

Product Data Sheet

Product Name: Koumine
Cat. No.: GN10748

Chemical Properties

Cas. No. 1358-76-5

SMILES CN1CC2(C3CC4C5=NC6=CC=CC=C6C52CC1C3CO4)C=C

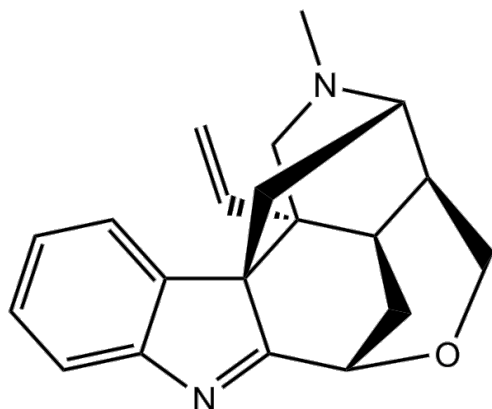
Formula $C_{20}H_{22}N_2O$ M.Wt 306.4

Solubility $\geq 29\text{mg/mL}$ in DMSO with ultrasonic Storage 4°C , away from moisture and light

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Human hepatocellular carcinoma (HCC) cells (Huh-7 and SNU-449)

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Preparation Method	Huh-7 and SNU-449 cells were cultured in DMEM or RPMI-1640 medium supplemented with 10% fetal bovine serum (FBS) at 37°C in 5% CO ₂ . Upon reaching the logarithmic growth phase, cells were treated with Koumine at various concentrations (100, 200, 400, and 800µg/mL) for 24 hours.
Reaction Conditions	100, 200, 400, and 800µg/mL; 24 hours.
Applications	Koumine significantly inhibited HCC cell proliferation in a dose-dependent manner. Koumine also promoted apoptosis, and upregulation of pro-apoptotic proteins (cleaved caspase-3 and Bax) with concurrent downregulation of anti-apoptotic protein Bcl-2. Additionally, Koumine treatment led to mitochondrial dysfunction (decreased mitochondrial membrane potential) and elevated intracellular ROS levels. These effects were accompanied by inhibition of ERK/p38 MAPK and NF-κB signaling pathways (reduced phosphorylation of ERK, p38, p65, and IκBα).
Animal experiment [2]:	
Animal models	Sprague-Dawley rats
Preparation Method	Nonalcoholic fatty liver disease (NAFLD) was induced by feeding rats a high-fat diet (containing 1% cholesterol, 0.1% bile salt, 10% lard, 5% egg yolk powder and whole milk powder) for 16 weeks. After 16 weeks, rats were intraperitoneally injected with Koumine (0.056, 0.28, or 1.4mg/kg) or saline once daily for 2 weeks. At the end of the 18th week.
Dosage form	0.056, 0.28, and 1.4mg/kg; i.p.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Applications

Koumine significantly reduced serum levels of triglycerides (TG), total cholesterol (TC), low-density lipoprotein cholesterol (LDL-C), alanine aminotransferase (ALT), and aspartate aminotransferase (AST), while increasing high-density lipoprotein cholesterol (HDL-C) levels. Koumine decreased liver index and improved hepatic steatosis and inflammatory infiltration. Koumine also reduced the production and mRNA expression of pro-inflammatory cytokines (TNF- α , IL-1 β , IL-6, IL-17A, IFN- γ) and increased the anti-inflammatory cytokine IL-10. Furthermore, Koumine modulated T cell subsets by decreasing Th1 and Th17 cells and increasing Th2 and Treg cells in the liver, thereby exerting immunomodulatory and anti-inflammatory effects against NAFLD.

References:

- [1] Guo J, Ding W, Cai S, et al. Polydatin radiosensitizes lung cancer while preventing radiation injuries by modulating tumor-infiltrating B cells. *J Cancer Res Clin Oncol*. 2023 Sep;149(12):9529-9542.
- [2] Yue R, Jin G, Wei S, et al. Immunoregulatory Effect of Koumine on Nonalcoholic Fatty Liver Disease Rats. *J Immunol Res*. 2019 Feb 17;2019:8325102.

Background

Koumine is a major active alkaloid extracted from the traditional Chinese medicinal herb *Gelsemium elegans*, and it exhibits a variety of pharmacological effects, including anti-inflammatory and immunomodulatory activities^[1-2]. Koumine can modulate the activity of multiple signaling pathways, such as NF- κ B, MAPK, and PI3K/Akt^[3]. Studies have shown that Koumine can alleviate neuropathic pain, suppress neuroinflammation, and protect against neuronal damage^[4].

