Aderbasib

Cat. No.: HY-10293

CAS No.: 791828-58-5

Molecular Formula: $C_{21}H_{28}N_4O_5$

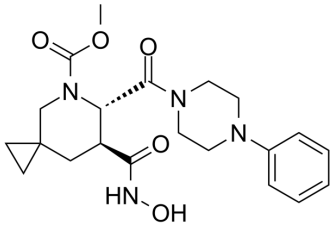
Molecular Weight: 416.47

Target: MMP

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 (240.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4011 mL	12.0057 mL	24.0113 mL
	5 mM	0.4802 mL	2.4011 mL	4.8023 mL
	10 mM	0.2401 mL	1.2006 mL	2.4011 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 (6.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Aderbasib (INCB007839) is a potent, orally active and target specific low nanomolar hydroxamate-based inhibitor of ADAM10 and ADAM17. Aderbasib exhibits robust antineoplastic activity and can be used for cancer research, including diffuse large B-cell non-Hodgkin lymphoma, $HER2^+$ breast cancer, gliomas, et al[1].

IC_{50} & Target	ADAM10	ADAM17

In Vitro

Aderbasib inhibits the metalloprotease activity through binding to the active site of the metalloproteinase domain.

Aderbasib (10-100 μM) inhibits the interaction between ADAM17 and sE2-Fc, as the concentration of the compound increases, binding of sE2-Fc decreased accordingly, with almost no binding detected at 100 μM in trypsinized PK15 cells[2].
Aderbasib (100-1000μM; pre-treated for 0.5 h) shows antiviral effect against CSFV pseudovirus at 100 μM and 1 mM in PK15 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Aderbasib (intraperitoneal injection; 50 mg/kg; 5 days per week beginning four weeks; 2 weeks) blocks glioma growth of SU-pcGBM2 NSG mice xenografts[1].
INCB7839 can be formulated in 2% DMSO, 2% Tween 80, 48% PEG300, 48% water as a injection solution. This is for literature reference only[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NSG mice[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; 50 mg/kg; 5 days per week beginning four weeks; 2 weeks
Result:	Robustly inhibited growth of pediatric glioblastoma orthotopic xenografts.

REFERENCES

[1]. Lois Witters, et al. Synergistic inhibition with a dual epidermal growth factor receptor/HER-2/neu tyrosine kinase inhibitor and a disintegrin and metalloprotease inhibitor. Cancer Res. 2008 Sep 1;68(17):7083-9.

[2]. Fei Yuan, et al. ADAM17 is an essential attachment factor for classical swine fever virus. PLoS Pathog. 2021 Mar 8;17(3):e1009393.

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