# PRODUCT INFORMATION

## Apilimod

*Item No. 19094*

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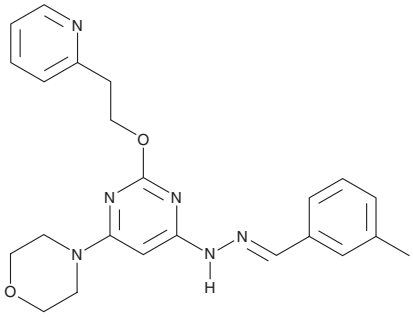
|---|---|
| **CAS Registry No.:** | 541550-19-0 |
| **Formal Name:** | 3-methyl-2-[6-(4-morpholinyl)-2-[2-(2-pyridinyl)ethoxy]-4-pyrimidinyl] hydrazone, benzaldehyde |
| **Synonym:** | STA-5326 |
| **MF:** | $C_{23}H_{26}N_6O_2$ |
| **FW:** | 418.5 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 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 ($IC_{50}$ = 14 nM).[1] It is selective for PIKfyve over 10 other lipid kinases and 31 other protein kinases ($IC_{50}$s = >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; $IC_{50}$s = 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 ($IC_{50}$ = 10 nM).[1,2] It prevents infection of Vero E6 cells by severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2; $EC_{50}$ = 23 nM).[4] Apilimod reduces cell viability in a panel of B cell non-Hodgkin lymphoma cell lines with a mean $IC_{50}$ 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 $IRBP_{1-20}$ (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).





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