3,3’-Diindolylmethane

Cat. No.: HY-15758
CAS No.: 1968-05-4
Molecular Formula: C₁₇H₁₄N₂
Molecular Weight: 246.31
Target: Androgen Receptor; Autophagy
Pathway: Others; Autophagy
Storage: 4°C, protect from light, stored under nitrogen

* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)

**SOLVENT & SOLUBILITY**

**In Vitro**

DMSO: ≥ 100 mg/mL (405.99 mM)
H₂O: < 0.1 mg/mL (insoluble)

“≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>4.0599 mL</td>
<td>20.2996 mL</td>
<td>40.5992 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.8120 mL</td>
<td>4.0599 mL</td>
<td>8.1198 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.4060 mL</td>
<td>2.0300 mL</td>
<td>4.0599 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (10.15 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.15 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

3,3’-Diindolylmethane is a strong, pure androgen receptor (AR) antagonist.

**IC₅₀ & Target**

Androgen receptor[¹]

**In Vitro**

3,3’-Diindolylmethane (DIM) is a strong antagonist of androgen receptor (AR) function but exhibits less than obvious
structural similarity to the endogenous AR ligand, dihydrotestosterone (DHT). 3,3'-Diindolylmethane is a major digestive product of indole-3-carbinol, a potential anticancer component of cruciferous vegetables. 3,3'-Diindolylmethane exhibits potent antiproliferative and antiandrogenic properties in androgen-dependent human prostate cancer cells. 3,3'-Diindolylmethane suppresses cell proliferation of LNCaP cells and inhibits DHT stimulation of DNA synthesis. Moreover, 3,3'-Diindolylmethane inhibits endogenous PSA transcription and reduced intracellular and secreted PSA protein levels induced by DHT in LNCaP cells. Also, 3,3'-Diindolylmethane inhibits, in a concentration-dependent manner, the DHT-induced expression of a prostate-specific antigen promoter-regulated reporter gene construct in transiently transfected LNCaP cells. Co-treatment with 50 μM 3,3'-Diindolylmethane partially inhibits the translocation of AR induced by DHT treatment and showed distribution of the AR to be both cytoplasmic and nuclear. Furthermore, 3,3'-Diindolylmethane treatment prevents the formation of AR foci in the nucleus. 3,3'-Diindolylmethane alone produces a predominantly cytoplasmic distribution of fluorescence.

**In Vivo**

Mice are randomized into two groups and are treated daily s.c. with either vehicle or 3,3'-Diindolylmethane (10 mg/kg) for 30 days. Tumor volume and the weight of mice are recorded once every 3 days using calipers. 3,3'-Diindolylmethane (DIM) treatment resulted in a marked inhibition of SNU-484 xenograft tumor growth. Notably, the body weight of mice from both groups did not significantly differ from the vehicle control following 30 days of drug exposure, suggesting that 3,3'-Diindolylmethane has no severe toxicity to the mice. Taken together, these findings demonstrate that 3,3'-Diindolylmethane administration significantly inhibited SNU-484 xenograft growth in vivo mediated by the inactivation of YAP.

**PROTOCOL**

**Cell Assay**

The human prostate adenocarcinoma cell lines LNCaP-FGC and PC-3 are grown as adherent monolayers in 10% FBS-DMEM, supplemented with 4.0 g/L glucose and 3.7 g/L sodium bicarbonate in a humidified incubator at 37°C and 5% CO₂, and passaged at ~80% confluency. Cultures used in subsequent experiments are at less than 40 passages. Cells grown in stripped conditions are in 5% DCC-FBS-DMEM base supplemented with 4 g/L glucose, 3.7 g/L sodium bicarbonate, and 0.293 g/L L-glutamine. Before the beginning of the treatments, cells are depleted of androgen for 4-7 days in medium composed of DMEM base without phenol red and with 4 g/L glucose and 3.7 g/L sodium bicarbonate. During the depletion period, medium is changed every 48 h. Treatments are administered by the addition of 1 μL of a 1,000-fold concentrated solution of 3,3'-Diindolylmethane in Me₂SO/mL of medium. Once the treatment period started, medium is changed daily to counter possible loss of readily metabolized compounds. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**

Four-week-old female SPF/VAF immunodeficient mice are injected subcutaneously (s.c.) into the right flank with 0.1 mL Matrigel containing 3.5×10⁶ human gastric cancer cells (SNU-484). The mice are randomized into 2 groups 1 week after tumor implantation: i) the untreated control group (n=5, DMSO in 50 μL PBS daily) and ii) the 3,3'-Diindolylmethane-treated group (n=5, 10 mg/kg in 50 μL PBS once daily). Gastric primary tumors are excised, and the final tumor volume is measured once every 3 days using a caliper and calculated as (width)²×length/2. The experiment is terminated on day 39. Half of the tumor tissue is prepared for western blotting and the other half is snap frozen in liquid nitrogen and stored at ~80°C. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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