Miransertib hydrochloride

Cat. No.: HY-19719A

CAS No.: 1313883-00-9

Molecular Formula: $C_{27}H_{25}ClN_6$

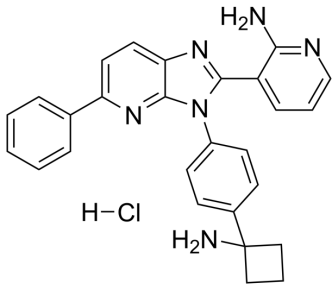
Molecular Weight: 468.98

Target: Akt; Parasite

Pathway: PI3K/Akt/mTOR; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (106.61 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1323 mL	10.6614 mL	21.3229 mL
5 mM	0.4265 mL	2.1323 mL	4.2646 mL
10 mM	0.2132 mL	1.0661 mL	2.1323 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Miransertib hydrochloride (ARQ-092 hydrochloride) is a potent, orally active, selective and allosteric Akt inhibitor with IC_{50}s of 2.7 nM, 14 nM and 8.1 nM for Akt1, Akt2, Akt3, respectively. Miransertib hydrochloride is also a potent the AKT1-E17K mutant protein inhibitor and has the potential for PI3K/AKT-driven tumors and Proteus syndrome research[1]. Miransertib hydrochloride is effective against ***Leishmania***[2].

IC_{50} & Target

Akt1 2.7 nM (IC_{50})	Leishmania	Akt3 8.1 nM (IC_{50})	Akt2 174 nM (IC_{50})
Akt1 E17K mutant			

In Vitro

In a large panel of cell lines derived from various tumor types, Miransertib (ARQ-092; Compound 21a) shows potent anti-proliferative activity in cell lines containing PIK3CA/PIK3R1 mutations compared to those with wild-type (wt) PIK3CA/PIK3R1

	or PTEN loss. Miransertib shows excellent inhibition of p-Akt (S473) and p-Akt (T308) in both AN3CA and A2780 cells. The inhibition of the downstream protein p-PRAS40 (T246) is observed with Miransertib (IC_{50}=0.31 μM)[1]. Miransertib is markedly effective against intracellular amastigotes of L. donovani or L. amazonensis-infected macrophages. Miransertib also enhances mTOR dependent autophagy in Leishmania-infected macrophages[2]MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Miransertib (ARQ-092; Compound 21a) shows good absolute oral bioavailability in rats (5 mg/kg) and monkeys (10 mg/kg) with F values of 62% and 49%, respectively. The half-life is longer in rats compared to monkeys with $t_{1/2}$ values of 17 h in rats versus 7 h in monkeys. The C_{max} is 198 ng/mL and 258 ng/mL and the AUC_{inf} was 5496 h•ng/mL and 2960 h•ng/mL in rats and monkeys, respectively[1]. Miransertib (ARQ-092; Compound 21a) inhibits tumor growth in a human xenograft mouse model of endometrial adenocarcinoma[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2023 Sep 30;14(1):6117.
- Clin Pharmacol Ther. 2023 Jun 12.
- FASEB J. 2022 Aug;36(8):e22423.
- Biomedicines. 2022, 10(7), 1476.
- Hum Mol Genet. 2022 Aug 22;ddac201.

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REFERENCES

[1]. Lapierre JM, et al. Discovery of 3-(3-(4-(1-Aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine (ARQ 092): An Orally Bioavailable, Selective, and Potent Allosteric AKT Inhibitor. J Med Chem. 2016 Jul 14;59(13):6455-69.

[2]. Devki Nandan, et al. Miransertib (ARQ 092), an orally-available, selective Akt inhibitor is effective against Leishmania. PLoS One. 2018 Nov 6;13(11):e0206920.

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