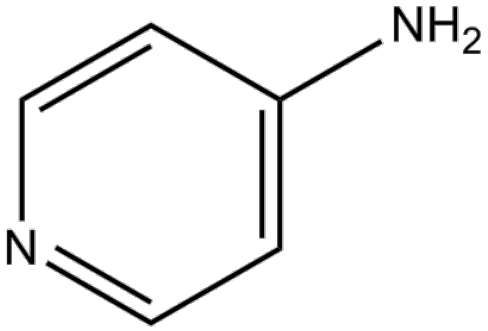
Product Data Sheet

Product Name: 4-Aminopyridine
Cat. No.: GC17469

Chemical Properties

Cas. No.	504-24-5		
Formula	$C_5H_6N_2$	M.Wt	94.11
Solubility	≥ 6mg/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

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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 $A\beta_{1-42}$ (5μM), 4-Aminopyridine (2mM), $A\beta_{42-1}$, or $A\beta_{1-42}$ in combination with 4-Aminopyridine after a 30min preincubation with 4-Aminopyridine.
Reaction Conditions	2mM; 48h
Applications	4-Aminopyridine significantly reduced the amount of $A\beta_{1-42}$-induced microglial neurotoxicity by 54%.

Animal experiment [1]:

Animal models	Sprague Dawley rats
Preparation Method	$A\beta_{1-42}$ or $A\beta_{42-1}$ (1nM in 2μl) was injected slowly (0.2μl/min) into the hippocampus [anteroposterior, –3.6mm; mediolateral, –1.8mm; dorsoventral, –3.2mm] using a 10μl Hamilton syringe. 4-Aminopyridine was dissolved in 0.9% saline and administered intraperitoneally at 1mg/kg 15min before $A\beta_{1-42}$ 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 $A\beta_{1-42}$ 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 $A\beta_{1-42}$-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 $A\beta_{1-42}$ and effectively protects neurons from $A\beta_{1-42}$-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].

References:

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[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.
[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.

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