

PIK-90

Catalog No: tcsc0172

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

677338-12-4

Formula:

 $C_{18}H_{17}N_5O_3$

Pathway:

PI3K/Akt/mTOR;Cell Cycle/DNA Damage;PI3K/Akt/mTOR

Target:

DNA-PK;DNA-PK;PI3K

Purity / Grade:

>98%

Solubility:

DMSO : 1.75 mg/mL (4.98 mM; Need ultrasonic and warming)

Observed Molecular Weight:

351.36

Product Description

PIK-90 is a **DNA-PK** and **PI3K** inhibitor, which inhibits **p110**α, **p110**γ and **DNA-PK** with **IC**₅₀s of 11, 18 and 13 nM, respectively.



IC50 & Target: IC50: 13 nM (DNA-PK), 11 nM (p110α), 350 nM (p110β), 58 nM (p110δ), 18 nM (p110γ), 47 nM (PI3KC2α), 64 nM (PI3KC2β), 830 nM (hsVPS34), 830 nM (PI4KIIIα), 3.1 μ M (PI4KIIIβ) , 15 μ M (ATR), 610 nM (ATM),1.05 μ M (mTORC1)^[1]

In Vitro: PIK-90 also inhibits p110 β , p110 δ , PI3KC2 α , PI3KC2 β , hsVPS34, PI4KIII α , PI4KIII β , ATR, ATM and mTORC1 with IC₅₀s of 350 nM, 58 nM, 47 nM, 64 nM, 830 nM, 3.1 μ M, 15 μ M, 610 nM and 1.05 μ M, respectively^[1]. To determine the effects of PIK-90 on chronic lymphocytic leukemia (CLL) cell viability, CLL cells from different patients are incubated with various concentrations of PIK-90 (1 μ M and 10 μ M) for 24, 48, and 72 hours. PIK-90 reveals the strong apoptosis-inducing effects at both concentrations and at all different time points. Using a concentration of 10 μ M, PIK-90 reduces the viability of CLL cells to 51.1% plus or minus 6.6% at 24 hours, whereas 1 μ M PIK-90 reduces the viability to 77.8% plus or minus 6.4%^[2].

In Vivo: To test the efficacy of Roscovitine and PIK-90 in vivo, GBM43 cells are implanted s.c. into nude mice. Mice with established tumors are randomized into four treatment groups: vehicle (PBS:H₂O), Roscovitine, PIK-90, or PIK-90 plus Roscovitine. After 12 d of treatment, both Roscovitine and PIK-90 show clear single-agent efficacy, with tumor size in mice treated with Roscovitine and PIK-90 in combination significantly smaller than either vehicle or monotherapy-treated controls. Roscovitine is less effective than PIK-90 in blocking proliferation (levels of Ki-67), whereas combination therapy shows essentially additive antiproliferative effects^[3].



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