Product Data Sheet

Product Name: Picrotoxin
Cat. No.: GC18511

Chemical Properties

Cas. No.	124-87-8		
Formula	$C_{30}H_{34}O_{13}$	M.Wt	602.58
Solubility	DMF: 30 mg/ml,DMSO: 30 mg/ml,DMSO:PBS(pH 7.2) (1:3): 0.25 mg/ml,Ethanol: 15 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Picrotoxin		

Protocol

Cell experiment [1]:

Cell lines	SCN cellls
Preparation Method	SCN cells were seeded on 30μm diameter electrodes and maintained in CO2 buffer for 3 weeks. Cover the culture chamber fixed to the array with fluorinated ethylene-polypropylene membrane and transfer to the recording incubator. Picrotoxin (25, 50, 100, 500, and 1,000 μM) was added to the culture and discharges sustained for at least 4 days were recorded for rhythmic analysis.
Reaction Conditions	25, 50, 100, 500, and 1,000 μM; 4 days

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Applications	Picrotoxin accelerates the circadian rhythm of neuronal firing activity in a dose-dependent manner.

Animal experiment [2]:

Animal models	NG2-CreERTM:EYFP mice
Preparation Method	NG2-CreERTM:EYFP mice received i.p. injections of tamoxifen once a day for 5 days. On day 10, drinking water was replaced with sweetened water. On day 12, sweetened water was replaced with BrdU sweetened water. On days 13-17, mice received one injection per day of either saline, picrotoxin (1.0 mg/kg; n = 4). Mice were euthanized on day 75, 8 weeks following the end of BrdU exposure.
Dosage form	1 mg/kg□i.p.
Applications	Picrotoxin increased the number and density of OPC neuron pairs.

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References:

[1] Freeman Jr G M, Nakajima M, Ueda H R, et al. Picrotoxin dramatically speeds the mammalian circadian clock independent of Cys-loop receptors[J]. Journal of neurophysiology, 2013, 110(1): 103-108.
[2] Boulanger J J, Messier C. Oligodendrocyte progenitor cells are paired with GABA neurons in the mouse dorsal cortex: Unbiased stereological analysis[J]. Neuroscience, 2017, 362: 127-140.

Background

Picrotoxin (Cocculin) is a plant alkaloid that is a non-competitive antagonist of GABAA receptors and can block the chloride channel of GABAA receptors[1]. Picrotoxin does not act on the GABA recognition site, but may act on ion channels and can act as a central nervous system stimulant, causing excessive stimulation and convulsive reactions [2]. Picrotoxin is a non-competitive antagonist of glycine receptors [3].

In vitro, Picrotoxin (25-1000μM) treated SCN cells, concentration-dependently accelerated the circadian rhythm of cell discharge activity, and Picrotoxin (100μM) selectively advanced the accumulation of PER 2 protein by approximately 3.7 h without affecting PER 2 stability[4]. Picrotoxin (100μM) treated HEK293 cells, significantly inhibiting the expression of 5-HT3A receptors in the cells. Picrotoxin (10-300μM) inhibits 5-HT gated current in cells in a concentration-dependent manner, with an IC50 value of approximately 30μM[5].

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In vivo, Picrotoxin (1mg/kg) treated NG2-CreERTM:EYFP mice via intraperitoneal injection for 5 days significantly increased the number and density of OPC neuron pairs[6]. Picrotoxin (2mg/kg) treated female CD rats by intraperitoneal injection increased the acetylcholine content in the striatum by approximately 70% and the level of homovanillic acid by approximately 30% [7].

References:

[1]Carpenter T S, Lau E Y, Lightstone F C. Identification of a possible secondary picrotoxin-binding site on the GABAA receptor[J]. Chemical research in toxicology, 2013, 26(10): 1444-1454.
[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]Qian H, Pan Y, Zhu Y, et al. Picrotoxin accelerates relaxation of GABAC receptors[J]. Molecular pharmacology, 2005, 67(2): 470-479.
[4]Freeman Jr G M, Nakajima M, Ueda H R, et al. Picrotoxin dramatically speeds the mammalian circadian clock independent of Cys-loop receptors[J]. Journal of neurophysiology, 2013, 110(1): 103-108.
[5]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.
[6]Boulanger J J, Messier C. Oligodendrocyte progenitor cells are paired with GABA neurons in the mouse dorsal cortex: Unbiased stereological analysis[J]. Neuroscience, 2017, 362: 127-140.
[7]Ladinsky H, Consolo S, Bianchi S, et al. Increase in striatal acetylcholine by picrotoxin in the rat: evidence for a gabergic-dopaminergic-cholinergic link[J]. Brain Research, 1976, 108(2): 351-361.

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