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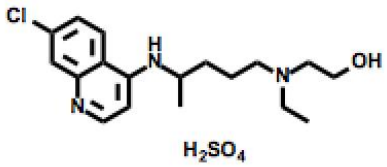
Autophagy and TLR9 Inhibitor – Hydroxychloroquine (HCQ)

Chemical Name: 2-((4-((7-chloroquinolin-4-yl)amino)pentyl)(ethyl)amino)ethan-1-ol sulfate



Molecular Weight:	433.95
Formula:	$C_{18}H_{28}ClN_3O_5S$
Purity:	≥98%
CAS#:	747-36-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

Hydroxychloroquine (HCQ) is a potent autophagy inhibitor and TLR9 inhibitor. It prevents lysosomal acidification, thereby interfering with a key step in the autophagic process. In cancer cells, HCQ treatment has been shown to cause increased apoptosis, tumor regression, and delay in tumor recurrence. HCQ was an effective growth inhibitor of human RCC cell lines, inhibiting growth, promoting apoptosis, and effecting cellular metabolism. HCQ altered the levels of the mTORC1 activation marker phospho-S6 and that this effect was mediated by a different mechanism than that observed for the mTOR inhibitor RAD001. HCQ is also a potent inhibitor of TLR9, prevents DNA-TLR9 interaction in vitro and modulates signaling in vivo.

How to Use:

In vitro: Hydroxychloroquine (HCQ) was used at 50-100 μM final concentration in various assays.

In vivo: Hydroxychloroquine (HCQ) can be dosed to mice orally at 50 mg/Kg once per day.

Reference:

1. Lee HO, et al. Hydroxychloroquine Destabilizes Phospho-S6 in Human Renal Carcinoma Cells. (2015) PLoS One. 10(7):e0131464.
2. Lamphier M, et al. Novel small molecule inhibitors of TLR7 and TLR9: mechanism of action and efficacy in vivo. (2014) Mol Pharmacol. 85(3):429-40.