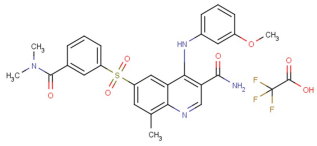
Data Sheet (Cat.No.T11483)

TargetMol
A DRUG SCREENING EXPERT

GSK 256066 Trifluoroacetate

Chemical Properties



CAS No.:	1415560-64-3
Formula:	C29H27F3N4O7S
Molecular Weight:	632.61
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

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(IC_{50})	PDE4B: 3.2 pM
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 (IC50: 0.01 nM) [1].
In vivo	GSK 256066 Trifluoroacetate (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. GSK 256066 Trifluoroacetate inhibits LPS-induced pulmonary neutrophilia, and no emetic episodes are observed in ferrets [2].

Solubility Information

Solubility	DMSO: 50 mg/mL (79.04 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.581 mL	7.904 mL	15.808 mL
5 mM	0.316 mL	1.581 mL	3.162 mL
10 mM	0.158 mL	0.79 mL	1.581 mL
50 mM	0.032 mL	0.158 mL	0.316 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.

Inhibitors · Natural Compounds · Compound Libraries

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