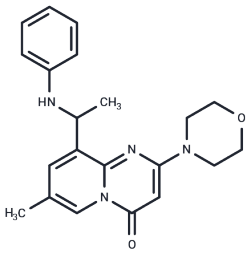
Data Sheet (Cat.No.T2661)

TargetMol

TGX-221

Chemical Properties



CAS No. : 663619-89-4

Formula: C21H24N4O2

Molecular Weight: 364.44

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	TGX-221, an effective, specific, and cell membrane permeable inhibitor of the PI3K p110β catalytic subunit, is utilized for cancer treatment.
Targets(IC50)	PI3K
In vitro	In mouse models, TGX-221 has been shown to enhance blood flow, prolonging tail bleeding and renal bleeding time.
In vivo	In J774.2 macrophages, TGX-221 inhibits the phosphorylation of Ser473 on PKB induced by insulin. It also impedes platelet-ECC (extracorporeal circulation) interactions, platelet aggregation, and the binding between platelets and granulocytes in an ECC model. Furthermore, in the PC3 cells, TGX-221 (at concentrations of 0.2-20 μM) can suppress cell proliferation and reduce the activity of the p110β subunit of PI3K.
Kinase Assay	Lipid kinase activity : IC50 values are measured using a standard lipid kinase activity with PI as a substrate. (i)100 μM cold ATP is used instead of 10 μM, (ii) the DMSO concentration is 1%, and (iii) [γ-33P]ATP is used instead of [γ-32P]ATP. The TLC plates are quantified using a phosphorimager screen. The reported IC50 values are determined by non-linear regression analysis on the basis of at least three independent experiments repeated across multiple preparations of recombinant protein.
Cell Research	For measurement of proliferation, cells are seeded in triplicate in 96-well culture plates and incubated overnight to allow cell attachment. The cells are incubated with TGX-221 for 24, 48, and 72 hours. At designated time intervals, cells are quantified by a crystal violet staining-based colorimetric assay. Briefly, cells are fixed by addition of 100 μl of 2.5% glutaraldehyde solution and incubated at room temperature for 30 minutes. Plates are washed three times by submersion in PBS solution. Plates are air-dried and stained by addition of 100 μL of 0.1% solution of crystal violet dissolved in deionized water and incubated for 20 minutes at room temperature, excess dye is removed by extensive washing with deionized water, and plates are air-dried prior to bound dye solubilization in 100 μL of 10% acetic acid. The optical density of dye extracts is measured directly in plates using a microplate reader at 570 nm.(Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (137.2 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.74 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	2.7439 mL	13.7197 mL	27.4394 mL
5 mM	0.5488 mL	2.7439 mL	5.4879 mL
10 mM	0.2744 mL	1.372 mL	2.7439 mL
50 mM	0.0549 mL	0.2744 mL	0.5488 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

Chaussade C, et al. Biochem J. 2007, 404(3), 449-458.
Straub A, et al. Thromb Haemost. 2008, 99(3), 609-615.
Lu XY, et al. Appl Microbiol Biotechnol. 2011, 89(5), 1423-1433.
Bird JE, et al. Thromb Res. 2011, 127(6), 560-564.
Jackson SP, et al. Nat Med. 2005, 11(5), 507-514.