Xanthohumol

Catalog No.C13029 Batch:C1302903

Product Datasheet

Technical Data

Formula	$C_{21}H_{22}O_5$					
Molecular Weight	354.4	**CAS No.**	6754-58-1			
Solubility (25°C)*	In vitro	DMSO	71 mg/mL (200.33 mM)			
		Ethanol	71 mg/mL (200.33 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume \ Mass	1 mg	5 mg	10 mg
1 mM	2.8217 mL	14.1084 mL	28.2167 mL
5 mM	0.5643 mL	2.8217 mL	5.6433 mL
10 mM	0.2822 mL	1.4108 mL	2.8217 mL
50 mM	0.0564 mL	0.2822 mL	0.5643 mL

Biological Activity

Description	Xanthohumol, a prenylated chalcone from hop, inhibits **COX-1** and COX-2 activity and shows chemopreventive effects. This compound inhibits **diacylglycerol acyltransferase 1 (DGAT1)** and **DGAT2** with both IC50 of 40 μM. It is also a potent antiviral agent against a series of DNA and RNA viruses. This chemical induces growth inhibition and **apoptosis** in cancer cells. Phase 1.
Targets	COX-1 [1] / COX-2 [1] / DGAT1 [5] (Cell-free assay) / DGAT2 [5] (Cell-free assay) 40 μM / 40 μM
In vitro	Xanthohumol inhibits Cyp1A activity and induces QR activity in mouse hepatoma cell culture. This compound scavenges reactive oxygen species and inhibits superoxide anion radical and nitric oxide production. In addition, it prevents carcinogenesis via inhibition of DNA synthesis and induction of cell cycle arrest in S phase, apoptosis, and cell differentiation. [1] It shows potent anti-HIV-1 activity. [2]
In vivo	In CETP-Tg mice, xanthohumol (p.o.) prevents cholesterol accumulation leading to atherosclerosis. [3] In TRAMP mice, this compound induces a decrease in the average weight of the urogenital (UG) tract, delays advanced tumor progression and inhibits the growth of poorly differentiated prostate carcinoma. [4]

Protocol (from reference)

Kinase Assay:[1]

- **Inhibition of Cox Activity**

  Inhibition of Cox-1 activity is measured by monitoring oxygen consumption during the conversion of arachidonic acid to PGs using a Clark-type O2-electrode. The reaction mixture contains ~0.2 units Cox-1 in 100 μL of microsome fraction derived from ram seminal vesicles as a crude source of Cox-1 (specific activity 0.2–1 units/mg protein) or 0.23 units of recombinant human Cox-2 (specific activity 43 units/mg protein). For calculation, the rate of O2 consumption is compared with a DMSO control (100% activity). CP-16171, a nonsteroidal anti-inflammatory drug, is used as positive inhibitory substance for Cox-1 activity with an IC50 of 0.35 ± 0.05 μM (n = 2). Alternatively, nimesulide, a Cox-2 specific inhibitor, inhibits Cox-2 activity by 52 ± 5.7% (n = 2) at a concentration of 50 μM.

Cell Assay:[1]

- **Cell lines**

  HL-60 cells
- **Concentrations**

  12.5 μM
- **Incubation Time**

  96 h
- **Method**

  HL-60 cells are maintained in RPMI 1640 supplemented with 10% FBS at 37°C in a 5% CO_2 atmosphere. Log-phase cells with a population doubling time of 14–16 h are used for experiments. Serial 2-fold dilutions of this compound (dissolved in DMSO, final concentration 0.1%) in a final concentration range of 0.2–12.5 μM are prepared in 24-well plates using 1 ml of RPMI/well. Control wells obtain the same amount of solvent. Subsequently, 1 ml of the cell suspension is added to the wells. After 96 h, the experiment is evaluated. Cell numbers are counted using a Casy 1 TTC flow-cytometer. The proliferation of treated cells is expressed as a percentage in comparison with the solvent control.

Animal Study:[3] [4]

- **Animal Models**
  CETP-Tg and C57BL/6N (wild-type) mice; TRAMP C57BL/6 mice
- **Dosages**
  50 μg/mouse
- **Administration**
  p.o.

References

https://pubmed.ncbi.nlm.nih.gov/12481418/ https://pubmed.ncbi.nlm.nih.gov/15550272/ https://pubmed.ncbi.nlm.nih.gov/23166663/

+ Expand

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