



上海源叶生物科技有限公司
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产品名称: **PSB-12062**

产品别名: **N-(p-Methylphenylsulfonyl)phenoxazine**

生物活性:					
Description	PSB-12062 is a potent and selective P2X4 antagonist with an IC50 of 1.38 μM for human P2X4.				
IC50 & Target	IC50: 1.38 μM (human P2X4), 92.8 nM (rat P2X4), 1.76 μM (mouse P2X4)[1]				
In Vitro	PSB-12062 shows similar potency in human, rat, and mouse species. PSB-12062 shows to be allosteric in nature with a 35-fold selectivity toward P2X4 versus P2X1, P2X2, P2X3, and P2X7. However, PSB-12062 is unable to completely block ATP-induced P2X4-mediated calcium influx even when used at high concentrations (>30 μM)[1].				
Solvent&Solubility	In Vitro: DMSO : 25 mg/mL (74.10 mM; Need ultrasonic)				
	<div>Solvent / Mass / Concentration</div> <div>Preparing Stock Solutions</div>		1 mg	5 mg	10 mg
		1 mM	2.9639 mL	14.8196 mL	29.6393 mL
		5 mM	0.5928 mL	2.9639 mL	5.9279 mL
		10 mM	0.2964 mL	1.4820 mL	2.9639 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℃, 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。</p> <p>In Vivo:</p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:</p> <p>——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.41 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>				
	References	[1]. Hernandez-Olmos V, et al. N-substituted phenoxazine and acridone derivatives: structure-activity relationships of potent P2X4 receptor antagonists. J Med Chem. 2012 Nov 26;55(22):9576-88.			
		[2]. Stokes L, et al. P2X4 Receptor Function in the Nervous System and Current Breakthroughs in Pharmacology.Front Pharmacol. 2017 May 23;8:291.			
	实验参考:				
	Kinase Assay	The competition binding studies are performed in assay buffer (50 mM Tris-HCl, pH 7.4) containing 1 mM EDTA and 0.2 nM [³⁵ S]ATPyS. The incubations are started by the addition of membranes (10-15 μg) and are performed in a 250 μL final assay volume. The reactions are terminated by vacuum filtration over GF/ B glass-fiber filters using a Brandell 48-well harvester. The filters are rinsed three times with ice-cold Tris-HCl			



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	buffer (50 mM, pH 7.4). The filters are punched out and transferred to 4 mL scintillation vials. Then 2.5 mL of Ultima Gold scintillation cocktail is added, and samples are counted after 6 h for 1 min each, using a liquid scintillation counter (LSC). Nonspecific binding of [³⁵ S]ATPyS is determined using 100 μM ATP[1].
References	<p>[1]. Hernandez-Olmos V, et al. N-substituted phenoxazine and acridone derivatives: structure-activity relationships of potent P2X4 receptor antagonists. J Med Chem. 2012 Nov 26;55(22):9576-88.</p> <p>[2]. Stokes L, et al. P2X4 Receptor Function in the Nervous System and Current Breakthroughs in Pharmacology.Front Pharmacol. 2017 May 23;8:291.</p>



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