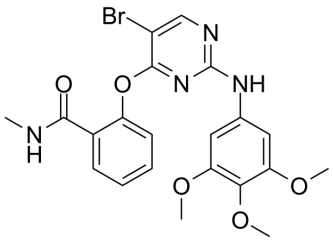
SBI-0206965

Cat. No.:	HY-16966
CAS No.:	1884220-36-3
Molecular Formula:	$C_{21}H_{21}BrN_4O_5$
Molecular Weight:	489.32
Target:	ULK; Autophagy; Apoptosis
Pathway:	Autophagy; Apoptosis
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 (204.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	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

1. 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
2. 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_{50}s of 108 nM for ULK1 kinase and 711 nM for the highly related kinase ULK2[1].

IC_{50} & Target

ULK1	ULK2
108 nM (IC_{50})	711 nM (IC_{50})

In Vitro

SBI-0206965 (5-20 μM; 24 hours) induces apoptosis of A498 and ACHN cells during starvation[1].

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[1].

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

Apoptosis Analysis[1]

Cell Line:	A498 and ACHN cells (starvation medium (EBSS) treatment)
Concentration:	5, 10 ,20 μM
Incubation Time:	24 hours
Result:	Induced significant levels of apoptosis.

Western Blot Analysis[1]

Cell Line:	A498 and ACHN cells (EBSS treatment)
Concentration:	5, 10, 20 μM
Incubation Time:	24 hours
Result:	Attenuated the phosphorylation of Ser108 of the AMPK β1 subunit and increased the levels of cleaved Caspase 8 and PARP, markers of apoptosis. Autophagy was evaluated by analysis of LC3B and p62.

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[1].

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)[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; once every three days for 37 days
Result:	Significantly suppressed tumour growth.

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.

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

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