

Product Data Sheet

Product Name: Celastrol

Cat. No.: GC15083

Chemical Properties

Cas. No. 34157-83-0

Chemical Name (2R,4aS,6aR,6aS,14aS,14bR)-10-hydroxy-2,4a,6a,6a,9,14a-hexamethyl-11-oxo-1,3,4,5,6,13,14,14b-octahydronicene-2-carboxylic acid

SMILES CC1=C(C(=O)C=C2C1=CC=C3C2(CCC4(C3(CCC5(C4CC(CC5)(C)C(=O)O)C)C)C)C)O

Formula C₂₉H₃₈O₄ M.Wt 450.61

Solubility ≥ 22.55mg/mL in DMSO 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.

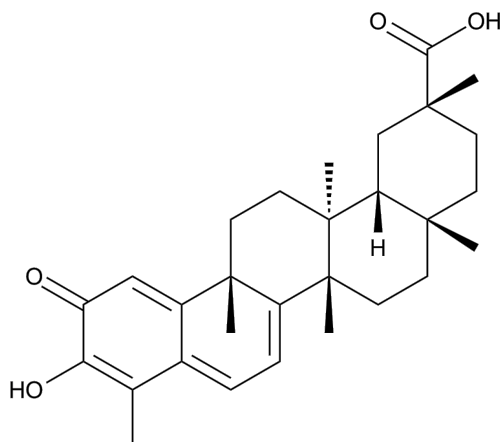
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines Prostate cancer cell PC-3

Preparation Method Prostate cancer cells were treated with Celastrol or Rubescensine A. The prepared whole cell extracts (30 µg per sample) were then incubated with 40 µM of Ac-DEVD-AMC in 100 µL assay buffer at 37°C for at least 2 hours. Afterwards, western blot was used for detection.

Reaction
Conditions 2.5-5 µM, 1-12 h

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Applications Celastrol concentration-dependently increased the levels of ubiquitinated proteins, and elevated levels of I κ B- α , Bax, and p27 were also observed in PC-3 cells treated with Celastrol.

Animal experiment [1]:

Animal models Human prostate tumor xenograft model

Preparation Method Fourteen days after mice were inoculated with PC-3 cells, they were intraperitoneally injected daily with 50 to 100 μ L of vehicle [10% DMSO, 70% Cremophor/ethanol (3:1), and 20% PBS] and 1.0 or 3.0 mg/kg Celastrol.

Dosage form 1 or 3 mg/kg/day, 16 days, i.p.

Applications Celastrol at 1 or 3 mg/kg can significantly inhibit tumor growth, with inhibition rates of 65% and 82% respectively.

Kinase experiment [1]:

Preparation Method A purified rabbit 20S proteasome (0.1 μ g) was incubated with 40 μ M of various fluorogenic peptide substrates in 100 μ L assay buffer [20 mM Tris-HCl (pH 7.5)], in the presence of Celastrol or Oridonin at different concentrations or in the solvent DMSO for 2 hours at 37°C, followed by measurement of inhibition of each proteasomal activity.

Reaction Conditions 0.1, 1, 10 and 100 μ M, 2 h

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Applications The chymotrypsin-like activity of the purified 20S proteasome was significantly inhibited by Celastrol with an IC₅₀ value of 2.5 μM.

References:

[1] Yang H, Chen D, Cui QC, et al. Celastrol, a triterpene extracted from the Chinese "Thunder of God Vine," is a potent proteasome inhibitor and suppresses human prostate cancer growth in nude mice. *Cancer Res*, 2006, 66(9): 4758-4765.

Background

Celastrol is a proteasome inhibitor with a potent and preferred inhibition of purified 20S proteasome with an IC₅₀ of 2.5 μM. Celastrol is also an antioxidant, anti-inflammatory agent, and immunosuppressant that can be used in the study of cancer, autoimmune diseases, asthma, chronic inflammation, and neurodegenerative diseases [1-2].

1-5 μM Celastrol inhibits the chymotrypsin-like activity of the 26S proteasome in human prostate cancer cells, and in PC-3 and LNCaP (androgen receptor-positive) cells, Celastrol leads to the accumulation of ubiquitinating proteins and proteasome substrates (IKB-A, Bax, and p27). And induce apoptosis [1]. Celastrol (0-1 μM) inhibited the proliferation and migration of AGS and YCC-2 cells and increased the number of cells in G1 phase [3]. In addition, Celastrol (0-10 μM) completely inhibits NF-κB activation in TNF-induced lung adenocarcinoma (H1299) cells and embryonic kidney (A293) cells, and Celastrol does not directly affect NF-κB binding to DNA, but inhibits NF-κB activation by inhibiting IKK activation [4].

In PC-3 tumor-bearing nude mice, Celastrol at 1 or 3 mg/kg significantly inhibited tumor growth, with inhibition rates of 65% and 82%, respectively, and a decrease in chymotrypsin-like activity was detected in the tumors of Celastrol-treated mice. (45% and 30% of the control group respectively) [1]. In a mouse model of gastric cancer xenotransplantation, Celastrol (1 or 2 mg/kg) reduced gastric tumor load in a dose-dependent manner, and Celastrol increased phosphorylated AMPK and decreased phosphorylated AKT and mTOR in gastric tumors [3].

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- [2] Salminen A, Lehtonen M, Paimela T, et al. Celastrol: molecular targets of thunder god vine[J]. *Biochemical and biophysical research communications*, 2010, 394(3): 439-442.
- [3] Lee HW, Jang KS, Choi HJ, Jo A, Cheong JH, Chun KH. Celastrol inhibits gastric cancer growth by induction of apoptosis and autophagy. *BMB Rep*. 2014 Dec;47(12):697-702.
- [4] Sethi G, Ahn K S, Pandey M K, et al. Celastrol, a novel triterpene, potentiates TNF-induced apoptosis and suppresses invasion of tumor cells by inhibiting NF- κ B-regulated gene products and TAK1-mediated NF- κ B activation[J]. *Blood*, 2007, 109(7): 2727-2735.

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