

# 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

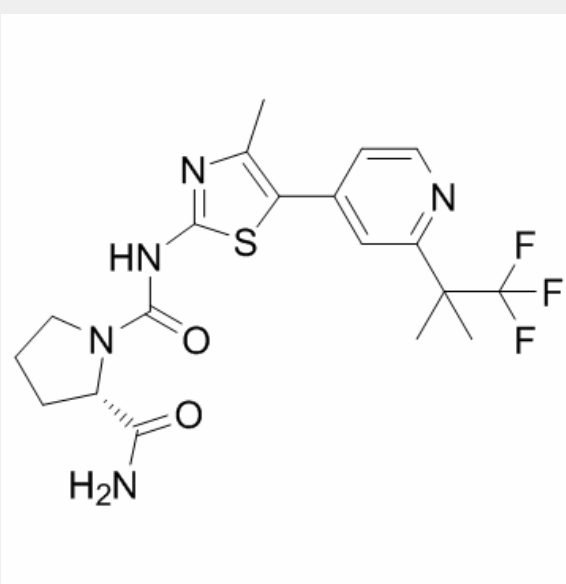
## Product Description

Alpelisib (BYL-719) is a potent and selective **PI3K $\alpha$**  inhibitor with an **IC<sub>50</sub>** of 5 nM.

IC50 & Target: IC50: 5 nM (p110 $\alpha$ ), 250 nM (p110 $\gamma$ ), 290 nM (p110 $\delta$ ), 1200 nM (p110 $\beta$ )<sup>[1]</sup>

**In Vitro:** Alpelisib (NVP-BYL719) potently inhibits the 2 most common PIK3CA somatic mutations (H1047R, E545K; IC<sub>50</sub>~4 nM). Alpelisib (NVP-BYL719) potently inhibits Akt phosphorylation in cells transformed with PI3K $\alpha$  (IC<sub>50</sub>=74 $\pm$ 15 nM) and shows significant reduced inhibitory activity in PI3K $\beta$  or PI3K $\delta$  isoforms transformed cells ( $\geq$ 15-fold compared with PI3K $\alpha$ )<sup>[2]</sup>. Alpelisib (NVP-BYL719) decreases cell proliferation by blocking cell cycle in G<sub>0</sub>/G<sub>1</sub> 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<sup>+</sup> 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<sub>50</sub> ranging from 6 to 15  $\mu$ M and with the IC<sub>90</sub> from 24 to 42  $\mu$ M at 72 hr<sup>[3]</sup>.

**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<sup>[1]</sup>. 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)<sup>[3]</sup>.



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