Data Sheet (Cat.No.T11523)

TargetMol

GZD856

Chemical Properties

CAS No. : 1257628-64-0

Formula: C29H27F3N6O

Molecular Weight: 532.56

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	GZD856 is an orally bioavailable inhibitor of PDGFRα and PDGFRβ with IC50 values of 68.6 and 136.6 nM, respectively. GZD856 has demonstrated anti-lung cancer activities in experimental studies. The molecular activity profile of GZD856 supports its utilization in research investigating PDGFR-associated signaling pathways, tumor biology, kinase inhibition, and mechanisms relevant to lung cancer progression.
Targets(IC50)	PDGFR
In vitro	GZD856 shows dose-dependent inhibition of PDGFRα and PDGFRβ phosphorylation in H1703 and A549 cells, respectively.?The activation of downstream AKT (phosphorylation of S473 but not T308), ERK1/2 and STAT3 is also observed after exposure to GZD856, with no obvious effects on total protein levels.GZD856 (0.0032-10 μM, 72 h) exerts antiproliferative activity against a panel of lung cancer cells.
In vivo	GZD856 (10 and 30 mg/kg/day, 16 days) displays good in vivo antitumor activity in both H1703 and A549 lung cancer models.?A 25-mg/kg oral dose of GZD856 exhibits a long half-life of 22.2 h, optimal plasma exposure (Cmax, 899.5 μg/L) and a good oral bioavailability of 78%.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8777 mL	9.3886 mL	18.7772 mL
5 mM	0.3755 mL	1.8777 mL	3.7554 mL
10 mM	0.1878 mL	0.9389 mL	1.8777 mL
50 mM	0.0376 mL	0.1878 mL	0.3755 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

Zhang Z, et al. GZD856, a novel potent PDGFRα/β inhibitor, suppresses the growth and migration of lung cancer cells in vitro and in vivo. Cancer Lett. 2016 May 28;375(1):172-178.
Lu X, et al. Synthesis and identification of GZD856 as an orally bioavailable Bcr-AblT315I inhibitor overcoming acquired imatinib resistance. J Enzyme Inhib Med Chem. 2017 Dec;32(1):331-336.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481