

Datasheet

Hydroxychloroquine Sulfate

Product Name	Hydroxychloroquine Sulfate
Catalogue Number	BSV-S4430
Chemical Formula	C ₁₈ H ₂₈ ClN ₃ O ₅ S
Function	Autofagy inhibitor
CAS No.:	747-36-4

Description:

Hydroxychloroquine Sulfate is an antimalarial agent used for the treatment of systemic lupus erythematosus, rheumatoid arthritis and other autoimmune, inflammatory and dermatologic conditions. Also acts as an inhibitor of **autophagy** and **toll-like receptor (TLR) 7/9**.

Product Details:

Target: TLR9

Chemical name: 2-[[4-[(7-chloro-4-quinolinyl)amino]pentyl]ethylamino]-ethanol, sulfate (1:1)

Formula: C₁₈H₂₈ClN₃O₅S

Molecular weight: 433.95

Purity: 99.86% (HPLC)

Solubility: 87 mg/mL (water)

Storage: 3 years -20°C powder, 2 years -80°C in solvent

Regulatory/ Restrictions: For laboratory use only.

Biological Activity:

In vitro: Hydroxychloroquine Sulfate is a potent inhibitor of autophagy. It prevents lysosomal acidification, thereby interfering with a key step in the autophagic process. HCQ treatment

inhibits RCC (renal cell cancer) cell growth, promotes apoptosis, inhibits mitochondrial oxygen consumption, and increases rates of glycolysis^[2].

In vivo: The treatment of Hydroxychloroquine Sulfate reduces the infarct size in an in vivo rat model of I/R injury and the cardioprotective effect of Hydroxychloroquine is ERK1/2 dependent^[3]. In addition, Hydroxychloroquine Sulfate shows an early vascular protective effect. HCQ seems to prevent the occurrence of endothelial dysfunction(ED) in treated animals^[4].

Preparing stock solutions

Concentration/ Mass	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
50 mM	0.0461 mL	0.2304 mL	0.4609 mL

Protocol (only for reference)

In vitro kinase assays

with purified proteins, recombinant S6 protein and recombinant active P70S6K are incubated in 1x kinase buffer with various amount of HCQ or RAD001 in the presence (25 μ M) or absence of ATP for 30 minutes at 30°C. Total and phosphorylated S6 at ser235/236 and ser240/244 are detected by western analysis using phosphospecific antibodies. Note that recombinant GST-tagged S6 (53 kd) is distinguished from endogenous S6 (32 kd) on the western blot.

Cell assay

Cell lines	Human RCC cell lines
Concentrations	75 or 100 μ M
Incubation Time	48 h
Method	All cells are cultured in RPMI with 10% FBS, 1% glutamine, and 1% Pen/Strep. cells are seeded on the appropriated plates overnight and treated with HCQ (75 or 100 μ M) for 48 hours.

Animal study

Animal models: Male Sprague Dawley (SD) rats

Dosages: 200 mg/kg

Administration: oral

References:

- [1] [Ramser B, et al. J Invest Dermatol. 2009,129\(10\):2419-26.](#)
- [2] [Lee HO, et al. PLoS One. 2015, 10\(7\): e0131464.](#)
- [3] [Bourke L, et al. PLoS One. 2015, 10\(12\):e0143771.](#)
- [4] [Marta Mosca, et al. 2013 ACR/ARHP Annual Meeting.](#)
- [5] [Lamphier M, et al. Mol Pharmacol. 2014, 85\(3\):429-440.](#)