



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称: **Mps1-IN-1**  
产品别名: **Mps1-IN-1**

生物活性:

|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                             |                                          |              |              |              |
|--------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------|------------------------------------------|--------------|--------------|--------------|
| Description        | Mps1-IN-1 is a potent, selective and ATP-competitive Mps1 kinase inhibitor, with an IC50 and a Kd of 367 nM and 27 nM.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                             |                                          |              |              |              |
| IC50 & Target      | Mps1                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | ALK                                         | LTK                                      | PYK2         | FAK          | IGF1R        |
|                    | 27 nM (Kd)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | 21 nM (Kd)                                  | 29 nM (Kd)                               | 280 nM (Kd)  | 440 nM (Kd)  | 750 nM (Kd)  |
|                    | INSR                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | CLK1                                        | ERK2                                     | INSRR        | TNK1         | TNK2         |
|                    | 470 nM (Kd)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 1900 nM (Kd)                                | 2900 nM (Kd)                             | 1200 nM (Kd) | 2600 nM (Kd) | 3100 nM (Kd) |
|                    | GAK                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                             |                                          |              |              |              |
|                    | 1100 nM (Kd)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                                             |                                          |              |              |              |
| In Vitro           | Mps1-IN-1 is a potent, selective and ATP-competitive Mps1 kinase inhibitor, with an IC50 and a Kd of 367 nM and 27 nM. Mps1-IN-1 also has high affinity for ALK, and LTK, with Kds of 21 and 39 nM, respectively, but shows little or no inhibition on other 352 member kinases. Mps1-IN-1 (5, 10 μM) induces bypass of a checkpoint-mediated mitotic arrest in U2OS cells. Mps1-IN-1 disrupts recruitment of Mad2 to kinetochores, and reduces the phosphorylation status of Aurora B at threonine-232 (Thr232). Mps1-IN-1 (10 μM) shows no effect on centrosome duplication. In addition, Mps1-IN-1 (5-10 μM) suppresses the proliferative capacity of HCT116[1]. |                                             |                                          |              |              |              |
| Solvent&Solubility | <b>In Vitro:</b><br><b>DMSO ≥ 39 mg/mL (72.81 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                             |                                          |              |              |              |
|                    | <div>Preparing</div> <div>Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | <div>Solvent</div> <div>Concentration</div> | <div>Mass</div> <div>Concentration</div> | 1 mg         | 5 mg         | 10 mg        |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                             | 1 mM                                     | 1.8669 mL    | 9.3343 mL    | 18.6686 mL   |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                             | 5 mM                                     | 0.3734 mL    | 1.8669 mL    | 3.7337 mL    |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                             | 10 mM                                    | 0.1867 mL    | 0.9334 mL    | 1.8669 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><br>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。<br><br><b>In Vivo:</b><br><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><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><br>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br><br>Solubility: ≥ 2.5 mg/mL (4.67 mM); Clear solution<br><br>此方案可获得 ≥ 2.5 mg/mL (4.67 mM, 饱和度未知) 的澄清溶液。                                                                                     |                                             |                                          |              |              |              |



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|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|              | 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。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
| References   | [1]. Kwiatkowski N, et al. Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nat Chem Biol. 2010 May;6(5):359-68.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| 实验参考:        |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| Cell Assay   | U2OS cells expressing doxycycline-inducible PLK4 are plated in 96 well plates. A double thymidine block is performed using the following treatment regimen: thymidine for 18-20 hrs., release for 10 hrs. with doxycycline induction of PLK4 during this time, then a second thymidine block, followed by release. Six hours after the 2nd thymidine release, Mps1-IN-1 (or DMSO vehicle) is added and the proliferation of the cell populations is monitored with Cell Titer GLO assay[1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |
| Kinase Assay | The kinase binding assay is used to assess compound binding to TTK by monitoring displacement of a fluorescently labeled, ATP site-directed kinase inhibitor (Kinase Tracer 236) from the kinase active site. Each 15 $\mu$ L assay contains 5 nM TTK, variable amounts of test compound (Mps1-IN-1), 30 nM Kinase Tracer 236, 2 nM Eu-anti-GST Antibody, and 1% DMSO (residual from compound dilution) in Kinase Buffer A (50 mM HEPES pH 7.5, 10 mM MgCl <sub>2</sub> , 1 mM EGTA, 0.01% Brij-35). Binding assays are initiated by addition of 5 $\mu$ L of test compound (from 2-fold dilution series) to 5 $\mu$ L of a kinase/antibody mixture, followed by addition of 5 $\mu$ L of antibody. Assay plates are read using standard Eu-based TR-FRET settings with excitation at 340 nm and emission monitored at 615 nm (donor) and 665 nm (acceptor). Emission intensities are measured over a 200 $\mu$ s window following a 100 $\mu$ s post-excitation delay[1]. |
| References   | [1]. Kwiatkowski N, et al. Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nat Chem Biol. 2010 May;6(5):359-68.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |

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