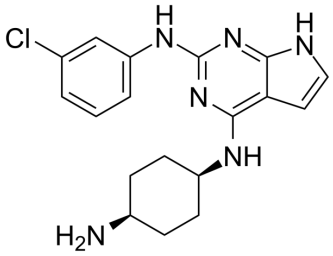
PAK4-IN-2

Cat. No.:	HY-143490
CAS No.:	2488706-33-6
Molecular Formula:	$C_{18}H_{21}ClN_6$
Molecular Weight:	356.85
Target:	PAK; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (280.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8023 mL	14.0115 mL	28.0230 mL
	5 mM	0.5605 mL	2.8023 mL	5.6046 mL
	10 mM	0.2802 mL	1.4011 mL	2.8023 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.01 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PAK4-IN-2 is a highly potent PAK4 inhibitor with IC_{50} value of 2.7 nM. PAK4-IN-2 can arrest MV4-11 cells at G0/G1 phase and induce cell apoptosis. PAK4-IN-2 can be used for researching cancer[1].

IC_{50} & Target

PAK3
2.7 nM (IC_{50})

In Vitro

PAK4-IN-2 (compound 5n) (0-10 μM; 72 hours) exhibits cell growth inhibition potency in hematoma tumor MV4-11 and solid tumor cell line MDA-MB-231, shows less sensitivity in normal human renal epithelial cell 239 T cell[1].
PAK4-IN-2 (5-50 nM; 48 hours) causes a majority of cells in G0/G1 phase with decreasing S-phase populations[1].

PAK4-IN-2 (10-250 nM; 48 hours) induces apoptosis in a dose-dependent manner[1].
PAK4-IN-2 (50-800 nM; 24 hours) markedly reduces the expression levels of p-PAK4(Ser474) in concentration dependently[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	MV4-11, MDA-MB-231 and 293T[1]
Concentration:	0-10 μM
Incubation Time:	72 hours
Result:	Exhibited significant cell growth inhibition potency in hematoma tumor MV4-11 (IC_{50} = 7.8 ± 2.8 nM), and moderate potent anti-proliferative effect in solid tumor cell line MDA-MB-231 (IC_{50} = 825 ± 106 nM), less sensitivity in normal human renal epithelial cell 239 T cell (IC_{50} > 10000 nM).

Cell Cycle Analysis

Cell Line:	MV4-11[1]
Concentration:	5, 10 and 50 nM
Incubation Time:	48 hours
Result:	Caused a majority of cells in G0/G1 phase with decreasing S-phase populations.

Apoptosis Analysis

Cell Line:	MV4-11[1]
Concentration:	10, 50 and 250 nM
Incubation Time:	48 hours
Result:	Induced apoptosis in a dose-dependent manner.

Western Blot Analysis

Cell Line:	MV4-11[1]
Concentration:	50, 200 and 800 nM
Incubation Time:	24 hours
Result:	Markedly reduced the expression levels of p-PAK4(Ser474) in concentration dependently.

REFERENCES

[1]. Wang C, Xia J, Lei Y, et al. Synthesis and biological evaluation of 7H-pyrrolo [2,3-d] pyrimidine derivatives as potential p21-activated kinase 4 (PAK4) inhibitors. Bioorg Med Chem. 2022;60:116700.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA