AKB-6899

Cat. No.: HY-113649

CAS No.: 1007377-55-0

Molecular Formula: $C_{14}H_{11}FN_2O_4$

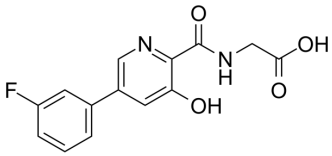
Molecular Weight: 290.25

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

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 : 100 mg/mL (344.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4453 mL	17.2265 mL	34.4531 mL
	5 mM	0.6891 mL	3.4453 mL	6.8906 mL
	10 mM	0.3445 mL	1.7227 mL	3.4453 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 (8.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AKB-6899, a prolyl hydroxylase domain 3 (PHD3) inhibitor, is a selective HIF-2α stabilizer. AKB-6899 also increases soluble form of the VEGF receptor (sVEGFR-1) production from GM-CSF-treated macrophages, and has antitumor and antiangiogenic effects[1].

In Vitro

AKB-6899 (10 μM; 24 hours) increases the leves of HIF-2α protein, with no corresponding increase in HIF-1α. AKB-6899 also increases soluble form of the VEGF receptor (sVEGFR-1) production from GM-CSF-treated macrophages, with no effect on HIF-1α accumulation or VEGF production[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Murine bone marrow-derived macrophages
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Observed an increase in HIF-2α protein in cells.

In Vivo

AKB-6899 (17.5 mg/kg; i.p.; 3 times per week; for 16 days) treatment significantly reduces tumor growth in a murine melanoma model[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8-week-old C57BL/6 mice injected with B16F10 murine melanoma cells[1]
Dosage:	17.5 mg/kg
Administration:	i.p.; 3 times per week; for 16 days
Result:	Significantly reduced tumor growth.

REFERENCES

[1]. Julie M Roda, et al. Stabilization of HIF-2α induces sVEGFR-1 production from tumor-associated macrophages and decreases tumor growth in a murine melanoma model. J Immunol. 2012 Sep 15;189(6):3168-77.

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