

Data Sheet

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Product Name : Miransertib hydrochloride

: Akt

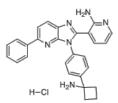
 Cat. No.
 : PC-73208

 CAS No.
 : 1313883-00-9

 Molecular Formula : C₂₇H₂₅CIN₆

 Molecular Weight : 468.99

Solubility: 10 mM in DMSO



Biological Activity

Target

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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