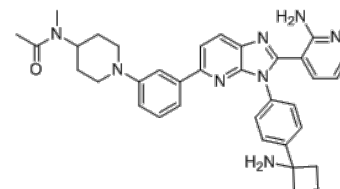


**Product Name** : Vevorisertib  
**Cat. No.** : PC-38375  
**CAS No.** : 1416775-46-6  
**Molecular Formula** : C<sub>35</sub>H<sub>38</sub>N<sub>8</sub>O  
**Molecular Weight** : 586.744  
**Target** : Akt  
**Solubility** : 10 mM in DMSO



## Biological Activity

Vevorisertib (MK-4440, ARQ 751) is a novel potent, selective, allosteric **pan-AKT** inhibitor with IC<sub>50</sub> of 0.55 nM, 0.81 nM and 1.31 nM for AKT1, 2 and 3, respectively.

Vevorisertib (MK-4440, ARQ 751) does not inhibit a panel of 245 kinases by greater than 50% at 5 μM, nor does it inhibit AKT lacking the PH domain.

ARQ 751 strongly binds to wild-type AKT1 and mutant AKT1-E17K with K<sub>d</sub> of 1.2 nM and 8.6 nM, respectively, and suppresses pAKT(S473) in 293T cells transiently transfected with AKT1-E17K.

ARQ 751 showed antiproliferative effects (GI<sub>50</sub> <1 μM) against a panel of cancer cell lines, including esophageal, breast and head and neck cancer cells.

Cancer cell lines with PIK3CA/PIK3R1 mutations are more sensitive to ARQ 751 (GI<sub>50</sub><1μM) compared to wild-type.

ARQ 751 causes significant pathway inhibition in vitro (at the concentrations of 3 nM on pAKT[S473] and 70 nM on pPRAS40 [T246]) and in vivo (on both pAKT[S473] and pPRAS40[T246]).

ARQ 751 (75 and 120 mg/kg) inhibits tumor growth in in AN3CA endometrial cancer xenograft model, as well as AKT1-E17K mutant endometrial PDX model.

## References

Kozinova M, et al. **Cancers (Basel)**. 2021 Jul 23;13(15):3699.

Yi Yu, et al. **Cancer Res** (2016) 76 (14\_Supplement): 374.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

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