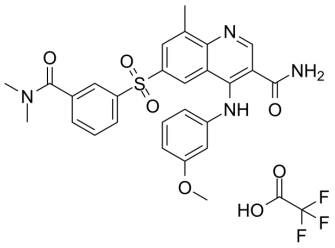
GSK256066 Trifluoroacetate

Cat. No.:	HY-70069
CAS No.:	1415560-64-3
Molecular Formula:	$C_{29}H_{27}F_3N_4O_7S$
Molecular Weight:	632.61
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (39.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK256066 Trifluoroacetate is a selective and high-affinity phosphodiesterase 4 (PDE) inhibitor, with an IC_{50} of 3.2 pM for PDE4B. GSK256066 Trifluoroacetate is developed for the research of chronic obstructive pulmonary disease[1].

IC_{50} & Target

IC50: 3.2 pM (PDE4B)[1]

In Vitro

GSK256066 Trifluoroacetate is an exceptionally high-affinity inhibitor of PDE4 designed for inhaled administration[1].
GSK256066 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[1].
GSK256066 Trifluoroacetate inhibits tumor necrosis factor α production by lipopolysaccharide (LPS)-stimulated human peripheral blood monocytes with IC_{50} of 0.01 nM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GSK256066 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.[2].

GSK256066 Trifluoroacetate inhibits LPS-induced pulmonary neutrophilia, and no emetic episodes are observed in ferrets[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Brown Norway rats(180-200 g)[2]
Dosage:	0.3-100 μg/kg
Administration:	Intratracheally; 30 minutes before and 6 hours after ovalbumin challenge
Result:	Inhibited the increase in eosinophil number in the BAL in a dose-dependent fashion.

CUSTOMER VALIDATION

- Int Immunopharmacol. April 2022, 108540.

See more customer validations on www.MedChemExpress.com

REFERENCES

[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.

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