

Product Data Sheet

Product Name: Deguelin

Cat. No.: GC15484

Chemical Properties

Cas. No. 522-17-8

Chemical Name (7aS,13aS)-9,10-dimethoxy-3,3-dimethyl-13,13a-dihydro-3H-pyrano[2,3-c:6,5-f']dichromen-7(7aH)-one

SMILES [H][C@]12[C@](C(C(C=CC3=CC(C)=CC(C)(C)O3)=C4O2)=O)([H])C5=CC(OC)=C(OC)C=C5OC1

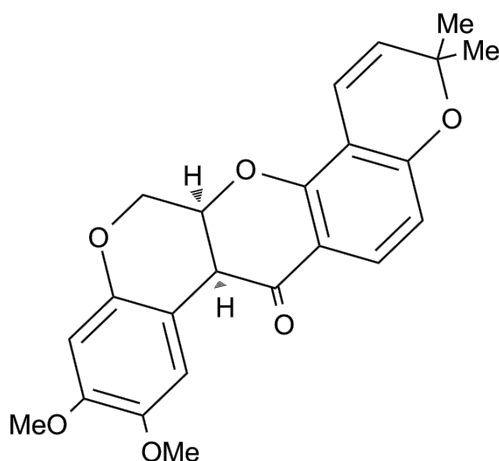
Formula $C_{23}H_{22}O_6$ M.Wt 394.42

Solubility DMF: 30 mg/ml, DMF:PBS (pH 7.2) 1:4: 0.33 mg/ml, DMSO: 25 mg/ml, Ethanol: Insoluble* Storage Store at 4°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	Primary bone marrow-derived macrophages (BMMs)
Preparation Method	The BMMs were seeded in six-well plates at a density of 1×10^5 cells/well and cultured in complete α -MEM supplemented with 25ng/ml M-CSF and 100ng/ml RANKL. After RANKL-induced osteoclastogenesis, the BMMs were treated with different doses of Deguelin (0, 0.1, 0.2, 0.4, 0.8, 1.6nM) for 7 days.
Reaction Conditions	0-1.6nM; 7 days
Applications	Deguelin inhibited osteoclasts formation in a dose- and time-dependent manner by inhibiting the NF- κ B signaling pathway.

Animal experiment [2]:

Animal models	Six to seven weeks old female athymic mice (nu/nu)
Preparation Method	Animals receiving either 1) vehicle as a control 2) Deguelin treatment at 2mg/kg bodyweight dose or 3) Deguelin at 4mg/kg body weight. Each group consisted of 10 animals. Vehicle or Deguelin was administered through i.p. injection daily for 21 days.
Dosage form	2 or 4mg/kg; i.p; daily for 21 days
Applications	Deguelin Inhibited in vivo growth of MDA-MB-231 cells and reduced nuclear PCNA, EGFR (both cytoplasmic and membrane), pERK c-Met (nuclear), p-AKT and cytoplasmic and nuclear NF κ B, nuclear Survivin protein expressions in xenograft.

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References:

- [1] Zhang T, Zhao K X, Han W Q, et al. Deguelin inhibits RANKL-induced osteoclastogenesis in vitro and prevents inflammation-mediated bone loss in vivo. J Cell Physiol. 2019 Mar;234(3):2719-2729.
- [2] Mehta R, Katta H, Alimirah F, et al. Deguelin action involves c-Met and EGFR signaling pathways in triple negative breast cancer cells. PLoS One. 2013 Jun 10;8(6):e65113.

Background

Deguelin is one of four major naturally occurring rotenoids isolated from root extracts and is best recognized as a NADH: ubiquinone oxidoreductase (complex I) inhibitor, resulting in significant alterations in mitochondrial function^[1]. Deguelin has shown promising results in targeting the hallmarks of tumor progression via inducing tumor apoptosis, cell cycle arrest, and inhibition of angiogenesis and metastasis^{[2][3][5]}.

In vitro, Deguelin was applied on head and neck squamous cell carcinoma Hep-2 cells at a various concentration (10, 25, 50, 100 and 200 μ M) for 72 hours or for different time points (12, 24, 48 and 72 hours) with fixed concentration (100 μ M). Deguelin administration caused significant cell viability loss and growth inhibition in both dose- and time- dependent manner^[3]. Deguelin (0.1 to 1.6nM) inhibited nuclear factor- κ B ligand (RANKL)-induced osteoclastogenesis in primary bone marrow-derived macrophages (BMMs) for up to 7 days treatment^[4].

In vivo, Deguelin (2 or 4mg/kg), when injected intraperitoneally daily for 21 days, reduced the in vivo tumor growth of human breast cancer cell MDA-MB-231 cells transplanted subcutaneously in athymic mice^[5]. Deguelin (1 or 4mg/kg) was given by intraperitoneal injection into ovalbumin-preinduced asthmatic model mice 1h before each OVA aerosol challenge for 3 days. Deguelin effectively reduced OVA-induced inflammatory cell recruitment in BALF, decreased inflammatory cell infiltration and mucus production in lungs, suppressed airway hyperresponsiveness, and inhibited serum immunoglobulin and Th2 cytokines in asthmatic model^[6]. Deguelin (20mg/kg) were immediately injected intraperitoneally into acetaminophen (APAP) induced acute liver failure model mice. Deguelin exerted hepatoprotective effects against APAP-induced liver injury by enhancing hepatic glutathione (GSH) levels, reducing oxidative stress markers such as malondialdehyde (MDA) and reactive oxygen species (ROS), and lowering hepatic levels of the toxic APAP metabolite NAPQI and

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APAP-protein adducts^[7].

References:

- [1] Boyd J, Han A. Deguelin and Its Role in Chronic Diseases. Adv Exp Med Biol. 2016;929:363-375.
- [2] Tuli H S, Mittal S, Loka M, et al. Deguelin targets multiple oncogenic signaling pathways to combat human malignancies. Pharmacol Res. 2021 Apr;166:105487.
- [3] Yang Y L, Ji C, Bi Z G, et al. Deguelin induces both apoptosis and autophagy in cultured head and neck squamous cell carcinoma cells. PLoS One. 2013;8(1):e54736.
- [4] Zhang T, Zhao K X, Han W Q, et al. Deguelin inhibits RANKL-induced osteoclastogenesis in vitro and prevents inflammation-mediated bone loss in vivo. J Cell Physiol. 2019 Mar;234(3):2719-2729.
- [5] Mehta R, Katta H, Alimirah F, et al. Deguelin action involves c-Met and EGFR signaling pathways in triple negative breast cancer cells. PLoS One. 2013 Jun 10;8(6):e65113.
- [6] Bao Z, Zhang P, Yao Y N, et al. Deguelin Attenuates Allergic Airway Inflammation via Inhibition of NF- κ B Pathway in Mice. Int J Biol Sci. 2017 Apr 8;13(4):492-504.
- [7] Gong S H, Zeng Y N, Ze Wang Z, et al. Intestinal deguelin drives resistance to acetaminophen-induced hepatotoxicity in female mice. Gut Microbes. 2024 Jan-Dec;16(1):2404138.

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