GSK256066

Cat. No.: HY-10469

CAS No.: 801312-28-7

Molecular Formula: $C_{27}H_{26}N_4O_5S$

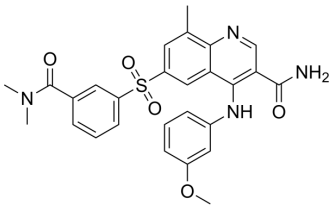
Molecular Weight: 518.58

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (48.21 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9283 mL	9.6417 mL	19.2834 mL
	5 mM	0.3857 mL	1.9283 mL	3.8567 mL
	10 mM	0.1928 mL	0.9642 mL	1.9283 mL

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

BIOLOGICAL ACTIVITY

Description

GSK256066 is a selective and high-affinity phosphodiesterase 4 (PDE4) inhibitor, with an IC_{50} of 3.2 pM for PDE4B. GSK256066 is developed for the research of chronic obstructive pulmonary disease[1].

IC_{50} & Target

PDE4

3.2 pM (IC_{50})

In Vitro

GSK256066 is an exceptionally high-affinity inhibitor of PDE4 designed for inhaled administration[1].

?GSK256066 is highly selective for PDE4, with >380,000-fold versus PDE1/2/3/5/6 and >2500-fold against PDE7[1].

?GSK256066 inhibits PDE4 isoforms A-D with equal affinity (PDE4B: pIC_{50}≥ 11.5, PDE4A: pIC_{50}≥11.31, PDE4C: pIC_{50}≥11.42, PDE4D: pIC_{50}≥11.94)[1].

?GSK256066 inhibits TNF-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 (10 μg/kg; i.t.) causes significant inhibition of LPS-induced pulmonary neutrophilia[2].

?GSK256066 also inhibits LPS-induced increases in exhaled nitric oxide (ED_{50}=92 μg/kg)[2].
?GSK256066 inhibits pulmonary eosinophilia in rats exposed to ovalbumin (ED_{50}=0.4 μg/kg)[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:	10 μg/kg
Administration:	Intratracheal injection; before (36 hours, 24 hours, 18 hours, 12 hours, 6 hours, and 2 hours) and after (0 hour, 2 hours) LPS challenge
Result:	Inhibited the LPS-induced pulmonary neutrophilia.

CUSTOMER VALIDATION

- Int Immunopharmacol. April 2022, 108540.

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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.

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