



上海源叶生物科技有限公司  
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产品名称: **ICG-001**  
CAS 号: **780757-88-2**  
产品货号: **S50725**

**生物活性:**

|                          |                                                                                                                                                                         |                  |              |                                                                                                                                                                                                          |
|--------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Description</b>       | ICG-001 是 $\beta$ -catenin/TCF 介导的转录抑制剂。ICG-001 特异性结合 CREB 蛋白起作用, IC <sub>50</sub> 为 3 $\mu$ M。ICG-001 选择性地阻断 $\beta$ -catenin/CBP 相互作用而不干扰 $\beta$ -catenin/p300 相互作用。 |                  |              |                                                                                                                                                                                                          |
| <b>IC50 &amp; Target</b> | IC <sub>50</sub> : 3 $\mu$ M (CBP)                                                                                                                                      |                  |              |                                                                                                                                                                                                          |
| <b>Cellular Effect</b>   | <b>Cell Line</b>                                                                                                                                                        | <b>Type</b>      | <b>Value</b> | <b>Description</b>                                                                                                                                                                                       |
|                          | A549                                                                                                                                                                    | GI <sub>50</sub> | 6.1 $\mu$ M  | Antiproliferative activity against human A549 cells after 72 hrs by MTT assay                                                                                                                            |
|                          | HCT-116                                                                                                                                                                 | IC <sub>50</sub> | 38 $\mu$ M   | Antiproliferative activity against human HCT-116 cells assessed as cell growth inhibition measured after 72 hrs by MTS assay                                                                             |
|                          | HCT-116                                                                                                                                                                 | IC <sub>50</sub> | 38 $\mu$ M   | Antiproliferative activity against beta-catenin signalling overexpressing human HCT-116 cells assessed as reduction in cell growth incubated for 72 hrs by MTS assay                                     |
|                          | HepG2                                                                                                                                                                   | GI <sub>50</sub> | 12.7 $\mu$ M | Antiproliferative activity against human HepG2 cells after 72 hrs by MTT assay                                                                                                                           |
|                          | HT-29                                                                                                                                                                   | IC <sub>50</sub> | 10.8 $\mu$ M | Cytotoxicity against human HT-29 cells assessed as cell viability at 1.563 to 50 $\mu$ M measured after 72 hrs by plate reader method                                                                    |
|                          | HT-29                                                                                                                                                                   | GI <sub>50</sub> | 17.2 $\mu$ M | Antiproliferative activity against human HT-29 cells after 72 hrs by MTT assay                                                                                                                           |
|                          | HT-29                                                                                                                                                                   | IC <sub>50</sub> | 18.7 $\mu$ M | Inhibition of Wnt signaling in human HT29 cells assessed as inhibition of beta-catenin-mediated Tcf/Lef transcriptional activity after 24 hrs by dual luciferase reporter gene assay relative to control |
|                          | LoVo                                                                                                                                                                    | GI <sub>50</sub> | 15.6 $\mu$ M | Antiproliferative activity against human LoVo cells after 72 hrs by MTT assay                                                                                                                            |
|                          | MCF-10A                                                                                                                                                                 | IC <sub>50</sub> | 21 $\mu$ M   | Cytotoxicity against human MCF-10A cells assessed as cell growth inhibition measured after 72 hrs by MTS assay                                                                                           |
|                          | MCF-10A                                                                                                                                                                 | IC <sub>50</sub> | 21 $\mu$ M   | Cytotoxicity against human MCF-10A cells assessed as reduction in cell growth incubated for 72 hrs by MTS assay                                                                                          |
|                          | MDA-MB-231                                                                                                                                                              | IC <sub>50</sub> | 7.2 $\mu$ M  | Antiproliferative activity against human MDA-MB-231 cells assessed as cell growth inhibition measured after 72 hrs by MTS assay                                                                          |
|                          | MDA-MB-231                                                                                                                                                              | IC <sub>50</sub> | 7.2 $\mu$ M  | Antiproliferative activity against beta-catenin signalling overexpressing human MDA-MB-231 cells assessed as reduction in cell growth incubated for 72 hrs by MTS assay                                  |
|                          | MDA-MB-468                                                                                                                                                              | IC <sub>50</sub> | 31 $\mu$ M   | Antiproliferative activity against human MDA-MB-468 cells assessed as cell growth inhibition measured after 72 hrs by MTS assay                                                                          |
|                          | MDA-MB                                                                                                                                                                  | IC <sub>50</sub> | 31 $\mu$ M   | Antiproliferative activity against beta-catenin signalling                                                                                                                                               |



