Apilimod mesylate

Cat. No.: HY-14644A

CAS No.: 870087-36-8

Molecular Formula: $C_{25}H_{34}N_6O_8S_2$

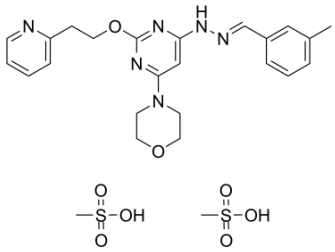
Molecular Weight: 610.7

Target: Interleukin Related; PIKfyve

Pathway: Immunology/Inflammation; PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (163.75 mM; Need ultrasonic)
DMSO : 12.5 mg/mL (20.47 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6375 mL	8.1873 mL	16.3747 mL
5 mM	0.3275 mL	1.6375 mL	3.2749 mL
10 mM	0.1637 mL	0.8187 mL	1.6375 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: ≥ 1.25 mg/mL (2.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.05 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (163.75 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Apilimod (STA 5326) mesylate 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[1]. Apilimod is a potent and highly selective PIKfyve inhibitor.

IC_{50} & Target	IL-12	IL-23
In Vitro	Apilimod inhibits 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
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. Apilimod 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

CUSTOMER VALIDATION

- Nat Commun. 2020 Mar 27;11(1):1620.
- Theranostics. 2020 Mar 4;10(9):3925-3938.
- Cell Mol Life Sci. 2016 Dec;73(24):4717-4737.
- Br J Cancer. 2020 May 22.
- bioRxiv. 2020 Apr 21;2020.04.21.053058.

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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]. Billich A. Drug evaluation: apilimod, an oral IL-12/IL-23 inhibitor for the treatment of autoimmune diseases and common variable immunodeficiency.IDrugs. 2007 Jan;10(1):53-9.

[3]. 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.

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