

Product Data Sheet

Product Name: Cynaropicrin

Cat. No.: GC33330

Chemical Properties

Cas. No. 35730-78-0

SMILES C=C([C@H]1O)[C@]([C@@]2([H])C1)([H])[C@](OC3=O)([H])[C@@](C3=C)([H])[C@@H](OC(C(CO)=C)=O)CC2=C

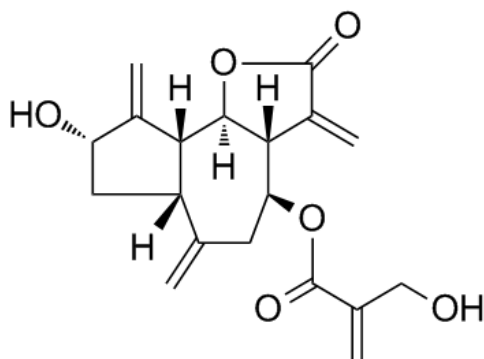
Formula $C_{19}H_{22}O_6$ M.Wt 346.37

Solubility DMSO: ≥ 50 mg/mL (144.35 mM) Storage -20°C , protect from 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 RAW264.7 cells

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

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	Cynaropicrin was solubilized with vehicle (89.9% propylene glycol, 10% ethanol and 0.1% dimethyl sulfoxide) were diluted with RPMI1640. The final concentration of vehicle was never exceeded 0.05% in the culture medium.
Preparation Method	Before stimulation with lipopolysaccharide (1µg/ml), RAW264.7 cells were incubated for 18h in 24 well plates under the same conditions. Stimuli and the various concentrations of Cynaropicrin were then added to the wells for 6h. Supernatants were then collected and assayed for TNF-α content.
Reaction Conditions	0-40µM ; 6h
Applications	Cynaropicrin (0-40µM; 6h) strongly inhibited lipopolysaccharide-induced TNF-α release in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Xenograft mice models bearing HCT116 cells
Preparation Method	HCT116 cells mixed with an equal volume of PBS and matrigel were implanted in the hind flank of mice (nude mice, female, 5-6 weeks old). Upon attaining an appropriate tumor volume (approximately one-week post-implantation), mice were intraperitoneally injected with Napabucasin (NAPA) or Cynaropicrin (2.5 and 5mg/kg) for 14 days. The mice were given the drug every 2 days and their weight was weighed.
Dosage form	2.5 and 5mg/kg; i.p.; every 2 days for 14 days
Applications	Intraperitoneal administration of Cynaropicrin at doses of 2.5 and 5mg/kg resulted in decreased tumor volume and weight compared to the vehicle group and Napabucasin (10mg/kg) group. LIFR and STAT3 phosphorylation was mechanistically inhibited in the treatment groups.

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References:

- [1] Cho, J Y et al. "In vitro anti-inflammatory effects of cynaropicrin, a sesquiterpene lactone, from *Saussurea lappa*." European journal of pharmacology vol. 398,3 (2000): 399-407.
- [2] Zheng, Dandan et al. "Cynaropicrin Shows Antitumor Progression Potential in Colorectal Cancer Through Mediation of the LIFR/STATs Axis." Frontiers in cell and developmental biology vol. 8 605184. 11 Jan. 2021.

Background

Cynaropicrin is a sesquiterpene lactone containing an α -methylene- γ -butyrolactone active group, isolated from the Ranunculaceae plant *Saussurea lappa*. Cynaropicrin has an inhibitory effect on tumor necrosis factor (TNF- α) production and cytokine-induced neutrophil chemokine-1. Cynaropicrin also inhibits the upregulation of the cartilage degradation factor MMP13 and can suppress the NF- κ B signaling pathway^{[1][2]}.

In vitro, Cynaropicrin (0-40 μ M; 6h) exhibited no cytotoxicity in RAW264.7 and U937 cells. Cynaropicrin (0-40 μ M; 24h) inhibited macrophage proliferation in a dose-dependent manner, with IC₅₀ values of 16.3 μ M in RAW264.7 cells and 17.2 μ M in U937 cells. Cynaropicrin (0-40 μ M) inhibited the proliferation of Sup-T1 cells after 24h and 48h of treatment, with IC₅₀ values of 27.5 μ M and 14.1 μ M, respectively. Cynaropicrin (0-40 μ M; 6h) strongly inhibited lipopolysaccharide-induced TNF- α release from both murine and human macrophage cells in a dose-dependent manner with the IC₅₀ values of 8.24 and 3.18 μ M^[1]. Cynaropicrin (1-4 μ M; 1h) dose-dependently inhibited TNF- α -induced upregulation of MMP13, HIF-2 α , and ADAMTS4 mRNA expression and reversed the downregulation of Sox9 mRNA expression in OUMS-27 cells^[2].

In vivo, Cynaropicrin (2.5 and 5mg/kg; i.p.; every 2 days for 14 days) decreased tumor volume and weight in mice bearing HCT116 xenografts with minimal toxicity^[3].

References:

- [1] Cho, J Y et al. "In vitro anti-inflammatory effects of cynaropicrin, a sesquiterpene lactone, from *Saussurea lappa*." European journal of pharmacology vol. 398,3 (2000): 399-407.
- [2] Masutani, Teruaki et al. "Cynaropicrin is dual regulator for both degradation factors and synthesis factors in the cartilage metabolism." Life sciences vol. 158 (2016): 70-7.

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[3] Zheng, Dandan et al. "Cynaropicrin Shows Antitumor Progression Potential in Colorectal Cancer Through Mediation of the LIFR/STATs Axis." *Frontiers in cell and developmental biology* vol. 8 605184. 11 Jan. 2021.

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