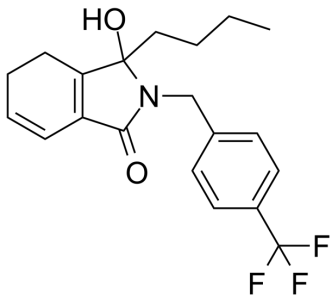
LasB-IN-1

Cat. No.:	HY-163030
Molecular Formula:	$C_{20}H_{22}F_3NO_2$
Molecular Weight:	365.39
Target:	Elastase; NF-κB; p38 MAPK; Bacterial
Pathway:	Metabolic Enzyme/Protease; NF-κB; MAPK/ERK Pathway; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LasB-IN-1 (compound 5f) is a potent and orally active inhibitor of LasB (IC_{50} = 8.7 μM). LasB-IN-1 effectively attenuates elastase production and biofilm formation by P. aeruginosa while alleviating the inflammatory response through downregulating MAPK and NF-κB pathways. LasB-IN-1 is potential to be a novel anti-infective candidate against drug-resistant infections[1].

IC_{50} & Target

IC50: 8.7 μM (LasB)[1]

In Vitro

LasB-IN-1 (5-10 μM, 10 h) suppresses the expression of LasB (IC_{50} = 8.7 μM), the elastase biosynthesis (IC_{50} = 7.3 μM) and the formation of biofilms (IC_{50} = 7.4 μM) in a dose-dependent manner in P. aeruginosa PAO1 strains[1].
LasB-IN-1 (1.25-20 μM, 24 h) reveals no cytotoxic effect in RAW264.7 cell and Vero cell. LasB-IN-1 (50-100 μM, 24 h) shows a slight cytotoxic effect. LasB-IN-1 (0.625-10 μM, 4 h) exerts negligible hemolytic effects on mouse and human erythrocytes[1].
LasB-IN-1 (10 μM, 4, 6 h) effectively inhibits the migration of macrophages to the site of injury in zebrafish larvae[1].
LasB-IN-1 (0.625-10 μM, 27 h) inhibits the production of IL-1β, TNF-α, and IL-6 in LPS (1 μg/mL, 24 h) treated RAW264.7 cells.
LasB-IN-1 (1.25-10 μM, 27 h) also inhibits the mRNA expression of COX-2, iNOS, IL-1β, TNF-α, and IL-6[1].
LasB-IN-1 (1.25-10 μM, 27 h) significantly inhibits the phosphorylation of NF-κB p65, IκBα, JNK and ERK of LPS (1 μg/mL, 24 h) treated RAW264.7 cells in a concentration-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	RAW264.7 cell and Vero cell
Concentration:	1.25, 2.5, 5, 10, 20, 50, 100 μM
Incubation Time:	24 h
Result:	Revealed no cytotoxic effect at concentrations of lower than 20 μM, a slight cytotoxic effect was observed at a concentration of 50 μM, indicating the noncytotoxicity at concentrations effective against virulence and biofilm formation.

In Vivo

LasB-IN-1 (1, 2 mg/kg, i.g., 4 h) inhibits the neutrophil infiltration in mouse lung tissues [1].
LasB-IN-1 (1, 2 mg/kg, i.g., 4 h) significantly downregulates expression levels of IL-1β, TNF-α, and IL-6 as well as reduces mRNA expression of COX-2, iNOS, IL-1β, TNF-α, and IL-6 in mice [1].
LasB-IN-1 (2, 4 mg/kg, i.g., once for 7 d) shows no obvious adverse reactions [1].

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

Animal Model:	eight-week-old BALB/c wild-type mice (male, 18–22 g), established a ALI model by using LPS (P. aeruginosa)[1]
Dosage:	1 and 2 mg/kg
Administration:	intragastric (i.g.), after treatment for 4 h, intraperitoneal injection of LPS (20 mg/kg), sacrificed by cervical dislocation after a 6 h treatment
Result:	Reduced myeloperoxidase (MPO) activity in mice compared with that in LPS-only mice, indicating the inhibition of neutrophil infiltration in mouse lung tissues. Exhibited significantly downregulated expression levels of IL-1β, TNF-α, and IL-6, with a concentration-dependent response while significantly reduced mRNA expression of COX-2, iNOS, IL-1β, TNF-α, and IL-6 in mice compared with LPS-only mice.

Animal Model:	eight-week-old BALB/c wild-type mice (male, 18–22 g)[1]
Dosage:	2 and 4 mg/kg
Administration:	intragastric (i.g.) for 7 days
Result:	Exhibited no adverse effects such as vomiting or diarrhea, had a negligible impact on the body weight of mice, did not induce any significant damage or histopathological alterations in vital organs.

REFERENCES

[1]. Ping-Hua Sun, et al. Novel ligustilide derivatives target quorum sensing system LasR/LasB and relieve inflammatory response against Pseudomonas aeruginosa infection. European Journal of Medicinal Chemistry. 2023☒263☒115972.

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