

产品名称：**GNE-7915**

产品别名：**GNE-7915**

生物活性:						
Description		GNE-7915 is a potent, selective and brain-penetrant inhibitor of LRRK2 with an IC50 of 9 nM.				
IC50 & Target		IC50: 9 nM[1] (LRRK2)				
In Vitro		Maintaining the methoxy/fluoro arrangement at C-2 ' /C-5 ' and varying aminoalkyl R1 substitution results in single-digit nanomolar LRRK2 cellular activities for GNE-7915 and compound 19. Expanded Invitrogen kinase profiling (187 kinases) at 0.1 μ M for both GNE-7915 (100-fold over LRRK2 Ki) and 19 (250-fold over LRRK2 Ki) results in only TTK showing greater than 50% inhibition. Selectivity profiling using the DiscoverX KinomeScan55 competitive binding assay panel, which includes 392 unique kinases, is also performed for GNE-7915 at 0.1 μM. Binding of >50% probe displacement is detected for 10 kinases and of >65% for only LRRK2, TTK, and ALK, further supporting the excellent LRRK2 selectivity for GNE-7915. Cerep receptor profiling, including expanded brain panels, suggests that GNE-7915 and 19 only inhibit 5-HT2B with >70% inhibition at 10 μM. GNE-7915 and 19 are confirmed to be moderately potent 5-HT2B antagonists in vitro functional assays[2].				
Solvent&Solubility		In Vitro:				
		DMSO : 14.33 mg/mL (32.32 mM; Need ultrasonic and warming)				
		<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg	10 mg	
		Preparing	1 mM	2.2553 mL	11.2765 mL	22.5530 mL
		Stock Solutions	5 mM	0.4511 mL	2.2553 mL	4.5106 mL
	10 mM	0.2255 mL	1.1277 mL	2.2553 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。				
References		[1]. Kavanagh ME, et al. The development of CNS-active LRRK2 inhibitors using property-directed optimisation. Bioorg Med Chem Lett. 2013 Jul 1;23(13):3690-6. [2]. Estrada AA, et al. Discovery of highly potent, selective, and brain-penetrable leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitors. J Med Chem. 2012 Nov 26;55(22):9416-33.				