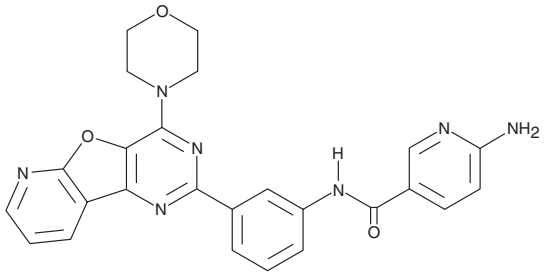
PRODUCT INFORMATION

YM-201636

Item No. 13576

CAS Registry No.: 371942-69-7
Formal Name: 6-amino-N-[3-[4-(4-morpholinyl) pyrido[3',2':4,5]furo[3,2-d] pyrimidin-2-yl]phenyl]-3-pyridinecarboxamide
MF: $C_{25}H_{21}N_7O_3$
FW: 467.5
Purity: ≥95%
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

YM-201636 is supplied as a crystalline solid. A stock solution may be made by dissolving the YM-201636 in the solvent of choice, which should be purged with an inert gas. YM-201636 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of YM-201636 in these solvents is approximately 10 mg/ml.

YM-201636 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, YM-201636 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. YM-201636 has a solubility of approximately 0.3 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

PIKfyve is a phosphoinositide kinase (PIK) that contains a FYVE-type zinc finger domain, which binds phosphatidylinositol 3-phosphate (PI3P). PIKfyve phosphorylates PI3P to produce PI-(3,5)-P_2, which is involved in cellular processes including membrane trafficking and cytoskeletal reorganization. YM-201636 is a cell-permeable and selective inhibitor of PIKfyve (IC_{50} = 33 nM).[1] It reversibly impairs endosomal trafficking in NIH3T3 cells, mimicking the effect produced by depleting PIKfyve with siRNA.[1] YM-201636 also blocks retroviral exit by budding from cells, apparently by interfering with the endosomal sorting complex required for transport (ESCRT) machinery.[1] In adipocytes, YM-201636 also inhibits basal and insulin-activated 2-deoxyglucose uptake (IC_{50} = 54 nM).[2]

References

1. Jefferies, H.B.J., Cooke, F.T., Jat, P., *et al.* A selective PIKfyve inhibitor blocks PtdIns(3,5)P_2 production and disrupts endomembrane transport and retroviral budding. *EMBO reports* **9(2)**, 164-170 (2008).
2. Ikonomov, O.C., Sbrissa, D., and Shisheva, A. YM201636, an inhibitor of retroviral budding and PIKfyve-catalyzed PtdIns(3,5)P_2 synthesis, halts glucose entry by insulin in adipocytes. *Biochem. Biophys. Res. Commun.* **382(3)**, 566-570 (2009).

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