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产品名称: **MLi-2**
产品别名: **MLi-2**

生物活性:				
Description	MLi-2 is a potent, highly selective, orally available, brain penetrant inhibitor of LRRK2 with an IC ₅₀ of 0.76 nM.			
IC ₅₀ & Target	IC ₅₀ : 0.76 nM (LRRK2) ^[1]			
In Vitro	MLi-2 exhibits exceptional potency in a purified LRRK2 kinase assay <i>in vitro</i> (IC ₅₀ =0.76 nM), a cellular assay monitoring dephosphorylation of LRRK2 pSer935 LRRK2 (IC ₅₀ =1.4 nM), and a radioligand competition binding assay (IC ₅₀ =3.4 nM). MLi-2 has greater than 295-fold selectivity for over 300 kinases in addition to a diverse panel of receptors and ion channels ^[1] .			
In Vivo	Acute oral and subchronic dosing in MLi-2 mice results in dose-dependent central and peripheral target inhibition over a 24-hour period as measured by dephosphorylation of pSer935 LRRK2. Treatment of MitoPark mice with MLi-2 is well tolerated over a 15-week period at brain and plasma exposures. Morphologic changes in the lung, consistent with enlarged type II pneumocytes, are observed in MLi-2-treated MitoPark mice ^[1] .			
Solvent&Solubility	In Vitro: DMSO : 60 mg/mL (158.12 mM; Need ultrasonic)			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.6353 mL	13.1766 mL
	Stock Solutions	5 mM	0.5271 mL	2.6353 mL
		10 mM	0.2635 mL	1.3177 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 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 →90% corn oil Solubility: ≥ 6 mg/mL (15.81 mM); Clear solution 此方案可获得 ≥ 6 mg/mL (15.81 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 60.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。			
References	[1]. Fell MJ, et al. MLi-2, a Potent, Selective, and Centrally Active Compound for Exploring the Therapeutic			



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Potential and Safety of LRRK2 Kinase Inhibition. J Pharmacol Exp Ther. 2015 Dec;355(3):397-409.	
实验参考:	
Animal Administration	Mice: MLI-2 is suspended in 30% Captisol and administered in a volume of 10 mL/kg. Dose calculations are on the basis of active moiety. Mice receive MLI-2 [1-100 mg/kg; by mouth (PO)], or vehicle 1 hour prior to euthanasia by excess CO ₂ . Immediately following euthanasia, mouse brain cortex is dissected and frozen on a steel plate over dry ice for analysis of pSer935 LRRK2 via Western Blot. Plasma and brain samples are collected and frozen for determination of MLI-2 levels by LC-MS/MS[1].
References	[1]. Fell MJ, et al. MLI-2, a Potent, Selective, and Centrally Active Compound for Exploring the Therapeutic Potential and Safety of LRRK2 Kinase Inhibition. J Pharmacol Exp Ther. 2015 Dec;355(3):397-409.



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