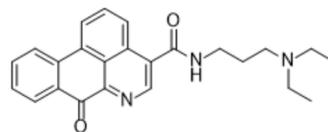


## S130

<b>Cat. No.:</b>	HY-112818		
<b>CAS No.:</b>	1160852-22-1		
<b>Molecular Formula:</b>	C <sub>24</sub> H <sub>25</sub> N <sub>3</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	387.47		
<b>Target:</b>	Cathepsin; Autophagy; Apoptosis; Atg4		
<b>Pathway:</b>	Metabolic Enzyme/Protease; Autophagy; Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 125 mg/mL (322.61 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	2.5808 mL	12.9042 mL	25.8084 mL
		5 mM	0.5162 mL	2.5808 mL	5.1617 mL
10 mM		0.2581 mL	1.2904 mL	2.5808 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: ≥ 2.25 mg/mL (5.81 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.25 mg/mL (5.81 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.08 mg/mL (5.37 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	S130 is a high affinity, selective inhibitor of ATG4B (a major cysteine protease) with an IC <sub>50</sub> of 3.24 μM. S130 suppresses autophagy flux <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 3.24 μM (ATG4B) <sup>[1]</sup>
<b>In Vitro</b>	S130 suppresses autophagy and activates apoptosis by inhibiting ATG4B, leads to enhanced cytotoxicity <sup>[1]</sup> . S130 (10 μM; 6 hours) suppresses autophagy at the early LC3 priming step or late autolysosome degradation stage <sup>[1]</sup> .

S130 accumulates autolysosomes with more lipidated LC3<sup>[1]</sup>.

S130 (0-25  $\mu\text{M}$ ; 48 hours) induces cell death through inhibiting the activity of ATG4B at a dose higher than 6.3  $\mu\text{M}$ . And such cytotoxicity might not cause cell death through necroptosis<sup>[1]</sup>.

Nutrient deprivation enhances S130-induced cytotoxicity<sup>[1]</sup>.

S130 (0-10 $\mu\text{M}$ ; 24 hours) suppresses approximately 79% of the cleavage of full-length LC3-GST at the 10  $\mu\text{M}$ , while no substrates were processed in ATG4B KO cells. S130 displays obvious inhibitory effects on ATG4B<sup>[1]</sup>.

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

#### Cell Cytotoxicity Assay<sup>[1]</sup>

Cell Line:	HeLa cells, HCT116 cells, HL60 cells
Concentration:	0 $\mu\text{M}$ , 3.1 $\mu\text{M}$ , 6.3 $\mu\text{M}$ , 12.5 $\mu\text{M}$ , 25 $\mu\text{M}$
Incubation Time:	48 hours
Result:	Had significant cytotoxic effects on HeLa cells ( $\text{IC}_{50}$ =16.1 $\mu\text{M}$ ), HCT116 cells( $\text{IC}_{50}$ =9.0 $\mu\text{M}$ ) and HL60 cells ( $\text{IC}_{50}$ =4.7 $\mu\text{M}$ ) at a dose higher than 6.3 $\mu\text{M}$ . And such cytotoxicity might not cause cell death through necroptosis.

#### Cell Autophagy Assay<sup>[1]</sup>

Cell Line:	HeLa cells and MEF cells
Concentration:	10 $\mu\text{M}$
Incubation Time:	6 hours
Result:	Suppressed autophagy at the early LC3 priming step or late autolysosome degradation stage.

#### Western Blot Analysis<sup>[1]</sup>

Cell Line:	HeLa cells
Concentration:	0 $\mu\text{M}$ , 5 $\mu\text{M}$ , 10 $\mu\text{M}$
Incubation Time:	24 hours
Result:	Suppressed approximately 79% of the cleavage of full-length LC3-GST at 10 $\mu\text{M}$ , while no substrates were processed in ATG4B KO cells.

#### In Vivo

S130 (20 mg/kg; i.p.; daily; 3 weeks) suppresses tumor growth, and shows an efficient in vivo antitumor effect with a sound safety on vital organs<sup>[1]</sup>.

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

Animal Model:	BALB/c nude female mice (4 weeks), with HCT116 cells xenograft <sup>[1]</sup>
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection; daily; 3 weeks
Result:	Was able to suppress tumor growth and with a sound safety on vital organs.

## REFERENCES

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[1]. Fu Y, et al. Discovery of a small molecule targeting autophagy via ATG4B inhibition and cell death of colorectal cancer cells in vitro and in vivo. *Autophagy*. 2018 Sep 20:1-17.

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

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