Data Sheet (Cat.No.T212311)

TargetMol

PI3Kδ-IN-25

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	PI3Kδ-IN-25 is an orally active and selective PI3Kδ inhibitor with an IC50 of 2.1 nM. It exhibits IC50 values of 272, 285, and 1171 nM for PI3Kα, PI3Kγ, and PI3Kβ, respectively. In B16F10 cells, PI3Kδ-IN-25 inhibits the phosphorylation of AKTSer473, suppresses Treg cell proliferation, and downregulates the expression of PD-L1. In mouse models of B16F10 melanoma and Lewis lung cancer, PI3Kδ-IN-25 demonstrates anticancer activity by reducing tumor-infiltrating Treg cells and enhancing immune responses. This compound is applicable for research on cancers such as melanoma and lung cancer.
Targets(IC50)	Akt,PD-1/PD-L1,Integrin,Interleukin,PI3K
In vitro	PI3Kδ-IN-25 (Compound 18) at 1 μM effectively inhibits PIK3CD (93.37%), PIK3CG (87.63%), and PIK3CA (107.38%), while showing moderate activity against RIPK2 (58.65%) and PIK3CB (42.68%). After a 96-hour exposure, PI3Kδ-IN-25 demonstrates anti-proliferative effects on Ramos, DOHH2, Hela, BxPC3, SGC7901, BGC823, MX-1, A375, and B16F10 cells with IC50 values of 18.11, 14.39, 29.51, 22.13, 15.81, 13.06, 25.43, 21.95, and 11.42 μM respectively, while exhibiting weaker activity on K562, HepG2, and MCF-7 cells with IC50 values greater than 50 μM. Additionally, PI3Kδ-IN-25 (1-10 μM, 5-30 minutes) inhibits AKT Ser473 phosphorylation, indicating blockage of the PI3K signaling pathway in B16F10 cells. At concentrations of 1-10 μM over 72 hours, PI3Kδ-IN-25 reduces the proportion of CD4/CD25/FOXP3+++ as well as IL-10 concentration in mIL-2 and hTGFβ-induced Treg cells; at 10 μM, it downregulates PD-L1 expression in B16F10 cells.
In vivo	PI3Kδ-IN-25 (Compound 18) administered orally at doses of 1-30 mg/kg twice daily, suppresses tumor growth by modulating the tumor microenvironment in both B16F10 and Lewis xenograft mouse models.

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