

# Daidzein



Zi-Ran Niu, Lian-Hua Fang, Gui-Fen Qiang, and Guan-Hua Du

**Abstract** Daidzein mainly comes from leguminous plants, the seeds of soybean, red clover grass, or all *Pueraria* roots. Daidzein is a kind of isoflavone compound. It is mainly used for the treatment of hypertension, coronary heart disease, cerebral thrombosis, and vertigo and aids in the treatment of sudden deafness. It can also treat women with menopause syndrome. It can be used to treat osteoporosis of menopause women. It can relax the artery and increase blood flow. It also has certain antihypertensive effects and inhibits the proliferation of endometrial cancer and breast cancer cells. It has good prospective effects in the treatment of hypertension, coronary heart disease, cerebral thrombosis, vertigo, and osteoporosis of menopause women.

**Keywords** Daidzein · Isoflavone · Hypertension

**Origin:** Soybean (Fig. 1)

**Chemical name** (Fig. 2)

4',7-Dihydroxyisoflavone

**Molecular formula**,  $C_{15}H_{10}O_4$ ; **MW**, 254.24; **CAS**, 486-66-8

**Derivatives** (Fig. 3)

## Properties

*Appearance*: pale-yellow prismatic crystal. *Melting point*: 315–323 °C. *Solubility*: soluble in ethanol and ether

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## Dosage Forms

Daidzein was included in the *British Pharmacopoeia* (2017) and *European Pharmacopoeia* (9.0th ed.). The dosage form is tablets.

## Indications

Daidzein is mainly used for the treatment of hypertension, coronary heart disease, cerebral thrombosis, and vertigo and aids in the treatment of sudden deafness. It can also treat women with menopause syndrome.

## Literature

Daidzein mainly comes from leguminous plants, the seeds of soybean (dadou), red clover grass, or all *Pueraria* roots. Its medicinal value was first recorded in Shen Nong Ben Cao Jing 2838 BC. Daidzein, one of the main soy isoflavones, is also the main component of radix puerariae (Gegen) which is the dry root of kudzu leguminous plants. *Radix puerariae* can reduce fever, produce saliva, and relieve diarrhea. Its chemical composition is complicated, including puerarin, xyloside, soy flavonoids, soybean flavonoid glycosides, beta-sitosterol, daidzin, daidzein. The main effective components are puerarin and daidzein [1].

## History of R&D

Daidzein is a kind of isoflavone compound, which was first synthesized by researchers in China. It has been widely used in drugs, food supplements, and cosmetics. Because of two phenolic hydroxyl structures, Daidzein has poor water solubility, poor liposolubility, and strong first-pass effect, leading to the low bioavailability of oral absorption, which limits its widely clinical usage.

Ipriflavone is a kind of isoflavone modified from Daidzein, which has been used for the treatment of osteoporosis in Japan and some European countries. The effects of a series of amino alkoxy derivatives of ipriflavones on inhibiting the bone absorption were evaluated. The researchers found that 7-amino alkoxy derivative works best. When Daidzein was alkylated or acylated at 7-hydroxyl selectively, the stability was increased, and thus the proliferation of MCF-7 cell was inhibited [2].

## Pharmacology

Daidzein has many kinds of pharmacological effects, such as anticancer, cardiovascular protection, estrogen- and antiestrogen-like effects, antiosteoporosis, antioxidation, improving immunity, and affecting the endocrine system. More attention has been paid by domestic and international pharmaceutical and food industries. Daidzein has obviously antibacterial effect on *Staphylococcus aureus* and *Escherichia coli*. It can also increase the weight of immune organs in mice and has anti-arrhythmic effect. The chemical structure of daidzein is very similar to the endogenous estrogen, so the estrogen-like effect is used to treat menopausal syndrome and increase the levels of osteocalcin (BGP) and also the bone mineral deposits. The clinical efficacy is similar to estrogen replacement therapy (ERT). Daidzein doesn't induce the high expression of estrogen. It has effects on the osteoblast to reduce the bone absorption of osteoclast, thus maintains the dynamic balance of osteoblast and osteoclast, finally reduces the risk of fracture. So it is safe for usage [3]. Daidzein can also increase the bone mineral density (BMD) and bone mineral content (BMC) of the lumbar spine, the number of trabecular bone, and bone volume fraction, improve the bone microstructure, and thus prevent the reduction of femur biomechanics in glucocorticoid-induced osteoporosis in the rat.

