

Product Data Sheet

Product Name: Ensifentrine

Cat. No.: GC62466

Chemical Properties

Cas. No. 1884461-72-6

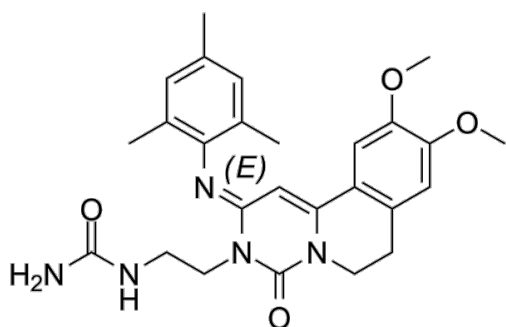
Formula $C_{26}H_{31}N_5O_4$ M.Wt 477.56

Solubility DMSO : 25 mg/mL (52.35 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

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

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Ensifentrine (RPL-554) is an inhaled first-in-class dual inhibitor of phosphodiesterase 3 (PDE3) and PDE4 with IC₅₀s of 0.4 nM and 1479 nM, respectively. Ensifentrine has bronchoprotective and anti-inflammatory activities. Ensifentrine can be used for chronic obstructive pulmonary disease (COPD) research[1][2].

Ensifentrine (RPL-554) inhibits, in a concentration-dependent manner, lipopolysaccharide-induced TNF- α release from human monocytes (IC₅₀ of 0.52 μ M) and proliferation of human mononuclear cells to phytohemagglutinin (IC₅₀ of 0.46 μ M)[1]. Electrical field stimulation-induced contraction of guinea pig superfused isolated tracheal preparations is significantly inhibited by Ensifentrine (10 μ M). Contractile responses are suppressed for up to 12 h after termination of superfusion with RPL-554 demonstrating a long duration of action[1].

Ensifentrine (RPL-554; 10 mg/kg; Oral administration; once) significantly inhibits eosinophil recruitment following antigen challenge in ovalbumin-sensitized guinea pigs[1]. The inhalation of dry powder containing Ensifentrine by conscious guinea pigs (25% in micronized lactose) 1.5 h before antigen exposure significantly inhibits the recruitment of eosinophils to the airways[1]. Exposure of conscious guinea pigs to inhalation of dry powder containing Ensifentrine (2.5%) in micronized lactose significantly inhibits histamine-induced plasma protein extravasation in the trachea and histamine-induced bronchoconstriction over a 5.5-h period[1].

[1]. Victoria Boswell-Smith, et al. The pharmacology of two novel long-acting phosphodiesterase 3/4 inhibitors, RPL554 [9,10-dimethoxy-2(2,4,6-trimethylphenylimino)-3-(n-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one] and RPL565 [6,7-dihydro-2-(2,6-diisopropylphenoxy)-9,10-dimethoxy-4H-pyrimido[6,1-a]isoquinolin-4-one]. J Pharmacol Exp Ther. 2006 Aug;318(2):840-8.

[2]. 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 Dis. 2020 Sep 16;15:2199-2206.

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