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产品名称: **PPQ-102**
产品别名: **CFTR Inhibitor**

生物活性:						
Description		PPQ-102 is a potent CFTR inhibitor which can completely inhibited CFTR chloride current with IC50 of ~90 nM. IC50 value: 90 nM [1] Target: CFTR in vitro: The most potent compound, 7,9-dimethyl-11-phenyl-6-(5-methylfuran-2-yl)-5,6-dihydro-pyrimido[4',5'-3,4]pyrrolo[1,2-a]quinoxaline-8,10-(7H,9H)-dione, PPQ-102, completely inhibited CFTR chloride current with IC(50) approximately 90 nM. The PPQs, unlike prior CFTR inhibitors, are uncharged at physiological pH, and therefore not subject to membrane potential-dependent cellular partitioning or block efficiency. Patch-clamp analysis confirmed voltage-independent CFTR inhibition by PPQ-102 and showed stabilization of the channel closed state [1]. The three gpSlc26 anion transporters exhibited distinct pharmacological profiles of (36)Cl(-) influx, including partial sensitivity to CFTR inhibitors Inh-172 and GlyH101, but only Slc26a11 was inhibited by PPQ-102 [2]. Airway epithelial NCI-H292 cells and primary cultures of noncystic fibrosis human airway epithelial cells were treated with cystic fibrosis transmembrane conductance regulator (CFTR) inhibitors (CFTR-inh(172) or PPQ-102) or transfected with a CFTR small interfering (si)RNA with or without a selective epidermal growth factor receptor tyrosine kinase inhibitor [3]. in vivo: PPQ-102 prevented cyst expansion and reduced the size of preformed cysts in a neonatal kidney organ culture model of polycystic kidney disease. PPQ-102 is the most potent CFTR inhibitor identified to date [1].				
Solvent&Solubility		In Vitro: DMSO : ≥ 52 mg/mL (118.59 mM) * "≥" means soluble, but saturation unknown.				
		Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
			1 mM	2.2806 mL	11.4030 mL	22.8061 mL
			5 mM	0.4561 mL	2.2806 mL	4.5612 mL
			10 mM	0.2281 mL	1.1403 mL	2.2806 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]. Tradtrantip L, et al. Nanomolar potency pyrimido-pyrrolo-quinoxalinedione CFTR inhibitor reduces cyst size in a polycystic kidney disease model. J Med Chem. 2009 Oct 22;52(20):6447-55. [2]. Stewart AK, et al. SLC26 anion exchangers of guinea pig pancreatic duct: molecular cloning and functional characterization. Am J Physiol Cell Physiol. 2011 Aug;301(2):C289-303. [3]. Martin C, et al. CFTR dysfunction induces vascular endothelial growth factor synthesis in airway epithelium. Eur Respir J. 2013 Dec;42(6):1553-62.				