

Product Data Sheet

Product Name: VPS34-IN1

Cat. No.: GC10436

Chemical Properties

Cas. No. 1383716-33-3

Chemical Name 1-((2-((2-chloropyridin-4-yl)amino)-4'-(cyclopropylmethyl)-[4,5'-bipyrimidin]-2'-yl)amino)-2-methylpropan-2-ol

SMILES CC(C)(C)NCC1=NC=C(C(C2=CC=CC=C2N1)=NC3=NC(NC4=CC(Cl)=NC=C4)=NC=C3)OC

Formula $C_{21}H_{24}ClN_7O$

M.Wt 425.91

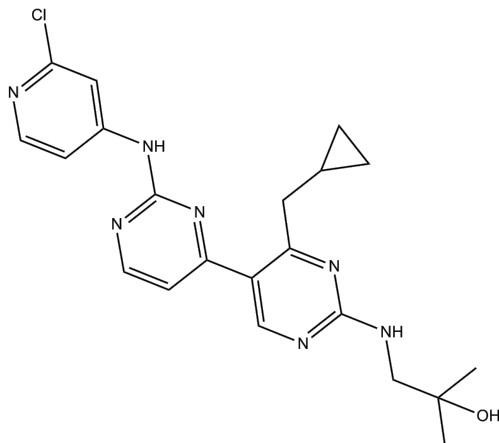
Solubility DMSO: 38 mg/mL

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



Background

IC50: 25 nM

VPS34-IN1 is a Vps34 inhibitor.

The vacuolar protein sorting 34 (Vps34) class III phosphoinositide 3-kinase (PI3K) phosphorylates phosphatidylinositol (PtdIns) to generate PtdIns(3)P that regulates membrane trafficking processes.

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

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through its ability to recruit a subset of proteins possessing PtdIns(3)P-binding phox homology (PX) and FYVE domains.

In vitro: VPS34-IN1 was identified to be able to inhibit in-vitro Vps34, but did not significantly inhibit the activity of tested 340 protein kinases or 25 lipid kinases that include all isoforms of class I and class II PI3Ks. Treatment of VPS34-IN1 to cells dose-dependently induced a quick dispersal of a specific PtdIns(3)P-binding probe, without altering the ability of class I PI3K to regulate Akt. Moreover, VPS34-IN1 could also induce a quick ~50-60% loss of SGK3 phosphorylation within 1 min. However, VPS34-IN1 could not inhibit activity of the SGK2 isoform without a PtdIns(3)P-binding PX domain. In addition, the combination of VPS34-IN1 and class I PI3K inhibitor of GDC-0941 resulted in the reduced SGK3 activity ~80-90%. Therefore, these data demonstrated that VPS34-IN1 would be a useful probe to delineate physiological roles of the Vps34 and the combination of class I such as GDC-0941 and class III such as VPS34-IN1 PI3K inhibitors could be used to better analyse the roles and regulation of the elusive class II PI3K [1].

In vivo: So far, there is no animal in vivo data reported.

Clinical trial: Up to now, VPS34-IN1 is still in the preclinical development stage.

Reference:

[1] Bago R et al. Characterization of VPS34-IN1, a selective inhibitor of Vps34, reveals that the phosphatidylinositol 3-phosphate-binding SGK3 protein kinase is a downstream target of class III phosphoinositide 3-kinase. *Biochem J.* 2014 Nov 1;463(3):413-27.

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