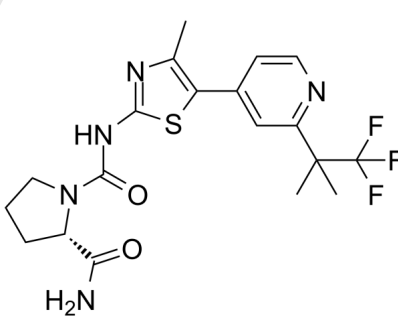


## Certificate of Analysis

Catalog Number	BP22501
Product Name	Alpelisib

## Physical and Chemical Properties

CAS No.	1217486-61-7
Chemical 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
Solubility	DMSO: 83.33 mg/mL (188.76 mM, Need ultrasonic)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	 <p>The chemical structure of Alpelisib is shown. It features a central pyridine ring substituted with a 4-methyl-1,3,4-thiadiazol-2-yl group at the 2-position and a 2-(2-amino-2-oxo-1,2,3,4-tetrahydropyridin-5-yl)-2,2,2-trifluoroethyl group at the 4-position.</p>

## Product Information

Description	Alpelisib is a potent, selective, and orally active PI3K $\alpha$ inhibitor. Alpelisib shows efficacy in targeting PIK3CA-mutated cancer. Alpelisib also inhibits p110 $\alpha$ /p110 $\gamma$ /p110 $\delta$ /p110 $\beta$ with IC <sub>50</sub> s of 5/250/290/1200 nM, respectively.
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Targets&IC50	p110 $\alpha$ :5 nM (IC50); p110 $\beta$ :1200 nM (IC50); p110 $\delta$ :290 nM (IC50); p110 $\gamma$ :250 nM (IC50); p110 $\alpha$ -H1047R:4 nM (IC50); p110 $\alpha$ -E545K:4 nM (IC50).
In vitro	Alpelisib potently inhibits the 2 most common PIK3CA somatic mutations (H1047R, E545K; IC50s~4 nM). Alpelisib potently inhibits Akt phosphorylation in cells transformed with PI3K $\alpha$ (IC50=74 $\pm$ 15 nM) and shows significant reduced inhibitory activity in PI3K $\beta$ or PI3K $\delta$ isoforms transformed cells ( $\geq$ 15-fold compared with PI3K $\alpha$ ). Alpelisib (0-50 $\mu$ M; 72 hours) inhibits the cell growth of osteosarcoma cell lines MG63, HOS, POS-1 and MOS-J in a dose-dependent manner. Alpelisib significantly alters the distribution of cell cycle phases. Alpelisib (25 $\mu$ M; 18 hours) induces a cell cycle arrest in the G0/G1 phase of human and murine osteosarcoma cell lines.
In vivo	Alpelisib (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. Alpelisib has moderate terminal elimination half-life (t1/2=2.9 $\pm$ 0.2 h) for rat (1 mg/kg, iv).

## Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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v2 Revision on 12/28/2022