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产品名称: **6,7-Dimethoxy-N-(4-phenoxyphenyl)-**
 产品别名: **Src Inhibitor 1 ; Src Kinase Inhibitor 1; Src-I1**

生物活性:					
Description	Src Inhibitor 1 is a potent and selective dual site Src tyrosine kinase inhibitor with IC ₅₀ values of 44 nM for Src and 88nM for Lck.				
IC₅₀ & Target	IC50: 44 nM (Src), 88 nM (Lck) ^[1]				
In Vitro	Src-I1 is competitive with both ATP and peptide binding sites of the kinase. The IC ₅₀ values are 44 and 88 nM for Src and Lck, respectively ^[1] . Src-I1, is found to be a potent inhibitor of Src (IC ₅₀ =0.18 μM), but also inhibited other Src family members, such as Lck, Csk and Yes with similar potency to Src, and RIP2 (IC ₅₀ =0.026 μM) with even greater potency. In addition, it inhibited CHK2 with similar potency to Src, and Aurora B with slightly lower potency ^[2] .				
Solvent&Solubility	In Vitro: DMSO : 9.09 mg/mL (24.34 mM; Need ultrasonic)				
		Solvent Mass Concentration	1 mg	5 mg	10 mg
	Preparing	1 mM	2.6781 mL	13.3905 mL	26.7809 mL
	Stock Solutions	5 mM	0.5356 mL	2.6781 mL	5.3562 mL
		10 mM	0.2678 mL	1.3390 mL	2.6781 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> <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% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 0.91 mg/mL (2.44 mM); Clear solution</p> <p>此方案可获得 ≥ 0.91 mg/mL (2.44 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 9.1 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>2.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 0.91 mg/mL (2.44 mM); Clear solution</p> <p>此方案可获得 ≥ 0.91 mg/mL (2.44 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 9.1 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>					



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References	[1]. Tian G, et al. Structural determinants for potent, selective dual site inhibition of human pp60c-src by 4-anilinoquinazolines. <i>Biochemistry</i> . 2001 Jun 19;40(24):7084-91. [2]. Bain J, et al. The selectivity of protein kinase inhibitors: a further update. <i>Biochem J</i> . 2007 Dec 15;408(3):297-315.
实验参考:	
Kinase Assay	Assays (25.5 μ L volume) are carried out robotically at room temperature (21°C) and are linear with respect to time and enzyme concentration under the conditions used. Assays are performed for 30 min using Multidrop Micro reagent dispensers in a 96-well format. The concentration of magnesium acetate in the assays is 10 mM and [γ - ³³ P]ATP (800 c.p.m./pmol) is used at 5, 20 or 50 μ M as indicated, in order to be at or below the K_m for ATP for each enzyme. The assays are initiated with MgATP, stopped by the addition of 5 μ L of 0.5 M orthophosphoric acid and spotted on to P81 filter plates using a unifilter harvester. The IC_{50} values of inhibitors are determined after carrying out assays at ten different concentrations of each compound ^[2] .
References	[1]. Tian G, et al. Structural determinants for potent, selective dual site inhibition of human pp60c-src by 4-anilinoquinazolines. <i>Biochemistry</i> . 2001 Jun 19;40(24):7084-91. [2]. Bain J, et al. The selectivity of protein kinase inhibitors: a further update. <i>Biochem J</i> . 2007 Dec 15;408(3):297-315.

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