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产品名称：GSK256066 (2,2,2-trifluoroacetic acid)
产品别名：GSK 256066 Trifluoroacetate

生物活性：

Description	GSK 256066 Trifluoroacetate (GSK256066 2,2,2-trifluoroacetic acid) is a selective and high-affinity phosphodiesterase 4 (PDE) inhibitor, with an IC_{50} of 3.2 pM for PDE4B; developed for the treatment of chronic obstructive pulmonary disease[1].
IC_{50} & Target	IC50: 3.2 pM (PDE4B)[1]
In Vitro	GSK 256066 Trifluoroacetate (GSK256066 2,2,2-trifluoroacetic acid) is an exceptionally high-affinity inhibitor of PDE4 designed for inhaled administration[1]. 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[1]. GSK 256066 Trifluoroacetate inhibits tumor necrosis factor α production by lipopolysaccharide (LPS)-stimulated human peripheral blood monocytes with IC_{50} of 0.01 nM[1].
In Vivo	GSK 256066 Trifluoroacetate (GSK256066 2,2,2-trifluoroacetic acid; 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]. GSK 256066 Trifluoroacetate inhibits LPS-induced pulmonary neutrophilia, and no emetic episodes are observed in ferrets[2].

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.

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (79.04 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

	Solubility: ≥ 3.25 mg/mL (5.14 mM); Clear solution 此方案可获得 ≥ 3.25 mg/mL (5.14 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 32.5 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 3.25 mg/mL (5.14 mM); Clear solution 此方案可获得 ≥ 3.25 mg/mL (5.14 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 32.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。
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.