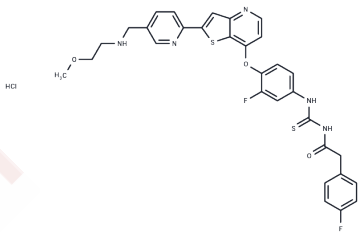
Data Sheet (Cat.No.T15383)

TargetMol

Glesatinib hydrochloride

Chemical Properties



CAS No. : 1123838-51-6

Formula: C31H28ClF2N5O3S2

Molecular Weight: 656.16

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 hydrochloride is an orally active and potent dual inhibitor of MET/SMO. Glesatinib hydrochloride 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].

Solubility Information

Solubility	DMSO: 28 mg/mL (42.67 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2 mg/mL (3.05 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.524 mL	7.6201 mL	15.2402 mL
5 mM	0.3048 mL	1.524 mL	3.048 mL
10 mM	0.1524 mL	0.762 mL	1.524 mL
50 mM	0.0305 mL	0.1524 mL	0.3048 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.