In vitro, treatment of human hepatocellular carcinoma cells (Huh-7 and SNU-449) with Koumine (100–800 μ g/mL) significantly inhibited cell proliferation and promoted apoptosis. Koumine reduced mitochondrial membrane potential, increased intracellular reactive oxygen species (ROS) levels, and suppressed the phosphorylation of ERK, p38, p65, and I κ B α . Additionally, Koumine upregulated the expression of pro-apoptotic proteins cleaved-Caspase-3 and Bax, while downregulating the anti-apoptotic protein Bcl-2^[5]. In RAW264.7 macrophages, pretreatment with Koumine (100–400 μ g/mL)

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

significantly inhibited lipopolysaccharide (LPS)-induced inflammatory responses. Koumine reduced the expression of inducible nitric oxide synthase (iNOS) and the production of nitric oxide (NO), and decreased the secretion levels of pro-inflammatory cytokines interleukin-1 β (IL-1 β), interleukin-6 (IL-6), and tumor necrosis factor- α (TNF- α). Koumine also inhibited the phosphorylation of p65 and I κ B α in the NF- κ B signaling pathway and reduced NF- κ B DNA-binding activity. Furthermore, Koumine significantly suppressed the phosphorylation of extracellular signal-regulated kinase (ERK) and p38 mitogen-activated protein kinase (p38 MAPK)[6].

In vivo, oral administration of Koumine (0.6–15mg/kg/day) to rats with adjuvant-induced arthritis (AIA) and collagen-induced arthritis (CIA) significantly reduced arthritis index scores, mechanical hyperalgesia, and paw swelling. Koumine also inhibited abnormal increases in thymus and liver weights and improved joint space narrowing and bone destruction. Moreover, Koumine significantly decreased the protein and mRNA expression levels of pro-inflammatory cytokines IL-1 β and TNF- α in serum and joint tissues, and suppressed the elevation of anti-type II collagen antibodies (anti-CII IgG) in serum [7]. In a Sprague-Dawley (SD) rat model of non-alcoholic fatty liver disease (NAFLD) induced by a high-fat diet, Koumine (0.056, 0.28, and 1.4mg/kg) was administered intraperitoneally once daily for two weeks starting from the 16th week of model establishment. Koumine dose-dependently and significantly reduced serum levels of triglycerides (TG), total cholesterol (TC), low-density lipoprotein cholesterol (LDL-C), alanine aminotransferase (ALT), and aspartate aminotransferase (AST), while increasing high-density lipoprotein cholesterol (HDL-C) levels. Koumine also decreased the liver index, improved hepatic steatosis, and significantly reduced the production and mRNA expression of pro-inflammatory cytokines (TNF- α , IL-1 β , IL-6, IL-17A, IFN- γ) in the liver, while increasing the expression of the anti-inflammatory cytokine IL-10. Additionally, Koumine modulated the proportions of CD4⁺ T cell subsets in the liver, inhibited the differentiation of Th1 and Th17 cells, and promoted the generation of Th2 and Treg cells, thereby exerting immunomodulatory effects and significantly alleviating the pathological progression of NAFLD[8].

References:

- [1] Shoaib RM, Zhang JY, Mao XF, et al. Gelsemine and koumine, principal active ingredients of Gelsemium, exhibit mechanical antiallodynia via spinal glycine receptor activation-induced allopregnanolone biosynthesis. *Biochem Pharmacol.* 2019 Mar;161:136-148.
- [2] Xiong B, Jin G, Xu Y, et al. Identification of Koumine as a Translocator Protein 18 kDa Positive Allosteric Modulator for the Treatment of Inflammatory and Neuropathic Pain. *Front Pharmacol.* 2021 Jun 24;12:692917.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

- [3] Lin SK, Chen ST, Zhan Y, et al. The alleviatory effects of koumine on MSU-induced gouty arthritis via the TLR4/NF- κ B/NLRP3 pathway. *Basic Clin Pharmacol Toxicol*. 2024 Aug;135(2):133-147.
- [4] Xiong B, Zhong Z, Chen C, et al. The anxiolytic effect of koumine on a predatory sound stress-induced anxiety model and its associated molecular mechanisms. *Phytomedicine*. 2022 Aug;103:154225.
- [5] Yuan Z, Liang Z, Yi J, et al. Koumine Promotes ROS Production to Suppress Hepatocellular Carcinoma Cell Proliferation Via NF- κ B and ERK/p38 MAPK Signaling. *Biomolecules*. 2019 Oct 2;9(10):559.
- [6] Guo J, Ding W, Cai S, et al. Polydatin radiosensitizes lung cancer while preventing radiation injuries by modulating tumor-infiltrating B cells. *J Cancer Res Clin Oncol*. 2023 Sep;149(12):9529-9542.
- [7] Yang J, Cai HD, Zeng YL, et al. Effects of Koumine on Adjuvant- and Collagen-Induced Arthritis in Rats. *J Nat Prod*. 2016 Oct 28;79(10):2635-2643.
- [8] Yue R, Jin G, Wei S, et al. Immunoregulatory Effect of Koumine on Nonalcoholic Fatty Liver Disease Rats. *J Immunol Res*. 2019 Feb 17;2019:8325102.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA