Liquiritigenin

Cat. No.: HY-N0377

CAS No.: 578-86-9

Molecular Formula: $C_{15}H_{12}O_4$

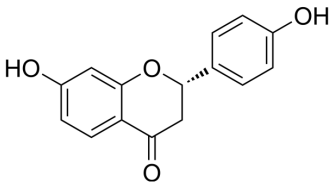
Molecular Weight: 256.25

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (487.80 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9024 mL	19.5122 mL	39.0244 mL
	5 mM	0.7805 mL	3.9024 mL	7.8049 mL
	10 mM	0.3902 mL	1.9512 mL	3.9024 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.42 mg/mL (9.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.42 mg/mL (9.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.42 mg/mL (9.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Liquiritigenin, a flavanone isolated from Glycyrrhiza uralensis, is a highly selective estrogen receptor β (ERβ) agonist with an EC_{50} of 36.5 nM for activation of the ERE tk-Luc.

IC_{50} & Target

EC50: 36.5 nM (activation of the ERE tk-Luc)[1]

In Vitro

Liquiritigenin produces a dose-response activation of ERE tk-Luc in the U2OS cells transfected with ERβ, but not ERα.
Liquiritigenin produces a dose-dependent activation and a time-dependent increase of the CECR6, NKG2E and NKD with ERβ

	but not with ERα. The ERβ-selectivity of liquiritigenin is due to the selective recruitment of the coactivator steroid receptor coactivator-2 to target genes. Liquiritigenin exhibits similar binding affinities for ERα and ERβ, and causes the recruitment of SRC-2 to target genes selectively in ERβ cells[1]. Pretreatment of MC3T3-E1 cells with liquiritigenin prevents the MG-induced cell death and production of protein adduct, intracellular reactive oxygen species, mitochondrial superoxide, cardiolipin peroxidation, and TNF-α in osteoblastic MC3T3-E1 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In a mouse xenograph model, liquiritigenin does not stimulate uterine size or tumorigenesis of MCF-7 breast cancer cells[1]. Treatment with liquiritigenin significantly reduces the concentrations of pro-inflammatory cytokines including interleukin (IL)-6, IL-1β and tumor necrosis factor (TNF)-α in serum and hippocampus[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The relative binding affinity of liquiritigenin to pure full-length ERα and ERβ is determined using ERα and ERβ competitor assay kits. Fluorescence polarization of the fluorophore-tagged estrogen bound to ERα and ERβ in the presence of increasing amounts of competitor ligand or extract is determined using the GENios Pro microplate reader with fluorescein excitation (485 nM) and emission (530 nM) filters[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: MCF-7 (250,000) cells are grafted under the kidney capsule of nude mice. Five mice per group are treated with a continuous infusion using osmotic pumps containing vehicle, E2 (0.4 mg) or liquiritigenin (2 mg) that infused 2.5 μL/h for 1 month. After one month of treatment, the tumors and uteri are removed and analyzed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2021 Jan;11(1):143-155.
- SSRN. 2024 Mar 21.

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REFERENCES

[1]. Mersereau JE, et al. Liquiritigenin is a plant-derived highly selective estrogen receptor beta agonist. Mol Cell Endocrinol. 2008 Feb 13;283(1-2):49-57.

[2]. Suh KS, et al. Protective effect of liquiritigenin against methylglyoxal cytotoxicity in osteoblastic MC3T3-E1 cells. Food Funct. 2014 Jul 25;5(7):1432-40.

[3]. Tao W, et al. Liquiritigenin reverses depression-like behavior in unpredictable chronic mild stress-induced mice by regulating PI3K/Akt/mTOR mediated BDNF/TrkB pathway. Behav Brain Res. 2016 Jul 15;308:177-86.

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