

Product Name: Apilimod dimesylate

Catalog No.: 7283

Batch No.: 1

CAS Number: 870087-36-8

IUPAC Name: 4-(6-(2-(3-Methylbenzylidene)hydrazinyl)-2-(2-(pyridin-2-yl)ethoxy)pyrimidin-4-yl)morpholine dimethanesulfonate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₃H₂₆N₆O₂·2CH₃SO₃H·¾H₂O

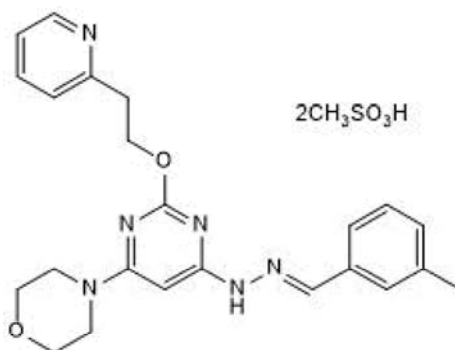
Batch Molecular Weight: 624.21

Physical Appearance: Off-white solid

Solubility: water to 100 mM
DMSO to 50 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.8% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	48.1	5.73	13.46
Found	47.7	5.62	13.29

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Description:

Potent and selective PIKfyve inhibitor ($IC_{50} = 14$ nM). Exhibits no significant activity at other lipid kinases and protein kinases, including PIP4K, PIP5K, mTOR, PI3K and PI4K. Inhibits cellular entry by SARS-CoV-2, MERS-CoV and MHV S pseudovirions. Reduces number of SARS-CoV-2-infected hiPSC-derived pneumocyte-like cells by 85% and inhibits SARS-CoV-2 replication in donor lung tissue. Also exhibits selective cytotoxicity in B-cell non-Hodgkin lymphoma compared with normal cells. Inhibits production of IL-12 and IL-23. Anti-inflammatory. Water-soluble.

Physical and Chemical Properties:

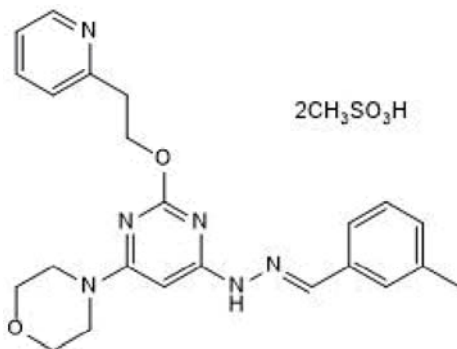
Batch Molecular Formula: $C_{23}H_{26}N_6O_2 \cdot 2CH_3SO_3H \cdot \frac{3}{4}H_2O$

Batch Molecular Weight: 624.21

Physical Appearance: Off-white solid

Minimum Purity: $\geq 98\%$

Batch Molecular Structure:



Storage: Store at $-20^{\circ}C$

Solubility & Usage Info:

water to 100 mM

DMSO to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a $45-60^{\circ}C$ water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at $-20^{\circ}C$ or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Ou et al (2020) Characterization of spike glycoprotein of SARS-CoV-2 on virus entry and its immune cross-reactivity with SARS-CoV. *Nat. Commun.* **11** 1620. PMID: 32221306.

Riva et al (2020) Discovery of SARS-CoV-2 antiviral drugs through large-scale compound repurposing. *Nature*. PMID: 32707573.

Gayle et al (2017) Identification of apilimod as a first-in-class PIKfyve kinase inhibitor for treatment of B-cell non-Hodgkin lymphoma. *Blood* **129** 1768. PMID: 28104689.

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