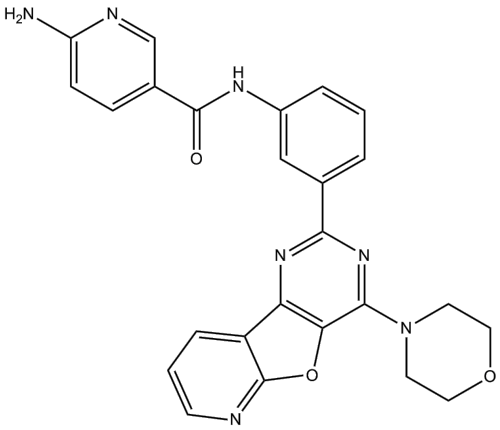
Product Data Sheet

Product Name: YM201636
Cat. No.: GC10982

Chemical Properties

Cas. No.	371942-69-7		
Chemical Name	6-amino-N-[3-(4-morpholin-4-ylpyrido[2,3]furo[2,4-b]pyrimidin-2-yl)phenyl]pyridine-3-carboxamide		
SMILES	C1COCCN1C2=NC(=NC3=C2OC4=C3C=CC=N4)C5=CC(=CC=C5)NC(=O)C6=CN=C(C=C6)N		
Formula	$C_{25}H_{21}N_7O_3$	M.Wt	467.48
Solubility	≥ 11.68mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

Cell experiment [1, 2]:

Cell lines Mouse 3T3L1 adipocytes, NIH3T3 cells

Product Data Sheet

Preparation method	The solubility of this compound in DMSO is >11.7mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting condition	0-4 μM for 30 min or 800 nM for 2h
Applications	In mouse 3T3L1 adipocytes, YM201636 significantly inhibited both basal and insulin-activated 2DG uptake in a dose-dependent way. YM201636 (160 nM) nearly completely inhibited the net insulin effect with a 50% inhibition at 54 ± 4 nM. YM201636 at 800 nM produced a 45% inhibition of cell surface HA-GLUT4-eGFP accumulation and a 55% inhibition of Akt-Ser473 phosphorylation. In NIH3T3 cells, YM201636 at 800 nM decreased PtdIns(3,5)P2 production by 80%. YM201636 induced the vesiculation phenotype by affecting PIKfyve and PtdIns(3,5)P2 production.

References:

[1] Jefferies H B J, Cooke F T, Jat P, et al. A selective PIKfyve inhibitor blocks PtdIns (3, 5) P2 production and disrupts endomembrane transport and retroviral budding. EMBO reports, 2008, 9(2): 164-170.

[2]. Ikonomov O C, Sbrissa D, Shisheva A. YM201636, an inhibitor of retroviral budding and PIKfyve-catalyzed PtdIns (3, 5) P2synthesis, halts glucose entry by insulin in adipocytes. Biochemical and biophysical research communications, 2009, 382(3): 566-570.

Background

YM201636 is a potent, selective and cell-permeable inhibitor of mammalian class III phosphatidylinositol phosphate kinase PIKfyve with IC50 value of 33nM [1].

The pyridofuropyrimidine compound, YM201636, is a small-molecule inhibitor of PIKfyve. In the in

Product Data Sheet

vitro assay, it inhibits PIKfyve with IC50 value of 33nM and shows no inhibition of yeast orthologue of PIKfyve. It inhibits PtdIns3P p110α and type Iα PtdInsP kinase with IC50 values of 3μM and > 2μM, respectively. The type IIγ PtdInsP kinase is found to be insensitive to YM201636. In serum-starved NIH3T3 cells, YM201636 inhibits PtdIns (3, 5) P2 production by 80% at concentration of 800nM. Acute treatment of YM201636 to MEFs, MDCK, MCF10A, COS7 and NIH3T3 cells causes the formation of large vesicular structures. Besides that, YM201636 is also found to reduce the Akt phosphorylation and GLUT4 cell surface translocation through inhibiting the insulin-dependent class I PI 3k activation [1, 2].

References:

[1] Jefferies H B J, Cooke F T, Jat P, et al. A selective PIKfyve inhibitor blocks PtdIns (3, 5) P2 production and disrupts endomembrane transport and retroviral budding. EMBO reports, 2008, 9(2): 164-170.
[2] Ikonomov O C, Sbrissa D, Shisheva A. YM201636, an inhibitor of retroviral budding and PIKfyve-catalyzed PtdIns (3, 5) P2synthesis, halts glucose entry by insulin in adipocytes. Biochemical and biophysical research communications, 2009, 382(3): 566-570.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA