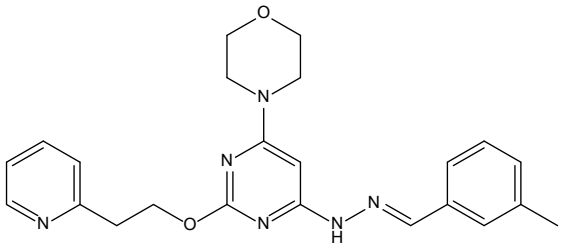
Catalog # 10-4970
Apilimod

CAS# 541550-19-0

N-[(E)-(3-Methylphenyl)methylideneamino]-6-morpholin-4-yl-2-(2-pyridin-2-ylethoxy)pyrimidin-4-amine; STA5326

Lot # FBS2112



Apilimod is a potent (IC_{50} = 10 nM IFN-γ/LPS-stimulated human PMBC's; IC_{50} = 1 nM in IFN-γ/SAC-stimulated human PMBC's) IL-12/IL-23 inhibitor downregulating both at the transcriptional level. Suppresses Th1 but not Th2 immune response.[1] Inhibition was also observed in human monocytes, monocyte-derived dendritic cells, and THP-1 cells. Apilimod's mechanism of action is mediation of TLR signaling via inhibition of phosphatidylinositol-3-phosphate 5-kinase (PIKfyve; IC_{50} = 14 nM).[2] It displayed selective cytotoxic activity in B-cell non-Hodgkin lymphoma compared with normal cells.[3] Apilimod prevented infection of VSV-ZEBOV and VSV-SARS-CoV-2 (IC_{50} = 50 nM) as well as SARS-CoV-2 strain 2019-nCoVB/USA-1WA/2020.[4]

1) Wada *et al*. (2007), *Selective abrogation of Th1 response by STA-5326, a potent IL-12/IL23 inhibitor*; Blood **109** 1156
2) Cai *et al*. (2013), *PIKfyve, a class III PI-kinase, is the target of the small molecule IL12/23 inhibitor apilimod and a new player in toll-like receptor signaling*; Chem. Biol., **20** 912
3) Gayle *et al*. (2016), *Identification of apilimod as a first-in-class PIKfyve kinase inhibitor for treatment of B-cell non-Hodgkin lymphoma*; Blood, **129** 1768
4) Kang *et al*. (2020), *Inhibition of PIKfyve kinase prevents infection by Zaire ebolavirus and SARS-CoV-2*; Proc. Natl. Acad. Sci. USA **117** 20803

PHYSICAL DATA

Molecular Weight:	418.50
Molecular Formula:	$C_{23}H_{26}N_6O_2$
Purity:	99% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 3 months.

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