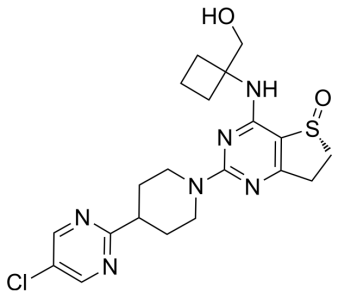
Nerandomilast

Cat. No.:	HY-153192
CAS No.:	1423719-30-5
Molecular Formula:	$C_{20}H_{25}ClN_6O_2S$
Molecular Weight:	448.97
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (22.27 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2273 mL	11.1366 mL	22.2732 mL
	5 mM	0.4455 mL	2.2273 mL	4.4546 mL
	10 mM	0.2227 mL	1.1137 mL	2.2273 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (11.14 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Nerandomilast (BI 1015550) is an orally active inhibitor of PDE4B with an IC_{50} value of 7.2 nM. Nerandomilast has good safety and potential applications in inflammation, allergic diseases, pulmonary fibrosis, and chronic obstructive pulmonary disease (COPD)[1][2].

In Vitro

Nerandomilast inhibits Lipopolysaccharides (HY-D1056) induced TNF-α release and Phytohemagglutinin P (HY-N7038A) induced IL-2 release in human PBMCs with IC_{50} values of 35 nM and 9 nM, respectively[2].
Nerandomilast inhibits TNF-α release in rat whole blood with an IC_{50} value of 91 nM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Nerandomilast (Example 2) (0, 0.3, 1.0 and 3.0 mg/kg; p.o.; single dose) weakens intestinal transport in rats at 1.0 mg/kg without significantly affecting body weight[1].
Nerandomilast prevents inflammation in rat lung tissue with an ED_{50} value of 0.1 mg/kg[1].

Nerandomilast (0.01, 0.1 and 1.0 mg/kg; p.o.; single dose) reduces the Lipopolysaccharides (HY-D1056) induced TNF-α release with dose-dependent manner in mice plasma[2].

Nerandomilast (0.1, 0.3 and 1.0 mg/kg; p.o.; single dose) inhibits lipopolysaccharides induced neutrophil entry into bronchoalveolar lavage fluid of male Suncus Murinus and Wistar rats[2].

Nerandomilast (2.5 mg/kg and 12.5 mg/kg; p.o.; twice daily for 6 days) effectively improves the damage of Bleomycin (HY-108345) to mice[2].

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

Animal Model:	Rats[1].
Dosage:	0, 0.3, 1.0 and 3.0 mg/kg.
Administration:	Oral gavage; single dose.
Result:	Had minimal toxic and side effects on the intestines and stomach of rats, demonstrating biosafety.

Animal Model:	Male Suncus Murinus and Wistar rats; mice[2].
Dosage:	0.01, 0.1, 0.3, 1.0, 2.5 or 12.5 mg/kg.
Administration:	Oral gavage; single dose or twice daily for 6 days.
Result:	Effectively improved inflammation in lung tissue and reduced the pro-inflammatory factor TNF-α release.

REFERENCES

[1]. Pouzet P A, et al. Piperidino-dihydrothienopyrimidine sulfoxides and their use for treating COPD and asthma. United States. US9150586.

[2]. Herrmann FE, et al. BI 1015550 is a PDE4B Inhibitor and a Clinical Drug Candidate for the Oral Treatment of Idiopathic Pulmonary Fibrosis. Front Pharmacol. 2022 Apr 20;13:838449.

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

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