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|--------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------|-------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|                    | -468                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                   |             | overexpressing human MDA-MB-468 cells assessed as reduction in cell growth incubated for 72 hrs by MTS assay                                                       |
|                    | SW480                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | IC <sub>50</sub>                  | 1.3 μM      | Inhibition of CBP binding to beta-casein in human SW480 cells by immunoblot analysis                                                                               |
|                    | SW480                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | IC <sub>50</sub>                  | 6.1 μM      | Antiproliferative activity against human SW480 cells assessed as cell growth inhibition measured after 72 hrs by MTS assay                                         |
|                    | SW480                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | IC <sub>50</sub>                  | 6.1 μM      | Antiproliferative activity against beta-catenin signalling overexpressing human SW480 cells assessed as reduction in cell growth incubated for 72 hrs by MTS assay |
| In Vitro           | ICG-001 (5 μM) 在 MCF7 细胞中抑制瘦素诱导的 EMT、侵袭和肿瘤球形成[1]。ICG-001 可以在表型上挽救早老素 1 突变细胞中正常神经生长因子 (NGF) 诱导的神经元分化和神经元向外生长, 强调了 TCF/β-catenin 信号通路对神经突向外生长和神经元分化的重要性[2]。ICG-001 (25 μM) 处理可降低 SW480 细胞中生存素和细胞周期蛋白 D1 RNA 和蛋白质的稳态水平, 这两者均可被 β-连环蛋白上调。ICG-001 在转化细胞而非正常结肠细胞中选择性诱导细胞凋亡, 并减少结肠癌细胞的体外生长[3]。                                                                                                                                                                                                                                                        |                                   |             |                                                                                                                                                                    |
| In Vivo            | ICG-001 (每天 5 mg/kg) 显著抑制小鼠的 β-连环蛋白信号传导, 同时保护上皮细胞[2]。施用 ICG-001 的水溶性类似物 9 周后, 结肠和小肠息肉的形成减少了 42%, 与非甾体类抗炎药 MK-231 一样有效, 后者在该模型中一直显示出疗效。ICG-001 (150 mg/kg, 静脉注射) 在 SW620 裸鼠肿瘤消退异种移植模型中显示, 在 19 天的处理过程中肿瘤体积显著减少, 没有死亡或体重减轻[3]。                                                                                                                                                                                                                                                                                                                   |                                   |             |                                                                                                                                                                    |
| Solvent&Solubility | <b>细胞实验:</b><br>DMSO 中的溶解度 : ≥ 50 mg/mL (91.14 mM; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)<br>* "≥" means soluble, but saturation unknown                                                                                                                                                                                                                                                                                                                                                                                                         |                                   |             |                                                                                                                                                                    |
|                    | <b>Preparing Stock Solutions</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | <b>Solvent Mass Concentration</b> | <b>1 mg</b> | <b>5 mg</b>                                                                                                                                                        |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | 1 mM                              | 1.8227 mL   | 9.1136 mL                                                                                                                                                          |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | 5 mM                              | 0.3645 mL   | 1.8227 mL                                                                                                                                                          |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | 10 mM                             | 0.1823 mL   | 0.9114 mL                                                                                                                                                          |
|                    | *请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。<br>储备液的保存方式和期限: -80°C, 2 years; -20°C, 1 year。-80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。<br><b>动物实验:</b><br>请根据您的 实验动物和给药方式 选择适当的溶解方案。<br>以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:<br>——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用;<br>以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶<br>方案 一<br>请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline<br>Solubility: ≥ 2.5 mg/mL (4.56 mM); 澄清溶液<br>此方案可获得 ≥ 2.5 mg/mL (饱和度未知) 的澄清溶液。 |                                   |             |                                                                                                                                                                    |



