8543 mL
	5 mM	0.2571 mL	1.2854 mL	2.5709 mL
	10 mM	0.1285 mL	0.6427 mL	1.2854 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (64.27 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

2-Furoyl-LIGRLO-amide is a potent and selective proteinase-activated receptor 2 (PAR2) agonist with a pD_2 value of 7.0[1][2]..

IC_{50} & Target

PAR2

In Vitro

2-Furoyl-LIGRLO-amide (2-Furoyl-LIGRLO-NH_2) is equally effective to and 10 to 25 times more potent than SLIGRLNH_2 for increasing intracellular calcium in cultured human and rat PAR2-expressing cells, respectively[1].
In bioassays of tissue PAR2 activity, measured as arterial vasodilation and hyperpolarization, 2-Furoyl-LIGRLO-amide (2-Furoyl-LIGRLO-NH_2) is 10 to 300 times more potent than SLIGRL-NH_2. Unlike trans-cinnamoyl-LIGRLO-NH_2, 2-Furoyl-LIGRLO-amide do not cause a prominent non-PAR2-mediated contraction of murine femoral arteries[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Furoyl-LIGRLO-amide (injected intradermally at the nape of the neck; 10 μg; pre-injected) exhibits fewer scratches in response to 2-Furoyl-LIGRLO-amide but not to histamine in Trpv3$^{-/-}$ mice. But it decreases significantly the number of scratches in WT mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male (2/3-month-old) Trpv3$^{-/-}$ and WT mice[3]
Dosage:	10 μg
Administration:	Injected intradermally at the nape of the neck
Result:	Was involved in PAR2- induced acute itch.

CUSTOMER VALIDATION

- PLoS Negl Trop Dis. 2024 Jan 2;18(1):e0011874.

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REFERENCES

[1]. McGuire JJ, et al. 2-furoyl-LIGRLO-amide: a potent and selective proteinase-activated receptor 2 agonist. J Pharmacol Exp Ther. 2004 Jun;309(3):1124-31.

[2]. Lohman RJ, et al. An antagonist of human protease activated receptor-2 attenuates PAR2 signaling, macrophage activation, mast cell degranulation, and collagen-induced arthritis in rats. FASEB J. 2012 Jul;26(7):2877-87.

[3]. Jiahui Zhao, et al. PAR2 Mediates Itch via TRPV3 Signaling in Keratinocytes. J Invest Dermatol

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