Diacerein

Cat. No.: HY-N0283

CAS No.: 13739-02-1

Molecular Formula: $C_{19}H_{12}O_8$

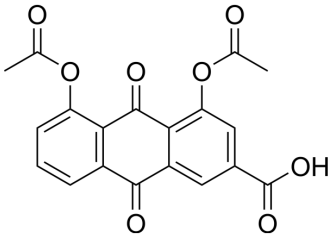
Molecular Weight: 368.29

Target: Interleukin Related; Calcium Channel

Pathway: Immunology/Inflammation; Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (33.94 mM; Need ultrasonic)

H_2O : ≥ mg/mL

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7153 mL	13.5763 mL	27.1525 mL
5 mM	0.5431 mL	2.7153 mL	5.4305 mL
10 mM	0.2715 mL	1.3576 mL	2.7153 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 1% CMC/saline water
   Solubility: 5 mg/mL (13.58 mM); Suspended solution; Need ultrasonic and warming and heat to 40°C

BIOLOGICAL ACTIVITY

Description

Diacerein (Diacerhein), an orally active anthraquinone, reduces production of IL-1 converting enzyme then inhibits the activation of IL-1β by related downstream signaling. Diacerein is an anti-inflammatory and anti-rheumatic drug. Diacerein can relieve bronchospasm and control airway inflammation in asthmatic mice. Diacerein has the potential for slow acting drug in osteoarthritis (SYSADOA) research[1][2][3].

IC_{50} & Target		
	IL-1β	L-type calcium channel

In Vitro

Diacerein (Diacerhein; 30-300 μM; 24, 48 h) reduces cell proliferation and viability of chondrosarcoma cells[1].

Diacerine (30-300 μM; 48 h) causes a SW-1353 cell cycle G2/M arrest[1].

Diacerein (30-300 μM; 48 h) decreases cyclin B1, CDK1, and CDK2 levels in SW-1353 cell[1].

Diacerein can relax the agonist-precontracted mouse airway smooth muscle via intracellular and extracellular calcium mobilization which is mediated by switched voltage-dependent L-type Ca^{2+} channels, non-selective cation channels, large-conductance Ca^{2+}-activated K^+ channel, and Na^+/Ca^{2+} exchangers[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Cal-78 and SW-1353 cells
Concentration:	30, 100, and 300 μM
Incubation Time:	24, 48 h
Result:	Inhibited cell growth in a concentration dependent manner in both cell lines.

Cell Cycle Analysis[1]

Cell Line:	Cal-78 and SW-1353 cells
Concentration:	30, 100, and 300 μM
Incubation Time:	48 h
Result:	Caused a pronounced decrease in the number of cells in the G1 phase, accompanied by a significant increase of the number of S and G2/M phase cells, indicating a G2/M arrest in SW-1353 cells.

Western Blot Analysis[1]

Cell Line:	Cal-78 and SW-1353 cells
Concentration:	30, 100, and 300 μM
Incubation Time:	24 h
Result:	Induced a small, but not significant change, for the expression of CDK1, whereas the cyclin B1 and CDK2 levels were significantly down-regulated in the case of Cal-78 cells.

In Vivo

Diacerein (Diacerhein; 50, 100, 200 mg/kg; Gavaged; daily; a week) can effectively reduce airway hypertension and improve airway remodeling in asthmatic mice with Ovalbumin (OVA; 3 mg/ml; 200 μl; IP; dissolved with 25 mg/ml Al(OH)3 at day 1, day 8)[2].

Diacerein (2, 20, 60 mg/kg; daily; oral; at 2 weeks of age transgenic mice; for 5 weeks) reveales a significant reduction not only in cartilage destruction but also in the extent of synovitis and bone erosion in the Tg197 transgenic murine model of RA [3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BALB/c mice at 6-8 weeks of age[2]
Dosage:	50, 100, 200 mg/kg
Administration:	Gavaged; daily; a week
Result:	Reduced systematic inflammation and mucus secretion in vivo. Exhibited anti-inflammatory property to significantly reduce inflammation and repair damaged airway.

CUSTOMER VALIDATION

- Ecotoxicol Environ Saf. 2023 Jun 11;261:115130.

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REFERENCES

[1]. Shi S, Xue L, Han S, et al. Anti-Contractile and Anti-Inflammatory Effects of Diacerein on Isolated Mouse Airways Smooth Muscle and Mouse Asthma Model. Front Pharmacol. 2020;11:560361.

[2]. Birgit Lohberger, et al. Diacerein retards cell growth of chondrosarcoma cells at the G2/M cell cycle checkpoint via cyclin B1/CDK1 and CDK2 downregulation. BMC Cancer. 2015 Nov 10:15:891.

[3]. Eleni Douni, et al. Attenuation of inflammatory polyarthritis in TNF transgenic mice by diacerein: comparative analysis with dexamethasone, methotrexate and anti-TNF protocols. Arthritis Res Ther. 2004;6(1):R65-R72.

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