Apilimod

Cat. No.: HY-14644

CAS No.: 541550-19-0

Molecular Formula: $C_{23}H_{26}N_6O_2$

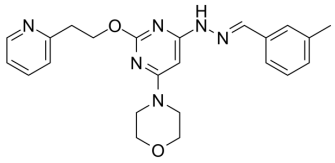
Molecular Weight: 418.49

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

DMSO : 100 mg/mL (238.95 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 3.33 mg/mL (7.96 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Apilimod (STA 5326) 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. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	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, 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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	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. 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 Aug;123(4):542-555.
- J Virol. 2021 Aug 18;JVI0097521.

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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]. 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.

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

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