

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%

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

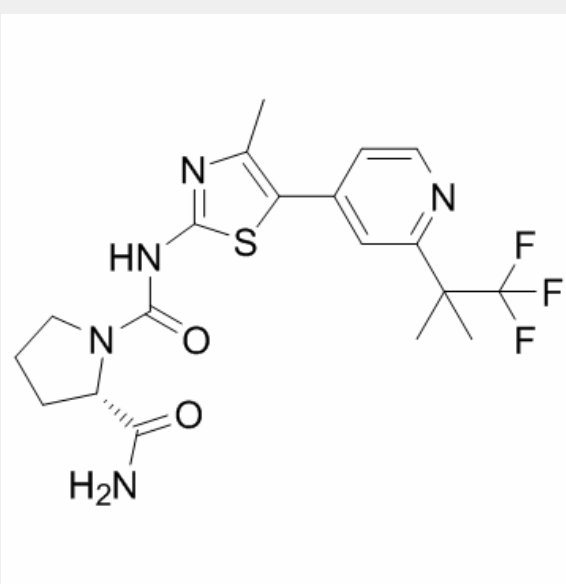
Product Description

Alpelisib (BYL-719) is a potent and selective **PI3K α** inhibitor with an **IC₅₀** of 5 nM.

IC50 & Target: IC50: 5 nM (p110 α), 250 nM (p110 γ), 290 nM (p110 δ), 1200 nM (p110 β)^[1]

In Vitro: Alpelisib (NVP-BYL719) potently inhibits the 2 most common PIK3CA somatic mutations (H1047R, E545K; IC₅₀~4 nM). Alpelisib (NVP-BYL719) potently inhibits Akt phosphorylation in cells transformed with PI3K α (IC₅₀=74 \pm 15 nM) and shows significant reduced inhibitory activity in PI3K β or PI3K δ isoforms transformed cells (\geq 15-fold compared with PI3K α)^[2]. Alpelisib (NVP-BYL719) decreases cell proliferation by blocking cell cycle in G₀/G₁ 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 IC₅₀ ranging from 6 to 15 μ M and with the IC₉₀ from 24 to 42 μ M 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!