Product Data Sheet

Product Name: GZD856
Cat. No.: GC33201

Chemical Properties

Cas. No.	1257628-64-0		
SMILES	O=C(NC1=CC=C(CN2CCN(C)CC2)C(C(F)(F)F)=C1)C3=CC=C(C)C(C#CC4=CN5C(N=C4)=CC=N5)=C3		
Formula	$C_{29}H_{27}F_3N_6O$	M.Wt	532.56
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GZD856		

Background

GZD856 is a novel and orally bioavailable PDGFRα/β inhibitor with IC50s of 68.6 and 136.6 nM, respectively. Anti-lung cancer activities[1]. Also a Bcr-AblT315I inhibitor with IC50s of 19.9 and 15.4 nM for Bcr-Abl and T315I mutant[2].

GZD856 (0.0032-10 μM) exerts antiproliferative activity against a panel of lung cancer cells[1].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[1].GZD856 induces dose-dependent G0/G1 phase arrest and apoptosis in H1703 cells but not in A549 cells[1].GZD856 strongly suppresses the proliferation of K562, K562R (Q252H) and murine Ba/F3 cells ectopically expressing Bcr-AblWT and Bcr-AblT315I, with IC50s of 2.2, 67.0, 0.64 and 10.8 nM, respectively[2].

GZD856 (10 and 30 mg/kg/day) displays good in vivo antitumor activity in both H1703 and A549 lung cancer models[1]. GZD856 exhibits dose-dependent suppression of

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PDGFRα and PDGFRβ activation and downstream signaling in H1703 and A549 tumor tissues[1]. GZD856 displays promising in vivo therapeutic effects on PDGFRα-/PDGFRβ+ A549 cancer cells in a Luc orthotopic model, inhibiting both tumor growth and tumor metastasis[1].GZD856 potently suppresses tumor growth in mouse bearing xenograft K562 and Ba/F3 cells expressing Bcr-AblT315I[2].

[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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