

LasB-IN-1

Chemical Properties

CAS No. :

Formula: C₂₀H₂₂F₃N₂O₂

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	LasB-IN-1 (compound 5f) is an efficient and orally active inhibitor of LasB (IC ₅₀ = 8.7 μM). It effectively inhibits elastase production and biofilm formation in <i>Pseudomonas aeruginosa</i> , while also attenuating inflammatory responses by downregulating MAPK and NF-κB pathways. LasB-IN-1 holds potential as a candidate agent against drug-resistant infections.
Targets(IC ₅₀)	NF-κB,Antibacterial,p38 MAPK,Serine Protease
In vitro	LasB-IN-1, in concentrations of 5-10 μM for 10 hours, inhibits the expression of LasB (IC ₅₀ = 8.7 μM), elastase biosynthesis (IC ₅₀ = 7.3 μM), and biofilm formation (IC ₅₀ = 7.4 μM) in <i>Pseudomonas aeruginosa</i> PAO1 strain in a dose-dependent manner. At concentrations of 1.25-20 μM for 24 hours, it exhibits no cytotoxicity in RAW264.7 and Vero cells. However, it shows slight cytotoxic effects at 50-100 μM for 24 hours. Hemolytic effects on mouse and human erythrocytes are negligible at 0.625-10 μM for 4 hours. LasB-IN-1 effectively inhibits the migration of macrophages to injury sites in zebrafish larvae at 10 μM for 4 to 6 hours. For RAW264.7 cells treated with LPS (1 μg/mL, 24 hours), LasB-IN-1 suppresses the production of IL-1β, TNF-α, and IL-6 at concentrations of 0.625-10 μM for 27 hours. Additionally, it inhibits COX-2, iNOS, IL-1β, TNF-α, and IL-6 mRNA expression at 1.25-10 μM for 27 hours. This compound significantly reduces phosphorylation of NF-κB p65, I-κB α, JNK, and ERK in LPS-stimulated RAW264.7 cells in a concentration-dependent manner.
In vivo	LasB-IN-1, administered at doses of 1 and 2 mg/kg via gavage for 4 hours, reduces neutrophil infiltration in mouse lung tissue. This treatment also significantly decreases the expression levels of IL-1β, TNF-α, and IL-6, as well as the mRNA expression of COX-2, iNOS, IL-1β, TNF-α, and IL-6. Additionally, at doses of 2 and 4 mg/kg given once daily for 7 days, LasB-IN-1 shows no significant adverse effects.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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