

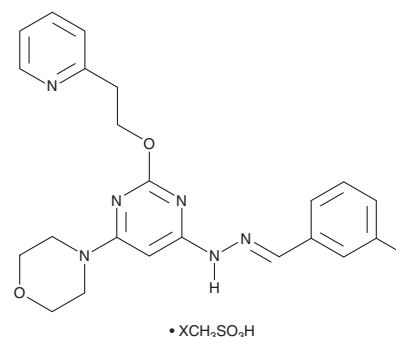
PRODUCT INFORMATION



Apilimod (mesylate)

Item No. 45828

CAS Registry No.: 2026610-35-3
Formal Name: (E)-4-(6-(2-(3-methylbenzylidene)hydrazineyl)-2-(2-(pyridin-2-yl)ethoxy)pyrimidin-4-yl)morpholine, methanesulfonate
Synonym: STA-5326
MF: C₂₃H₂₆N₆O₂ • XCH₃SO₃H
FW: 418.5
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Apilimod (mesylate) is supplied as a solid. A stock solution may be made by dissolving the apilimod (mesylate) in the solvent of choice, which should be purged with an inert gas. Apilimod (mesylate) is slightly soluble (0.1-1 mg/ml) in acetonitrile:water (1:1).

Description

Apilimod is an inhibitor of the class III phosphatidylinositol kinase PIKfyve (IC₅₀ = 14 nM).¹ It is selective for PIKfyve over 10 other lipid kinases and 31 other protein kinases (IC₅₀s = >9.1 μM for all). Apilimod inhibits the production of IL-12p40 induced by IFN-γ and LPS or the TLR7 agonist R-837 (imiquimod; Item No. 14956) in isolated human peripheral blood mononuclear cells (PBMCs; IC₅₀s = 2.4 and 3 nM, respectively) and the production of IL-23 induced by IFN-γ and *S. aureus* Cowan I (SAC) in isolated human PBMCs (IC₅₀ = 10 nM).^{1,2} It prevents infection of Vero E6 cells by severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2; EC₅₀ = 23 nM).³ Apilimod reduces cell viability in a panel of B cell non-Hodgkin lymphoma cell lines with a mean IC₅₀ value of 142 nM.⁴ It decreases disease severity in a CD4⁺CD45Rb^{high} T cell transfer mouse model of inflammatory bowel disease (IBD) when administered at a dose of 10 mg/kg, as well as in a mouse model of experimental autoimmune uveoretinitis induced by the peptide IRBP₁₋₂₀ (Item No. 36727).^{2,5}

References

1. Cai, X., Xu, Y., Cheung, A.K., *et al.* PIKfyve, a class III PI kinase, is the target of the small molecular IL-12/IL-23 inhibitor apilimod and a player in Toll-like receptor signaling. *Chem. Biol.* **20**(7), 912-921 (2013).
2. Wada, Y., Lu, R., Zhou, D., *et al.* Selective abrogation of Th1 response by STA-5326, a potent IL-12/IL-23 inhibitor. *Blood* **109**(3), 1156-1164 (2007).
3. Riva, L., Yuan, S., Yin, X., *et al.* Discovery of SARS-CoV-2 antiviral drugs through large-scale compound repurposing. *Nature* **586**(7827), 113-119 (2020).
4. Gayle, S., Landrette, S., Beeharry, N., *et al.* Identification of apilimod as a first-in-class PIKfyve kinase inhibitor for treatment of B-cell non-Hodgkin lymphoma. *Blood* **129**(13), 1768-1778 (2017).
5. Keino, H., Watanabe, T., Sato, Y., *et al.* Therapeutic effect of the potent IL-12/IL-23 inhibitor STA-5326 on experimental autoimmune uveoretinitis. *Arthritis Res. Ther.* **10**(5), (2008).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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