Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

CAL-130

Catalog No: tcsc1219

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1431697-74-3

Formula:
$C_{23}H_{22}N_8O$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
426.47

Product Description

CAL-130 is a **PI3Kδ** and **PI3Kγ** inhibitor with **IC_{50}**s of 1.3 and 6.1 nM, respectively.

IC50 & Target: IC50: 1.3 nM (P110δ), 6.1 nM (P110γ), 56 nM (p110β), 115 (p110α)[1]

In Vitro:

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CAL-130 preferentially inhibits the function of both p110γ and p110δ catalytic domains. IC_{50} values of CAL-130 are 1.3 and 6.1 nM for p110δ and p110γ, respectively, as compared to 115 and 56 nM for p110α and p110β. CAL-130 does not inhibit additional intracellular signaling pathways (i.e., p38 MAPK or insulin receptor tyrosine kinase) that are critical for general cell function and survival[1].

In Vivo: The clinical significance of interfering with the combined activities of PI3Kγ and PI3Kδ is determined by administering CAL-130 to *Lck/Pten*$^{fl/fl}$ mice with established T cell acute lymphoblastic leukemia (T-ALL). Candidate animals for survival studies are ill appearing, have a white blood cell (WBC) count above 45,000 μL^{-1}, evidence of blasts on peripheral smear, and a majority of circulation cells (>75%) staining double positive for Thy1.2 and Ki-67. Mice receive an oral dose (10 mg/kg) of CAL-130 every 8 hr for a period of 7 days and are then followed until moribund. Despite the limited duration of therapy, CAL-130 is highly effective in extending the median survival for treated animals to 45 days as compared 7.5 days for the control group[1].