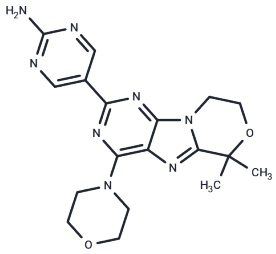
Data Sheet (Cat.No.T3705)

TargetMol

GDC0084

Chemical Properties



CAS No. : 1382979-44-3

Formula: C18H22N8O2

Molecular Weight: 382.42

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	GDC0084 (RG7666) is a PI3K inhibitor with potential antineoplastic activity. GDC0084 (RG7666) specifically inhibits PI3K in the PI3K/AKT kinase (or protein kinase B) signaling pathway, thereby inhibiting the activation of the PI3K signaling pathway. This may result in the inhibition of both cell growth and survival in susceptible tumor cell populations.
Targets(IC50)	mTOR,PI3K
In vitro	In human microsomal and stem cell cultures, GDC-0084 showed good metabolic stability and inhibited pAKT, a key signal of the PI3K pathway, in normal brain tissues.GDC-0084 inhibited the proliferation of a variety of glioma cells (IC50: 0.3-1.1 μM).The binding rate of GDC-0084 to plasma proteins was low, and the free fraction of GDC-0084 in CD-1 mouse plasma was 29.5±2.0%. was 29.5±2.7% (n=3,5 μM), while the binding rate to brain tissue was higher in CD-1 mice with a free fraction of only 6.7±1% (n=3).
In vivo	In human microsomal and stem cell cultures, GDC-0084 showed good metabolic stability and inhibited pAKT, a key signal of the PI3K pathway, in normal brain tissues.GDC-0084 inhibited the proliferation of a variety of glioma cells (IC50: 0.3-1.1 μM).The binding rate of GDC-0084 to plasma proteins was low, and the free fraction of GDC-0084 in CD-1 mouse plasma was 29.5±2.0%. was 29.5±2.7% (n=3,5 μM), while the binding rate to brain tissue was higher in CD-1 mice with a free fraction of only 6.7±1% (n=3).
Cell Research	For transport studies, cells are seeded on 24-well Millicell plates 4 days prior to use (polyethylene terephtalate membrane, 1 μm pore size) at a seeding density of 1.3×105 cells/ml). GDC-0084 is tested at 5 μM in the apical-tobasolateral (A-B) and basolateral-to-apical (B-A) directions. The compound is dissolved in transport buffer consisting of Hanks' balanced salt solution with 10 mM HEPES. Lucifer Yellow is used as the paracellular and monolayer integrity marker. GDC-0084 concentrations in the donor and receiving compartments are determined by liquid chromatography-tandem mass spectrometry (LC-MS/MS) analysis. The apparent permeability (Papp), in the apical to A-B and B-A directions, is calculated after 2-hour incubation.(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 3.83 mg/mL (10.02 mM),Sonication is recommended.

Solubility	(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6149 mL	13.0746 mL	26.1493 mL
5 mM	0.523 mL	2.6149 mL	5.2299 mL
10 mM	0.2615 mL	1.3075 mL	2.6149 mL
50 mM	0.0523 mL	0.2615 mL	0.523 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

Heffron TP, et al. ACS Med Chem Lett. 2016, 7(4):351-6.
Salphati L, et al. Drug Metab Dispos. 2016, 44(12):1881-1889.