Nerandomilast dihydrate

Cat. No.: HY-153192A

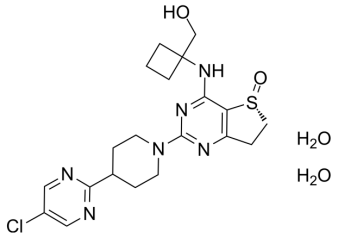
Molecular Formula: $C_{20}H_{29}ClN_6O_4S$

Molecular Weight: 485

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

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

In Vitro

Nerandomilast (dihydrate) 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 (dihydrate) 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 (dihydrate) (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 (dihydrate) prevents inflammation in rat lung tissue with an ED_{50} value of 0.1 mg/kg[1].

Nerandomilast (dihydrate) (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 (dihydrate) (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 (dihydrate) (2.5 mg/kg and 12.5 mg/kg; p.o.; twice daily for 6 d) 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 d.
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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA