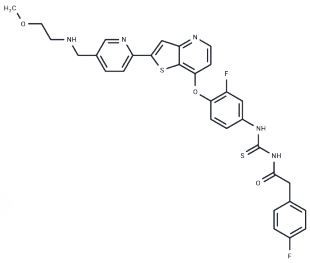
Data Sheet (Cat.No.T15384)

TargetMol

Glesatinib

Chemical Properties



CAS No. :	936694-12-1
Formula:	C31H27F2N5O3S2
Molecular Weight:	619.7
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	Glesatinib is an orally active and potent dual inhibitor of MET/SMO. Glesatinib is also a tyrosine kinase inhibitor. It antagonizes P-glycoprotein mediated multidrug resistance (MDR) in NSCLC.
Targets(IC50)	c-Met/HGFR,TAM Receptor
In vitro	Glesatinib hydrochloride (0.01, 0.1, 0.5, 1 μM) obviously enhances by several-fold the percentage of apoptotic cells in NSCLC H1299 cells. Glesatinib hydrochloride (0.01-5 μM; for 72 hours) causes a dose-dependent inhibition of cancer cell growth (IC50: 0.08 μM on NSCLC H1299 cells). Glesatinib hydrochloride has the cytotoxicity to P-gp overexpressing cancer cells KB-C2, SW620/Ad300, HEK293/ABCB1, and their parent cells KB-3-1, SW620, HEK293 cells with the IC50s fell between 5 and 10 μM [1]. Glesatinib hydrochloride (0-40 μM) stimulates the ATPase activity of P-gp transporters in a dose-dependent manner. Glesatinib hydrochloride (1, 3 μM; 120 mins) enhances the intracellular [3H]-Paclitaxel accumulation and inhibits [3H]-Paclitaxel efflux in cancer cell lines overexpressing P-gp [2].
In vivo	Glesatinib hydrochloride (15 mg/kg/day; orally; 40 weeks) results in an obvious reduction in tumor size [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6137 mL	8.0684 mL	16.1368 mL
5 mM	0.3227 mL	1.6137 mL	3.2274 mL
10 mM	0.1614 mL	0.8068 mL	1.6137 mL
50 mM	0.0323 mL	0.1614 mL	0.3227 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

Morgillo F, et al. Dual MET and SMO Negative Modulators Overcome Resistance to EGFR Inhibitors in Human Nonsmall Cell Lung Cancer. J Med Chem. 2017 Sep 14;60(17):7447-7458.
Cui Q, et al. Glesatinib, a c-MET/SMO Dual Inhibitor, Antagonizes P-glycoprotein Mediated MultidrugResistance in Cancer Cells. Front Oncol. 2019 Apr 25;9:313.

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