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产品名称: 3-[[4-[(5-羟基-2-甲基苯基)氨基]-2-嘧啶基]氨基]苯甲酰胺  
产品别名: Lck inhibitor 2

|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                               |           |            |
|--------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------|-----------|------------|
| 生物活性:              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                               |           |            |
| Description        | Lck inhibitor 2 is a bis-anilinopyrimidine inhibitor of tyrosine kinases including LCK, BTK, LYN, SYK, and TXK. The IC50 values are 13nM, 9nM, 3nM, 26nM and 2nM for Lck, Btk, Lyn, Btk and Txk respectively<br>IC50 Value: 13 nM(Lck) [1] Target: Src family kinase Lck inhibitor 2(Compound 9) inhibited 48 kinases with %control < 1 (33 of them tyrosine kinases, almost half of the 71 tyrosine kinases in the panel). A further 27 kinases were bound with %control < 10. Kd values for 16 kinases were determined and found to be below 100 nM. These included TXK (10 nM)[2].                                                                                                                                                                                                                                                                                        |                               |           |            |
| Solvent&Solubility | <b>In Vitro:</b><br>DMSO : 20 mg/mL (59.64 mM; Need ultrasonic)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                               |           |            |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | Solvent Mass<br>Concentration | 1 mg      | 5 mg       |
|                    | Preparing                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | 1 mM                          | 2.9819 mL | 14.9094 mL |
|                    | Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 5 mM                          | 0.5964 mL | 2.9819 mL  |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 10 mM                         | 0.2982 mL | 1.4909 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。<br>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。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                               |           |            |
|                    | <b>In Vivo:</b><br>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:<br>——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<br>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br>Solubility: ≥ 2 mg/mL (5.96 mM); Clear solution<br>此方案可获得 ≥ 2 mg/mL (5.96 mM, 饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例, 取 100 μL 20.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀, 向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。<br><br>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: ≥ 2 mg/mL (5.96 mM); Clear solution<br>此方案可获得 ≥ 2 mg/mL (5.96 mM, 饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例, 取 100 μL 20.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。<br><br>3.请依序添加每种溶剂: 10% DMSO →90% corn oil<br>Solubility: ≥ 2 mg/mL (5.96 mM); Clear solution |                               |           |            |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                               |           |            |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                               |           |            |



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|------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|            | <p>此方案可获得 <math>\geq 2</math> mg/mL (5.96 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 <math>\mu</math>L 20.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
| References | <p>[1]. Bamborough, et al. Assessment of Chemical Coverage of Kinome Space and Its Implications for Kinase Drug Discovery. Journal of Medicinal Chemistry (2008), 51(24), 7898-7914.</p> <p>[2]. Bamborough, Paul, et al. N-4-Pyrimidinyl-1H-indazol-4-amine inhibitors of Lck: Indazoles as phenol isosteres with improved pharmacokinetics. Bioorganic &amp; Medicinal Chemistry Letters (2007), 17(15), 4363-4368.</p> <p>[3]. Awale, Mahendra, et al. Molecular docking guided 3D-QSAR CoMFA analysis of N-4-Pyrimidinyl-1H-indazol-4-amine inhibitors of leukocyte-specific protein tyrosine kinase. Journal of Molecular Modeling (2008), 14(10), 937-947.</p> |

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