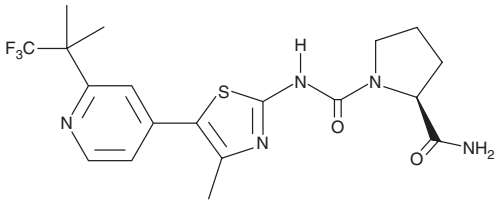
PRODUCT INFORMATION

BYL719

Item No. 16986

CAS Registry No.: 1217486-61-7
Formal Name: (2S)-N[1]-[4-methyl-5-[2-(2,2,2-trifluoro-1,1-dimethylethyl)-4-pyridinyl]-2-thiazolyl]-1,2-pyrrolidinedicarboxamide
Synonym: Alpelisib, NVP-BYL719
MF: $C_{19}H_{22}F_3N_5O_2S$
FW: 441.5
Purity: ≥98%
UV/Vis.: λ_{max}: 317 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BYL719 is supplied as a crystalline solid. A stock solution may be made by dissolving the BYL719 in the solvent of choice, which should be purged with an inert gas. BYL719 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BYL719 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BYL719 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BYL719 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BYL719 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BYL719 is an inhibitor of phosphoinositide 3-kinase α (PI3Kα; IC_{50}s = 4.6, 4, and 4.8 nM for wild-type, E545K mutant, and H1047R mutant PI3K, respectively).[1] It is selective for PI3Kα over PI3Kβ, PI3Kδ, PI3Kγ, and PI4Kβ (IC_{50}s = 1,156, 290, 250, and 581 nM, respectively), as well as VPS34, mTOR, DNA-PK, and ATR (IC_{50}s = >9,100 nM for all). BYL719 (12.5, 25, and 50 mg/kg) reduces tumor volume in a PI3Kα-dependent Rat1-myr-p110α mouse xenograft model. It also reduces tumor burden in THP-1 acute myeloid leukemia (AML) and MCF-7 breast cancer mouse xenograft models.[2,3] Formulations containing BYL719 have been used in the treatment of advanced or metastatic breast cancer.

References

1. Fritsch, C., Huang, A., Chatenay-Rivauday, C., *et al.* Characterization of the novel and specific PI3Kα inhibitor NVP-BYL719 and development of the patient stratification strategy for clinical trials. *Mol. Cancer Ther.* **13(5)**, 1117-1129 (2014).
2. Gritsman, K., Yuzugullu, H., Von, T., *et al.* Hematopoiesis and RAS-driven myeloid leukemia differentially require PI3K isoform p110α. *J. Clin. Invest.* **124(4)**, 1794-1809 (2014).
3. Elkabets, M., Vora, S., Juric, D., *et al.* mTORC1 inhibition is required for sensitivity to PI3K p110α inhibitors in PIK3CA-mutant breast cancer. *Sci. Transl. Med.* **5(196)**, 196ra99 (2013).

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