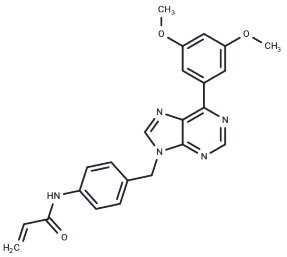
Data Sheet (Cat.No.T86425)

TargetMol

FGFR-IN-13

Chemical Properties



CAS No. : 2962941-25-7

Formula: C23H21N5O3

Molecular Weight: 415.44

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	FGFR-IN-13 (compound III-30), an irreversible covalent inhibitor of the fibroblast growth factor receptor (FGFR), effectively modulates signaling through FGFR1 (IC 50 = 0.20 ± 0.02 nM) and FGFR4 (IC 50 = 0.40 ± 0.03 nM). It suppresses the expression of crucial proteins such as total-PARP and Bcl-2, while enhancing the expression of Cleaved-PARP and Bax in a dose-dependent manner. Notably, FGFR-IN-13 demonstrates considerable antitumor and oral activity [1].
Targets(IC50)	FGFR
In vitro	FGFR-IN-13 forms a covalent, irreversible bond with FGFR protein at 10 μM over 9 hours, effectively suppressing p-FGFR protein expression in MDA-MB-231 cells, rivaling AZD4547 and TAS-120. At concentrations of 2.5, 5, and 10 μM for 24 hours, it induces apoptosis in a dose-dependent manner, outperforming AZD4547 (45.4% vs. 37.3% at 5 μM), suggesting a similar mechanism yet demonstrating greater sensitivity in MDA-MB-231 cells. When used at 1.25, 2.5, and 5 μM for 12 hours, FGFR-IN-13 effectively inhibits cell migration without significant toxicity, also in a dose-dependent fashion. Furthermore, treatment at 2.5, 5, and 10 μM over 12 hours leads to apoptosis through excess ROS production and MMP reduction. A Western blot analysis on MDA-MB-231 cells showed that a 10 μM concentration inhibits FGFR protein autophosphorylation, with suppression of p-FGFR protein expression persisting 8 hours post-treatment. Cell cycle analysis revealed apoptosis induction in a dose-dependent manner, achieving 56.8% apoptosis at 10 μM after 24 hours. In a proliferation assay conducted over 72 hours, FGFR-IN-13 exhibited superior inhibitory effects on KYSE-150 cells (IC50 = 1.93 μM) compared to two positive controls.
In vivo	FGFR-IN-13, administered orally at doses of 10 and 30 mg/kg once daily for 21 days, inhibits tumor growth in a dose-dependent manner while maintaining a favorable safety profile in the MDA-MB-231 xenograft tumor mouse model [1]. At 30 mg/kg, it achieved a tumor growth inhibition (TGI) of 64.21%, and at 10 mg/kg, a TGI of 40.22%, without causing significant weight loss.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4071 mL	12.0354 mL	24.0709 mL
5 mM	0.4814 mL	2.4071 mL	4.8142 mL
10 mM	0.2407 mL	1.2035 mL	2.4071 mL
50 mM	0.0481 mL	0.2407 mL	0.4814 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.

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