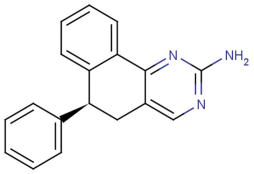
Data Sheet (Cat.No.T10372)

TargetMol
A DRUG SCREENING EXPERT

ARQ 069

Chemical Properties



CAS No.:	1314021-57-2
Formula:	C18H15N3
Molecular Weight:	273.33
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

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(IC_{50})	unphosphorylated FGFR1: 0.84 μM unphosphorylated FGFR2: 1.23 μM FGFR1 autophosphorylation: 2.8 μM FGFR2 autophosphorylation: 1.9 μM
In vitro	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[1]. ARQ 069 shows an affinity for FGFR2 of 5.2 μM[1]. ARQ 069 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 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[1]. ARQ 068 is the R-enantiomer, and ARQ 069 is the S-enantiomer[1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.659 mL	18.293 mL	36.586 mL
5 mM	0.732 mL	3.659 mL	7.317 mL
10 mM	0.366 mL	1.829 mL	3.659 mL
50 mM	0.073 mL	0.366 mL	0.732 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

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