

产品名称: HG106  
CAS 号: 928712-10-1  
产品货号: S89906

| 生物活性:                 |                                                                                                                                                                      |                                                                                    |
|-----------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------|
| Description           | HG106 is an effective SLC7A11 inhibitor. HG106 mediates apoptosis by increasing oxidative stress and endoplasmic reticulum stress, and it has antitumor activity[1]. |                                                                                    |
| IC50 & Target         | SLC7A11                                                                                                                                                              |                                                                                    |
| In Vitro              | HG106 (1.25-10 μM, 3 min) 呈浓度依赖性的抑制 [14C] 胱氨酸消耗和谷胱甘肽的生成[1]。                                                                                                          |                                                                                    |
|                       | HG106 (0.1-100 μM, 72 h) 对 KRAS 突变系细胞具有更强的细胞毒性作用[1]。                                                                                                                 |                                                                                    |
|                       | HG106 (0-10 μM, 6 h) 剂量依赖性地增加了 A549 细胞的总 ROS 水平[1]。                                                                                                                  |                                                                                    |
|                       | HG106 (0-5 μM, 24h) 在 A549 细胞中引起线粒体功能障碍和内质网应激[1]。                                                                                                                    |                                                                                    |
|                       | HG106 (0-10 μM, 72 h) 显著诱导 KRAS 突变体 LUAD 细胞凋亡，抑制集落形成[1]。                                                                                                             |                                                                                    |
|                       | Cell Viability Assay[1]                                                                                                                                              |                                                                                    |
|                       | Cell Line:                                                                                                                                                           | H441 KRAS(G12V), HPNE, HPNE/KRAS                                                   |
|                       | Concentration:                                                                                                                                                       | 0.1, 1, 10, 100 μM                                                                 |
|                       | Incubation Time:                                                                                                                                                     | 72 h                                                                               |
|                       | Result:                                                                                                                                                              | Inhibited cell proliferation, with greater effects on KRAS mutant cell lines.      |
|                       | Western Blot Analysis[1]                                                                                                                                             |                                                                                    |
|                       | Cell Line:                                                                                                                                                           | A549                                                                               |
|                       | Concentration:                                                                                                                                                       | 0, 1.25, 2.5, 5 μM                                                                 |
|                       | Incubation Time:                                                                                                                                                     | 24 h                                                                               |
|                       | Result:                                                                                                                                                              | Increased activation of ER stress-related markers IRE1α, PERK and GRP78.           |
| Apoptosis Analysis[1] |                                                                                                                                                                      |                                                                                    |
| Cell Line:            | KRAS mutant LUAD                                                                                                                                                     |                                                                                    |
| Concentration:        | 0, 1.25, 2.5, 5, 10; 0, 0.5, 1, 2 μM                                                                                                                                 |                                                                                    |
| Incubation Time:      | 72 h                                                                                                                                                                 |                                                                                    |
| Result:               | Did not cause cell autophagy, induced cell apoptosis, and inhibited colony formation.                                                                                |                                                                                    |
| In Vivo               | HG106 (0-4 mg/kg, 每天一次, 腹腔注射, 26 天) 抑制 A549 移植小鼠模型的肿瘤生长[1]。                                                                                                          |                                                                                    |
|                       | HG106 (0-4 mg/kg, 每天一次, 腹腔注射, 20 天) 在异种移植模型中抑制小鼠肿瘤生长，并通过触发内质膜应激诱导细胞凋亡[1]。                                                                                            |                                                                                    |
|                       | Animal Model:                                                                                                                                                        | A549 mouse transplant model[1]                                                     |
|                       | Dosage:                                                                                                                                                              | 0, 1, 2, 4 mg/kg; daily; 26 days                                                   |
|                       | Administration:                                                                                                                                                      | Intraperitoneal injection (i.p.)                                                   |
|                       | Result:                                                                                                                                                              | Inhibited tumor growth and prolonged the inhibition time of tumor growth.          |
|                       | Animal Model:                                                                                                                                                        | LUAD patient-derived xenograft (PDX) models harboring the G12V mutation in KRAS[1] |
| Dosage:               | 0, 1, 2, 4 mg/kg; daily; 20 days                                                                                                                                     |                                                                                    |
| Administration:       | Intraperitoneal injection (i.p.)                                                                                                                                     |                                                                                    |



