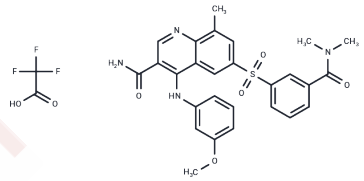
Data Sheet (Cat.No.T11483)

TargetMol

GSK256066 Trifluoroacetate

Chemical Properties



CAS No. : 1415560-64-3

Formula: C29H27F3N4O7S

Molecular Weight: 632.61

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK 256066 Trifluoroacetate is a selective and high-affinity phosphodiesterase 4 (PDE) inhibitor (IC50: 3.2 pM for PDE4B). It can be used for the treatment of chronic obstructive pulmonary disease.
Targets(IC50)	PDE
In vitro	GSK 256066 Trifluoroacetate is highly selective for PDE4, with >380,000-fold versus PDE1/2/3/5/6 and >2500-fold against PDE7, and inhibits PDE4 isoforms A-D with equal affinity.?GSK 256066 Trifluoroacetate inhibits tumor necrosis factor α production by lipopolysaccharide (LPS)-stimulated human peripheral blood monocytes with IC50 of 0.01 nM.GSK 256066 Trifluoroacetate ?is an exceptionally high-affinity inhibitor of PDE4 designed for inhaled administration.
In vivo	GSK 256066 Trifluoroacetate inhibits LPS-induced pulmonary neutrophilia, and no emetic episodes are observed in ferrets.GSK 256066 Trifluoroacetate (GSK256066 2,2,2-trifluoroacetic acid; 0.3-100 μg/kg; intratracheally) inhibits the eosinophil number increased in the bronchoalveolar lavage (BAL) in a dose-dependent fashion, in lipopolysaccharide (LPS)- and ovalbumin (OVA)-induced acute pulmonary inflammation rat models.

Solubility Information

Solubility	DMSO: 50 mg/mL (79.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (3.95 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5808 mL	7.9038 mL	15.8075 mL
5 mM	0.3162 mL	1.5808 mL	3.1615 mL
10 mM	0.1581 mL	0.7904 mL	1.5808 mL
50 mM	0.0316 mL	0.1581 mL	0.3162 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Tralau-Stewart CJ, et al. GSK256066, an exceptionally high-affinity and selective inhibitor of phosphodiesterase 4 suitable for administration by inhalation: in vitro, kinetic, and in vivo characterization. J Pharmacol Exp Ther, 2011, 337(1), 145-154.

Nials AT, et al. In vivo characterization of GSK256066, a high-affinity inhaled phosphodiesterase 4 inhibitor. J Pharmacol Exp Ther, 2011, 337(1), 137-144.