Daidzein has an anti-hypoxia effect. The study showed that Daidzein could significantly prolong the survival time of mice in hypoxia tolerance test under normal pressure and after subcutaneous injection of isoproterenol, suggesting that Daidzein has the significant anti-hypoxia effects [4]. Daidzein plays a protective role in myocardial hypertrophy induced by isoproterenol in rat probably by the antioxidative effects [5]. Similarly, Daidzein may protect the ischemia-reperfusion injury in rats by increasing the antioxidative capacity [6]. Daidzein can significantly inhibit the proliferation of two human breast cancer cells (MCF-7 and MDA-MB-231) in vitro with the significant dose-dependent and time-dependent effects. Daidzein can markedly decrease the colony-forming ability, suggesting that Daidzein may have the effect of preventing and treating breast cancer [7].

It was found that Daidzein has the obviously preventive effect on chloroform-induced ventricular fibrillation in mice, therapeutic effect on aconitine-induced arrhythmia in rats, as well as protective effect on the adrenaline-induced arrhythmia in rabbit. Daidzein can significantly reduce the action potential amplitude of sciatic nerve in toad in vitro. All of the above effects were obviously dose-dependent, suggesting Daidzein has the significant anti-arrhythmic effects [8].

## Clinical Application

Daidzein can expand the coronary artery, femoral artery, and cerebral artery, increase cerebral blood flow and limb blood circulation, reduce blood viscosity and vascular resistance, decrease myocardial oxygen consumption, improve heart

function, increase the microcirculation and blood flow to the tip, lower the blood pressure, and adjust the heart rhythm. Daidzein can be used for the treatment of hypertension, coronary heart disease, angina pectoris, myocardial infarction, cerebral thrombosis, dizziness, and sudden deafness. It can also be used for women's menopause syndrome.

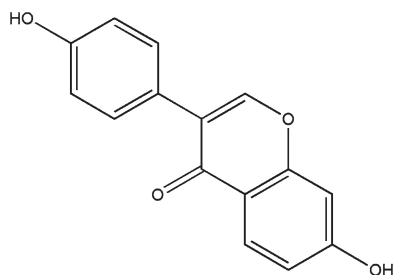
## Discussion

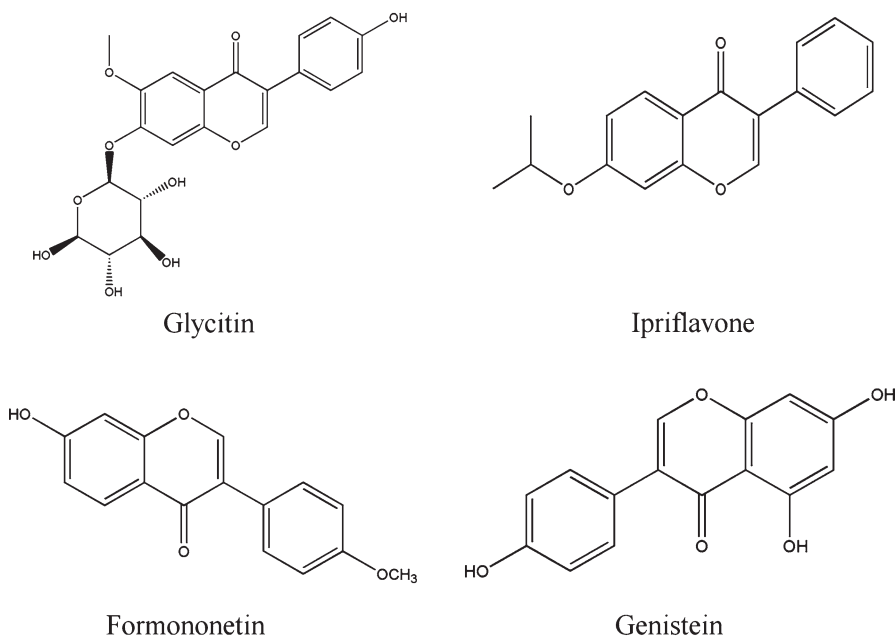
Soybean flavin is an important nutrient from soybeans. Similar to endogenous estrogen, it can be used to treat osteoporosis of menopausal women. It can relax the artery and increase blood flow. It also has certain antihypertensive effect and inhibits the proliferation of endometrial cancer and breast cancer cells. It has good prospective effects in the treatment of hypertension, coronary heart disease, cerebral thrombosis, vertigo, and osteoporosis of menopause women.

**Fig. 1** Soybean



**Fig. 2** Structure of daidzein





**Fig. 3** The structure of daidzein derivatives

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