

Product Data Sheet

Product Name: DIM-C-pPhOH

Cat. No.: GC38772

Chemical Properties

Cas. No. 151358-47-3

SMILES OC1=CC=C(C(C2=CNC3=C2C=CC=C3)C4=CNC5=C4C=CC=C5)C=C1

Formula $C_{23}H_{18}N_2O$

M.Wt 338.4

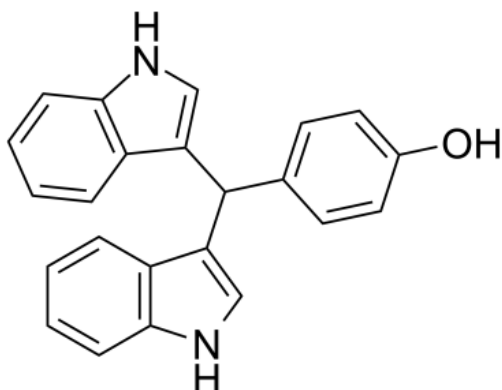
Solubility DMSO: 250 mg/mL (738.77 mM)

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines RKO and SW480 cells (human colon cancer cell lines)

RKO and SW480 cells were maintained in appropriate medium supplemented Preparation Method with 10% fetal bovine serum. Cells were treated with DIM-C-pPhOH at 10-25 μ M for 24-72 hours.

Reaction Conditions 10-25 μ M; 24-72h

Applications DIM-C-pPhOH significantly inhibited colon cancer cell growth with IC₅₀ values of 21.2 μ M (RKO) and induced apoptosis, as evidenced by cleavage of caspases 3/7 and PARP. DIM-C-pPhOH also decreased expression of survivin and other Sp-regulated genes and inhibited mTOR signaling in p53-positive RKO cells.

Animal experiment [2]:

Animal models Sprague-Dawley rats

Inflammatory pain was induced in rats by intraplantar injection of complete Preparation Method Freund's adjuvant (CFA; 100 μ l). DIM-C-pPhOH (10mg/kg; single injection) was administered via intrathecal injection.

Dosage form 10mg/ml; intrathecal injection; Single injection.

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Applications

DIM-C-pPhOH significantly alleviated CFA-induced mechanical allodynia and thermal hyperalgesia in rats by inhibiting NR4A1 activity in spinal glial cells, attenuating ferroptosis and neuroinflammation, and reducing the release of pro-inflammatory cytokines in the spinal cord.

References:

- [1] Lee SO, Li X, Hedrick E, et al. Diindolylmethane analogs bind NR4A1 and are NR4A1 antagonists in colon cancer cells. *Mol Endocrinol*. 2014 Oct;28(10):1729-39.
- [2] Deng Y, Xuan R, Qiu Z, et al. Nuclear receptor 4A1 facilitates complete Freund's adjuvant-induced inflammatory pain in rats by promoting ferroptosis in spinal glial cells. *Brain Behav Immun*. 2025 Mar;125:92-109.

Background

DIM-C-pPhOH is a nuclear receptor 4A1 (NR4A1) antagonist that induces apoptosis and cellular stress^[1-2]. Given that NR4A1 is a therapeutic target in cancer, DIM-C-pPhOH is primarily used in cancer-related research^[3-4].

In vitro, DIM-C-pPhOH (15–20 μ M) was used to treat MDA-MB-231 and SKBR3 breast cancer cells for 24 hours. DIM-C-pPhOH significantly downregulated β 1-integrin and TXNDC5 protein expression, while upregulating the levels of p21, SERPINB5, and GADD45a proteins, thereby inhibiting cell growth and migration and enhancing apoptosis. No significant toxicity was observed in non-cancerous MCF10A cells^[5]. DIM-C-pPhOH (10–25 μ M) was used to treat colon cancer cell lines RKO and SW480 for 24–72 hours. DIM-C-pPhOH directly binds to the ligand-binding domain of NR4A1 (K_D = 0.11 μ M), inhibits NR4A1-dependent transcriptional activity, reduces the expression of Sp1-regulated genes such as Survivin, Id1, and PTTG, induces caspase-3/7 and PARP cleavage, and suppresses the mTOR signaling pathway via the p53/sestrin2/AMPK α axis in mitochondrial function^[6].

In vivo, DIM-C-pPhOH (5mg/ml; administered via nasal inhalation for 30 minutes; every other day for 4 weeks) was used to treat BALB/c nude mice with lung metastasis induced by tail vein injection of A549 cells. DIM-C-pPhOH significantly inhibited lung tumor growth and the number of metastatic

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nodules, enhanced the chemotherapeutic efficacy of docetaxel (10mg/kg; intravenous injection; twice a week), and reduced VEGF expression and microvascular density in lung tissue^[7]. DIM-C-pPhOH (10mg/kg; administered via intrathecal injection) was used to treat a rat model of inflammatory pain induced by complete Freund's adjuvant (CFA; 100 µl). DIM-C-pPhOH significantly alleviated CFA-induced mechanical allodynia and thermal hyperalgesia by inhibiting the activity of nuclear receptor NR4A1 in spinal glial cells^[8].

References:

- [1] Luo Q, Tang Y, Jiang Z, et al. hUCMSCs reduce theca interstitial cells apoptosis and restore ovarian function in premature ovarian insufficiency rats through regulating NR4A1-mediated mitochondrial mechanisms. *Reprod Biol Endocrinol*. 2022 Aug 19;20(1):125.
- [2] Lee SO, Jin UH, Kang JH, et al. The orphan nuclear receptor NR4A1 (Nur77) regulates oxidative and endoplasmic reticulum stress in pancreatic cancer cells. *Mol Cancer Res*. 2014 Apr;12(4):527-538.
- [3] Hedrick E, Lee SO, Kim G, et al. Nuclear Receptor 4A1 (NR4A1) as a Drug Target for Renal Cell Adenocarcinoma. *PLoS One*. 2015 Jun 2;10(6):e0128308.
- [4] Lacey A, Hedrick E, Li X, et al. Nuclear receptor 4A1 (NR4A1) as a drug target for treating rhabdomyosarcoma (RMS). *Oncotarget*. 2016 May 24;7(21):31257-69.
- [5] Hedrick E, Li X, Cheng Y, et al. Potent inhibition of breast cancer by bis-indole-derived nuclear receptor 4A1 (NR4A1) antagonists. *Breast Cancer Res Treat*. 2019 Aug;177(1):29-40.
- [6] Lee SO, Li X, Hedrick E, et al. Diindolylmethane analogs bind NR4A1 and are NR4A1 antagonists in colon cancer cells. *Mol Endocrinol*. 2014 Oct;28(10):1729-39.
- [7] Andey T, Patel A, Jackson T, et al. 1,1-Bis (3'-indolyl)-1-(p-substitutedphenyl)methane compounds inhibit lung cancer cell and tumor growth in a metastasis model. *Eur J Pharm Sci*. 2013 Oct 9;50(2):227-41.
- [8] Deng Y, Xuan R, Qiu Z, et al. Nuclear receptor 4A1 facilitates complete Freund's adjuvant-induced inflammatory pain in rats by promoting ferroptosis in spinal glial cells. *Brain Behav Immun*. 2025 Mar;125:92-109.

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