



上海源叶生物科技有限公司
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产品名称: **BL-918**
CAS 号: **2101517-69-3**
产品货号: **S89820**

生物活性:																									
Description	BL-918 is an orally active UNC-51-like kinase 1 (ULK1) activator with an EC50 of 24.14 nM. BL-918 exerts its cytoprotective autophagic effect by targeting ULK complex. BL-918 has the potential for Parkinson's disease (PD) treatment[1].																								
IC50 & Target	<table><tr><td>ULK1</td><td>ULK1</td></tr><tr><td>24.14 nM (EC₅₀)</td><td>0.719 μM (Kd)</td></tr></table>	ULK1	ULK1	24.14 nM (EC ₅₀)	0.719 μM (Kd)																				
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In Vitro	BL-918 (化合物 33i) 以高结合亲和力 (KD=0.719 μM) 与 ULK1 结合[1]。 BL-918 (5 μM; 持续 24 小时) 诱导神经样 SH-SY5Y 细胞自噬[1]。 BL-918 (0.5-50 μM; 作用 24 小时) 可部分逆转 MPP⁺诱导的细胞死亡, 这是通过增强细胞活力来确定的[1]。 BL-918 (5 μM; 持续 6-36 小时) 时间依赖性地提高 LC3-II、Beclin-1 的表达水平及其磷酸化状态, 同时降低选择性自噬底物 SQSTM1/p62 的水平. BL-918 提高 ULK1 的 Ser317 和 Ser555 磷酸化, 并降低 ULK1 的 Ser757 磷酸化[1]。 Cell Autophagy Assay[1] <table><tr><td>Cell Line:</td><td>SH-SY5Y cells</td></tr><tr><td>Concentration:</td><td>5 μM</td></tr><tr><td>Incubation Time:</td><td>For 24 hours</td></tr><tr><td>Result:</td><td>Induced Autophagy.</td></tr></table> Cell Viability Assay[1] <table><tr><td>Cell Line:</td><td>SH-SY5Y cells</td></tr><tr><td>Concentration:</td><td>0.5, 5, 50 μM</td></tr><tr><td>Incubation Time:</td><td>For 24 hours</td></tr><tr><td>Result:</td><td>Could partially reverse MPP⁺-induced cell death, which was determined by enhancing cell viability.</td></tr></table> Western Blot Analysis[1] <table><tr><td>Cell Line:</td><td>SH-SY5Y cells</td></tr><tr><td>Concentration:</td><td>5 μM</td></tr><tr><td>Incubation Time:</td><td>6, 12, 24, 36 hours</td></tr><tr><td>Result:</td><td>Time-dependently elevated the expression levels of LC3-II (a key marker of autophagy), Beclin-1, and its phosphorylation status, whereas reduced the level of the selective autophagy substrate SQSTM1/p62.</td></tr></table>	Cell Line:	SH-SY5Y cells	Concentration:	5 μM	Incubation Time:	For 24 hours	Result:	Induced Autophagy.	Cell Line:	SH-SY5Y cells	Concentration:	0.5, 5, 50 μM	Incubation Time:	For 24 hours	Result:	Could partially reverse MPP ⁺ -induced cell death, which was determined by enhancing cell viability.	Cell Line:	SH-SY5Y cells	Concentration:	5 μM	Incubation Time:	6, 12, 24, 36 hours	Result:	Time-dependently elevated the expression levels of LC3-II (a key marker of autophagy), Beclin-1, and its phosphorylation status, whereas reduced the level of the selective autophagy substrate SQSTM1/p62.
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In Vivo	BL-918 (化合物 33i; 20、40 或 80 mg/kg/天; 口服强饲法; 在第一次注射盐水/MPTP 前 2 天开始, 并在最后一次注射盐水/MPTP 后连续维持 5 天) 减弱 DA 及其代谢产物的丢失. BL-918 显著降低多巴胺 (DA)、3, 4-二羟基苯乙酸 (DOPAC) 和高香草酸 (HVA) 的水平[1]。 <table><tr><td>Animal Model:</td><td>Male C57BL/6 mice (eight-week old) weighing between 20 and 25 g[1]</td></tr><tr><td>Dosage:</td><td>20, 40, or 80 mg/kg</td></tr><tr><td>Administration:</td><td>Oral gavage; daily; began 2 days before the first injection of saline/MPTP</td></tr></table>	Animal Model:	Male C57BL/6 mice (eight-week old) weighing between 20 and 25 g[1]	Dosage:	20, 40, or 80 mg/kg	Administration:	Oral gavage; daily; began 2 days before the first injection of saline/MPTP																		
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		and continuously maintained for 5 days after the last injection of saline/MPTP			
	Result:	Attenuated the loss of DA and its metabolites.			
Solvent&Solubility	细胞实验:				
	DMSO 中的溶解度 : ≥ 250 mg/mL (468.66 mM; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	1.8746 mL	9.3731 mL	18.7463 mL
		5 mM	0.3749 mL	1.8746 mL	3.7492 mL
10 mM		0.1875 mL	0.9373 mL	1.8746 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, 2 years; -20°C, 1 year. -80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。 动物实验: 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 方案 一 请依序添加每种溶剂: 10% DMSO → 90% Corn Oil Solubility: ≥ 2.08 mg/mL (3.90 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。 以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。					
参考文献	[1]. Ouyang L, et al. Small-Molecule Activator of UNC-51-Like Kinase 1 (ULK1) That Induces Cytoprotective Autophagy for Parkinson's Disease Treatment. J Med Chem. 2018 Apr 12;61(7):2776-2792.				
完整储备液配制表:					
* 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, 2 years; -20°C, 1 year. -80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。					
可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	1.8746 mL	9.3731 mL	18.7463 mL	46.8656 mL
	5 mM	0.3749 mL	1.8746 mL	3.7493 mL	9.3731 mL
	10 mM	0.1875 mL	0.9373 mL	1.8746 mL	4.6866 mL



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	15 mM	0.1250 mL	0.6249 mL	1.2498 mL	3.1244 mL
	20 mM	0.0937 mL	0.4687 mL	0.9373 mL	2.3433 mL
	25 mM	0.0750 mL	0.3749 mL	0.7499 mL	1.8746 mL
	30 mM	0.0625 mL	0.3124 mL	0.6249 mL	1.5622 mL
	40 mM	0.0469 mL	0.2343 mL	0.4687 mL	1.1716 mL
	50 mM	0.0375 mL	0.1875 mL	0.3749 mL	0.9373 mL
	60 mM	0.0312 mL	0.1562 mL	0.3124 mL	0.7811 mL
	80 mM	0.0234 mL	0.1172 mL	0.2343 mL	0.5858 mL
	100 mM	0.0187 mL	0.0937 mL	0.1875 mL	0.4687 mL



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