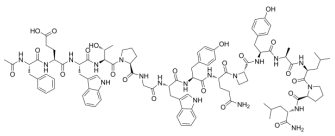
AF12198

Cat. No.:	HY-P1110
CAS No.:	185413-30-3
Molecular Formula:	$C_{96}H_{123}N_{19}O_{22}$
Molecular Weight:	1895.12
Sequence:	Ac-Phe-Glu-Trp-Thr-Pro-Gly-Trp-Tyr-Gln-{Aze}-Tyr-Ala-Leu-Pro-Leu-NH2
Sequence Shortening:	Ac-FEWTPGWYQ-{Aze}-YALPL-NH2
Target:	Interleukin Related
Pathway:	Immunology/Inflammation
Storage:	Sealed storage, away from moisture and light, under nitrogen Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (52.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5277 mL	2.6384 mL	5.2767 mL
	5 mM	0.1055 mL	0.5277 mL	1.0553 mL
	10 mM	0.0528 mL	0.2638 mL	0.5277 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (1.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (1.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AF12198 is a potent, selective and specific peptide antagonist for human type I interleukin-1 receptor (IL1-R1) (IC_{50}=8 nM) but not the human type II receptor (IC_{50}=6.7 μM) or the murine type I receptor (IC_{50}>200 μM). AF12198 inhibits IL-1-induced IL-8 production (IC_{50}=25 nM) and IL-1-induced intercellular adhesion molecule-1 (ICAM-1) expression (IC_{50}=9 nM) in vitro. AF12198 has anti-inflammatory activities and blocks responses to IL-1 in vivo[1].

IC_{50} & Target	IL-6	IL-8	IL1R1

			8 nM (IC_{50})

In Vitro

AF12198 competes for binding of ^{125}I-IL-1α with an IC_{50} of 8.0 nM, nearly equal to that of IL-1ra, IC_{50} of 4.0 nM for the type I receptor[1].

AF12198 (0-5 ng; 8 hours) inhibits IL-6 induction with an IC_{50} of 15 μM whereas IL-1ra inhibits with an IC_{50} of 2 nM in heparinized human primate blood. Meanwhile, With blood from cynomolgus monkeys, the IC_{50} values are 17 μM for AF12198 and 30 nM for IL-1ra. Additionally, AF12198 or IL-1RA alone does not induce IL-6 in blood from either humans or cynomolgus monkeys[1].

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

In Vivo

AF12198 (intravenous infusion; 16 mg/kg; 30 min before LPS intravenous injection) significantly attenuates the increase in lung MPO activity induced by LPS in acute lung inflammation and it reduces the lung microvascular leakage from rats inflamed with LPS at the 4 h (32.6%), 12 h (50.1%) and 24 h (65.3%) after LPS[2].

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

Animal Model:	Male Wistar rats[2]
Dosage:	16 mg/kg
Administration:	Intravenous infusion; 30 min before LPS intravenous injection
Result:	Decreased pulmonary microvascular leakage in rats.

CUSTOMER VALIDATION

- Small Methods. 2023 Feb 26;e2201300.

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REFERENCES

[1]. F Aimbire, et al. Low level laser therapy (LLLT) decreases pulmonary microvascular leakage, neutrophil influx and IL-1beta levels in airway and lung from rat subjected to LPS-induced inflammation. Inflammation

[2]. A L Akeson, et al.AF12198, a novel low molecular weight antagonist, selectively binds the human type I interleukin (IL)-1 receptor and blocks in vivo responses to IL-1. J Biol Chem. 1996 Nov 29;271(48):30517-23.

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