Data Sheet (Cat.No.T214410)

TargetMol

PI3Kα-IN-29

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

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	PI3Kα-IN-29 is an efficacious, orally bioavailable, and selective PI3Kα inhibitor with an IC50 value of 2.5 nM. It demonstrates over 400-fold selectivity against PI3Kβ/δ/γ/mTOR. This compound selectively degrades the H1047R mutant p110α protein and inhibits PI3Kα kinase activity. PI3Kα-IN-29 suppresses the PI3K/AKT/mTOR signaling pathway, induces G1 phase arrest, and inhibits cell migration. Additionally, it impedes tumor growth in T47 mouse models and is applicable for breast cancer research.
Targets(IC50)	Akt,CDK,mTOR,PI3K,Cadherin
In vitro	PI3Kα-IN-29 (compound A32) exhibits potent antiproliferative effects on T47D and MCF7 cells with IC50 values of 0.157 and 0.373 μM, respectively. PI3Kα-IN-29 (0-5 μM, 0-24 hours) induces dose-dependent G1 phase arrest and inhibits cell migration by suppressing the PI3K/AKT/mTOR pathway. A32 (0.1-5 μM, 24 hours) also inhibits PI3K/AKT/mTOR signaling and induces the degradation of mutant p110α, the catalytic subunit of PI3Kα, in T47D cells. The compound forms a critical hydrogen bond with Val851 and a tripartite hydrogen bond network with Gln859 and Ser854, while the benzoxazole moiety interacts with Lys802, Ser774, and Ala775, resulting in potent PI3Kα inhibition. Additionally, PI3Kα-IN-29 (125 nM-2 μM, 14 days) inhibits MCF7 cell colony formation in a concentration-dependent manner.
In vivo	PI3Kα-IN-29, administered orally at doses of 50 and 100 mg/kg once daily for 21 days, demonstrates significant in vivo antitumor efficacy and favorable safety in a T47D xenograft mouse model. Additionally, PI3Kα-IN-29 shows good safety in ICR mice when given as a single intragastric dose of 500, 1000, and 1500 mg/kg.

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