ICG-001

Cat. No.: HY-14428
CAS No.: 780757-88-2
Molecular Formula: \( \text{C}_{33}\text{H}_{32}\text{N}_{4}\text{O}_{4} \)
Molecular Weight: 548.63
Target: \( \beta \)-catenin; Apoptosis
Pathway: Stem Cell/Wnt; Apoptosis
Storage: Powder -20°C 3 years
\( \text{H}_{2}\text{O} \): < 0.1 mg/mL (insoluble)

SOLVENT & SOLUBILITY

In Vitro

DMSO : \( \geq 50\) mg/mL (91.14 mM)
\( \text{H}_{2}\text{O} \) : < 0.1 mg/mL (insoluble)
* "\( \geq \)" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8227 mL</td>
<td>9.1136 mL</td>
<td>18.2272 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3645 mL</td>
<td>1.8227 mL</td>
<td>3.6454 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1823 mL</td>
<td>0.9114 mL</td>
<td>1.8227 mL</td>
<td></td>
</tr>
</tbody>
</table>

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: \( \geq 2.5\) mg/mL (4.56 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-\( \beta \)-CD in saline)
   Solubility: 2.5 mg/mL (4.56 mM); Suspended solution; Need ultrasonic and warming

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: \( \geq 2.5\) mg/mL (4.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ICG-001 is an inhibitor of \( \beta \)-catenin/TCF mediated transcription. ICG-001 works by specifically binding to cyclic AMP response element-binding protein with an \( \text{IC}_{50} \) of 3 \( \mu \)M. ICG-001 selectively blocks the \( \beta \)-catenin/CBP interaction without interfering with the \( \beta \)-catenin/p300 interaction.
**IC₅₀ & Target**

| IC₅₀ & Target | IC50: 3 μM (CBP) |

**In Vitro**

ICG-001 (5μM) inhibits leptin-induced EMT, invasion and tumorsphere formation in MCF7 cells[1]. ICG-001 can phenotypically rescue normal nerve growth factor (NGF)-induced neuronal differentiation and neurite outgrowth in the presenilin-1 mutant cells, emphasizing the importance of the TCF/β-catenin signaling pathway on neurite outgrowth and neuronal differentiation[2]. ICG-001 (25μM) treatment reduces the steady-state levels of Survivin and Cyclin D1 RNA and protein in SW480 cells, both of which can be up-regulated by β-catenin. ICG-001 selectively induces apoptosis in transformed cells but not in normal colon cells, and reduces in vitro growth of colon carcinoma cells[3].

**In Vivo**

ICG-001 (5 mg/kg per day) significantly inhibits beta-catenin signaling in mice, while concurrently preserving the epithelium[2]. Administration of a water-soluble analog of ICG-001 for 9 weeks reduces the formation of colon and small intestinal polyps by 42% as effectively as the nonsteroidal antiinflammatory agent MK-231, which has consistently demonstrated efficacy in this model. ICG-001 (150 mg/kg, i.v.) demonstrates a dramatic reduction in tumor volume over the 19-day course of treatment, with no mortality or weight loss in the SW620 nude mouse xenograft model of tumor regression[3].

**PROTOCOL**

**Cell Assay** [2]

To evaluate effects of ICG-001 on α-SMA and collagen type 1 expression, RLE-6TN cells are treated with TGF-β1 (0.25 ng/mL) in the presence or absence of ICG-001 (5.0 μM). After 24 h, cells are harvested and mRNA isolated for analysis by qPCR. RNA is reverse-transcribed using SuperScript reverse transcriptase. Quantitative PCR is performed with SYBR-Green PCR using Real-Time PCR System HT7900. The amplification protocol is set as follows: 95°C denaturation for 10 min followed by 40 cycles of 15-s denaturation at 95°C, 1 min of annealing/extension, and data collection at 60°C.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration** [3]

Seven-week-old male C57BL/6J-ApcMin/+ and WT C57BL/6J mice are treated orally for 9 weeks with ICG-001a (300 mg/kg per day) or vehicle (1% carboxymethylcellulose), once daily, six times per week. MK-231 is administered in drinking water (160 ppm, dissolved in 8 mM Na₂PO₄ buffer, pH 7.6). At 16 weeks, the polyp number is counted manually by using a dissecting microscope.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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**REFERENCES**

[1]. Yan D, et al, Leptin-induced epithelial-mesenchymal transition in breast cancer cells requires β-catenin activation via Akt/GSK3- and MTA1/Wnt1

