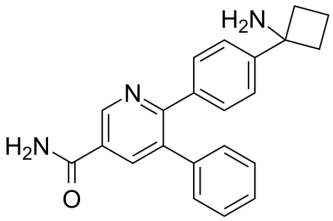
AKT-IN-1

Cat. No.:	HY-18296
CAS No.:	1357158-81-6
Molecular Formula:	$C_{22}H_{21}N_3O$
Molecular Weight:	343.42
Target:	Akt
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 75 mg/mL (218.39 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9119 mL	14.5594 mL	29.1189 mL
	5 mM	0.5824 mL	2.9119 mL	5.8238 mL
	10 mM	0.2912 mL	1.4559 mL	2.9119 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	AKT-IN-1 is an allosteric AKT inhibitor with an IC_{50} of 1.042 μM.
IC_{50} & Target	IC50: 1.042 μM (AKT)[1]
In Vitro	AKT-IN-1 is able to potently inhibit phosphorylation of AKT in cells at both Thr308 and Ser473, with IC_{50}s of 0.422 and 0.322 μM, respectively. AKT-IN-1 inhibits the phosphorylation of ribosomal protein S6, a downstream effector of the PI3K-AKT pathway. AKT-IN-1 potently inhibits the phosphorylation of PRAS40[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The effects of AKT-IN-1 (Compound 26) in vivo are characterized by measuring the pharmacodynamic activity of AKT-IN-1 in a BT474c breast adenocarcinoma xenograft model. Following acute doses of 100 and 200 mg/kg, AKT-IN-1 potently inhibits the phosphorylation of its downstream substrate GSK3β as well as the phosphorylation of AKT (Ser473), with a potency consistent with its pharmacokinetic profile. The in vivo activity of AKT-IN-1 is further characterized by measuring the effects on the growth of tumor cell xenografts. Continuous (daily) oral dosing of AKT-IN-1 (100 and 200 mg/kg) to nude mice bearing BT474c breast adenocarcinoma xenografts results in inhibition of tumor growth in a dose-dependent manner. When dosed at 200 mg/kg daily, AKT-IN-1 causes significant tumor growth inhibition[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Oncogene. 2021 Jul;40(30):4884-4893.
- J Immunol Res. 2022 Sep 28;2022:6863240.
- Anim Biotechnol. 2023 Jul 6;1-12.
- Cancer Biol Ther. 2023 Dec 31;24(1):2200705.

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REFERENCES

[1]. Kettle JG, et al. Diverse heterocyclic scaffolds as allosteric inhibitors of AKT. J Med Chem. 2012 Feb 9;55(3):1261-73.

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