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

Alpelisib

Catalog No: tcsc0663

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
1217486-61-7

Formula:
$C_{19}H_{22}F_3N_5O_2S$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Form:
White to yellow (Solid)

Purity / Grade:
99.83%

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Solubility:
DMSO : 83.33 mg/mL (188.76 mM; Need ultrasonic)

Alternative Names:
BYL-719;1,2-Pyrrolidinedicarboxamide, N1-[4-methyl-5-[2-(2,2,2-trifluoro-1,1-dimethylethyl)-4-pyridinyl]-2-thiazolyl]-, (2S)-

Observed Molecular Weight:
441.47

Product Description

Alpelisib (BYL-719) is a potent and selective **PI3Kα** inhibitor with an **IC_{50}** of 5 nM.

IC50 & Target: IC50: 5 nM (p110α), 250 nM (p110γ), 290 nM (p110δ), 1200 nM (p110β)[1]

In Vitro: Alpelisib (NVP-BYL719) potently inhibits the 2 most common PIK3CA somatic mutations (H1047R, E545K; IC_{50}~4 nM). Alpelisib (NVP-BYL719) potently inhibits Akt phosphorylation in cells transformed with PI3Kα (IC_{50}=74±15 nM) and shows significant reduced inhibitory activity in PI3Kβ or PI3Kδ isoforms transformed cells (≥15-fold compared with PI3Kα)[2]. Alpelisib (NVP-BYL719) decreases cell proliferation by blocking cell cycle in G_0/G_1 phase with no outstanding effects on apoptosis cell death in HOS and MOS-J tumor cells. BYL-719 inhibits cell migration and can thus be considered as a cytostatic drug for osteosarcoma. In murine preclinical models of osteosarcoma, Alpelisib (NVP-BYL719) significantly decreases tumor progression and tumor ectopic bone formation as shown by a decrease of $Ki67^+$ cells and tumor vascularization. Alpelisib (NVP-BYL719) rapidly inhibits the levels of P-AKT and P-mTOR in all cell lines assessed, confirming the functional activity of Alpelisib (NVP-BYL719) on osteosarcoma cells. After 72 hr of treatment, Alpelisib (NVP-BYL719) significantly inhibits the cell growth of all osteosarcoma cell lines tested in a dose-dependent manner with an IC_{50} ranging from 6 to 15 μM and with the IC_{90} from 24 to 42 μM at 72 hr[3].

In Vivo: Alpelisib (BYL-719) displays excellent oral bioavailability in rats, mice and dogs and does not show any significant inhibition of the CYP450 enzymes[1]. Alpelisib (BYL-719) inhibits tumor growth in pre-clinical murine models of osteosarcoma. C57Bl/6J with MOS-J tumors (n=6 per group) are randomized as controls (vehicle) or Alpelisib (BYL-719) (12.5 mg/kg or 50 mg/kg per day)[3].