Alpelisib hydrochloride

Cat. No.: HY-15244A

CAS No.: 1584128-91-5

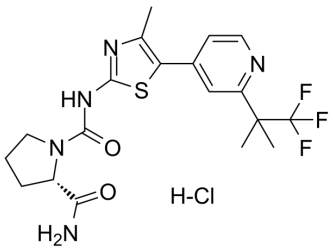
Molecular Formula: $C_{19}H_{23}ClF_3N_5O_2S$

Molecular Weight: 477.93

Target: PI3K

Pathway: PI3K/Akt/mTOR

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Alpelisib hydrochloride (BYL-719 hydrochloride) is a potent, orally active, and selective PI3Kα inhibitor with IC_{50}s of 5 nM, 250 nM, 290 nM and 1200 nM for p110α, p110γ, p110δ, and p110β, respectively. Alpelisib hydrochloride (BYL-719 hydrochloride) shows antineoplastic activity[1][2].

In Vitro

Alpelisib (BYL-719) potently inhibits the 2 most common PIK3CA somatic mutations (H1047R, E545K; IC_{50}s~4 nM). Alpelisib potently inhibits Akt phosphorylation in cells transformed with PI3Kα (IC_{50}=74±15 nM) and shows significant reduced inhibitory activity in PI3Kβ or PI3Kδ isoforms transformed cells (≥15-fold compared with PI3Kα)[2].

Alpelisib (BYL-719, 0-50 μM; 72 hours) inhibits the cell growth of osteosarcoma cell lines MG63, HOS, POS-1 and MOS-J in a dose-dependent manner[3].

Alpelisib (BYL-719) significantly alters the distribution of cell cycle phases. Alpelisib (BYL-719, 25 μM; 18 hours) induces a cell cycle arrest in the G0/G1 phase of human and murine osteosarcoma cell lines[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	MG63, HOS, POS-1, MOS-J
Concentration:	10, 20, 30, 40, 50 μM
Incubation Time:	72 hours
Result:	Inhibited the cell growth of all osteosarcoma cell lines tested in a dose-dependent manner with IC_{50}s of 6-15 μM and with IC_{90}s of 24-42 μM.

Cell Cycle Analysis[3]

Cell Line:	MG63, HOS, POS-1, MOS-J
Concentration:	25 μM
Incubation Time:	18 hours
Result:	Induced a cell cycle arrest in the G0/G1 phase of human and murine osteosarcoma cell.

In Vivo

Alpelisib (BYL-719) (12.5 mg/kg and 50 mg/kg for C57Bl/6J mice; 50 mg/kg for female Rj:NMRI-nude mice; oral administration; daily) significantly reduces tumor volumes and deposition of ectopic bone matrix[3].

Alpelisib has moderate terminal elimination half-life ($t_{1/2}$=2.9±0.2 h) for rat (1 mg/kg, iv)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A 5-week-old female Rj:NMRI-nude mice with human HOS-MNNG osteosarcoma cells; A 5-week-old male C57Bl/6J mice with mouse MOS-J osteosarcoma cells[3]
Dosage:	12.5 mg/kg and 50 mg/kg for C57Bl/6J mice; 50 mg/kg for female Rj:NMRI-nude mice
Administration:	Oral administration; daily
Result:	Significantly reduced tumor volumes and simultaneously reduced tumor growth.

Animal Model:	Female Sprague Dawley rats [1]
Dosage:	1 mg/kg (Pharmacokinetic Study)
Administration:	I.V.
Result:	$T_{1/2}$=2.9±0.2 hours.

CUSTOMER VALIDATION

- Nature. 2018 Jun;558(7711):540-546.
- Science. 2021 Oct;374(6563):eabf3067.
- Science. 2017 Dec 1;358(6367):eaan4368.
- Cancer Discov. 2020 Aug;10(8):1226-1239.
- Cell Metab. 2021 Nov 2;33(11):2247-2259.e6.

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REFERENCES

[1]. Furet P, et al. Discovery of NVP-BYL719 a potent and selective phosphatidylinositol-3 kinase alpha inhibitor selected for clinical evaluation. Bioorg Med Chem Lett. 2013 Jul 1;23(13):3741-8.

[2]. Fritsch 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. 2014 May;13(5):1117-29.

[3]. Gobin B, et al. BYL719, a new α-specific PI3K inhibitor: single administration and in combination with conventional chemotherapy for the treatment of osteosarcoma. Int J Cancer. 2015 Feb 15;136(4):784-96.

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