产品名称：**SBI-0206965**
产品别名：**SBI-0206965**

生物活性：

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 .
IC_{50} & Target	IC50: 108 nM (ULK1 kinase), 711 nM (ULK2 kinase)[2]
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].

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].
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.

In Vitro:

DMSO : ≥ 100 mg/mL (204.37 mM)

H2O : < 0.1 mg/mL (insoluble)

* "≥" 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储

Solvent&Solubility	备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.11 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.11 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.