

Product Data Sheet

Product Name: Picrotoxinin

Cat. No.: GC61186

Chemical Properties

Cas. No. 17617-45-7

SMILES O=C1[C@]([C@@H]2C(C)=C)([H])[C@]3(O)C[C@]4([H])[C@](O4)(C(O5)=O)[C@]3(C)[C@@]5([H])[C@]2([H])O1

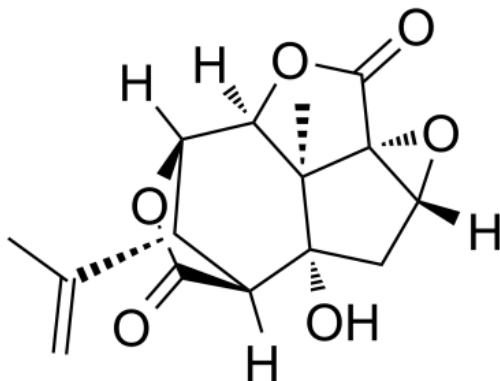
Formula $C_{15}H_{16}O_6$ M.Wt 292.28

Solubility DMSO : 100 mg/mL (342.14 mM; Need ultrasonic) Storage Store at -20°C

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 *Xenopus laevis* oocytes

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

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Preparation Method	Recordings were performed using the two-electrode voltage-clamp technique (holding potential: -60mV) in <i>Xenopus laevis</i> oocytes expressing recombinant human $\alpha_1\beta_2\gamma_2$ GABAA receptors. To evaluate the antagonistic effects of Picrotoxinin on positive allosteric modulators, experiments were conducted in two distinct modes: In the GABA-modulation mode, varying concentrations of Picrotoxinin (ranging from 0.001μM to 1mM) were co-incubated for 90s with 5μM GABA and the EC₅₀ concentration of each respective positive modulator, in order to assess Picrotoxinin's ability to block the GABA-enhancing effect. In the GABA-mimetic mode, Picrotoxinin was co-incubated for 90s with the EC₅₀ concentration of each positive modulator in the absence of GABA, to evaluate its inhibitory effect on the direct agonistic action of the positive modulators. A washout period of 3-5min was implemented after each drug application to prevent receptor desensitization and ensure the complete recovery of the baseline current.
Reaction Conditions	0.001 μ M-1mM; 90s
Applications	Picrotoxinin inhibits GABA-induced chloride currents in a concentration-dependent manner, and concentration-dependently blocks the opening of chloride channels induced by GABA itself, as well as by various positive allosteric modulators.

References:

[1] Ng C C, Duke R K, Hinton T, et al. Effects of bilobalide, ginkgolide B and picrotoxinin on GABAA receptor modulation by structurally diverse positive modulators[J]. European journal of pharmacology, 2017, 806: 83-90.

Background

Picrotoxinin is a bitter toxin derived from natural plants; it is found in the seeds of a climbing plant known as *Anamirta cocculus* and acts as a chloride channel blocker^[1, 2]. Picrotoxinin is a non-

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competitive antagonist of the GABA_A receptor, negatively modulating the effects of the neurotransmitter gamma-aminobutyric acid (GABA) on GABA_A receptors^[3]. Picrotoxinin is a potent convulsant^[4].

In vitro, treatment of *Xenopus laevis* oocytes with Picrotoxinin (0.001 μM-1 mM) for 90s inhibited GABA-induced chloride currents in a concentration-dependent manner; furthermore, it blocked the opening of chloride channels induced by various positive allosteric modulators (etomidate, propofol, diazepam, thiopentone sodium, loreclezole, and allopregnanolone)^[5].

References:

- [1] Katsnelson A. Making Natural Products Supernatural[J]. 2024.
- [2] Olsen R W. Picrotoxin-like channel blockers of GABAA receptors[J]. Proceedings of the National Academy of Sciences, 2006, 103(16): 6081-6082.
- [3] Das P, Bell-Horner C L, Machu T K, et al. The GABAA receptor antagonist picrotoxin inhibits 5-hydroxytryptamine type 3A receptors[J]. Neuropharmacology, 2003, 44(4): 431-438.
- [4] Senghani M K, Patel P M, Sagar G V. Anticonvulsant activity of boswellic acids against maximal electroshock-induced convulsive rats and picrotoxin-induced convulsive mice[J]. Res J Pharmacon Phytochem, 2012, 4(6): 318-21.
- [5] Ng C C, Duke R K, Hinton T, et al. Effects of bilobalide, ginkgolide B and picrotoxinin on GABAA receptor modulation by structurally diverse positive modulators[J]. European journal of pharmacology, 2017, 806: 83-90.

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