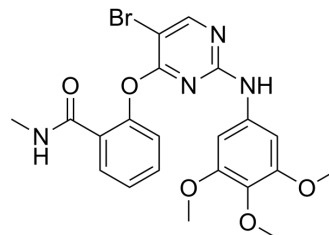


## SBI-0206965

<b>Cat. No.:</b>	HY-16966		
<b>CAS No.:</b>	1884220-36-3		
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>21</sub> BrN <sub>4</sub> O <sub>5</sub>		
<b>Molecular Weight:</b>	489.32		
<b>Target:</b>	ULK; Autophagy; Apoptosis		
<b>Pathway:</b>	Autophagy; Apoptosis		
<b>Storage:</b>	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 (204.37 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.0437 mL	10.2183 mL	20.4365 mL
	5 mM	0.4087 mL	2.0437 mL	4.0873 mL
	10 mM	0.2044 mL	1.0218 mL	2.0437 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

SBI-0206965 is a potent, selective and cell permeable autophagy kinase ULK1 inhibitor with IC<sub>50</sub>s of 108 nM for ULK1 kinase and 711 nM for the highly related kinase ULK2<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

ULK1 108 nM (IC <sub>50</sub> )	ULK2 711 nM (IC <sub>50</sub> )
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#### In Vitro

SBI-0206965 (5-20 μM; 24 hours) induces apoptosis of A498 and ACHN cells during starvation<sup>[1]</sup>.  
 SBI-0206965 (5-20 μM; 24 hours) attenuates the phosphorylation of Ser108 of the AMPK β1 subunit and increases the levels of cleaved Caspase 8 and PARP, markers of apoptosis<sup>[1]</sup>.

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

#### Apoptosis Analysis<sup>[1]</sup>

Cell Line:	A498 and ACHN cells (starvation medium (EBSS) treatment)
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Concentration:	5, 10, 20 $\mu$ M
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Incubation Time:	24 hours
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Result:	Induced significant levels of apoptosis.
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#### Western Blot Analysis<sup>[1]</sup>

Cell Line:	A498 and ACHN cells (EBSS treatment)
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Concentration:	5, 10, 20 $\mu$ M
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Incubation Time:	24 hours
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Result:	Attenuated the phosphorylation of Ser108 of the AMPK $\beta$ 1 subunit and increased the levels of cleaved Caspase 8 and PARP, markers of apoptosis. Autophagy was evaluated by analysis of LC3B and p62.
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#### In Vivo

SBI-0206965 (50 mg/kg; i.p.; once every 3 days for 37 days) inhibites tumour growth and induces apoptosis in A498 xenograft tumours<sup>[1]</sup>.

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

Animal Model:	Six-week-old male BALB/c nude mice (A498 xenograft tumours) <sup>[1]</sup>
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Dosage:	50 mg/kg
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Administration:	Intraperitoneal injection; once every three days for 37 days
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Result:	Significantly suppressed tumour growth.
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## CUSTOMER VALIDATION

- Nature. 2016 Dec 1;540(7631):119-123.
- Autophagy. 2021 Feb;17(2):457-475.
- BioMedicine. 2018 Aug;34:85-93.
- Int J Biol Sci. 2021 Jul 5;17(11):2772-2794.
- Cell Rep. 2021 Jul 20;36(3):109398.

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## REFERENCES

[1]. Lu J, et al. Overexpression of ULK1 Represents a Potential Diagnostic Marker for Clear Cell RenalCarcinoma and the Antitumor Effects of SBI-0206965. EBioMedicine. 2018 Aug;34:85-93.

[2]. Egan DF, et al. Small Molecule Inhibition of the Autophagy Kinase ULK1 and Identification of ULK1 Substrates. Mol Cell. 2015 Jul 16;59(2):285-97.

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

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