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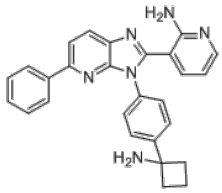
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Miransertib
Cat. No.	:	PC-73209
CAS No.	:	1313881-70-7
Molecular Formula	:	$C_{27}H_{24}N_6$
Molecular Weight	:	432.531
Target	:	Akt
Solubility	:	10 mM in DMSO



Biological Activity

Miransertib (ARQ-092, MK-7075) is a potent, selective and allosteric **pan-Akt** inhibitor with IC50 of 2.7 nM, 14 nM and 8.1 nM for Akt1, Akt2, Akt3, respectively.
Miransertib (ARQ-092) also potently inhibits AKT1-E17K mutant inhibitor and phosphorylation of AKT.
Miransertib (ARQ-092) inhibited AKT activation not only by dephosphorylating the membrane-associated active form, but also by preventing the inactive form from localizing into plasma membrane.
Miransertib (ARQ-092) exhibited strong anti-tumor activity in endometrial PDX models harboring mutant AKT1-E17K and other tumor models.
ARQ 092 enhanced tumor inhibition of a common chemotherapeutic agent (paclitaxel).
Miransertib (ARQ-092) inhibited proliferation across multiple tumor types but is most potent in leukemia, breast, endometrial, and colorectal cancer cell lines.
Miransertib (ARQ-092) was more prevalent in cancer cell lines containing PIK3CA/PIK3R1 mutations compared to those with wt-PIK3CA/PIK3R1 or PTEN mutations.

References

Yu Y, et al. ***PLoS One***. 2015 Oct 15;10(10):e0140479.

Lapierre JM, et al. ***J Med Chem***. 2016 Jul 14;59(13):6455-69.

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

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