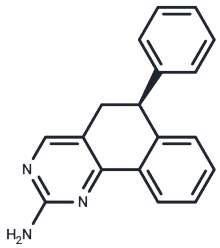
Data Sheet (Cat.No.T10372)

TargetMol

ARQ 069

Chemical Properties



CAS No. : 1314021-57-2

Formula: C18H15N3

Molecular Weight: 273.33

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	ARQ 069 (3.8-60 μM; for 2 hours) reduces the degree of phosphorylation of FGFR (predominantly FGFR2) in a concentration-dependent manner, without decreasing β-actin. ARQ 069 shows an affinity for FGFR2 of 5.2 μM. ARQ 069 inhibits FGFR phosphorylation in Kato III cells (IC50: 9.7 μM). ARQ 069 targets the inactive forms of FGFR1 and FGFR2 kinases and inhibits their enzymatic activity. When ARQ 069 is preincubated with either phosphorylated FGFR1 or FGFR2, the potency of ARQ 069 in inhibiting Pyk2 phosphorylation is markedly reduced, with IC50 values determined to be greater than 30 and 24.8 μM for FGFR1 and FGFR2, respectively. ARQ 069 exhibits at least a 20-fold preference for binding to the unphosphorylated, inactive forms of FGFR1 and FGFR2.
Targets(IC50)	FGFR,Others
In vitro	ARQ 069 (3.8-60 μM; for 2 hours) reduces the phosphorylation of FGFR, predominantly FGFR2, in a concentration-dependent manner without affecting β-actin[1]. It exhibits an affinity for FGFR2 at 5.2 μM[1] and inhibits FGFR phosphorylation in Kato III cells with an IC50 of 9.7 μM[1]. ARQ 069 targets the inactive forms of FGFR1 and FGFR2, inhibiting their enzymatic activity. Preincubation with phosphorylated FGFR1 or FGFR2 significantly reduces ARQ 069's potency in inhibiting Pyk2 phosphorylation, with IC50 values over 30 μM for FGFR1 and 24.8 μM for FGFR2. ARQ 069 shows a 20-fold preference for binding to unphosphorylated, inactive FGFR1 and FGFR2[1]. ARQ 068 is the R-enantiomer, while ARQ 069 is the S-enantiomer[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6586 mL	18.2929 mL	36.5858 mL
5 mM	0.7317 mL	3.6586 mL	7.3172 mL
10 mM	0.3659 mL	1.8293 mL	3.6586 mL
50 mM	0.0732 mL	0.3659 mL	0.7317 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

Eathiraj S, et al. A novel mode of protein kinase inhibition exploiting hydrophobic motifs of autoinhibited kinases: discovery of ATP-independent inhibitors of fibroblast growth factor receptor. J Biol Chem. 2011 Jun 10;286(23): 20677-87.