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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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BAY-85-8501

Cat. No.: HY-19908

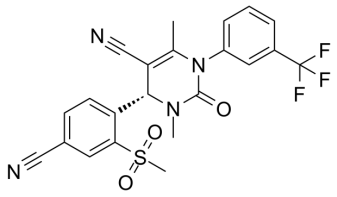
CAS No.: 1161921-82-9

Molecular Formula: $C_{22}H_{17}F_3N_4O_3S$

Molecular Weight: 474.46

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (421.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1077 mL	10.5383 mL	21.0766 mL
	5 mM	0.4215 mL	2.1077 mL	4.2153 mL
	10 mM	0.2108 mL	1.0538 mL	2.1077 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: ≥ 5 mg/mL (10.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.54 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2.5 mg/mL (5.27 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

BAY-85-8501 is a selective, reversible and potent inhibitor of Human Neutrophil Elastase (HNE), with an IC_{50} of 65 pM.

IC_{50} & Target

IC50: 65 pM (HNE)[1].

In Vivo

In this model the exogenous HNE noxa is the primary cause of injury and lung hemorrhage. Based on picomolar potency against HNE as well as single digit potency versus MNE, BAY-85-8501 (29) completely prevents the development of lung injury and subsequent inflammation when administered 1 h prior to the HNE noxa. In the 0.01 mg/kg dose group, hemoglobin concentration is already significantly decreased. At a dose of 0.1 mg/kg, a significant effect on neutrophil count is observed. In this setup, efficacy is predominantly driven by potency against HNE (K_i=0.08 nM). As the highly HNE-selective

inhibitor BAY 85-8501 has no effect on PPE, BAY-85-8501 could not prevent the primary lung injury in this setup. Nevertheless, BAY-85-8501 could inhibit MNE, the endogenous driver of inflammation and secondary injury, although with decreased potency. Consequently, the effects of BAY-85-8501 on inflammation and secondary injury are weaker at this point, and only observed at 30-fold higher doses. Efficacy is predominantly driven by potency against MNE (K_i=6 nM) in this second setup[1].

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

PROTOCOL

Animal Administration [1]

Mice[1]

Elastaseinduced lung failure in mice, rats or hamsters is a widely used animal model of acute lung failure. The animals are treated 1 hour prior to orotracheal instillation of human neutrophil elastase (HNE) or porcine pancreatic elastase (PPE). In this study, each mouse receives BAY-85-8501 with different concentrations (0.003, 0.01, 0.03, 0.1, 0.3, 3, 10, 30 mg/kg) by P.O. [1].

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

CUSTOMER VALIDATION

- bioRxiv. 2023 Nov 13.
- Patent. US20230058557A1.
- Chinese Academy of Sciences. 2019 Aug.

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