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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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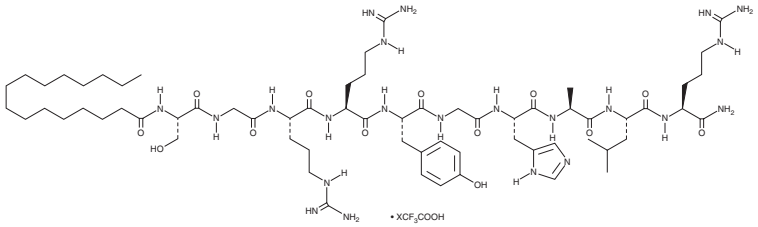
PRODUCT INFORMATION

P4pal10 (trifluoroacetate salt)

Item No. 36913

Formal Name:	N-(1-oxohexadecyl)-L-serylglycyl-L-arginyl-L-arginyl-L-tyrosylglycyl-L-histidyl-L-alanyl-L-leucyl-L-argininamide, trifluoroacetate salt
Synonyms:	Palmitoyl-SGRRYGHALR-amide, Palmitoyl-SGRRYGHALR-NH_2
MF:	$C_{65}H_{112}N_{22}O_{13} \bullet XCF_3COOH$
FW:	1,409.7
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

P4pal10 (trifluoroacetate salt) is supplied as a solid. Aqueous solutions of P4pal10 (trifluoroacetate salt) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of P4pal10 (trifluoroacetate salt) in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

P4pal10 is a pepducin antagonist of proteinase-activated receptor 4 (PAR4) and formyl peptide receptor 2 (FPR2), as well as an agonist of free fatty acid receptor 2 (FFAR2/GPR43).[1,2] It is composed of a peptide that corresponds to the third intracellular loop of human PAR4 that is conjugated to palmitic acid (Item No. 10006627).[1] P4pal10 selectively inhibits the aggregation of isolated human platelets induced by the PAR4 peptide agonist AYPGKF-NH_2 (Item No. 24258; IC_{50} = 0.5-1 µM) over the PAR1 peptide agonist SFLLRN-NH_2 (Item No. 36881), the TP receptor agonist U-46619 (Item No. 16450), the platelet glycoprotein Ib (GPIb), GPIX, and GPV agonist ristocetin, ADP (Item No. 21121), or collagen at 5 µM. It inhibits increases in intracellular calcium induced by the FPR1 and FPR2 agonist WKYMVm but not by the FPR1 agonist fMLF in isolated human neutrophils and induces superoxide production in TNF-α primed isolated human neutrophils stimulated with the FFAR2 allosteric modulator Cmp58.[2] P4pal10 (1, 3, or 10 µg/kg) reduces myocardial infarct size in a rat model of coronary artery ligation-induced ischemia-reperfusion injury.[3] It increases the paw withdrawal threshold in rat models of osteoarthritis induced by monoiodoacetate injection into the knee joint or medial meniscal transection.[4]

References

1. Covic, L., Misra, M., Badar, J., *et al.* Pepducin-based intervention of thrombin-receptor signaling and systemic platelet activation. *Nat. Med.* **8(10)**, 1161-1165 (2002).
2. Holdfeldt, A., Lind, S., Hesse, C., *et al.* The PAR4-derived pepducin P4Pal10 lacks effect on neutrophil GPCRs that couple to Gαq for signaling but distinctly modulates function of the Gαi-coupled FPR2 and FFAR2. *Biochem Pharmacol.* **114143**, (2020).
3. Strande, J.L., Hsu, A., Su, J., *et al.* Inhibiting protease-activated receptor 4 limits myocardial ischemia/reperfusion injury in rat hearts by unmasking adenosine signaling. *J. Pharmacol. Exp. Ther.* **324(3)**, 1045-1054 (2008).
4. O'Brien, M.S. and McDougall, J.J. Targeting proteinase activated receptor-4 reduces mechanonociception during the acute inflammatory phase but not the chronic neuropathic phase of osteoarthritis in rats. *Front. Pharmacol.* **12**, 756632 (2021).

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