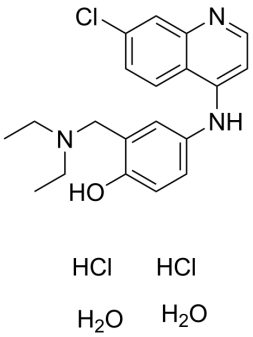
Amodiaquine dihydrochloride dihydrate

Cat. No.:	HY-B1322
CAS No.:	6398-98-7
Molecular Formula:	$C_{20}H_{22}ClN_3O.2H_2O.2HCl$
Molecular Weight:	464.81
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 : 100 mg/mL (215.14 mM; Need ultrasonic)
H_2O : 20 mg/mL (43.03 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1514 mL	10.7571 mL	21.5142 mL
5 mM	0.4303 mL	2.1514 mL	4.3028 mL
10 mM	0.2151 mL	1.0757 mL	2.1514 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 (5.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Amodiaquine dihydrochloride dihydrate (Amodiaquin dihydrochloride dihydrate), a 4-aminoquinoline class of antimalarial agent, is a potent and orally active histamine N-methyltransferase inhibitor. Amodiaquine dihydrochloride dihydrate is also a Nurr1 agonist and specifically binds to Nurr1-LBD (ligand binding domain) with an EC_{50} of ~20 μM. Anti-inflammatory effect [1][2][3][4].

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]

Cell Line:	Primary microglia
Concentration:	10 μM, 15 μM, 20 μM
Incubation Time:	4 hours
Result:	Suppressed LPS-induced expression of proinflammatory cytokines (IL-1β, 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-1β, 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.

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

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