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Produktinformation

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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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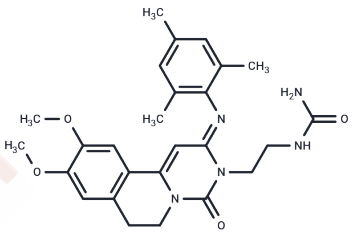
Data Sheet (Cat.No.T25376)

TargetMol

Ensifentrine

Chemical Properties



CAS No. : 1884461-72-6

Formula: C26H31N5O4

Molecular Weight: 477.56

Appearance: no data available

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

Biological Description

Description	Ensifentrine (Ensifentrinum) is a PDE3/4 inhibitor, although its affinity for PDE3(IC50: 0.4 nM) is 3,440 times higher than that for PDE4(IC50: 1479 nM), that is under clinical development for the treatment of asthma and COPD and, potentially, cystic fibrosis.
Targets(IC50)	PDE
In vitro	Electrical field stimulation-induced contraction of guinea pig superfused isolated tracheal preparations was significantly inhibited by Ensifentrine (10 microM) (percentage control; 93 +/- 1.2). Contractile responses were suppressed for up to 12 h after termination of superfusion with Ensifentrine demonstrating a long duration of action. Ensifentrine4 inhibited, in a concentration-dependent manner, lipopolysaccharide-induced tumor necrosis factor alpha release from human monocytes [IC50; 0.52 microM (0.38-0.69)] and proliferation of human mononuclear cells to phytohemagglutinin [IC50; 0.46 microM (0.24-0.9)][2].
In vivo	Ensifentrine administered orally significantly inhibited eosinophil recruitment following antigen challenge in ovalbumin-sensitized guinea pigs. Likewise, inhalation of dry powder containing Ensifentrine by conscious guinea pigs (25% in micronized lactose) 1.5 h before antigen exposure significantly inhibited the recruitment of eosinophils to the airways. Exposure of conscious guinea pigs to inhalation of dry powder containing Ensifentrine (2.5%) and RPL565 (25%) in micronized lactose significantly inhibited histamine-induced plasma protein extravasation in the trachea and histamine-induced bronchoconstriction over a 5.5-h period[2].

Solubility Information

Solubility	DMSO: 20 mg/mL (41.88 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.094 mL	10.4699 mL	20.9398 mL
5 mM	0.4188 mL	2.094 mL	4.188 mL
10 mM	0.2094 mL	1.047 mL	2.094 mL
50 mM	0.0419 mL	0.2094 mL	0.4188 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Henrik Watz, et al. Symptom Improvement Following Treatment with the Inhaled Dual Phosphodiesterase 3 and 4 Inhibitor Ensifentrine in Patients with Moderate to Severe COPD - A Detailed Analysis. Int J Chron Obstruct Pulmon