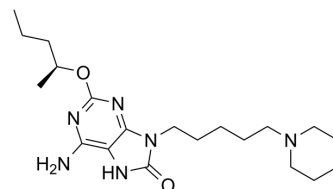


GSK2245035

Cat. No.:	HY-118250		
CAS No.:	1207629-49-9		
Molecular Formula:	C ₂₀ H ₃₄ N ₆ O ₂		
Molecular Weight:	391		
Target:	Toll-like Receptor (TLR); IFNAR; TNF Receptor		
Pathway:	Immunology/Inflammation; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (319.69 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions	1 mM	2.5575 mL	12.7877 mL
		5 mM	0.5115 mL	2.5575 mL
		10 mM	0.2558 mL	1.2788 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: ≥ 2.08 mg/mL (5.32 mM); Clear solution			
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.32 mM); Clear solution			
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.32 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	GSK2245035 is a highly potent and selective intranasal Toll-Like receptor 7 (TLR7) agonist with preferential Type-1 interferon (IFN)-stimulating properties. GSK2245035 has pEC ₅₀ s of 9.3 and 6.5 for IFNα and TFNα. GSK2245035 effectively suppresses allergen-induced Th2 cytokine production in human peripheral blood cell cultures. GSK2245035 is used for asthma ^[1] .		
IC ₅₀ & Target	TLR7	IFNα 9.3 (pEC ₅₀)	CD40 6.5 (pEC ₅₀)

In Vitro	<p>GSK2245035 (0.01, 0.1, 1, 10, 100, 1000, 10000 nM; 6 days) reduces levels of the Th2 cytokines IL-5 and IL-13 released in response to Timothy grass or house dust mite in human PBMC cultures derived from individuals allergic to these allergens, in a dose-dependent manner^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>GSK2245035 (i.n.; 0.03-1 mg/kg; 6 hours) makes dose-related increasing in IFNα levels in serum at doses of 0.3 mg/kg and above at the 2 h time point which had subsided at 6 h^[1].</p> <p>GSK2245035 (3, 30, 300, 3000 ng/kg; 6 hours) treatment can make plasma IP-10 provided the most sensitive biomarker of target engagement with raised levels of this chemokine detected at doses of 30 ng/kg and above in the cynomolgus monkey [1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 520 1515 793"> <tr> <td data-bbox="347 520 618 583">Animal Model:</td> <td data-bbox="618 520 1515 583">Female BALB/c mice^[1]</td> </tr> <tr> <td data-bbox="347 583 618 646">Dosage:</td> <td data-bbox="618 583 1515 646">0.03, 0.1, 0.3, 1 mg/kg</td> </tr> <tr> <td data-bbox="347 646 618 709">Administration:</td> <td data-bbox="618 646 1515 709">Intranasally (i.n.); 6 hours</td> </tr> <tr> <td data-bbox="347 709 618 793">Result:</td> <td data-bbox="618 709 1515 793">Dose-related increases in IFNα levels in serum were detected at doses of 0.3 mg/kg and above at the 2 h time point which had subsided at 6 h.</td> </tr> </table>	Animal Model:	Female BALB/c mice ^[1]	Dosage:	0.03, 0.1, 0.3, 1 mg/kg	Administration:	Intranasally (i.n.); 6 hours	Result:	Dose-related increases in IFN α levels in serum were detected at doses of 0.3 mg/kg and above at the 2 h time point which had subsided at 6 h.
Animal Model:	Female BALB/c mice ^[1]								
Dosage:	0.03, 0.1, 0.3, 1 mg/kg								
Administration:	Intranasally (i.n.); 6 hours								
Result:	Dose-related increases in IFN α levels in serum were detected at doses of 0.3 mg/kg and above at the 2 h time point which had subsided at 6 h.								

REFERENCES

[1]. Biggadike K, et al. Discovery of 6-Amino-2-[[[(1S)-1-methylbutyl]oxy]-9-[5-(1-piperidinyl)pentyl]-7,9-dihydro-8H-purin-8-one (GSK2245035), a Highly Potent and Selective Intranasal Toll-Like Receptor 7 Agonist for the Treatment of Asthma. J Med Chem. 2016 M

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

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