



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
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产品名称: **PIK-75**  
CAS 号: **372196-67-3**  
产品货号: **S88662**

**生物活性:**

|                          |                                                                                                                                                                                                  |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|--------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------|
| <b>Description</b>       | PIK-75 是一种可逆的 DNA-PK 和 p110 α -选择性的抑制剂, 抑制 DNA-PK, p110 α 和 p110 γ , IC50 分别为 2, 5.8 和 76 nM。PIK-75 抑制 p110 α 效果比抑制 p110 β (IC50=1.3 μM) 高 200 多倍。PIK-75 诱导凋亡 (apoptosis)。                       |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
| <b>IC50 &amp; Target</b> | DNA-PK<br>2 nM (IC50)<br>hsVPS34<br>2.6 μM (IC50)<br>ATM<br>2.3 μM (IC50)                                                                                                                        | p110α<br>5.8 nM (IC50)<br>PI3KC2β<br>1 μM (IC50)<br>ATR<br>21 μM (IC50) | p110γ<br>76 nM (IC50)<br>PI3KC2α<br>10 μM (IC50)<br>PI4KIIIβ<br>50 μM (IC50)                                                                    | p110δ<br>510 nM (IC50)<br>mTORC1<br>1 μM (IC50)                                                                                                       | p110β<br>1.3 μM (IC50)<br>mTORC2<br>10 μM (IC50) |
| <b>Cellular Effect</b>   | <b>Cell Line</b>                                                                                                                                                                                 | <b>Type</b>                                                             | <b>Value</b>                                                                                                                                    | <b>Description</b>                                                                                                                                    |                                                  |
|                          | A549                                                                                                                                                                                             | CC50                                                                    | > 100 μM                                                                                                                                        | Cytotoxicity against human A549 cells                                                                                                                 |                                                  |
|                          | HT-22                                                                                                                                                                                            | IC50                                                                    | 0.16 μM                                                                                                                                         | Cytotoxicity against mouse HT-22 cells assessed as reduction in cell viability incubated for 48 hrs by MTS assay                                      |                                                  |
|                          | MV4-11                                                                                                                                                                                           | IC50                                                                    | 0.003 μM                                                                                                                                        | Cytotoxicity against human MV4-11 cells after 72 hrs by CellTiter-Glo assay                                                                           |                                                  |
|                          | OCI-AML-3                                                                                                                                                                                        | IC50                                                                    | 220 nM                                                                                                                                          | Antiproliferative activity against human OCI-AML-3 assessed as reduction in cell viability incubated for 48 hrs by CellTiter-Glo cell vaibility assay |                                                  |
| <b>In Vitro</b>          | PIK-75 also inhibits p110δ, PI3KC2β, mTORC1, ATM, hsVPS34, PI3KC2α, mTORC2, ATR and PI4KIIIβ with IC50s of 510 nM, ~1 μM, ~1 μM, 2.3 μM, 2.6 μM, ~10 μM, ~10 μM, 21 μM, ~50 μM, respectively[1]. |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|                          | PIK-75 alone blocks Thr 308 phosphorylation in L6 myotubes and 3T3-L1 adipocytes with IC50 values of 1.2 and 1.3 μM, respectively[1].                                                            |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|                          | PIK-75 (1-1000 nM; 5 min) blocks the phosphorylation of PKB induced by insulin on both Ser473and Thr308 in CHO-IR cells in a dose-dependent manner, with an IC50 of 78 nM[2].                    |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|                          | PIK-75 (0.1-1000 nM; 48 hours) inhibits the proliferation and survival of pancreatic cancer cells through apoptotic cell death[3].                                                               |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|                          | PIK-75 (0.1-1000 nM) also reduces the colony formation of pancreatic cancer MIA PaCa-2 and AsPC-1 cells[3]。                                                                                      |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|                          | Cell Viability Assay[3]                                                                                                                                                                          |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |
|                          | Cell Line:                                                                                                                                                                                       |                                                                         | Human pancreatic cancer cells (MIA PaCa-2 or AsPC-1)                                                                                            |                                                                                                                                                       |                                                  |
|                          | Concentration:                                                                                                                                                                                   |                                                                         | 0.1, 0.3, 1, 3, 10, 30, 100, 300, and 1000 nM                                                                                                   |                                                                                                                                                       |                                                  |
|                          | Incubation Time:                                                                                                                                                                                 |                                                                         | 48 hours                                                                                                                                        |                                                                                                                                                       |                                                  |
|                          | Result:                                                                                                                                                                                          |                                                                         | Submicromolar concentration was sufficient to inhibit the proliferation of pancreatic cancer, MIA PaCa-2 and AsPC-1 cells after 48-h treatment. |                                                                                                                                                       |                                                  |
| Western Blot Analysis[2] |                                                                                                                                                                                                  |                                                                         |                                                                                                                                                 |                                                                                                                                                       |                                                  |



