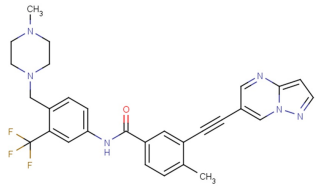
Data Sheet (Cat.No.T11523)

TargetMol
A DRUG SCREENING EXPERT

GZD856

Chemical Properties



CAS No.:	1257628-64-0
Formula:	C29H27F3N6O
Molecular Weight:	532.56
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	GZD856 is an orally bioavailable PDGFRα/β inhibitor (IC50s: 68.6 and 136.6 nM) with anti-lung cancer activities.
Targets(IC_{50})	PDGFRα: 68.6 nM PDGFRβ: 136.6 nM Bcr-Abl: 15.4 nM Bcr-AblT315I: 19.9 nM
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 well 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 good oral bioavailability of 78%.

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.878 mL	9.389 mL	18.777 mL
5 mM	0.376 mL	1.878 mL	3.755 mL
10 mM	0.188 mL	0.939 mL	1.878 mL
50 mM	0.038 mL	0.188 mL	0.376 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

2. 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.

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