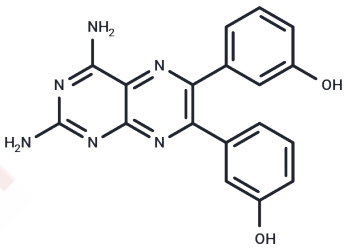
Data Sheet (Cat.No.T2672)

TargetMol

TG100-115

Chemical Properties



CAS No. : 677297-51-7

Formula: C18H14N6O2

Molecular Weight: 346.34

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TG100-115 is a PI3Kγ/δ inhibitor (IC50: 83/235 nM), with fewer effects on PI3Kα/β.
Targets(IC50)	PI3K
In vitro	In human umbilical vein endothelial cells, TG100-115 (10 μM) did not affect cell proliferation and VEGF-stimulated ERK phosphorylation, but blocked other vascular endothelial growth factor (VEGF) signaling pathways, such as VE-calmodulin phosphorylation, and thus inhibited the overall increase in the level of VE-calmodulin induced by VEGF. TG100-115 (0.125-10 μM) also inhibited FGF-stimulated Akt phosphorylation.The inhibitory effect of TG100-115 on PI3Kα/β was weak (IC50: 1.2/1.3 mM).TG100-115 inhibited vascular endothelial growth factor-regulated phosphorylation of p70S6 and mTOR kinase.
In vivo	In human umbilical vein endothelial cells, TG100-115 (10 μM) did not affect cell proliferation and VEGF-stimulated ERK phosphorylation, but blocked other vascular endothelial growth factor (VEGF) signaling pathways, such as VE-calmodulin phosphorylation, and thus inhibited the overall increase in the level of VE-calmodulin induced by VEGF. TG100-115 (0.125-10 μM) also inhibited FGF-stimulated Akt phosphorylation.The inhibitory effect of TG100-115 on PI3Kα/β was weak (IC50: 1.2/1.3 mM).TG100-115 inhibited vascular endothelial growth factor-regulated phosphorylation of p70S6 and mTOR kinase.
Kinase Assay	PI3K assays: Forty mL of reaction buffer (20 mM Tris/4 mM MgCl2/10 mM NaCl, pH 7.4) containing 50 mM D-myo-phosphatidylinositol 4,5-bisphosphate substrate and the desired PI3K isoform are aliquoted to 96-well plates; kinase concentrations are 250-500 ng/well, such that linear kinetics are achieved over 90 min. TG100-115 is then added as 2.5 mL of a DMSO stock to final concentration range of 100 mM to 1 nM. Reactions are initiated by addition of 10 mL of ATP to a final concentration of 3 mM, and after 90 min, 50 mL of Kinase-Glo reagent added to quantify residual ATP levels; luminosity is measured using an Ultra 384 instrument. Control reactions omitting either TG100-115 or substrate are also performed. IC50 values are derived from experimental data by nonlinear curve fitting using Prism Version 4.
Cell Research	Cells plated in 96-well cluster plates (5 × 103 cells/well) are cultured in assay medium (containing 0.5% serum and 50 ng/ml VEGF) in the presence or absence of TG100-115, and cell numbers are quantified by XTT assay 24, 48, or 72 hours late(Only for

Cell Research	Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 40 mg/mL (115.49 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.77 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8873 mL	14.4367 mL	28.8734 mL
5 mM	0.5775 mL	2.8873 mL	5.7747 mL
10 mM	0.2887 mL	1.4437 mL	2.8873 mL
50 mM	0.0577 mL	0.2887 mL	0.5775 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Doukas J, et al. Proc Natl Acad Sci U S A, 2006, 103(52), 19866-119871.
Palanki MS, et al. J Med Chem, 2007, 50(18), 4279-4294.
Acevedo LM, et al. Blood, 2008, 111(5), 2674-2680.
Doukas J, et al. J Pharmacol Exp Ther, 2009, 328(3), 758-765.