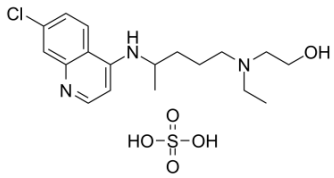
Hydroxychloroquine sulfate

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); Autophagy
Pathway:	Anti-infection; Immunology/Inflammation; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 110 mg/mL (**253.49 mM;** Need ultrasonic and warming)

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.

BIOLOGICAL ACTIVITY

Description	Hydroxychloroquine sulfate is a synthetic **antimalarial** drug which can also inhibit **Toll-like receptor 7/9** (**TLR7/9**) signaling.
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].
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].

PROTOCOL

Kinase Assay [2]	Five microliters of a solution of 2% L-α-phosphatidylcholine in dodecane is deposited per well on membranes of a 96-well plate. AT791 (10 μM), E6446 (10 μM), Hydroxychloroquine sulfate (40 μM), or chloroquine (40 μM) are added to one of the two compartments in pH 5.5 buffer (50 mM NaAce, 15 mM NaCl) or pH 7.4 buffer (50 mM KPO_4, 150 mM NaCl), and the plate is incubated at 37°C. The next day, compound concentrations in both chambers are quantitated. In one variation of this experiment, 5 μM AT791 or E6446 is added to both chambers, one of which contains pH 5.5 buffer and the other pH 7.4 buffer. The redistribution of compound between the two chambers is monitored for 8 hours[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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. Cytoxan 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

- **J Autoimmun**. 2019 May;99:39-47.
- **Cell Signal**. 2019 Feb;54:17-26.

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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.

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