PIKfyve

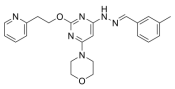
FYVE domain-containing phosphatidylinositol 3-phosphate 5-kinase; Phosphatidylinositol 3-phosphate 5-kinase; Fab1

PIKfyve, a FYVE finger-containing phosphoinositide kinase, is an enzyme that in humans is encoded by the PIKFYVE gene. The principal enzymatic activity of PIKfyve is to phosphorylate PtdIns3P to PtdIns(3,5)P2. PIKfyve activity is responsible for the production of both PtdIns(3,5)P2 and phosphatidylinositol 5-phosphate (PtdIns5P). PIKfyve is a large protein, containing a number of functional domains and expressed in several spliced forms. By directly binding membrane PtdIns(3)P, the FYVE finger domain of PIKfyve is essential in localizing the protein to the cytosolic leaflet of endosomes. Impaired PIKfyve enzymatic activity by dominant-interfering mutants, siRNA- mediated ablation or pharmacological inhibition causes endosome enlargement and cytoplasmic vacuolation due to impaired PtdIns(3,5)P2 synthesis. Thus, via PtdIns(3,5)P2 production, PIKfyve participates in several aspects of endosome dynamics, thereby affecting a number of trafficking pathways that emanate from or traverse the endosomal system en route to the trans-Golgi network or later compartments along the endocytic pathway.

PIKfyve Inhibitors

Apilimod
(STA 5326)

Cat. No.: HY-14644

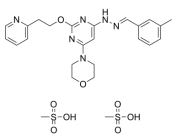


Apilimod (STA 5326) is a potent **IL-12/IL-23** inhibitor, and strongly inhibits IL-12 with IC_{50}s of 1 nM and 2 nM, in IFN-γ/SAC-stimulated human PBMCs and SAC-treated monkey PBMCs, respectively. Apilimod is a potent and highly selective **PIKfyve** inhibitor.

Purity: 99.49%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Apilimod mesylate
(STA 5326 mesylate)

Cat. No.: HY-14644A

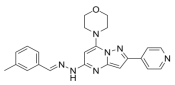


Apilimod (STA 5326) mesylate is a potent **IL-12/IL-23** inhibitor, and strongly inhibits IL-12 with IC_{50}s of 1 nM and 2 nM, in IFN-γ/SAC-stimulated human PBMCs and SAC-treated monkey PBMCs, respectively. Apilimod is a potent and highly selective **PIKfyve** inhibitor.

Purity: 99.40%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

APY0201

Cat. No.: HY-15982

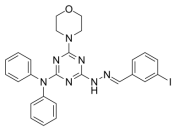


APY0201 is a potent **PIKfyve** inhibitor, which inhibits the conversion of PtdIns3P to PtdIns(3,5)P_2 in the presence of in the presence of [^{33}P]ATP with an IC_{50} of 5.2 nM. APY0201 also inhibits **IL-12/IL-23** production.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Vacuolin-1

Cat. No.: HY-118630

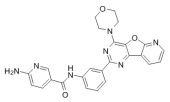


Vacuolin-1 is a potent and cell-permeable **lysosomal exocytosis** inhibitor. Vacuolin-1 blocks the Ca^{2+}-dependent exocytosis of lysosomes and prevents the release of lysosomal content without affecting the process of resealing.

Purity: ≥95.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

YM-201636

Cat. No.: HY-13228



YM-201636 is a potent and selective **PIKfyve** inhibitor with an IC_{50} of 33 nM. YM-201636 also inhibits p110α with an IC_{50} of 3.3 μM. YM-201636 inhibits **retroviral** replication.

Purity: 98.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg