

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	<p>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 μM 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].</p>				
Solvent&Solubility	In Vitro:				
	DMSO : 14.33 mg/mL (32.32 mM; Need ultrasonic and warming)				
		Solvent / Mass / Concentration	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	
<p>*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。</p> <p>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。</p>					
References	<p>[1]. Kavanagh ME, et al. <u>The development of CNS-active LRRK2 inhibitors using property-directed optimisation</u>. Bioorg Med Chem Lett. 2013 Jul 1;23(13):3690-6.</p> <p>[2]. Estrada AA, et al. <u>Discovery of highly potent, selective, and brain-penetrable leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitors</u>. J Med Chem. 2012 Nov 26;55(22):9416-33.</p>				