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|--------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------|--------------------------------------------------|----------------|-----------------------------------------------------|------------------|---------------------------------------------------|-----------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------|------|-----------|-----------|-----------|-------|-----------|-----------|-----------|
|                                      | <table><tr><td>Cell Line:</td><td>Overnight-starved CHO-IR cells</td></tr><tr><td>Concentration:</td><td>1, 10, 100, 1000 nM</td></tr><tr><td>Incubation Time:</td><td>5 minutes</td></tr><tr><td>Result:</td><td>Blocked the phosphorylation of PKB induced by insulin (1 nM, 10 min) on both Ser473and Thr308 in a dose-dependent manner. PIK-75 potentiates anticancer activity of Gemcitabine (20 mg/kg) in vivo. Gemcitabine (20 mg/kg) or PIK-75 (2 mg/kg) alone reduces the tumor growth to similar degree. Beneficial effect of PIK-75/Gemcitabine is evident as this combination markedly reduces the tumor growth in vivo without affecting the body weights of mice<sup>[3]</sup>.</td></tr></table>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | Cell Line:                           | Overnight-starved CHO-IR cells                   | Concentration: | 1, 10, 100, 1000 nM                                 | Incubation Time: | 5 minutes                                         | Result:   | Blocked the phosphorylation of PKB induced by insulin (1 nM, 10 min) on both Ser473and Thr308 in a dose-dependent manner. PIK-75 potentiates anticancer activity of Gemcitabine (20 mg/kg) in vivo. Gemcitabine (20 mg/kg) or PIK-75 (2 mg/kg) alone reduces the tumor growth to similar degree. Beneficial effect of PIK-75/Gemcitabine is evident as this combination markedly reduces the tumor growth in vivo without affecting the body weights of mice <sup>[3]</sup> . |            |      |           |           |           |       |           |           |           |
| Cell Line:                           | Overnight-starved CHO-IR cells                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                      |                                                  |                |                                                     |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
| Concentration:                       | 1, 10, 100, 1000 nM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                      |                                                  |                |                                                     |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
| Incubation Time:                     | 5 minutes                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                      |                                                  |                |                                                     |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
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| In Vivo                              | <p>PIK-75 (2 mg/kg) potentiates anticancer activity of Gemcitabine (20 mg/kg) in vivo. Gemcitabine (20 mg/kg) or PIK-75 (2 mg/kg) alone reduces the tumor growth to similar degree. Beneficial effect of PIK-75/Gemcitabine is evident as this combination markedly reduces the tumor growth in vivo without affecting the body weights of mice<sup>[3]</sup>.</p> <table><tr><td>Animal Model:</td><td>Mice bearing tumors of MIA PaCa-2<sup>[3]</sup></td></tr><tr><td>Dosage:</td><td>2 mg/kg; or combination with Gemcitabine (20 mg/kg)</td></tr><tr><td>Administration:</td><td>Administered injection; 5 times per week. 25 days</td></tr><tr><td>Result:</td><td>Reduced the tumor growth and enhanced the antitumor effect.</td></tr></table>                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | Animal Model:                        | Mice bearing tumors of MIA PaCa-2 <sup>[3]</sup> | Dosage:        | 2 mg/kg; or combination with Gemcitabine (20 mg/kg) | Administration:  | Administered injection; 5 times per week. 25 days | Result:   | Reduced the tumor growth and enhanced the antitumor effect.                                                                                                                                                                                                                                                                                                                                                                                                                   |            |      |           |           |           |       |           |           |           |
| Animal Model:                        | Mice bearing tumors of MIA PaCa-2 <sup>[3]</sup>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                      |                                                  |                |                                                     |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
| Dosage:                              | 2 mg/kg; or combination with Gemcitabine (20 mg/kg)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                      |                                                  |                |                                                     |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
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| Solvent&Solubility                   | <p><b>细胞实验:</b></p> <p>DMSO 中的溶解度 : 13 mg/mL (28.74 mM); 超声助溶 (&lt;60°C); 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)</p> <table><tr><td rowspan="4"><div>Preparing Stock Solutions</div></td><td><div>SolventMassConcentration</div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.2110 mL</td><td>11.0551 mL</td><td>22.1102 mL</td></tr><tr><td>5 mM</td><td>0.4422 mL</td><td>2.2110 mL</td><td>4.4220 mL</td></tr><tr><td>10 mM</td><td>0.2211 mL</td><td>1.1055 mL</td><td>2.2110 mL</td></tr></table> <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 (protect from light)。-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><b>动物实验:</b></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。</p> <p>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;</p> <p>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>方案 一</p> <p>请依序添加每种溶剂: 10% DMSO → 90% Corn Oil</p> <p>Solubility: ≥ 1.3 mg/mL (2.87 mM); 澄清溶液</p> <p>此方案可获得 ≥ 1.3 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。</p> <p>以 1 mL 工作液为例, 取 100 μL 13.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均</p> | <div>Preparing Stock Solutions</div> | <div>SolventMassConcentration</div>              | 1 mg           | 5 mg                                                | 10 mg            | 1 mM                                              | 2.2110 mL | 11.0551 mL                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | 22.1102 mL | 5 mM | 0.4422 mL | 2.2110 mL | 4.4220 mL | 10 mM | 0.2211 mL | 1.1055 mL | 2.2110 mL |
| <div>Preparing Stock Solutions</div> | <div>SolventMassConcentration</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                      | 1 mg                                             | 5 mg           | 10 mg                                               |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
|                                      | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                      | 2.2110 mL                                        | 11.0551 mL     | 22.1102 mL                                          |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
|                                      | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                      | 0.4422 mL                                        | 2.2110 mL      | 4.4220 mL                                           |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |
|                                      | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 0.2211 mL                            | 1.1055 mL                                        | 2.2110 mL      |                                                     |                  |                                                   |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |            |      |           |           |           |       |           |           |           |



