Hydroxychloroquine sulfate

Cat. No.: HY-B1370

CAS No.: 747-36-4

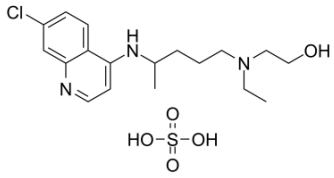
Molecular Formula: $C_{18}H_{28}ClN_3O_5S$

Molecular Weight: 433.95

Target: Parasite; Toll-like Receptor (TLR); SARS-CoV; Autophagy

Pathway: Anti-infection; Immunology/Inflammation; Autophagy

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

H_2O : 110 mg/mL (253.49 mM; Need ultrasonic and warming)
DMF : 1.4 mg/mL (3.23 mM; Need ultrasonic)
DMSO : < 1 mg/mL (ultrasonic) (insoluble or slightly soluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3044 mL	11.5221 mL	23.0441 mL
5 mM	0.4609 mL	2.3044 mL	4.6088 mL
10 mM	0.2304 mL	1.1522 mL	2.3044 mL

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

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (230.44 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Hydroxychloroquine sulfate (HCQ sulfate) is a synthetic antimalarial agent which can also inhibit Toll-like receptor 7/9 (TLR7/9) signaling. Hydroxychloroquine sulfate is efficiently inhibits SARS-CoV-2 infection in vitro[1][2][3].

IC_{50} & Target

Antimalarial[1], TLR7/9[2]

In Vitro

Hydroxychloroquine sulfate is a synthetic antimalarial drug derived from 4-aminoquinoline; it has been used for several decades for the treatment of some rheumatic diseases such as rheumatoid arthritis (RA)[1]. Five micromolar Hydroxychloroquine sulfate or chloroquine also has no measurable effect on intracellular pH, although these concentrations can inhibit TLR9 or 7 signaling induced by DNA or RNA ligands[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Hydroxychloroquine sulfate is prescribed for the treatment of lupus, and both Hydroxychloroquine sulfate and its analog chloroquine inhibit TLR7 and 9 signaling[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	MRL/lpr mice are dosed orally five times a week with 20 or 60 mg/kg E6446 or 60 mg/kg Hydroxychloroquine sulfate beginning at 5 weeks of age. CB 4564 is administered at 50 mg/kg i.p. every 10 days. A serum sample is taken immediately before the beginning of treatment to monitor changes in autoreactive antibodies. Subsequently, serum samples are collected approximately monthly and analyzed for anti-dsDNA by ELISA after 1:500 dilution. Body weights and urine samples are taken at the same interval, and proteinuria is assessed. Anti-nuclear antibodies (ANA) are assessed using commercially available HEp2 slide kits, with serum diluted to 1:100 in kit buffer. ANA scores are read blinded[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- Theranostics. 2020 Apr 27;10(13):5829-5844.
- Cell Rep. 2021, 108959.
- J Control Release. 2020 Jan 28;320:304-313.
- Clin Chem. 2019 Dec;65(12):1522-1531.

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REFERENCES

[1]. Manzo C, et al. Psychomotor Agitation Following Treatment with Hydroxychloroquine. Drug Saf Case Rep. 2017 Dec;4(1):6.

[2]. Lamphier M, et al. Novel small molecule inhibitors of TLR7 and TLR9: mechanism of action and efficacy in vivo. Mol Pharmacol. 2014 Mar;85(3):429-40.

[3]. Yao X, et al. In Vitro Antiviral Activity and Projection of Optimized Dosing Design of Hydroxychloroquine for the Treatment of Severe Acute Respiratory Syndrome Coronavirus 2 (SARS-CoV-2). Clin Infect Dis. 2020 Mar 9. pii: ciaa237.

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