Data Sheet

Product Name:	AF12198
Cat. No.:	CS-0027804
CAS No.:	185413-30-3
Molecular Formula:	$C_{96}H_{123}N_{19}O_{22}$
Molecular Weight:	1895.12
Target:	Interleukin Related
Pathway:	Immunology/Inflammation
Solubility:	DMSO : 100 mg/mL (52.77 mM; Need ultrasonic)

Ac-FEWTPGWYQ-{Aze}-YALPL-NH_2

BIOLOGICAL ACTIVITY:

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]. IC50 & Target: IC50: 8 nM (human IL1R1)[1] **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].
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].

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.

CAIndexNames:

L-Leucinamide, N-acetyl-L-phenylalanyl-L-α-glutamyl-L-tryptophyl-L-threonyl-L-prolylglycyl-L-tryptophyl-L-tyrosyl-L-glutaminyl-(2S)-2-azetidinecarbonyl-L-tyrosyl-L-alanyl-L-leucyl-L-prolyl-

SMILES:

[Ac-FEWTPGWYQ-{Aze}-YALPL-NH2]

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

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