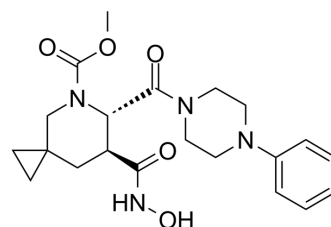


## Aderbasib

<b>Cat. No.:</b>	HY-10293		
<b>CAS No.:</b>	791828-58-5		
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>28</sub> N <sub>4</sub> O <sub>5</sub>		
<b>Molecular Weight:</b>	416.47		
<b>Target:</b>	MMP		
<b>Pathway:</b>	Metabolic Enzyme/Protease		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (240.11 mM; Need ultrasonic)			
		<b>Solvent</b>	<b>Mass</b>	
		<b>Concentration</b>	<b>1 mg</b>	<b>5 mg</b>
	<b>Preparing Stock Solutions</b>		<b>10 mg</b>	
	<b>1 mM</b>	2.4011 mL	12.0057 mL	24.0113 mL
	<b>5 mM</b>	0.4802 mL	2.4011 mL	4.8023 mL
	<b>10 mM</b>	0.2401 mL	1.2006 mL	2.4011 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution</li> </ol>			

### BIOLOGICAL ACTIVITY

<b>Description</b>	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 <sup>+</sup> breast cancer, gliomas, et al <sup>[1]</sup> .	
<b>IC<sub>50</sub> &amp; Target</b>	ADAM10	ADAM17
<b>In Vitro</b>	Aderbasib inhibits the metalloprotease activity through binding to the active site of the metalloproteinase domain.	

Aderbasib (10-100  $\mu$ M) 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  $\mu$ M in trypsinized PK15 cells<sup>[2]</sup>. Aderbasib (100-1000 $\mu$ M; pre-treated for 0.5 h) shows antiviral effect against CSFV pseudovirus at 100  $\mu$ M and 1 mM in PK15 cells<sup>[2]</sup>.

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<sup>[1]</sup>.

INCB7839 can be formulated in 2% DMSO, 2% Tween 80, 48% PEG300, 48% water as a injection solution. This is for literature reference only<sup>[1]</sup>.

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

Animal Model:	NSG mice <sup>[1]</sup>
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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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