

PRODUCT INFORMATION

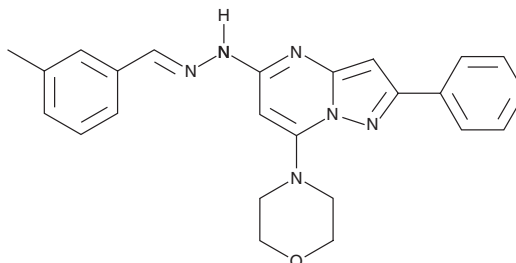


APY0201

Item No. 9001589

CAS Registry No.: 1232221-74-7
Formal Name: 2-[7-(4-morpholinyl)-2-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-5-yl]hydrazone, 3-methyl-benzaldehyde

MF: C₂₃H₂₃N₇O
FW: 413.5
Purity: ≥98%
UV/Vis.: λ_{max}: 241, 323 nm
Supplied as: A crystalline 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

APY0201 is supplied as a crystalline solid. A stock solution may be made by dissolving the APY0201 in the solvent of choice, which should be purged with an inert gas. APY0201 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of APY0201 in these solvents is approximately 5 and 3 mg/ml, respectively.

APY0201 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, APY0201 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. APY0201 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

APY0201 is an inhibitor of FYVE finger-containing phosphoinositide kinase (PIKFYVE; IC₅₀ = 5.2 nM) that selectively inhibits interleukin-12 (IL-12) family inflammatory cytokine production (IC₅₀s = 8, 99, and >10,000 nM for IL-12p70, IL-12p40, and TNF-α in stimulated human peripheral blood mononuclear cells (PBMCs)).¹ It is selective for PIKFYVE over a panel of 137 G protein-coupled receptors, enzymes, ion channels, transporters, and other kinases only exhibiting >50% inhibition at lymphocyte-oriented kinase (LOK) and inositol-tetrakisphosphate 1 kinase (ITPK1) at a concentration of 300 nM. APY0201 inhibits IL-12p70 production *ex vivo* in murine plasma and reduces colonic inflammation in a mouse IL-10^{-/-} cell transfer model of inflammatory bowel disease in a dose-dependent manner.

Reference

1. Hayakawa, N., Noguchi, M., Takeshita, A., *et al.* Structure-activity relationship study, target identification, and pharmacological characterization of a small molecular IL-12/23 inhibitor, APY0201. *Bioorg. Med. Chem.* **22(11)**, 3021-3029 (2014).

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.

WARRANTY AND LIMITATION OF REMEDY

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