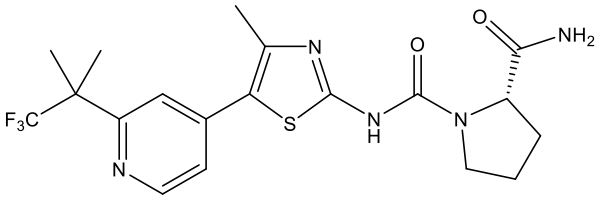
Catalog # 10-4167

Alpelisib

CAS# 1217486-61-7

(2S)-1-N-[4-Methyl-5-[2-(1,1,1-trifluoro-2-methylpropan-2-yl)pyridine-4-yl]-1,3-thiazol-2-yl]pyrrolidine-1,2-dicarboxamide; NVP-BYL719; BYL719

Lot # FBS4053



Alpelisib is a potent and selective (IC_{50}s = 7.4 nM PI3Kα; 2.2 µM PI3Kß; 1.2 µM PI3KIδ; 50-fold selectivity against 442 tested kinases) PI3Kα inhibitor.[1] Approved for use in the treatment of HR(+), HER2(-), PIK3CA-mutated breast cancer. Alpelisib has been used in combination with various chemotherapeutics to treat ovarian[2], colorectal[3], kidney[4], bladder[5], and head and neck[6] cancers.

1) Furet *et al*. (2013), *Discovery of NVP-BYL719 a potent and selective phosphatidylinositol-3 kinase alpha inhibitor selected for clinical evaluation*; Bioorg. Med. Chem. Lett., **23** 3741
2) Thibault *et al*. (2025), *PI3Kα-specific inhibitor BYL-719 synergizes with cisplatin in vitro in PIK3CA-mutated ovarian cancer cells*; Sci. Rep., **15** 6265
3) Lim, *et al*. (2024), *Phase 1b and pharmacokinetics study of alpelisib, a PIK3CA inhibitor, and capecitabine in patients with advanced solid tumors*; Front. Oncol., **14** 1390452
4) Khalid *et al*. (2024), *Dual inhibition of atypical PKC signaling and PI3K/Akt signaling dysregulates c-Myc to induce apoptosis in clear cell Renal Cell Carcinoma*; Front. Oncol., **13** 1213715
5) Corral *et al*. (2023), *Tumor and Stromal Cell Targeting with Nintedanib and Alpelisib Overcomes Intrinsic Bladder Cancer Resistance*; Mol. Cancer Ther., **22** 616
6) Razak *et al*. (2023), *A Phase 1b/2 Study of Alpelisib in Combination with Cetuximab in Patients with Recurrent or Metastatic Head and Neck Squamous Cell Carcinoma*; Target Oncol., **18** 853

PHYSICAL DATA

Molecular Weight:	441.47
Molecular Formula:	$C_{19}H_{22}F_3N_5O_2S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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