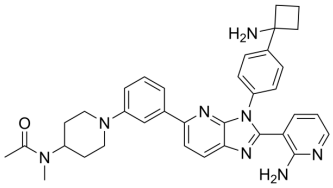
Vevorisertib

Cat. No.:	HY-137458
CAS No.:	1416775-46-6
Molecular Formula:	$C_{35}H_{38}N_8O$
Molecular Weight:	586.73
Target:	Akt; Ser/Thr Protease
Pathway:	PI3K/Akt/mTOR; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Vevorisertib (ARQ 751) is an orally active, potent and selective pan-AKT serine/threonine kinase inhibitor against AKT1 (IC_{50} =0.55 nM), AKT2 (IC_{50}=0.81 nM), and AKT3 (IC_{50}=1.31 nM). Vevorisertib, as a single agent or in combination with other anti-cancer agents, can be used for the research of solid tumors with PIK3CA / AKT / PTEN mutations[1][2][3][4].		
IC_{50} & Target	Akt1 0.55 nM (IC_{50})	Akt2 0.81 nM (IC_{50})	Akt3 1.31 nM (IC_{50})
In Vitro	Vevorisertib binds to wild-type AKT1 and mutant AKT1-E17K with K_d of 1.2 nM and 8.6 nM, respectively, and suppresses pAKT(S473) in 293T cells transiently transfected with AKT1-E17K[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	Vevorisertib (10~120 mg/kg) exerts dose-dependent anti-tumor activity in an AKT1-E17K mutant endometrial patient-derived xenograft (PDX) model. Vevorisertib shows a plasma half-life of 4 to 5 hours and no tissue accumulation[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

REFERENCES

[1]. Vevorisertib (ARQ 751) (4440-001) as a Single Agent or in Combination With Other Anti-Cancer Agents, in Solid Tumors With PIK3CA / AKT / PTEN Mutations.

[2]. ArQule Presents Recent Data on ARQ 751 at the 2019 AACR-NCI-EORTC International Conference on Molecular Targets and Cancer Therapeutics.

[3]. Abstract A034: The use of biomarkers and ctDNA in a phase 1 trial of ARQ 751

[4]. Abstract 374: In vitro and in vivo anti-tumor activity of ARQ 751, a potent and selective AKT inhibitor

Caution: Product has not been fully validated for medical applications. For research use only.

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