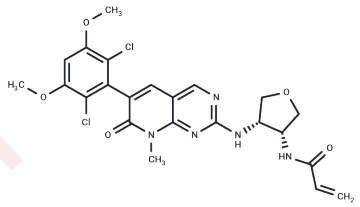
Data Sheet (Cat.No.T37425)

TargetMol

FGFR4-IN-5

Chemical Properties



CAS No. : 1628793-01-0

Formula: C23H23Cl2N5O5

Molecular Weight: 520.37

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	FGFR4-IN-5, a covalent FGFR4 inhibitor, demonstrates potency and selectivity with an IC50 value of 6.5 nM. Exhibiting significant in vivo anti-tumor activity, it is applicable for research in hepatocellular carcinoma [1].
Targets(IC50)	FGFR,Others
In vivo	FGFR4-IN-5, administered via oral gavage at 10 mg/kg (single dose), shows high Cmax and low clearance, with Cmax values of 423 ng/ml in mice, 588 ng/ml in rats, and 2820 ng/ml in cynomolgus monkeys, and oral bioavailability of 20%, 12%, and 27%, respectively [1]. FGFR4-IN-5 (oral gavage; 100 mg/kg; twice daily; 28 days) demonstrates significant antitumor activity in an orthotopic Hep3B HTX model [1]. FGFR4-IN-5 (oral gavage; 10, 30, and 100 mg/kg; twice daily; 11 days) induces dose-dependent tumor growth inhibition, with regression at 30 and 100 mg/kg and %δT/δC values of 67% and 70%, respectively, while sorafenib (100 mg/kg; once daily) shows no in vivo benefit [1].

Solubility Information

Solubility	DMSO: 100 mg/mL (192.17 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: 3.3 mg/mL (6.34 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	1.9217 mL	9.6085 mL	19.2171 mL
5 mM	0.3843 mL	1.9217 mL	3.8434 mL
10 mM	0.1922 mL	0.9609 mL	1.9217 mL
50 mM	0.0384 mL	0.1922 mL	0.3843 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

Haibo Liu, et al. Discovery of Selective, Covalent FGFR4 Inhibitors with Antitumor Activity in Models of Hepatocellular Carcinoma. ACS Med Chem Lett. 2020 Mar 6;11(10):1899-1904.