

Product Data Sheet

Product Name: Isosakuranetin

Cat. No.: GC30081

Chemical Properties

Cas. No. 480-43-3

SMILES O=C1C[C@@H](C2=CC=C(OC)C=C2)OC3=CC(O)=CC(O)=C13

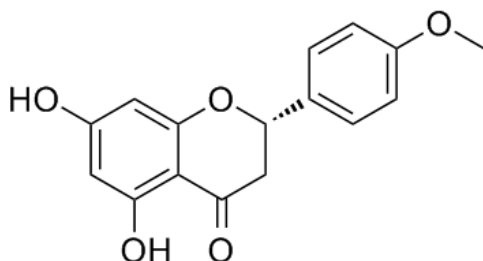
Formula $C_{16}H_{14}O_5$ M.Wt 286.28

Solubility DMSO : 125 mg/mL (436.64 mM) Storage Store at 2-8°C, protect from light

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

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

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Cell experiment [1]:

Cell lines HL-60 cells

HL-60 cells were cultured in RPMI-1640 medium containing 20% FBS (fetal bovine serum), 10mM HEPES, 1.0mM sodium pyruvate, and 100U/ml penicillin-streptomycin and incubated at 37°C in the presence of 5% CO₂. Cells were

Preparation Method seeded in 96-well plates at a density of 4×10^4 cells/ml, 100µl medium was added to each well, and cultured overnight. The cells were treated with different concentrations of Isosakuranetin (0.12.5, 25, 50, 100, and 200µM) for 48 hours and then analyzed for cell viability.

Reaction
Conditions 0.12.5, 25, 50, 100, and 200µM; 48h

Applications Isosakuranetin treatment reduced the cell viability of HL-60 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Male C57BL/6J mice

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10-week-old male C57BL/6J mice were housed in a specific pathogen-free (SPF) animal house maintained at 23°C with a 12h light/dark cycle and free access to water and food. After mice underwent inhalation anesthesia with sevoflurane, Anterior cruciate ligament transaction (ACLT) surgery was adopted on the right knee to establish the mechanical instability OA model. All mice were Preparation Method randomly divided into 3 groups (n=6 per group): Sham group (mice with the joint capsule open and treated with PBS), ACLT group (ACLT mice treated with PBS), and Isosakuranetin group (ACLT mice treated with Isosakuranetin). Isosakuranetin (2mg/kg) was intraperitoneally injected into the mice 3 days after ACLT surgery, administering treatment every other day for 8 weeks for 8 weeks. Mouse knee joint samples were collected for analysis.

Dosage form 2mg/kg; every other day for 8 weeks; i.p.

Applications Isosakuranetin treatment improved aberrant angiogenesis and nociceptive reaction in the subchondral bone marrow and hindered the loss of articular cartilage proteoglycan in mice.

References:

- [1] Tseng R H, Lai K M, Chou C M, et al. Isosakuranetin Induces autophagy and apoptosis through AMPK and PI3K/Akt signaling in human leukemia cells[J]. Anticancer Research, 2025, 45(4): 1481-1500.
- [2] Lu S, Fang C. Isosakuranetin inhibits subchondral osteoclastogenesis for attenuating osteoarthritis via suppressing NF-κB/CXCL2 axis[J]. International Immunopharmacology, 2024, 143: 113321.

Background

Isosakuranetin, a flavanone found in *Citrus* species with diverse biological activities, has an IC₅₀ value of 50nM for TRPM3 [1]. Isosakuranetin can inhibit the activity of Sortase A (SrtA) and the transcription and expression of α-Hemolysin (Hla) in *Staphylococcus aureus*, and regulate the transcription of the upstream operon RNAlII of Hla [2]. Isosakuranetin has been widely used in rat

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models of peripheral neuropathy to alleviate mechanical, thermal, and cold hypersensitivity^[3].

In vitro, Isosakuranetin treatment for 48 hours significantly increased the viability of HL-60 and U937 cells with IC₅₀ values of 115.6μM and 30.4μM, respectively^[4]. Treatment of HaCaT cells with 20μM Isosakuranetin for 24 hours significantly inhibited ultraviolet (UV)-B-mediated induction of MMP-1 and inhibited UV-B-induced phosphorylation of mitogen-activated protein kinase (MAPK) signaling pathway components ERK1/2, JNK1/2, and p38 proteins^[5]. Treatment with 30μM Isosakuranetin for 48h significantly increased Tyr, TRP1, and TRP2 expression, upregulated MITF expression, and decreased MITF phosphorylation in B16 melanoma cells^[6].

In vivo, Isosakuranetin treatment via oral administration at a dose of 5mg/kg daily for 1 week significantly increased the abundance of *Parabacteroides* in the intestinal tract of mice and affected amino acid metabolism pathways^[7]. Intraperitoneal injection of a 2mg/kg dose of Isosakuranetin every other day for 8 weeks, which inhibited the formation of osteoclasts beneath the cartilage in the osteoarthritis (OA) mouse model, improved the degeneration of joint cartilage, and delayed the progression of OA^[8].

References:

- [1] Straub I, Krügel U, Mohr F, et al. Flavanones that selectively inhibit TRPM3 attenuate thermal nociception in vivo[J]. Molecular pharmacology, 2013, 84(5): 736-750.
- [2] Tian L, Wang L, Yang F, et al. Exploring the modulatory impact of isosakuranetin on Staphylococcus aureus: Inhibition of sortase A activity and α-haemolysin expression[J]. Virulence, 2023, 14(1): 2260675.
- [3] Jia S, Zhang Y, Yu J. Antinociceptive effects of isosakuranetin in a rat model of peripheral neuropathy[J]. Pharmacology, 2017, 100(3-4): 201-207.
- [4] Tseng R H, Lai K M, Chou C M, et al. Isosakuranetin Induces autophagy and apoptosis through AMPK and PI3K/Akt signaling in human leukemia cells[J]. Anticancer Research, 2025, 45(4): 1481-1500.
- [5] Jung H, Lee E H, Lee T H, et al. The methoxyflavonoid isosakuranetin suppresses UV-B-induced matrix metalloproteinase-1 expression and collagen degradation relevant for skin photoaging[J]. International journal of molecular sciences, 2016, 17(9): 1449.
- [6] Drira R, Sakamoto K. Isosakuranetin, a 4'-O-methylated flavonoid, stimulates melanogenesis in B16BL6 murine melanoma cells[J]. Life sciences, 2015, 143: 43-49.

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[7] Cao X, Guo X, Fang X, et al. Effects of poncirin, a citrus flavonoid and its aglycone, isosakuranetin, on the gut microbial diversity and metabolomics in mice[J]. *Molecules*, 2022, 27(11): 3641.

[8] Lu S, Fang C. Isosakuranetin inhibits subchondral osteoclastogenesis for attenuating osteoarthritis via suppressing NF- κ B/CXCL2 axis[J]. *International Immunopharmacology*, 2024, 143: 113321.

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