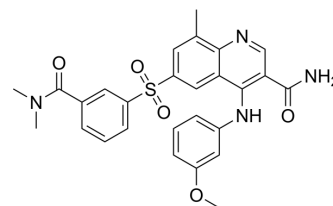


GSK256066

Cat. No.:	HY-10469
CAS No.:	801312-28-7
Molecular Formula:	C ₂₇ H ₂₆ N ₄ O ₅ S
Molecular Weight:	518.58
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	<div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> <div>In solvent</div> <div>-80°C 2 years</div> <div>-20°C 1 year</div>



SOLVENT & SOLUBILITY

In Vitro

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

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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	<p>GSK256066 is an exceptionally high-affinity inhibitor of PDE4 designed for inhaled administration^[1].</p> <p>?GSK256066 is highly selective for PDE4, with >380,000-fold versus PDE1/2/3/5/6 and >2500-fold against PDE7^[1].</p> <p>?GSK256066 inhibits PDE4 isoforms A-D with equal affinity (PDE4B: pIC₅₀≥ 11.5, PDE4A: pIC₅₀≥11.31, PDE4C: pIC₅₀≥11.42, PDE4D: pIC₅₀≥11.94)^[1].</p> <p>?GSK256066 inhibits TNF-α production by lipopolysaccharide (LPS)-stimulated human peripheral blood monocytes with IC₅₀ of 0.01 nM^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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 ($ED_{50}=92 \mu\text{g/kg}$)^[2].
?GSK256066 inhibits pulmonary eosinophilia in rats exposed to ovalbumin ($ED_{50}=0.4 \mu\text{g/kg}$)^[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 $\mu\text{g/kg}$
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.

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

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