APY0201

Cat. No.:	HY-15982		
CAS No.:	1232221-74-	7	
Molecular Formula:	C ₂₃ H ₂₃ N ₇ O		
Molecular Weight:	413.48		
Target:	PIKfyve; Interleukin Related		
Pathway:	PI3K/Akt/mTOR; Immunology/Inflammation		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro	DMSO : ≥ 35 mg/mL (84.65 mM) * "≥" means soluble, but saturation unknown.				
		Solvent Mass Concentration	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.4185 mL	12.0925 mL	24.1850 mL
		5 mM	0.4837 mL	2.4185 mL	4.8370 mL
		10 mM	0.2418 mL	1.2092 mL	2.4185 mL
	Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent o Solubility: ≥ 2 mg/	one by one: 10% DMSO >> 40% PEC mL (4.84 mM); Clear solution	G300 >> 5% Tween-8) >> 45% saline	
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2 mg/mL (4.84 mM); Suspended solution; Need ultrasonic				
	 Add each solvent of Solubility: ≥ 2 mg/ 	one by one: 10% DMSO >> 90% con mL (4.84 mM); Clear solution	n oil		

Description	APY0201 is a potent PIKfyve in presence of [³³ P]ATP with an I	hibitor, which inhibits the conver C ₅₀ of 5.2 nM. APY0201 also inhib	rsion of PtdIns3P to PtdIns(3,5)P ₂ in the presence of in the its IL-12/IL-23 production.	
IC ₅₀ & Target	PIKfyve 5.2 nM (IC ₅₀)	IL-12	IL-23	



Product Data Sheet

In Vitro	APY0201 works differently from anti-IL-12/23 antibodies and acts by inhibiting production of these proinflammatory cytokines with characteristic selectivity over other cytokines, including tumor necrosis factor-alpha (TNF-α). In stimulated thioglycollate-induced mouse peritoneal exudate cells (TG-PEC), APY0201 strongly inhibits IL-12p70 and IL-12p40 production, with IC ₅₀ s of 8.4 and 16 nM, respectively. APY0201 also inhibits IL-12p40 at 99 nM in human PBMC. APY0201 shows significant selectivity for the production of IL-12p70 and IL-12p40 over TNF-α, and this selectivity is maintained across species ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral APY0201 at a 30 mg/kg dose shows significant reduction of IL-12p70 production (78% inhibition relative to that of the vehicle control), which implys that the inhibitory potential of APY0201 against IL-12 is confirmed in the animal experiment ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]	Mouse TG-PEC or human PBMC are incubated with APY0201 (1, 10, 100, 1000 and 10 ⁴ nM) in the presence of 100 ng/mL mouse or human IFN-γ and 0.05%w/v Staphylococcus aureus Cowan I strain (SAC) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration ^[1]	Mice ^[1] Female BALB/c mice (n=3) are used. Blood samples (systemic) or from the portal vein (portal) under anesthesia. After 30 min, mice are anesthetized with diethyl ether, and blood samples are collected by cardiacpuncture. Blood is collected in tubes containing 0.5 M-EDTA solution (pH 8.0). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Haematologica. 2020 Jun;105(6):1641-1649.
- J Oncol. 24 Jun 2022.

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REFERENCES

[1]. Hayakawa N, et al. Structure-activity relationship study, target identification, and pharmacological characterization of a small molecular IL-12/23 inhibitor, APY0201. Bioorg Med Chem. 2014 Jun 1;22(11):3021-9.

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

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