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产品名称: **PTP1B-IN-2**  
产品别名: **PTP1B-IN-2**

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          |           |           |
|---------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------|-----------|-----------|
| 生物活性:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          |           |           |
| Description               | PTP1B-IN-2 is a potent protein tyrosine phosphatase 1B (PTP1B) inhibitor with an IC <sub>50</sub> of 50 nM.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                          |           |           |
| IC <sub>50</sub> & Target | IC <sub>50</sub> : 50 nM (PTP1B) <sup>[1]</sup>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          |           |           |
| In Vitro                  | PTP1B-IN-2 displays more than 40-fold selectivity for PTP1B over SHP-2 and LAR and 15-fold higher selectivity for PTP1B over the highly homologous TCPTP. PTP1B-IN-2 extends deep into the active site pocket, forming several hydrogen bonds and hydrophobic interactions with key residues of the catalytic site. The binding characteristics between PTP1B domain and ligand shows that PTP1B-IN-2 is an ABC type inhibitor which not only interacted with catalytic site but also B site and C site. PTP1B-IN-2 greatly enhances insulin-mediated IR $\beta$ phosphorylation at concentrations of 15 $\mu$ M and 30 $\mu$ M. Insulin-stimulated glucose uptake is also significantly increased in L6 myotubes treated with PTP1B-IN-2, and this increase is 16.0%, 19.0% and 38.1% at 5, 10 and 20 $\mu$ M, respectively <sup>[1]</sup> |                          |           |           |
| Solvent&Solubility        | <b>In Vitro:</b><br><b>DMSO : <math>\geq</math> 100 mg/mL (146.89 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                          |           |           |
|                           | Preparing<br>Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | Solvent<br>Concentration | Mass      |           |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          | 1 mg      | 5 mg      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          | 10 mg     |           |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 1 mM                     | 1.4689 mL | 7.3444 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 5 mM                     | 0.2938 mL | 1.4689 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             | 10 mM                    | 0.1469 mL | 0.7344 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: $\geq$ 2.5 mg/mL (3.67 mM); Clear solution<br>此方案可获得 $\geq$ 2.5 mg/mL (3.67 mM, 饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例, 取 100 $\mu$ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 $\mu$ L PEG300 中, 混合均匀; 向上述体系中加入 50 $\mu$ L Tween-80, 混合均匀; 然后继续加入 450 $\mu$ L 生理盐水定容至 1 mL。<br><br>2.请依序添加每种溶剂: 10% DMSO →90% corn oil<br>Solubility: $\geq$ 2.5 mg/mL (3.67 mM); Clear solution<br>此方案可获得 $\geq$ 2.5 mg/mL (3.67 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                                                                                                    |                          |           |           |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          |           |           |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                          |           |           |



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|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|              | 实验。<br>以 1 mL 工作液为例, 取 100 $\mu$ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 $\mu$ L 玉米油中, 混合均匀。                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| References   | [1]. Liu P, et al. Discovery of novel, high potent, ABC type PTP1B inhibitors with TCPTP selectivity and cellular activity. Eur J Med Chem. 2016 Aug 8;118:27-33.                                                                                                                                                                                                                                                                                                                                                       |
| 实验参考:        |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |
| Kinase Assay | PTP1B-IN-2 is predispensed in 96-well microplates as 1.0 $\mu$ L aliquots per well in 100% DMSO. The PTP1B enzymatic assay is carried out in a total volume of 100 $\mu$ L per well in assay plates with 15 nM recombinant PTP1B protein, 2 mM p-nitrophenylphosphonic acid (pNPP), 1 mM dithiothreitol and 1 mM EDTA (pH 6.5). After 30 min incubation at 37°C, the reaction is terminated by addition of 2.5 M NaOH. The amount of hydrolysis product, pNP, is monitored by detection of the absorbance at 405 nm[1]. |
| References   | [1]. Liu P, et al. Discovery of novel, high potent, ABC type PTP1B inhibitors with TCPTP selectivity and cellular activity. Eur J Med Chem. 2016 Aug 8;118:27-33.                                                                                                                                                                                                                                                                                                                                                       |

源叶生物