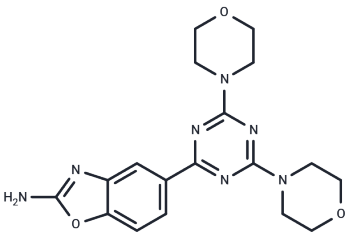
# Data Sheet (Cat.No.T61654)

TargetMol
YOUR TARGET MOLECULES

## PI3Kα-IN-9

### Chemical Properties



CAS No. : 2715287-67-3

Formula: C18H21N7O3

Molecular Weight: 383.4

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

### Biological Description

| | |
|---|---|
| Description | PI3Kα-IN-9 (compound 27) is a highly specific, orally active, and long-lasting inhibitor of PI3Kα, demonstrating potent inhibitory effects with IC50 values of 4.4, 128, 146, and 153 nM against PI3Kα, PI3Kγ, PI3Kδ, and PI3Kβ, respectively. Additionally, PI3Kα-IN-9 exhibits antiproliferative properties and effectively induces apoptosis. Given its characteristics, PI3Kα-IN-9 holds great potential for cancer research [1]. |
| In vitro | PI3Kα-IN-9 (compound 27) demonstrated antiproliferative effects and induced apoptosis across various cancer cell lines, including gastric, ovarian, prostate, breast (with a focus on triple-negative), liver, multiple myeloma, chronic myeloid leukemia, glioma, and acute lymphoblastic leukemia, when administered at concentrations ranging from 0-8 μM over 72 hours. Specifically, in MGC-803 gastric cancer cells, PI3Kα-IN-9 reduced the expression of PI3Kα protein and its downstream proteins, p-AKT and p-P70S6 K. Cell viability assays showed that this compound inhibited the growth of these cancer cells, yielding IC 50 values between 0.43 to 1.33 μM. Further apoptosis analysis in MGC-803 cells revealed a dose-dependent increase in apoptotic cell percentage from 12.07% to 61.69% following treatment with varying concentrations of 0, 2, 4, and 8 μM over 36 hours. |
| In vivo | PI3Kα-IN-9 (compound 27) demonstrated favorable pharmacokinetics and therapeutic potential in preclinical studies. Administered to male Sprague-Dawley rats at doses of 1-10 mg/kg, either orally (p.o.) or intravenously (i.v.) for 24 hours, the compound exhibited notable stability (T 1/2 >10 h) and high bioavailability (130%) [1]. Further evaluation in male BALB/c nude mice at a dose of 30 mg/kg, administered orally once daily for 3 weeks, revealed its significant antitumor activity accompanied by minimal cytotoxicity [1]. The pharmacokinetic analysis in rats highlighted a dose-dependent increase in exposure, with parameters indicating efficient absorption and sustained plasma levels. In the tumor inhibition study with mice, the compound achieved a tumor growth inhibition (TGI) rate of 41.5%, underscoring its potential as a therapeutic agent with favorable pharmacokinetic properties and efficacy in cancer models. |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.6082 mL | 13.0412 mL | 26.0824 mL |
| 5 mM | 0.5216 mL | 2.6082 mL | 5.2165 mL |
| 10 mM | 0.2608 mL | 1.3041 mL | 2.6082 mL |
| 50 mM | 0.0522 mL | 0.2608 mL | 0.5216 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.