

Product Data Sheet

Product Name: DIM-C-pPhCO₂Me

Cat. No.: GC34557

Chemical Properties

Cas. No. 151358-48-4

SMILES COC(=O)C1=CC=C(C(C2=CNC3=CC=CC=C3)C4=CNC5=CC=CC=C5)C=C1)=O

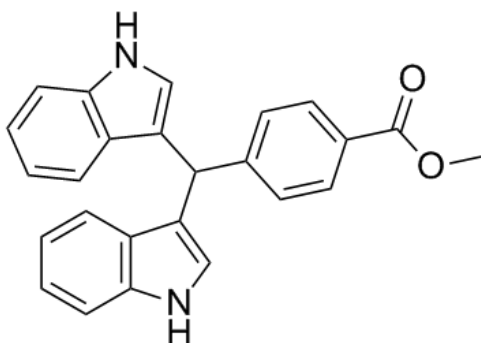
Formula C₂₅H₂₀N₂O₂ M.Wt 380.44

Solubility DMSO : ≥ 125 mg/mL (328.57 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]:

Cell lines 786-O cells

Caution: Product has not been fully validated for medical applications. For research use only.

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786-O cells were cultured in Dulbecco's modified Eagle's medium/Ham's F-12 or RPMI-1460 medium medium supplemented with 10% fetal bovine serum and 1% antibiotics at 37°C in the presence of 5% CO₂. 786-O cells were seeded in 12-well plates at a density of 1.0×10^5 cells/well and cultured for 24 hours to make adherent cells. After incubation for 24 hours, the cells were treated with different concentrations of DIM-C-pPhCO₂Me (7.5, 15, and 20μM) for 24 hours, and DMSO was used as a control. Subsequently, cells were digested with trypsin at the indicated time points, and cell counts were performed.

Reaction Conditions 7.5, 15, and 20μM; 24h

Applications DIM-C-pPhCO₂Me treatment decreased the cell viability of 786-O cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Female athymic nude mice

Female athymic nude mice (6-8 weeks of age) were maintained under specific pathogen clearance (SPF) conditions. Rh30 cells (4×10^6 cells) cultured in RPMI medium containing 10% fetal bovine serum (FBS) were digested, resuspended in 100μl of Matrigel containing phosphate buffer (PBS) (75:25), and subcutaneously implanted into mice. When the tumor volume reached about 40-50mm³, the mice were randomly divided into control group and treatment group (n = 6 each), and were given a placebo or DIM-C-pPhCO₂Me (40mg/kg) by gavage every other day for 20 days. Tumor volume, weight, and body weight of mice were determined.

Dosage form 40mg/kg; every other day for 20 days; p.o.

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Applications DIM-C-pPhCO₂Me treatment significantly suppressed tumor growth in athymic nude mice bearing Rh30 cells as xenografts.

References:

- [1] Hedrick E, Lee S O, Kim G, et al. Nuclear receptor 4A1 (NR4A1) as a drug target for renal cell adenocarcinoma[J]. PloS one, 2015, 10(6): e0128308.
- [2] Lacey A, Hedrick E, Li X, et al. Nuclear receptor 4A1 (NR4A1) as a drug target for treating rhabdomyosarcoma (RMS)[J]. Oncotarget, 2016, 7(21): 31257.

Background

DIM-C-pPhCO₂Me is a nuclear receptor 4A1 (NR4A1) antagonist, with a K_i value of 0.25μM [1]. DIM-C-pPhCO₂Me can inhibit the migration of cancer cells by inhibiting the activity of NR4A1 and increasing the mRNA and protein expression of IL24[2]. DIM-C-pPhCO₂Me has been widely used to inhibit the growth of different cancer cells and induce apoptosis[3].

In vitro, DIM-C-pPhCO₂Me treatment for 24 hours significantly inhibited the proliferation of ACHN cells and 786-O cells with IC₅₀ values of 11.7μM and 13.4μM, respectively[4]. Treatment with 20μM DIM-C-pPhCO₂Me for 48h inhibited Rh30 cell growth and decreased the expression of survivin, bcl-2, cyclin D1, EGFR, and cMyc in cells[5]. Treatment of 20μM DIM-C-pPhCO₂Me for 24h reduced β1-integrin and α5-integrin expression in SW480 cells and inhibited cell adhesion and migration[6].

In vivo, DIM-C-pPhCO₂Me treatment via gavage at a dose of 40mg/kg (every other day for 20 days) inhibited tumor growth in athymic nude mice bearing Rh30 cells as xenografts[5]. A single dose of 2mg/kg DIM-C-pPhCO₂Me administered intratracheally 30min before *E. coli* infection significantly increased mouse survival and global protein levels in bronchoalveolar lavage fluid[7].

References:

- [1] Lee S O, Li X, Hedrick E, et al. Diindolylmethane analogs bind NR4A1 and are NR4A1 antagonists in colon cancer cells[J]. Molecular endocrinology, 2014, 28(10): 1729-1739.
- [2] Lacey A, Hedrick E, Cheng Y, et al. Interleukin-24 (IL24) is suppressed by PAX3-FOXO1 and is a novel therapy for rhabdomyosarcoma[J]. Molecular cancer therapeutics, 2018, 17(12): 2756-2766.
- [3] Wu L, Chen L. Characteristics of Nur77 and its ligands as potential anticancer compounds[J].

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Molecular medicine reports, 2018, 18(6): 4793-4801.

[4] Hedrick E, Lee S O, Kim G, et al. Nuclear receptor 4A1 (NR4A1) as a drug target for renal cell adenocarcinoma[J]. PloS one, 2015, 10(6): e0128308.

[5] Lacey A, Hedrick E, Li X, et al. Nuclear receptor 4A1 (NR4A1) as a drug target for treating rhabdomyosarcoma (RMS)[J]. Oncotarget, 2016, 7(21): 31257.

[6] Hedrick E, Lee S, Safe S. The nuclear orphan receptor NR4A1 regulates β 1-integrin expression in pancreatic and colon cancer cells and can be targeted by NR4A1 antagonists[J]. Molecular carcinogenesis, 2017, 56(9): 2066-2075.

[7] Cui P, Wu S, Xu X, et al. Deficiency of the transcription factor NR4A1 enhances bacterial clearance and prevents lung injury during Escherichia coli pneumonia[J]. Shock, 2019, 51(6): 787-794.

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