



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: shyyssw@sina.com

产品名称: **LYN-1604 dihydrochloride**

CAS 号: **2310109-38-5**

产品货号: **S89709**

|                  |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
|------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------|-----------------------------------------------------|----------------|-----------------------------------------------------------------|------------------|-----------------------------------------------------|---------|-------------------------------------------------------------------------------------------------------------------------------------------------|------------|------------------|----------------|--------------------------|------------------|----------|---------|--------------------------------------------------------------------------------------------------------------------|
| 生物活性:            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Description      | LYN-1604 dihydrochloride is a potent UNC-51-like kinase 1 (ULK1) activator (EC50=18.94 nM) for the research of triple negative breast cancer (TNBC)[1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| IC50 & Target[1] | ULK1<br>18.94 nM (EC50)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| In Vitro         | <p>LYN-1604 是一种潜在的 ULK1 激动剂 (100 nM 时酶活性 = 195.7%, 针对 MDA-MB-231 细胞的 IC50=1.66 <math>\mu</math>M) [1]。</p> <p>LYN-1604 以纳摩尔范围内的结合亲和力 (KD=291.4 nM) 与野生型 ULK1 结合[1]。</p> <p>LYN-1604 (0.5、1.0 和 2.0 <math>\mu</math>M) 通过 ULK 复合物在 MDA-MB-231 细胞中诱导细胞死亡[1]。</p> <p>LYN-1604 (0.5-2 <math>\mu</math>M, 24 小时) 可在 MDA-MB-231 细胞中诱导 Beclin-1 显著上调和 p62 降解, 以及 LC3-I 向 LC3-II 的转化[1]。</p> <p>LYN-1604 通过 ULK 复合物诱导 ATG5 依赖性自噬[1]。</p> <p>LYN-1604 还可以增加 caspase3 的裂解并诱导细胞凋亡[1]。</p> <p><b>Cell Viability Assay[1]</b></p> <table><tr><td>Cell Line:</td><td>MDA-MB-231 cells</td></tr><tr><td>Concentration:</td><td>0.5, 1.0 and 2.0 <math>\mu</math>M</td></tr><tr><td>Incubation Time:</td><td></td></tr><tr><td>Result:</td><td>Induced cell death. Autophagy ratio was increased in a dose-dependent manner.</td></tr></table> <p><b>Western Blot Analysis[1]</b></p> <table><tr><td>Cell Line:</td><td>MDA-MB-231 cells</td></tr><tr><td>Concentration:</td><td>0, 0.5, 1, and 2 <math>\mu</math>M</td></tr><tr><td>Incubation Time:</td><td>24 hours</td></tr><tr><td>Result:</td><td>Induced remarkable up-regulation of Beclin-1 and degradation of p62, as well as transformation of LC3-I to LC3-II.</td></tr></table> | Cell Line:    | MDA-MB-231 cells                                    | Concentration: | 0.5, 1.0 and 2.0 $\mu$ M                                        | Incubation Time: |                                                     | Result: | Induced cell death. Autophagy ratio was increased in a dose-dependent manner.                                                                   | Cell Line: | MDA-MB-231 cells | Concentration: | 0, 0.5, 1, and 2 $\mu$ M | Incubation Time: | 24 hours | Result: | Induced remarkable up-regulation of Beclin-1 and degradation of p62, as well as transformation of LC3-I to LC3-II. |
| Cell Line:       | MDA-MB-231 cells                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Concentration:   | 0.5, 1.0 and 2.0 $\mu$ M                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Incubation Time: |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Result:          | Induced cell death. Autophagy ratio was increased in a dose-dependent manner.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Cell Line:       | MDA-MB-231 cells                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Concentration:   | 0, 0.5, 1, and 2 $\mu$ M                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Incubation Time: | 24 hours                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Result:          | Induced remarkable up-regulation of Beclin-1 and degradation of p62, as well as transformation of LC3-I to LC3-II.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| In Vivo          | <p>LYN-1604 是一种潜在的 ULK1 激动剂 (100 nM 时酶活性 = 195.7%, 针对 MDA-MB-231 细胞的 IC50=1.66 <math>\mu</math>M) [1]。</p> <p>LYN-1604 以纳摩尔范围内的结合亲和力 (KD=291.4 nM) 与野生型 ULK1 结合[1]。</p> <p>LYN-1604 (0.5、1.0 和 2.0 <math>\mu</math>M) 通过 ULK 复合物在 MDA-MB-231 细胞中诱导细胞死亡[1]。</p> <p>LYN-1604 (0.5-2 <math>\mu</math>M, 24 小时) 可在 MDA-MB-231 细胞中诱导 Beclin-1 显著上调和 p62 降解, 以及 LC3-I 向 LC3-II 的转化[1]。</p> <p>LYN-1604 通过 ULK 复合物诱导 ATG5 依赖性自噬[1]。</p> <p>LYN-1604 还可以增加 caspase3 的裂解并诱导细胞凋亡[1]。</p> <table><tr><td>Animal Model:</td><td>24 female nude mice (BALB/c, 6-8 weeks, 20-22 g)[1]</td></tr><tr><td>Dosage:</td><td>Low dose, 25 mg/kg; median dose, 50 mg/kg; high dose, 100 mg/kg</td></tr><tr><td>Administration:</td><td>Intragastric administration; once a day for 14 days</td></tr><tr><td>Result:</td><td>Significantly inhibited the growth of xenograft MDA-MB-231 cells. The body weights of mice were stable. By the end of the experiment, the liver</td></tr></table>                                                                                                                                                                                                                                                     | Animal Model: | 24 female nude mice (BALB/c, 6-8 weeks, 20-22 g)[1] | Dosage:        | Low dose, 25 mg/kg; median dose, 50 mg/kg; high dose, 100 mg/kg | Administration:  | Intragastric administration; once a day for 14 days | Result: | Significantly inhibited the growth of xenograft MDA-MB-231 cells. The body weights of mice were stable. By the end of the experiment, the liver |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Animal Model:    | 24 female nude mice (BALB/c, 6-8 weeks, 20-22 g)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Dosage:          | Low dose, 25 mg/kg; median dose, 50 mg/kg; high dose, 100 mg/kg                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Administration:  | Intragastric administration; once a day for 14 days                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |
| Result:          | Significantly inhibited the growth of xenograft MDA-MB-231 cells. The body weights of mice were stable. By the end of the experiment, the liver                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |               |                                                     |                |                                                                 |                  |                                                     |         |                                                                                                                                                 |            |                  |                |                          |                  |          |         |                                                                                                                    |



