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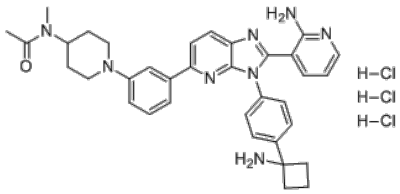
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Vevorisertib trihydrochloride
Cat. No.	:	PC-38377
CAS No.	:	1416775-08-0
Molecular Formula	:	$C_{35}H_{41}Cl_3N_8O$
Molecular Weight	:	696.118
Target	:	Akt
Solubility	:	10 mM in DMSO



Biological Activity

Vevorisertib trihydrochloride (MK-4440, ARQ 751) is a novel potent, selective, allosteric **pan-AKT** inhibitor with IC50 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 Kd 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 (GI50 <1 uM) 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 (GI50<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.

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