

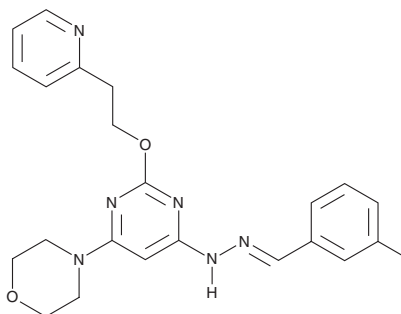
PRODUCT INFORMATION



Apilimod

Item No. 19094

CAS Registry No.: 541550-19-0
Formal Name: 3-methyl-2-[6-(4-morpholinyl)-2-[2-(2-pyridinyl)ethoxy]-4-pyrimidinyl]hydrazone, benzaldehyde
Synonym: STA-5326
MF: C₂₃H₂₆N₆O₂
FW: 418.5
Purity: ≥98%
UV/Vis.: λ_{max}: 231, 262, 322 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Apilimod is supplied as a crystalline solid. A stock solution may be made by dissolving the apilimod in the solvent of choice. Apilimod is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of apilimod in these solvents is approximately 10, 20, and 25 mg/ml, respectively.

Apilimod is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, apilimod should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Apilimod has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

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).⁴ Apilimod reduces cell viability in a panel of B cell non-Hodgkin lymphoma cell lines with a mean IC₅₀ value of 142 nM.⁵ 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).^{2,3}

References

1. Cai, X., Xu, Y., Cheung, A.K., et al. *Chem. Biol.* **20(7)**, 912-921 (2013).
2. Wada, Y., Lu, R., Zhou, D., et al. *Blood* **109(3)**, 1156-1164 (2007).
3. Keino, H., Watanabe, T., Sato, Y., et al. *Arthritis Res. Ther.* **10(5)**, (2008).
4. Riva, L., Yuan, S., Yin, X., et al. *Nature* **586(7827)**, 113-119 (2020).
5. Gayle, S., Landrette, S., Beeharry, N., et al. *Blood* **129(13)**, 1768-1778 (2017).

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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