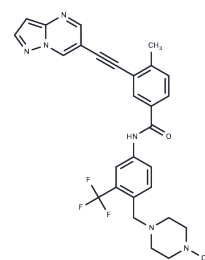


GZD856

## Chemical Properties

CAS No. : 1257628-64-0  
 Formula: C<sub>29</sub>H<sub>27</sub>F<sub>3</sub>N<sub>6</sub>O  
 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 PDGFR $\alpha$ / $\beta$ inhibitor (IC <sub>50</sub> s: 68.6 and 136.6 nM) with anti-lung cancer activities.
Targets(IC <sub>50</sub> )	Apoptosis,Bcr-Abl,PDGFR
In vitro	GZD856 shows dose-dependent inhibition of PDGFR $\alpha$ and PDGFR $\beta$ 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 $\mu$ M, 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 (C <sub>max</sub> , 899.5 $\mu$ g/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 PDGFR $\alpha$ / $\beta$  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-Abt315I inhibitor overcoming acquired imatinib resistance. *J Enzyme Inhib Med Chem.* 2017 Dec;32(1):331-336.

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