

Product Data Sheet

Product Name: Falcarinol

Cat. No.: GC43649

Chemical Properties

Cas. No. 21852-80-2

Chemical Name 1,9Z-heptadecadiene-4,6-diyn-3R-ol

SMILES CCCCCCC/C=C\CC#CC#C[C@H](O)C=C

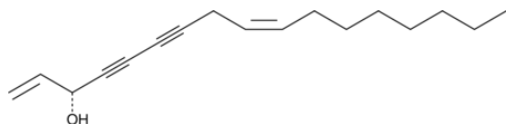
Formula $C_{17}H_{24}O$ M.Wt 244.4

Solubility DMF: 20 mg/ml; DMSO: 30 mg/ml; Storage -20°C, protect from light
DMSO:PBS (pH 7.2) (1:1): 0.5 mg/ml; Ethanol: 20 mg/ml

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 Caco-2 cells

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

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In the in vitro cell-based assays with Falcarinol, falcarindiol, and panaxydol were prepared as stock solutions in 96% ethanol (EtOH). The experimental drugs were diluted in these stock solutions and added to the cell culture medium at Preparation Method final concentrations of 0.001, 0.01, 0.1, 1, 2.5, 5, 10, and 20µg/mL, respectively. The ethanol concentration in the culture medium did not exceed 1%. Carrot extract was also dissolved in 96% ethanol and added to the culture medium at volume fractions of 0.01%, 0.05%, 0.1%, 0.5%, and 1%.

Reaction
Conditions 0.001-20µg/mL; 72h

Applications Falcarinol treatment significantly reduced cell proliferation under basal growth conditions.

Animal experiment [2]:

Animal models CB57BL/6 mice

Three-month-old male CB57BL/6 mice were individually housed in a constant temperature and humidity room with a 12:12 light-dark cycle, fed a standard chow diet, and had free access to water. The phytochemicals were prepared in 100% ethanol and immediately administered with peanut butter. Each dose was refrigerated overnight in a light-proof container. Twice daily for seven days, four groups of mice received peanut butter (166mg ± 0.01) and either 5mg/kg Preparation Method Falcarinol (FA group), 5mg/kg sulforaphane (SF group), or ethanol vehicle. Two control groups were also included: a negative control group (NC group) treated with saline, and a positive control group (PC group) treated with lipopolysaccharide (LPS). To induce an immune response, fasted animals (n=3 per group) in the FA, SF, and PC groups were intraperitoneally injected with 5mg/kg LPS on day 8 and sacrificed four hours later. Plasma was collected by cardiac puncture, and tissues were removed and snap frozen in liquid nitrogen.

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Dosage form 5mg/kg; po; 8d

Applications Falcarinol pretreatment effectively reduced the expression levels of intestinal pro-inflammatory genes.

References:

- [1]. Purup S, Larsen E, Christensen L P. Differential effects of falcarinol and related aliphatic C17-polyacetylenes on intestinal cell proliferation[J]. Journal of agricultural and food chemistry, 2009, 57(18): 8290-8296.
- [2]. Stefanson A L, Bakovic M. Falcarinol Is a Potent Inducer of Heme Oxygenase-1 and Was More Effective than Sulforaphane in Attenuating Intestinal Inflammation at Diet-Achievable Doses[J]. Oxidative Medicine and Cellular Longevity, 2018, 2018(1): 3153527.

Background

Falcarinol is a naturally derived, orally active Hsp90 inhibitor [1]. Falcarinol binds to both the N- and C-terminal ATP-binding sites of Hsp90, inducing apoptosis in non-small cell lung cancer (NSCLC) and its cancer stem cell populations by disrupting Hsp90 function without upregulating Hsp70 [1-2]. Falcarinol is primarily used in inflammatory models and for colorectal cancer prevention research [3-4].

In Caco-2 cells, Falcarinol (0.001-20µg/mL; 72h) treatment significantly reduced cell proliferation under basal growth conditions [5]. In Caco-2 cells, Falcarinol (0.5-100µM; 24h, 72h) decreased expression of the apoptosis indicator caspase-3 concomitantly with decreased basal DNA strand breakage [6]. In PANC-1 cells, after treatment with Falcarinol (0.5µg/mL; 72h), most cells detached and showed signs of cell death [7].

In CB57BL/6 mice, Falcarinol (5mg/kg; po; 8d) pretreatment effectively reduced the expression levels of intestinal pro-inflammatory genes [8]. In endotoxin-induced acute inflammation mice model, Falcarinol (5mg/kg; po; 7d) pretreatment leads to a unique Th2/Th9 plasma cytokine imbalance and robust induction of Ho1 at the intestinal mRNA and protein levels [9].

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- [1]. Le H T, Nguyen H T, Min H Y, et al. Panaxynol, a natural Hsp90 inhibitor, effectively targets both lung cancer stem and non-stem cells[J]. Cancer Letters, 2018, 412: 297-307.
- [2]. Gonçalves E C D, Baldasso G M, Bicca M A, et al. Terpenoids, cannabimimetic ligands, beyond the cannabis plant[J]. Molecules, 2020, 25(7): 1567.
- [3]. Kobaek-Larsen M, Baatrup G, K. Notabi M, et al. Dietary polyacetylenic oxylipins falcarinol and falcarindiol prevent inflammation and colorectal neoplastic transformation: A mechanistic and dose-response study in a rat model[J]. Nutrients, 2019, 11(9): 2223.
- [4]. Christensen L P, Larsen M K, El-Houri R, et al. Polyacetylenes of the falcarinol type: A promising new class of nutraceuticals and lead compounds for the development of anticancer drugs[J]. 2017.
- [5]. Purup S, Larsen E, Christensen L P. Differential effects of falcarinol and related aliphatic C17-polyacetylenes on intestinal cell proliferation[J]. Journal of agricultural and food chemistry, 2009, 57(18): 8290-8296.
- [6]. Young J F, Duthie S J, Milne L, et al. Biphasic effect of falcarinol on CaCo-2 cell proliferation, DNA damage, and apoptosis[J]. Journal of Agricultural and Food Chemistry, 2007, 55(3): 618-623.
- [7]. Cheung S S C, Hasman D, Khelifi D, et al. Devil's Club falcarinol-type polyacetylenes inhibit pancreatic cancer cell proliferation[J]. Nutrition and Cancer, 2019, 71(2): 301-311.
- [8]. Stefanson A L, Bakovic M. Falcarinol Is a Potent Inducer of Heme Oxygenase-1 and Was More Effective than Sulforaphane in Attenuating Intestinal Inflammation at Diet-Achievable Doses[J]. Oxidative Medicine and Cellular Longevity, 2018, 2018(1): 3153527.
- [9]. Stefanson A, Bakovic M. Dietary polyacetylene falcarinol upregulated intestinal heme oxygenase-1 and modified plasma cytokine profile in late phase lipopolysaccharide-induced acute inflammation in CB57BL/6 mice[J]. Nutrition Research, 2020, 80: 89-105.

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