|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                                           |           |           |            |
|--------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|-----------|-----------|------------|
|                    | and spleen weight indexes of mice were slightly increased in parts of the groups, while the kidney weight index was not affected in all dose groups.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                           |           |           |            |
| Solvent&Solubility | <b>细胞实验:</b><br>H2O 中的溶解度 : 50 mg/mL (76.04 mM; 超声助溶)<br><br>DMSO 中的溶解度 : 50 mg/mL (76.04 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                                           |           |           |            |
|                    | <div>Preparing Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | <div>Solvent / Mass / Concentration</div> | 1 mg      | 5 mg      | 10 mg      |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 1 mM                                      | 1.5208 mL | 7.6041 mL | 15.2082 mL |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 5 mM                                      | 0.3042 mL | 1.5208 mL | 3.0416 mL  |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 10 mM                                     | 0.1521 mL | 0.7604 mL | 1.5208 mL  |
|                    | <p>* 请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-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 → 40% PEG300 → 5% Tween-80 → 45% Saline</p> <p>Solubility: ≥ 2.5 mg/mL (3.80 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.5 mg/mL (饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀; 再向上述体系中加入 50 μL Tween-80, 混合均匀; 然后再继续加入 450 μL 生理盐水 定容至 1 mL。</p> <p>生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH<sub>2</sub> O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。</p> <p>方案 二</p> <p>请依序添加每种溶剂: 10% DMSO → 90% Corn Oil</p> <p>Solubility: ≥ 2.5 mg/mL (3.80 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.5 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p> |                                           |           |           |            |
|                    | [1]. Zhang L, et al. Discovery of a small molecule targeting ULK1-modulated cell death of triple negative breast cancer in vitro and in vivo. Chem Sci. 2017 Apr 1;8(4):2687-2701.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                           |           |           |            |
|                    | 参考文献                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                           |           |           |            |



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: shyyw@sina.com

### 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 (sealed storage, away from moisture)。-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/H <sub>2</sub> O | 1 mM             | 1.5208 mL | 7.6041 mL | 15.2082 mL | 38.0205 mL |
|                       | 5 mM             | 0.3042 mL | 1.5208 mL | 3.0416 mL  | 7.6041 mL  |
|                       | 10 mM            | 0.1521 mL | 0.7604 mL | 1.5208 mL  | 3.8021 mL  |
|                       | 15 mM            | 0.1014 mL | 0.5069 mL | 1.0139 mL  | 2.5347 mL  |
|                       | 20 mM            | 0.0760 mL | 0.3802 mL | 0.7604 mL  | 1.9010 mL  |
|                       | 25 mM            | 0.0608 mL | 0.3042 mL | 0.6083 mL  | 1.5208 mL  |
|                       | 30 mM            | 0.0507 mL | 0.2535 mL | 0.5069 mL  | 1.2674 mL  |
|                       | 40 mM            | 0.0380 mL | 0.1901 mL | 0.3802 mL  | 0.9505 mL  |
|                       | 50 mM            | 0.0304 mL | 0.1521 mL | 0.3042 mL  | 0.7604 mL  |
|                       | 60 mM            | 0.0253 mL | 0.1267 mL | 0.2535 mL  | 0.6337 mL  |

源叶