# Amifampridine

**Cat. No.:** HY-14946

**CAS No.:** 54-96-6

**Molecular Formula:** $C_5H_7N_3$

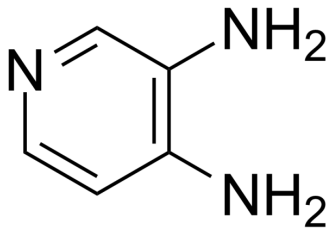
**Molecular Weight:** 109.13

**Target:** Potassium Channel

**Pathway:** Membrane Transporter/Ion Channel

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 38 mg/mL (348.21 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 9.1634 mL | 45.8169 mL | 91.6338 mL |
| 5 mM | 1.8327 mL | 9.1634 mL | 18.3268 mL |
| 10 mM | 0.9163 mL | 4.5817 mL | 9.1634 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (22.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (22.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (22.91 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Amifampridine (3,4-Diaminopyridine) is an orally active, potent and cell permeable voltage-gated potassium ($K_v$) channel blocker (PCB). Amifampridine is efficacy in the reversal of BoNT/A (HY-P79153) intoxication. Amifampridine increases transmitter release from neuromuscular junctions (NMJs). Amifampridine can be used for Lambert-Eaton myasthenic syndrome (LEMS) research[1][2][3].

**In Vitro**

Amifampridine (1.5 μM) significantly reduces Kv3.3 and Kv3.4 currents by about 10% in HEK293T cells, has no effect on

Cav2.1 or Cav1.2 current[3].
Amifampridine (0-100 μM) increases the duration of the presynaptic AP (action potential) waveform at mammalian and frog NMJs in a dose-dependent manner[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Amifampridine (Oral gavage; 10 mg/kg; once) can antagonize muscle paralysis following BoNT/A intoxication[2].
Amifampridine (2.5 mg/kg (IV); 10 mg/kg (PO); once) shows 1 hour plasma half-life and about 57% bioavailability (F) in mice [2].
Amifampridine has a short plasma half-life and can induce seizures when present at high concentrations, following penetration of the blood-brain barrier[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | CD-1 mouse (female,25 g, 6 weeks old)[2] |
| Dosage: | 10 mg/kg |
| Administration: | Oral gavage, once, after BoNT/A administration (IP) |
| Result: | Revealed that neither LEMs alone (182 ± 43 min) nor the maximum safe orally deliverable dose of 3,4-DAP alone (225 ± 24 min) could significantly increase the time to death following toxin administration (216 ± 29 min). However, when the 10/50/40 3,4-DAP/LEM/shellac formulation was administered at 25 mg/kg the time to death was 302 ± 26 min - a 40% increase as compared to toxin alone. |

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|---|---|
| Animal Model: | CD-1 mouse (30-35 g, 8 weeks old)[2] |
| Dosage: | 2.5 mg/kg (IV); 10 mg/kg (PO) |
| Administration: | IV, orally, once (Pharmacokinetic Analysis) |
| Result: | Pharmacokinetic Parameters of Amifampridine in CD-1 mouse[1]. |

| | IV (2.5 mg/kg) | PO (10 mg/kg) |
|---|---|---|
| $t_{1/2}$ (h) | 1.04 | 1.28 |
| $AUC_{0-24}$ (μM·h) | 4.29 | 9.72 |
| F (%) | 100 | 56.7 |

## REFERENCES


[1]. Maarten J Titulaer, et al. Lambert-Eaton myasthenic syndrome: from clinical characteristics to therapeutic strategies. Lancet Neurol. 2011 Dec;10(12):1098-107.

[2]. T L Harris, et al. Lycopodium clavatum exine microcapsules enable safe oral delivery of 3,4-diaminopyridine for treatment of botulinum neurotoxin A intoxication. Chem Commun (Camb). 2016 Mar 18;52(22):4187-90.

[3]. Ojala KS, et al. A high-affinity, partial antagonist effect of 3,4-diaminopyridine mediates action potential broadening and enhancement of transmitter release at NMJs. J Biol Chem. 2021 Jan-Jun;296:100302.

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

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