

Product Data Sheet

Amodiaquine dihydrochloride

Cat. No.: HY-B1322B **CAS No.:** 69-44-3

Molecular Formula: C₂₀H₂₄Cl₃N₃O

Molecular Weight: 428.78

Target: Histone Methyltransferase; Parasite; Nuclear Hormone Receptor 4A/NR4A

Pathway: Epigenetics; Anti-infection; Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture and light

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (291.52 mM; Need ultrasonic) H₂O: 50 mg/mL (116.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
	1 mM	2.3322 mL	11.6610 mL	23.3220 mL	
	5 mM	0.4664 mL	2.3322 mL	4.6644 mL	
	10 mM	0.2332 mL	1.1661 mL	2.3322 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: ≥ 2.08 mg/mL (4.85 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: \geq 2.08 mg/mL (4.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Amodiaquine dihydrochloride (Amodiaquin dihydrochloride), a 4-aminoquinoline class of antimalarial agent, is a potent and orally active histamine N-methyltransferase inhibitor with a K_i of 18.6 nM. Amodiaquine dihydrochloride is also a Nurr1 agonist and specifically binds to Nurr1-LBD (ligand binding domain) with an EC ₅₀ of ~20 μ M. Anti-inflammatory effect ^{[1][2][3]} [4][5].		
IC ₅₀ & Target	Plasmodium	Nurr1/NR4A2	

In Vitro

Amodiaquine (10-20 μM; 4 hours) treatment suppresses LPS-induced expression of proinflammatory cytokines (IL-1β, interleukin-6, TNF-α and iNOS) in a dose-dependent manner^[1].

?Amodiaquine (5 μM; 24 hours) significantly inhibits neurotoxin (6-OHDA-induced cell death in primary dopamine cells as

examined by the number of TH⁺ neurons and dopamine uptake. The neuroprotective effect of Amodiaquine is also observed in rat PC12 cells^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR^[1]

Call Line	Daine and the second in
Cell Line:	Primary microglia
Concentration:	10 μΜ, 15 μΜ, 20 μΜ
Incubation Time:	4 hours
Result:	Suppressed LPS-induced expression of proinflammatory cytokines (IL-1 β , interleukin-6, TNF- α and iNOS) in a dose-dependent manner.

In Vivo

Amodiaquine (40 mg/kg; intraperitoneal injection; daily; for 3 days; male ICR mice) treatment diminishes perihematomal activation of microglia/macrophages and astrocytes. Amodiaquine also suppresses ICH-induced mRNA expression of IL-1 β , CCL2 and CXCL2, and ameliorated motor dysfunction of mice^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ICR mice (8-10 weeks of age) induced ntracerebral hemorrhage (ICH) ^[2]
Dosage:	40 mg/kg
Administration:	Intraperitoneal injection; daily; for 3 days
Result:	Diminished perihematomal activation of microglia/macrophages and astrocytes.

CUSTOMER VALIDATION

- Pharmacol Res. 2023 Mar 20;106717.
- Cell Rep. 2021 Apr 6;35(1):108959.
- J Virol. 2024 Jan 18:e0121623.
- Metab Brain Dis. 2021 Jan 28.
- Biochem Biophys Res Commun. 2020 Feb 19;522(4):862-868.

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REFERENCES

- [1]. Chun-Hyung Kim, et al. Nuclear receptor Nurr1 agonists enhance its dual functions and improve behavioral deficits in an animal model of Parkinson's disease. Proc Natl Acad Sci U S A. 2015 Jul 14;112(28):8756-61.
- [2]. Keita Kinoshita, et al. A Nurr1 agonist amodiaquine attenuates inflammatory events and neurological deficits in a mouse model of intracerebral hemorrhage. J Neuroimmunol. 2019 May 15;330:48-54.
- [3]. Akira Yokoyama, et al. Effect of amodiaquine, a histamine N-methyltransferase inhibitor, on, Propionibacterium acnes and lipopolysaccharide-induced hepatitis in mice. Eur J Pharmacol. 2007 Mar 8;558(1-3):179-84.
- [4]. M T HOEKENGA. The treatment of acute malaria with single oral doses of amodiaquin, chloroquine, hydroxychloroquine and pyrimethamine. Am J Trop Med Hyg. 1954 Sep;3(5):833-8.

5]. John R Horton, et al. Struct	tural basis for inhibition of hist	tamine N-methyltransferase by	diverse drugs. J Mol Biol. 2005 Oct 21	L;353(2):334-344.	
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