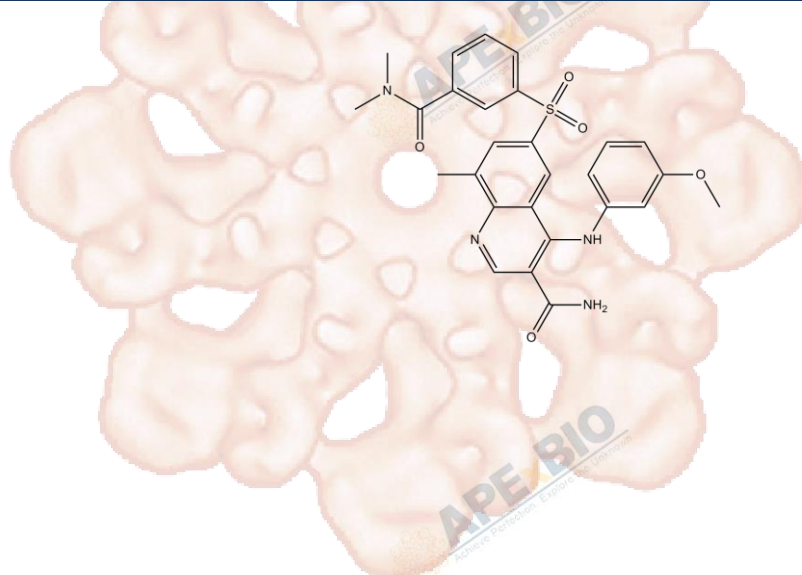


# Product Data Sheet

## GSK256066

<b>Cat. No.:</b>	A4331
<b>CAS No.:</b>	801312-28-7
<b>Formula:</b>	C <sub>27</sub> H <sub>26</sub> N <sub>4</sub> O <sub>5</sub> S
<b>M.Wt:</b>	518.58
<b>Synonyms:</b>	
<b>Target:</b>	Metabolism
<b>Pathway:</b>	PDE
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

insoluble in DMSO; insoluble in EtOH; insoluble in H<sub>2</sub>O

In Vitro

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

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

### Biological Activity

Shortsummary

PDE4-inhibitor, selective and highly potent

IC<sub>50</sub> & Target

3.2 pM (PDE4)

In Vitro

#### Cell Viability Assay

Cell Line:	Human peripheral blood mononuclear cells, PBMCs
Preparation method:	Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.1 pM-1 nM, 20 h

	Applications:	GSK256066 effectively inhibited tumor necrosis factor $\alpha$ (TNF $\alpha$ ) production by LPS-stimulated PBMCs with IC50 value of 0.01 nM and by LPS-stimulated whole blood with IC50 value of 126 pM. GSK256066 was more potent in both PBMCs and whole blood than other comparator compounds tested.
In Vivo	<b>Animal experiment</b>	
	Dosage form:	25 mg or 87.5 mg, once daily for 28 days
	Applications:	Administration of the potent inhaled PDE4 inhibitor GSK256066 was well-tolerated and did not give rise to gastrointestinal adverse events in patients with moderate COPD. Patients administered 87.5 mg GSK256066 showed a trend for improvement in lung function and some potential to reduce lung hyperinflation.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Product Citations

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References

- [1]. Tralau-Stewart C J, Williamson R A, Nials A T, 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]. Journal of Pharmacology and Experimental Therapeutics, 2011, 337(1): 145-154.
- [2]. Watz H, Mistry S J, Lazaar A L. Safety and tolerability of the inhaled phosphodiesterase 4 inhibitor GSK256066 in moderate COPD[J]. Pulmonary pharmacology & therapeutics, 2013, 26(5): 588-595.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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**APEx BIO Technology**

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