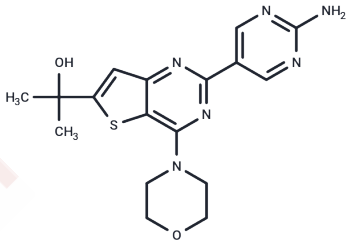
Data Sheet (Cat.No.T7166)

TargetMol

GNE-493

Chemical Properties



CAS No. : 1033735-94-2

Formula: C17H20N6O2S

Molecular Weight: 372.44

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	GNE-493 is potent, selective, and orally available PI3K and mTOR inhibitor with potential anticancer activity.IC50s of 3.4 nM, 12 nM, 16 nM, 16 nM and 32 nM for PI3Kα, PI3Kβ, PI3Kδ, PI3Kγ and mTOR,respectively.
Targets(IC50)	mTOR,PI3K
In vivo	GNE-493 was tested in a human MCF7.1 breast cancer xenograft model with a PI3Kα activating mutation. Mice with xenografts received a daily oral dose of 10 mg/kg of GNE-493 for 21 continuous days. Comparable to results in the PC3 prostate cancer model, this treatment led to a 73% inhibition of tumor growth by day 21 compared to control. With similar drug exposure levels, GNE-493 demonstrated equivalent suppression of the PI3K pathway and, thus, comparable efficacy against MCF7.1 breast tumors.

Solubility Information

Solubility	DMSO: 45 mg/mL (120.82 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.685 mL	13.425 mL	26.850 mL
5 mM	0.537 mL	2.685 mL	5.370 mL
10 mM	0.2685 mL	1.3425 mL	2.685 mL
50 mM	0.0537 mL	0.2685 mL	0.537 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

Discovery of (Thienopyrimidin-2-yl)aminopyrimidines as Potent, Selective, and Orally Available Pan-PI3-Kinase and Dual Pan-PI3-Kinase/mTOR Inhibitors for the Treatment of Cancer[J]. Journal of Medicinal Chemistry, 2010, 53 (3):1086-1097.

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