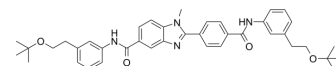


GSK761

Cat. No.:	HY-148591		
Molecular Formula:	C ₄₀ H ₄₆ N ₄ O ₄		
Molecular Weight:	646.82		
Target:	Epigenetic Reader Domain		
Pathway:	Epigenetics		
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 (193.25 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	Solvent Concentration	Mass			
			1 mg	5 mg	10 mg	
			1 mM	1.5460 mL	7.7301 mL	15.4603 mL
			5 mM	0.3092 mL	1.5460 mL	3.0921 mL
10 mM	0.1546 mL	0.7730 mL	1.5460 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 (3.22 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.22 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	GSK761 is a selective inhibitor of speckled 140 kDa (SP140) with an IC ₅₀ value of 77.79 nM. GSK761 reduces monocyte-to-inflammatory macrophage differentiation and lipopolysaccharide (LPS)-induced inflammatory activation. GSK761 induces the production of CD206 ⁺ regulatory macrophages by inhibiting SP140 ^[1] .
IC ₅₀ & Target	IC ₅₀ : 77.79 nM (Speckled 140 kDa, SP140) ^[1]
In Vitro	GSK761 combines with SP140 in HuT78 cells and HEK293 cells transfected with Halo-tagged SP140 ^[1] . GSK761 (0.01-1.11 μM; 1 h) reduces the inflammatory activation and down-regulates the expression of TNF, IL-6, IL-12p70, IL-1β, IL-8, IL-10 pro-inflammatory cytokines in M1 polarized macrophages ^[1] . GSK761 (0.04 μM; 4 h) significantly decreases the expression of TNF, IL6 and IL10 in CD14 ⁺ mucosal macrophages ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ghiboub M, et al. Modulation of macrophage inflammatory function through selective inhibition of the epigenetic reader protein SP140. BMC Biol. 2022 Aug 19;20(1):182.

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

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