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|---------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|-------|-------|-------|-------|------|--|--|--|--|----|--|--|--|--|
|                                                                                                                                                   | <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 <math>\mu</math>L PEG300 中, 混合均匀; 再向上述体系中加入 50 <math>\mu</math>L Tween-80, 混合均匀; 然后再继续加入 450 <math>\mu</math>L 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 <math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in Saline)</p> <p>Solubility: 2.5 mg/mL (4.56 mM); 悬浊液; 超声加热助溶</p> <p>此方案可获得 2.5 mg/mL 的均匀悬浊液, 悬浊液可用于口服和腹腔注射。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液 中, 混合均匀。</p> <p>2 g SBE-<math>\beta</math>-CD (磺丁基醚 <math>\beta</math>-环糊精) 粉末定容于 10 mL 的生理盐水中, 完全溶解至澄清透明。</p> <p>方案 三</p> <p>请依序添加每种溶剂: 10% DMSO <math>\rightarrow</math> 90% Corn Oil</p> <p>Solubility: <math>\geq</math> 2.5 mg/mL (4.56 mM); 澄清溶液</p> <p>此方案可获得 <math>\geq</math> 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 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p> <p>以下溶解方案, 请直接配制工作液。建议现用现配, 在短期内尽快用完。 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: 15% Cremophor EL <math>\rightarrow</math> 85% Saline</p> <p>Solubility: 1.67 mg/mL (3.04 mM); 悬浊液; 超声助溶</p> <p>方案 二</p> <p>请依序添加每种溶剂: 17% Solutol HS-15 in Saline</p> <p>Solubility: 1.67 mg/mL (3.04 mM); 悬浊液; 超声助溶</p> |      |       |       |       |       |      |  |  |  |  |    |  |  |  |  |
| 参考文献                                                                                                                                              | <p>[1]. Yan D, et al, Leptin-induced epithelial-mesenchymal transition in breast cancer cells requires <math>\beta</math>-catenin activation via Akt/GSK3- and MTA1/Wnt1 protein-dependent pathways. J Biol Chem, 2012, 287(11), 8598-8612.</p> <p>[2]. Henderson WR Jr, et al, Inhibition of Wnt/beta-catenin/CREB binding protein (CBP) signaling reverses pulmonary fibrosis. Proc Natl Acad Sci USA, 2010, 107(32), 14309-14314.</p> <p>[3]. Emami KH, et al. A small molecule inhibitor of beta-catenin/CREB-binding protein transcription [corrected]. Proc Natl Acad Sci USA, 2004, 101(34), 12682-12687.</p> <p>[4]. Liu Y, et al. ICG-001 suppresses growth of gastric cancer cells and reduces chemoresistance of cancer stem cell-like population. J Exp Clin Cancer Res. 2017 Sep 11;36(1):125.</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, 2 years; -20°C, 1 year. -80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |      |       |       |       |       |      |  |  |  |  |    |  |  |  |  |
| 可选溶剂                                                                                                                                              | <table><tr><td>质量</td><td>1 mg</td><td>5 mg</td><td>10 mg</td><td>25 mg</td></tr><tr><td>溶剂体积</td><td></td><td></td><td></td><td></td></tr><tr><td>浓度</td><td></td><td></td><td></td><td></td></tr></table>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | 质量   | 1 mg  | 5 mg  | 10 mg | 25 mg | 溶剂体积 |  |  |  |  | 浓度 |  |  |  |  |
| 质量                                                                                                                                                | 1 mg                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            | 5 mg | 10 mg | 25 mg |       |       |      |  |  |  |  |    |  |  |  |  |
| 溶剂体积                                                                                                                                              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |      |       |       |       |       |      |  |  |  |  |    |  |  |  |  |
| 浓度                                                                                                                                                |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |      |       |       |       |       |      |  |  |  |  |    |  |  |  |  |



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|------|-------|-----------|-----------|------------|------------|
| DMSO | 1 mM  | 1.8227 mL | 9.1136 mL | 18.2272 mL | 45.5681 mL |
|      | 5 mM  | 0.3645 mL | 1.8227 mL | 3.6454 mL  | 9.1136 mL  |
|      | 10 mM | 0.1823 mL | 0.9114 mL | 1.8227 mL  | 4.5568 mL  |
|      | 15 mM | 0.1215 mL | 0.6076 mL | 1.2151 mL  | 3.0379 mL  |
|      | 20 mM | 0.0911 mL | 0.4557 mL | 0.9114 mL  | 2.2784 mL  |
|      | 25 mM | 0.0729 mL | 0.3645 mL | 0.7291 mL  | 1.8227 mL  |
|      | 30 mM | 0.0608 mL | 0.3038 mL | 0.6076 mL  | 1.5189 mL  |
|      | 40 mM | 0.0456 mL | 0.2278 mL | 0.4557 mL  | 1.1392 mL  |
|      | 50 mM | 0.0365 mL | 0.1823 mL | 0.3645 mL  | 0.9114 mL  |
|      | 60 mM | 0.0304 mL | 0.1519 mL | 0.3038 mL  | 0.7595 mL  |
|      | 80 mM | 0.0228 mL | 0.1139 mL | 0.2278 mL  | 0.5696 mL  |



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