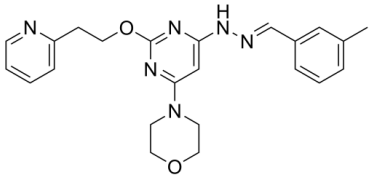
Data Sheet

Product Name:	Apilimod
Cat. No.:	CS-2341
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
Solubility:	DMSO : 100 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & Target:IC50: 1 nM (IL-12, human PBMCs), 2 nM (IL-12, monkey PBMCs) ***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-1 β, 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].

PROTOCOL (Extracted from published papers and Only for reference)

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. **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.

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.

CAIndexNames:

Benzaldehyde, 3-methyl-, 2-[6-(4-morpholinyl)-2-[2-(2-pyridinyl)ethoxy]-4-pyrimidinyl]hydrazone

SMILES:

CC1=CC=CC(/C=N/NC2=CC(N3CCOCC3)=NC(OCCC4=CC=CC=N4)=N2)=C1

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

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