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产品名称: **CZC-54252**

产品别名: **CZC-54252**

生物活性:				
Description	CZC-54252 is a potent inhibitor of LRRK2 with IC50s of 1.28 nM and 1.85 nM for wild-type and G2019S LRRK2 respectively. IC50 value: 1.28 nM/1.85 nM(LRRK2/G2019S LRRK2) [1] Target: LRRK2 inhibitor in vitro: CZC-54252 inhibited the activity of recombinant human wild-type LRRK2 with an IC50 ranging from ~1 to ~5 nM. The G2019S mutant was inhibited with an IC50 ranging from ~2 to ~7 nM in a TF-FRET assay. In addition, they were screened against a kinase panel of 185 kinases and exhibited good selectivity. CZC-25146 (19) inhibited five other kinases, PLK4, GAK, TNK1, CAMKK2, and PIP4K2C, with high potency only, but none of them have been classified as predictors of genotoxicity or hematopoietic toxicity [1]. G2019S LRRK2-induced human neuronal injury was attenuated by CZC-25146 with an EC50 of ~4 nM (EC50 CZC-54252 ~1 nM) and fully reversed to wild-type levels by both compounds at concentrations as low as 8 nM (1.6 nM for CZC-54252) [2]. in vivo: In vivo pharmacology established a volume of distribution of 5.4 L/kg and a clearance of 2.3 L/h/kg for CZC-25146 (19). Unfortunately, it exhibited a poor brain penetration of just 4%.			
	<b>In Vitro:</b> <b>DMSO : 21 mg/mL (41.58 mM; Need ultrasonic and warming)</b>			
Solvent&Solubility	Preparing Stock Solutions	Solvent Concentration	Mass Concentration	
		1 mM	1.9802 mL	9.9012 mL
		5 mM	0.3960 mL	1.9802 mL
		10 mM	0.1980 mL	0.9901 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。			
References	[1]. Ramsden N, et al. Chemoproteomics-based design of potent LRRK2-selective lead compounds that attenuate Parkinson's disease-related toxicity in human neurons. ACS Chem Biol. 2011 Oct 21;6(10):1021-8. [2]. Ramsden N, et al. Chemoproteomics-based design of potent LRRK2-selective lead compounds that attenuate Parkinson's disease-related toxicity in human neurons. ACS Chem Biol. 2011 Oct 21;6(10):1021-8.			