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产品名称：阿吡莫德
产品别名：Apilimod；STA 5326；阿匹莫德

生物活性：

Description	Apilimod is a potent IL-12/IL-23 inhibitor, and strongly inhibits IL-12 with IC_{50}s of 1 nM and 2 nM, in IFN-γ/SAC-stimulated human PBMCs and SAC-treated monkey PBMCs, respectively.
IC_{50} & Target	IC50: 1 nM (IL-12, human PBMCs), 2 nM (IL-12, monkey PBMCs)
In Vitro	Apilimod inhibited IFN-γ production induced by either IFN-γ/SAC or SAC in human PBMCs, with an IC_{50} of approximately 20 nM. Apilimod show some inhibition against IFN-γ/SAC-induced TNF-α and ConA-induced IL-5 from human PBMCs at high concentrations, but no suppressive effect against IL-1β, IL-2, IL-4, IL-8, and IL-18 in all cultures tested. The p35 and p40 promoter-driven luciferase activities are significantly induced after stimulation with IFN-γ/LPS or IFN-γ/SAC, and are completely suppressed by 100 nM Apilimod[1].
In Vivo	Apilimod (10 mg/kg, p.o.) is effective not only when administered throughout the entire experiment, but also when administration is initiated on day 30 when disease is clearly measurable but not maximal. TA-5326 causes a significant reduction in cell number only in the Th1 model, with an average percentage of inhibition of 51%±8% relative to the vehicle control. Apilimod treatment has no effect in the Th2 setting[1]. Apilimod (5 or 20 mg/kg, p.o.) reduces the level of IL-12 p40 in serum without altering body weight in EAU mice. Oral administration of Apilimod reduces the severity of experimental autoimmune uveoretinitis (EAU) by clinical and histopathological analysis[2].
Solvent&Solubility	***In Vitro:*** **DMSO： ≥ 46 mg/mL (109.92 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3895 mL	11.9477 mL	23.8954 mL
5 mM	0.4779 mL	2.3895 mL	4.7791 mL
10 mM	0.2390 mL	1.1948 mL	2.3895 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: ≥ 2.5 mg/mL (5.97 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.97 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 25.0 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% corn oil Solubility: ≥ 2.5 mg/mL (5.97 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.97 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Wada Y, et al. Selective abrogation of Th1 response by STA-5326, a potent IL-12/IL-23 inhibitor. Blood. 2007 Feb 1;109(3):1156-64. [2]. Keino H, et al. Therapeutic effect of the potent IL-12/IL-23 inhibitor STA-5326 on experimental autoimmune uveoretinitis. Arthritis Res Ther. 2008;10(5):R122.

实验参考：

Cell Assay	Cervical lymph node cells obtained from immunized mice on day 18 (2×10^5 cells/well) arecultured in 0.2 mL RPMI 1640 containing 10 mM HEPES, 0.1 mM nonessential amino acid, 1 mM sodium pyruvate, 100 U/mL penicillin, 100 μg/mL streptomycin, 1×10^{-5} M 2-mercaptoethanol, 10% FCS, and 10 μg/mL IRBP1-20. For cytokine assay, supernatants are collected after 72 hours and analysed for IFN-γ, IL-4 and IL-17 by quantitative capture ELISA using quantikine ELISA kits and mouse IL-17 ELISA Ready-SET-Go kits. Cell proliferation is evaluated using a cell proliferation assay. [2]
Animal Administration	In most experiments, 5 mg/kg or 20 mg/kg Apilimod or vehicle only (0.5% carboxyl methyl cellulose) is orally administered once a day for six days a week from day 0 to day 14 after immunization. In the effector phase experiments, 20 mg/kg Apilimod or vehicle is orally administered once a day, from day 9 to day 14 after immunization. [2]
References	[1]. Wada Y, et al. Selective abrogation of Th1 response by STA-5326, a potent IL-12/IL-23 inhibitor. Blood. 2007 Feb 1;109(3):1156-64. [2]. Keino H, et al. Therapeutic effect of the potent IL-12/IL-23 inhibitor STA-5326 on experimental autoimmune uveoretinitis. Arthritis Res Ther. 2008;10(5):R122.