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|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------|--------------|--------------|
|                                                                                                                                                                                                                                | <b>Result:</b>                                                                                                                                                           | Inhibited tumor growth, increased ROS generation and TUNEL signal in patient-derived xenografts validated that HG106 triggered endoplasmic membrane stress-induced apoptosis in vivo. |             |              |              |
| <b>Solvent&amp;Solubility</b>                                                                                                                                                                                                  | <b>细胞实验:</b><br>DMSO 中的溶解度 : 125 mg/mL (394.65 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)                                                                            |                                                                                                                                                                                       |             |              |              |
|                                                                                                                                                                                                                                | <b>Preparing Stock Solutions</b>                                                                                                                                         | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>                                                                                                                  | <b>1 mg</b> | <b>5 mg</b>  | <b>10 mg</b> |
|                                                                                                                                                                                                                                |                                                                                                                                                                          | 1 mM                                                                                                                                                                                  | 3.1572 mL   | 15.7858 mL   | 31.5716 mL   |
|                                                                                                                                                                                                                                |                                                                                                                                                                          | 5 mM                                                                                                                                                                                  | 0.6314 mL   | 3.1572 mL    | 6.3143 mL    |
|                                                                                                                                                                                                                                |                                                                                                                                                                          | 10 mM                                                                                                                                                                                 | 0.3157 mL   | 1.5786 mL    | 3.1572 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°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and 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。                  |                                                                                                                                                                          |                                                                                                                                                                                       |             |              |              |
| <b>参考文献</b>                                                                                                                                                                                                                    | [1]. Hu K, et al. Suppression of the SLC7A11/glutathione axis causes synthetic lethality in KRAS-mutant lung adenocarcinoma. J Clin Invest. 2020 Apr 1;130(4):1752-1766. |                                                                                                                                                                                       |             |              |              |
| <b>完整储备液配制表:</b><br><br>* 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 and 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。 |                                                                                                                                                                          |                                                                                                                                                                                       |             |              |              |
| <b>可选溶剂</b>                                                                                                                                                                                                                    | <div><div>质量</div><div>溶剂体积</div><div>浓度</div></div>                                                                                                                     | <b>1 mg</b>                                                                                                                                                                           | <b>5 mg</b> | <b>10 mg</b> | <b>25 mg</b> |
| DMSO                                                                                                                                                                                                                           | 1 mM                                                                                                                                                                     | 3.1572 mL                                                                                                                                                                             | 15.7858 mL  | 31.5716 mL   | 78.9291 mL   |
|                                                                                                                                                                                                                                | 5 mM                                                                                                                                                                     | 0.6314 mL                                                                                                                                                                             | 3.1572 mL   | 6.3143 mL    | 15.7858 mL   |
|                                                                                                                                                                                                                                | 10 mM                                                                                                                                                                    | 0.3157 mL                                                                                                                                                                             | 1.5786 mL   | 3.1572 mL    | 7.8929 mL    |
|                                                                                                                                                                                                                                | 15 mM                                                                                                                                                                    | 0.2105 mL                                                                                                                                                                             | 1.0524 mL   | 2.1048 mL    | 5.2619 mL    |
|                                                                                                                                                                                                                                | 20 mM                                                                                                                                                                    | 0.1579 mL                                                                                                                                                                             | 0.7893 mL   | 1.5786 mL    | 3.9465 mL    |
|                                                                                                                                                                                                                                | 25 mM                                                                                                                                                                    | 0.1263 mL                                                                                                                                                                             | 0.6314 mL   | 1.2629 mL    | 3.1572 mL    |
|                                                                                                                                                                                                                                | 30 mM                                                                                                                                                                    | 0.1052 mL                                                                                                                                                                             | 0.5262 mL   | 1.0524 mL    | 2.6310 mL    |
|                                                                                                                                                                                                                                | 40 mM                                                                                                                                                                    | 0.0789 mL                                                                                                                                                                             | 0.3946 mL   | 0.7893 mL    | 1.9732 mL    |
|                                                                                                                                                                                                                                | 50 mM                                                                                                                                                                    | 0.0631 mL                                                                                                                                                                             | 0.3157 mL   | 0.6314 mL    | 1.5786 mL    |
|                                                                                                                                                                                                                                | 60 mM                                                                                                                                                                    | 0.0526 mL                                                                                                                                                                             | 0.2631 mL   | 0.5262 mL    | 1.3155 mL    |
|                                                                                                                                                                                                                                | 80 mM                                                                                                                                                                    | 0.0395 mL                                                                                                                                                                             | 0.1973 mL   | 0.3946 mL    | 0.9866 mL    |



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|--|--------|-----------|-----------|-----------|-----------|
|  | 100 mM | 0.0316 mL | 0.1579 mL | 0.3157 mL | 0.7893 mL |
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