

Product Data Sheet

Product Name: 4-Aminopyridine

Cat. No.: GC17469

Chemical Properties

Cas. No. 504-24-5

Formula $C_5H_6N_2$

M.Wt 94.11

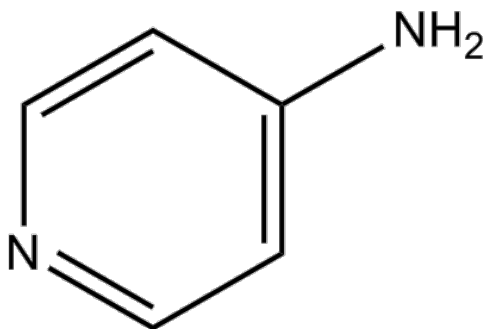
Solubility $\geq 6\text{mg/mL}$ in Water

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 Human fetal microglia

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

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Preparation Method Human fetal microglia were seeded into 24-well plates at 1.5×10^5 cells/well. Cells were treated for 48h with A β _{1–42} (5 μ M), 4-Aminopyridine (2mM), A β _{42–1}, or A β _{1–42} in combination with 4-Aminopyridine after a 30min preincubation with 4-Aminopyridine.

Reaction Conditions 2mM; 48h

Applications 4-Aminopyridine significantly reduced the amount of A β _{1–42}-induced microglial neurotoxicity by 54%.

Animal experiment [1]:

Animal models Sprague Dawley rats

Preparation Method A β _{1–42} or A β _{42–1} (1nM in 2 μ l) was injected slowly (0.2 μ l/min) into the hippocampus [anteroposterior, –3.6mm; mediolateral, –1.8mm; dorsoventral, –3.2mm] using a 10 μ l Hamilton syringe. 4-Aminopyridine was dissolved in 0.9% saline and administered intraperitoneally at 1mg/kg 15min before A β _{1–42} injection, followed by once-daily injections of 1mg/kg for 7d.

Dosage form 1mg/kg/d; i.p.; 7d

Applications At 7d with 4-Aminopyridine after A β _{1–42} injection, the number of NeuN-positive neurons in the superior blade of dentate granule cell layer decreased by 18% compared with vehicle.

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References:

[1] Franciosi S, Ryu JK, Choi HB, Radov L, Kim SU, McLarnon JG. Broad-spectrum effects of 4-aminopyridine to modulate amyloid beta1-42-induced cell signaling and functional responses in human microglia. J Neurosci. 2006;26(45):11652-11664.

Background

4-Aminopyridine is a non-selective K⁺ channel blocker. 4-Aminopyridine blocks voltage-gated potassium currents in axons, thereby prolonging action potential duration and facilitating neurotransmitter release. 4-Aminopyridine also possesses broad-spectrum anti-inflammatory and neuroprotective activities in vitro and in vivo. 4-Aminopyridine is a potential drug for Alzheimer's disease (AD), and 4-aminopyridine has demonstrated therapeutic benefits in Lambert-Eaton syndrome and myasthenia gravis as well^{[1][2][3]}.

In vitro, 4-Aminopyridine (130μM) induces a transient elevation in miniature end-plate potential (mEPP) frequency in frog skeletal muscle fibers, persisting for about 1 hour, while exerting no effect on either the amplitude or frequency of mEPPs in rat muscle fibers^[2]. 4-Aminopyridine (0–128μM) dose-dependently increases the amplitude of endplate potentials (EPPs) in rat muscle^[2]. In D-Tubocurarine-blocked rat muscles, 4-AP (130μM) enhanced endplate potential (EPP) amplitude during repetitive stimulation at 1 and 10Hz, whereas this potentiation was diminished at higher stimulation frequencies (50 and 100Hz)^[2]. 4-Aminopyridine (2mM; 48h) significantly decreases Aβ_{1–42}-induced neurotoxicity in microglial cells, with a reduction of 54%^[1]. 4-Aminopyridine (100μM; 10min) increased neuronal activity in 90-day-old cerebral spheroids^[6].

In vivo, 4-Aminopyridine (1mg/kg/d; i.p.; 7 days) attenuates the neurotoxic effects of Aβ_{1–42} and effectively protects neurons from Aβ_{1–42}-induced damage in Sprague-Dawley rats^[1]. Intraperitoneal administration of 4-Aminopyridine (13mg/kg) in mice caused seizures, with a mean latency to seizure onset of 11.4min and a mortality rate of 100%^[4]. Single-dose 4-Aminopyridine (5mg/kg; i.p.) induced acute generalized brain hypometabolism in rats, sparing the cerebellum, at 5-10min post-injection^[5].

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- [2] Lundh H. Effects of 4-aminopyridine on neuromuscular transmission. Brain Res. 1978;153(2):307-318.
- [3] Sherratt RM, Bostock H, Sears TA. Effects of 4-aminopyridine on normal and demyelinated mammalian nerve fibres. Nature.
- [4] Li M, Kang R, Shi J, Liu G, Zhang J. Anticonvulsant activity of B2, an adenosine analog, on chemical convulsant-induced seizures. PLoS One. 2013;8(6):e67060.
- [5] Gómez-Oliver F, Fernández de la Rosa R, Brackhan M, Bascuñana P, Pozo MÁ, García-García L. Seizures Triggered by Systemic Administration of 4-Aminopyridine in Rats Lead to Acute Brain Glucose Hypometabolism, as Assessed by [18F]FDG PET Neuroimaging. Int J Mol Sci. 2024;25(23):12774.
- [6] Parmentier T, James FMK, Hewitson E, et al. Human cerebral spheroids undergo 4-aminopyridine-induced, activity associated changes in cellular composition and microRNA expression. Sci Rep. 2022;12(1):9143.

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