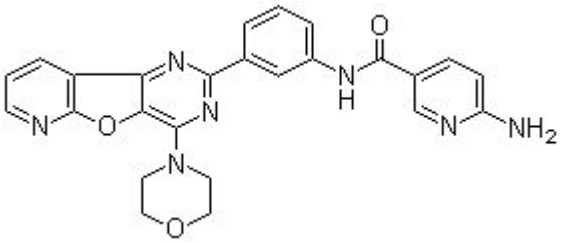
Product Introduction

YM201636

YM201636 is a selective **PIKfyve** inhibitor with **IC50** of 33 nM, less potent to p110α and insensitive to Fabl (yeast orthologue).

Technical Data:

Molecular Weight (MW):	467.48	
Formula:	$C_{25}H_{21}N_7O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 35 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	371942-69-7	

Biological Activity

YM201636 potently inhibits mammalian PIKfyve with an IC50 of 33 nM but not yeast orthologue Fab1 with an IC50 of >5 μM, exhibiting around 100-fold selectivity for PtdIns3P p110α with an IC50 of 3 μM. YM201636 (0.8 μM) significantly decreases the production of PtdIns(3,5)P_2 by 80% in serum-starved NIH3T3 cells followed by serum stimulation with no effect on serum-stimulated protein kinase B (PKB) Ser 473 phosphorylation. YM-201636 reversibly impairs endosomal trafficking in NIH3T3 cells by blocking PIKfyve and PtdIns(3,5)P_2 production, mimicking the effect produced by depleting PIKfyve with siRNA.

YM-201636 (0.8 μM) also significantly reduces retroviruses budding from cells by 80%, apparently through interfering with the endosomal sorting complex required for transport (ESCRT) machinery. [1] In 3T3L1 adipocytes, YM-201636 inhibits basal and insulin-activated 2-deoxyglucose uptake with an IC50 of 54 nM, with almost complete inhibition at doses as low as 160 nM. YM-201636 (0.1 μM) has also been shown to completely block insulin-dependent activation of class IA PI 3-kinase. [2] Although not involved in NPM-ALK-dependent proliferation and migration, YM201636 (0.4 μM) strongly reduces invasive capacities of NPM-ALK-expressing cells and their capacity to degrade the extracellular matrix. [3] YM201636 treatment blocks the continuous recycling of junctional proteins claudin-1 and claudin-2 in MDCK cells, leading to the intracellular accumulation and delay of epithelial barrier formation. [4]

References

[1] Jefferies HB, et al. EMBO Rep, 2008, 9(2), 164-170.
[2] Ikonomov OC, et al. Biochem Biophys Res Commun, 2009, 382(3), 566-570.
[3] Dupuis-Coronas S, et al. J Biol Chem, 2011, 286(37), 32105-32114.
[4] Dukes JD, et al. PLoS One, 2012, 7(3), e28659.

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