Category

Chemistry in Medicine and Biology

Key words

SARS-CoV-2

COVID-19 antimalarial



A. R. SURREY, H. F. HAMMER (STERLING-WINTHROP RESEARCH INSTITUTE, RENSSELAER, USA) The Preparation of 7-Chloro-4-(4-(*N*-ethyl-*N*-β-hydroxyethylamino)-1-methylbutylamino)-quinoline and Related Compounds *J. Am. Chem. Soc.* **1950**, *72*, 1814–1815.

Hydroxychloroquine – An Antimalarial to Fight COVID-19?



Significance: Hydroxychloroquine (HCQ) and chloroquine (CQ) have long been used as treatments for malaria and autoimmune diseases. Surrey and Hammer detailed the synthesis of HCQ, a potent antimalarial in 1950. In an effort to identify available drugs to fight SARS-CoV-2 it has been reported that CQ and HCQ in combination with azithromycin might have the potential to combat COVID-19.

Comment: HCQ is synthesized by reacting a diamine with 4,7-dichloroquinoline in an S_NAr reaction. The diamine is synthesized by condensing 5-chloro-2-pentanone with *N*-ethylethanolamine, followed by reductive amination with ammonia. HCQ showed good antimalarial activity and recently has been found to inhibit SARS-CoV-2 infection *in vitro* (*Cell Discovery* **2020**, 6, 16).

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