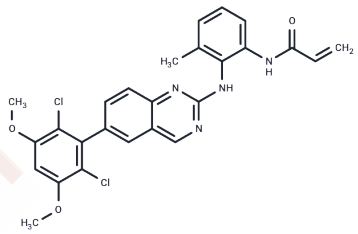
Data Sheet (Cat.No.T2347)

TargetMol

BLU9931

Chemical Properties



CAS No. : 1538604-68-0

Formula: C26H22Cl2N4O3

Molecular Weight: 509.38

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	BLU9931 is the first selective small molecule inhibitor of FGFR4.
Targets(IC50)	FGFR
In vitro	In MDA-MB-453 cells, BLU9931 potently inhibits phosphorylation of FGFR4 signaling pathway. BLU9931 inhibits proliferation of HCC cell lines that express an intact FGFR4 signaling complex, such as Hep 3B, HUH-7, and JHH-7 cell lines, with EC50 of <1 μM. BLU9931 also inhibits proliferation in PDX-derived cell lines with an intact FGFR4 signaling pathway. [1]
In vivo	In mice bearing the FGF19-amplified Hep 3B liver tumors, BLU9931 (300 mg/kg, p.o.) leads to tumor regression and prevents this weight loss induced by tumors. In mice bearing the FGF19-overexpressing PDX-derived LIXC012 xenografts, treatment with BLU9931 (300 mg/kg, p.o.) also leads to tumor regression. [1]
Kinase Assay	FGFR1-4 Biochemical Assays: FGFR kinase inhibition assays are performed at KM for ATP. Picomolar to low nanomolar concentrations of FGFR proteins are incubated in 1× Kinase Reaction Buffer (KRB) with 1 μM of CSKtide and 50 to 250 of μM ATP at 25°C for 90 minutes in the presence or absence of a dosed concentration series of inhibitor. All reactions are terminated by the addition of Stop buffer, and plates are read on a Caliper EZReader2. IC50 values are fit with a four-parameter log[Inhibitor] versus response model with floating Hill Slope.
Cell Research	Established and PDX-derived HCC cell lines are seeded in 96-well plates in respective growth media, allowed to attach overnight, and treated with a dilution series of test compounds for two cell-doubling times. Cell viability is determined by CellTiter-Glo, and results represented as background-subtracted relative light units normalized to a DMSO-treated control. Relative EC50 values are determined at 50% inhibition between the top and bottom plateau of the dose-response curve.(Only for Reference)

Solubility Information

Solubility	DMSO: 5.1 mg/mL (10.01 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: 1 mg/mL (1.96 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	1.9632 mL	9.8159 mL	19.6317 mL
5 mM	0.3926 mL	1.9632 mL	3.9263 mL
10 mM	0.1963 mL	0.9816 mL	1.9632 mL
50 mM	0.0393 mL	0.1963 mL	0.3926 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

Hagel M, et al. Cancer Discov. 2015, 5(4), 424-437.