

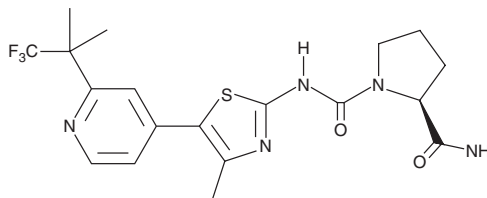
PRODUCT INFORMATION



BYL719

Item No. 16986

CAS Registry No.: 1217486-61-7
Formal Name: (2S)-N¹-[4-methyl-5-[2-(2,2,2-trifluoro-1,1-dimethylethyl)-4-pyridinyl]-2-thiazolyl]-1,2-pyrrolidinedicarboxamide
Synonym: Alpelisib, NVP-BYL719
MF: C₁₉H₂₂F₃N₅O₂S
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 (PI3K α ; IC₅₀s = 4.6, 4, and 4.8 nM for wild-type, E545K mutant, and H1047R mutant PI3K, respectively).¹ It is selective for PI3K α over PI3K β , PI3K δ , PI3K γ , and PI4K β (IC₅₀s = 1,156, 290, 250, and 581 nM, respectively), as well as VPS34, mTOR, DNA-PK, and ATR (IC₅₀s = >9,100 nM for all). BYL719 (12.5, 25, and 50 mg/kg) reduces tumor volume in a PI3K α -dependent Rat1-myr-p110 α 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 PI3K α 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 p110 α . *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 p110 α inhibitors in PIK3CA-mutant breast cancer. *Sci. Transl. Med.* **5**(196), 196ra99 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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