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产品名称：APY0201
产品别名：APY0201

生物活性：

Description	APY0201 is a potent PIKfyve inhibitor, which inhibits the conversion of PtdIns3P to PtdIns(3,5)P_2 in the presence of in the presence of [^{33}P]ATP with an IC_{50} of 5.2 nM. APY0201 also inhibits IL-12/IL-23 production.

IC_{50} & Target	PIKfyve	IL-12	IL-23
	5.2 nM (IC_{50})		

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 IC50s 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].
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].

Solvent&Solubility

In Vitro:

DMSO : ≥ 35 mg/mL (84.65 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	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

*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: ≥ 2 mg/mL (4.84 mM); Clear solution

此方案可获得 ≥ 2 mg/mL (4.84 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.0 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: 2 mg/mL (4.84 mM); Suspended solution; Need ultrasonic 此方案可获得 2 mg/mL (4.84 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 20.0 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2 mg/mL (4.84 mM); Clear solution 此方案可获得 ≥ 2 mg/mL (4.84 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 20.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Cell Assay	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].
Animal Administration	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).
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.