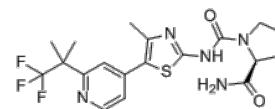


**Product Name** : Alpelisib  
**Cat. No.** : PC-20590  
**CAS No.** : 1217486-61-7  
**Molecular Formula** : C<sub>19</sub>H<sub>22</sub>F<sub>3</sub>N<sub>5</sub>O<sub>2</sub>S  
**Molecular Weight** : 441.47  
**Target** : PI3K  
**Solubility** : 10 mM in DMSO



CAS: 1217486-61-7

## Biological Activity

Alpelisib (NVP-BYL719, BYL719) is a potent, selective, and orally active PI3K $\alpha$  inhibitor with IC<sub>50</sub> of 5 nM, >50-fold selectivity over p110 $\gamma$ /p110 $\delta$ /p110 $\beta$ .

Alpelisib (BYL719) potently inhibits PIK3CA somatic mutations (H1047R, E545K) with IC<sub>50</sub> of 4 nM.

Alpelisib (BYL719) potently inhibits Akt phosphorylation in cells transformed with PI3K $\alpha$  (IC<sub>50</sub>=74 nM) and shows significant reduced inhibitory activity in PI3K $\beta$  or PI3K $\delta$  isoforms transformed cells (>15-fold).

Alpelisib (BYL719) (0-50  $\mu$ M) inhibits the cell growth of osteosarcoma cell lines MG63, HOS, POS-1 and MOS-J in a dose-dependent manner.

Alpelisib (BYL719) potently suppresses proliferation and PI3K signaling in human breast cancer cells harboring PIK3CA(H1047R) in combination with lapatinib.

Alpelisib (BYL719) inhibits growth factor-independent KRASG12D BM colony formation and sensitizes cells to a low dose of the MEK inhibitor MEK162.

## References

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Fritsch C, et al. *Mol Cancer Ther*. 2014 May;13(5):1117-29.

Elkabets M, et al. *Sci Transl Med*. 2013 Jul 31;5(196):196ra99.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

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