

产品名称: **GNE-0877**

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**生物活性:**

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Description		GNE0877 is a highly potent, selective, and brain-penetrant aminopyrazole leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitor with an IC50 of 3 nM. IC50 value: 3 nM [1] Target: LRRK2 Invitrogen kinase-selectivity profiling(188 kinases) of aminopyrazole GNE0877 at 0.1 μM (145-fold overLRRK2 Ki) resulted in only four kinases showing greater than 50% inhibition (Aurora B = 51%, RSK2 = 52%, RSK4 = 62%, and RSK3 = 68%) and suggested that GNE0877 is a highly selective LRRK2 inhibitor. Furthermore, GNE0877 possessed a 212-fold biochemical-selectivity index over TTK (Ki= 150 nM), which was previously highlighted as an off-target kinase of concern because of the suggested role of TTK in the maintenance of chromosomal stability. The in vivo rat clearance for inhibitor GNE0877 was within 2-fold of measured in vitro stability, and good oral bioavailability (88%) was achieved at 50 mg/kg following administration of a methylcellulose/tween (MCT) suspension.				
Solvent&Solubility		<b><i>In Vitro:</i></b> <b>DMSO : ≥ 34 mg/mL (100.20 mM)</b>  * "≥" means soluble, but saturation unknown.				
		<div>Preparing Stock Solutions</div>	<div>Solvent Mass Concentration</div>	1 mg	5 mg	10 mg
			1 mM	2.9471 mL	14.7354 mL	29.4707 mL
			5 mM	0.5894 mL	2.9471 mL	5.8941 mL
			10 mM	0.2947 mL	1.4735 mL	2.9471 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]. Estrada AA, et al. Discovery of highly potent, selective, and brain-penetrant aminopyrazole leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitors. J Med Chem. 2014 Feb 13;57(3):921-36.				