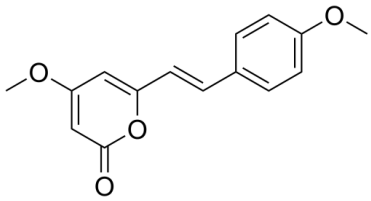
Data Sheet

Product Name:	Yangonin
Cat. No.:	CS-4243
CAS No.:	500-62-9
Molecular Formula:	$C_{15}H_{14}O_4$
Molecular Weight:	258.27
Target:	Autophagy; Cannabinoid Receptor; NF-κB
Pathway:	Autophagy; GPCR/G Protein; Neuronal Signaling; NF-κB
Solubility:	DMSO : 25 mg/mL (96.80 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Yangonin exhibits affinity for the human recombinant cannabinoid **CB1 receptor** with an **IC_{50}** and a **K_i** of 1.79 μM and 0.72 μM, respectively. IC50 & Target: IC50: 1.79±0.53 μM (hCB1 receptor), >10 μM (hCB2 receptor)[1]
Ki: 0.72±0.21 μM (hCB1 receptor), >10 μM (hCB2 receptor)[1]
RelA/p65[2] **In Vitro:** Yangonin is one of the six major kavalactones found in Piper methysticum.Yangonin potently inhibits NF-κB activation through suppression of the transcriptional activity of the RelA/p65 subunit of NF-κB. Yangonin significantly inhibits the induced expression of the NF-κB-reporter gene. However, Yangonin does not interfere with TNF-α-induced inhibitor of κBα (IκBα) degradation, p65 nuclear translocation, and DNA-binding activity of NF-κB. Yangonin inhibits not only the induced NF-κB activation by overexpression of RelA/p65, but also transactivation activity of RelA/p65. Yangonin does not inhibit TNF-α-induced activation of p38, but it significantly impairs activation of ERK 1/2 and stress-activated protein kinase/JNK[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [2]**HeLa cells** are seeded at 1×10^5 cells/mL in 96-well plates containing 100 μL of DMEM medium with 10% FBS and incubated overnight. Yangonin is dissolved in DMSO and DMSO is added to all plates to compensate the same volume of DMSO. After 24 h, the cells are pretreated with different concentrations of **Yangonin (0.1-3 μM) for 1 h**, followed by stimulation with or without TNF-α for 24 h. Subsequently, cells are cultured with MTT solution (5 mg/mL) for 3 h. The viable cells convert MTT to formazan, which generates a blue-purple color after dissolving in 150 μL of DMSO. The absorbance at 570 nm is measured by an ELISA plate reader[2].

References:

[1]. Ligresti A, et al. Kavalactones and the endocannabinoid system: the plant-derived yangonin is a novel CB_1 receptor ligand. Pharmacol Res. 2012 Aug;66(2):163-9.

[2]. Ma J, et al. Yangonin blocks tumor necrosis factor-α-induced nuclear factor-κB-dependent transcription by inhibiting the transactivation potential of the RelA/p65 subunit. J Pharmacol Sci. 2012;118(4):447-54.

[3]. Wruck CJ, et al. Kavalactones protect neural cells against amyloid beta peptide-induced neurotoxicity via extracellular signal-regulated kinase 1/2-dependent nuclear factor erythroid 2-related factor 2 activation. Mol Pharmacol. 2008 Jun;73(6):1785-95.

CAIndexNames:

2H-Pyran-2-one, 4-methoxy-6-[(1E)-2-(4-methoxyphenyl)ethenyl]-

SMILES:

O=C1C=C(OC)C=C(/C=C/C2=CC=C(OC)C=C2)O1

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