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|      | 匀。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| 参考文献 | <p>[1]. Knight ZA, et al. A pharmacological map of the PI3-K family defines a role for p110alpha in insulin signaling. Cell. 2006 May 19;125(4):733-47.</p> <p>[2]. Chaussade C, et al. Evidence for functional redundancy of class IA PI3K isoforms in insulin signalling. Biochem J. 2007 Jun 15;404(3):449-58.</p> <p>[3]. Duong HQ, et al. Inhibition of NRF2 by PIK-75 augments sensitivity of pancreatic cancer cells to gemcitabine. Int J Oncol. 2014 Mar;44(3):959-69.</p> |

#### 完整储备液配制表:

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。  
储备液的保存方式和期限:-80° C, 6 months; -20° C, 1 month (protect from light)。-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。

| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg       | 10 mg      | 25 mg      |
|------|------------------|-----------|------------|------------|------------|
| DMSO | 1 mM             | 2.2110 mL | 11.0551 mL | 22.1102 mL | 55.2755 mL |
|      | 5 mM             | 0.4422 mL | 2.2110 mL  | 4.4220 mL  | 11.0551 mL |
|      | 10 mM            | 0.2211 mL | 1.1055 mL  | 2.2110 mL  | 5.5275 mL  |
|      | 15 mM            | 0.1474 mL | 0.7370 mL  | 1.4740 mL  | 3.6850 mL  |
|      | 20 mM            | 0.1106 mL | 0.5528 mL  | 1.1055 mL  | 2.7638 mL  |
|      | 25 mM            | 0.0884 mL | 0.4422 mL  | 0.8844 mL  | 2.2110 mL  |

源叶