Product Data Sheet

Product Name: AF 12198
Cat. No.: GC11039

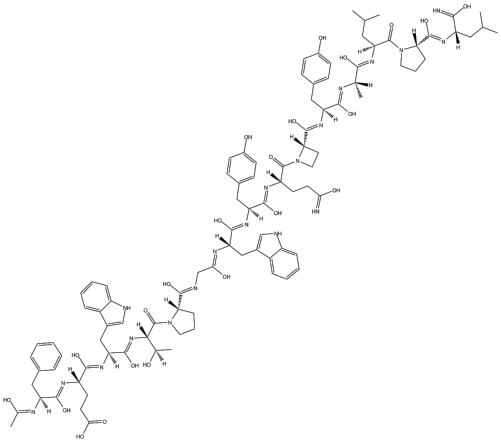
Chemical Properties

Cas. No.	185413-30-3
Chemical Name	(S,Z)-5-(((S,Z)-1-(((2S,3R)-1-((S)-2-((1Z,4Z,6S,7Z,9S,10Z,12S)-6-((1H-indol-3-yl)methyl)-1,4,7,10,15-pentahydroxy-12-((S)-2-((Z)-hydroxy(((S,Z)-1-hydroxy-1-(((S,Z)-1-hydroxy-1-(((S)-1-((S)-2-((Z)-hydroxy(((S)-1-hydroxy-1-imino-4-methylpentan-2-yl)imino)me
SMILES	CC(C[C@@](/N=C(O)/[C@]1([H])CCCN1C([C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@]2([H])CCN2C([C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/C/N=C(O)/[C@]3([H])CCCN3C([C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/C)([H])CC4=CC=CC=C4)([H])CCC(O)=O)([H])CC5=CNC6=

Formula	$C_{96}H_{123}N_{19}O_{22}$	M.Wt	1895.12
Solubility	Soluble to 1 mg/ml in 10% ethanol/PBS	Storage	Desiccate at -20°C

General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Product Data Sheet

IC50: 25 nM for IL-1-induced IL-8 production

Interleukin-1 (IL-1) -α and -β are potent regulators of inflammatory responses. Naturally occurring interleukin-1 receptor antagonist (IL-1ra) is effective in vitro and in vivo in modulating biological responses to IL-1. AF 12198 is a novel low molecular weight antagonist of IL-1.

In vitro: AF 12198 binds the human type I IL-1 receptor selectively but not the human type II receptor or the murine type I receptor. AF12198 also inhibits IL-1-induced IL-8 formation by human dermal fibroblasts with a half-maximal inhibition concentration or IC50 of 25 nM and IL-1-induced intercellular adhesion molecule-1 (ICAM-1) expression by endothelial cells with an IC50 of 9 nM [2].

In vivo: When given as an intravenous infusion to cynomolgus monkeys, AF 12198 blocks ex vivo IL-1 induction of IL-6 and down modulates in vivo induction of IL-6. This is the first small molecule to show IL-1 receptor antagonist activity in vivo [3].

Clinical trial: Up to now, AF 12198 is still in the preclinical development stage.

Reference:
[1] Akeson AL, Woods CW, Hsieh LC, Bohnke RA, Ackermann BL, Chan KY, Robinson JL, Yanofsky SD, Jacobs JW, Barrett RW, Bowlin TL. 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.

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