

Synthesizing Hydroxychloroquine

A high-yielding semi-continuous flow method

Hydroxychloroquine (HCQ) is an anti-malarial drug developed for both the treatment and prevention of the disease in response to widespread malaria resistance to chloroquine (CQ). The World Health Organization has identified HCQ as an essential anti-malarial medication for a basic healthcare system. Additionally, HCQ is an effective non-steroidal anti-inflammatory drug (NSAID) in the treatment of various autoimmune diseases such as rheumatoid arthritis (e.g. in cardiovascular patients), lupus, and childhood arthritis (or juvenile idiopathic arthritis) among others. Unfortunately, global access to HCQ has been hindered by high manufacturing costs. The current HCQ commercial synthesis employs the key intermediate 5-(ethyl(2-hydroxyethyl) amino)pentan-2-one, which is a major driver in the cost of production. In order to address this issue, VCU researchers have developed a cost-effective semi-continuous flow method for the synthesis of HCQ in an effort to make it more readily accessible for treatment of malaria and other related diseases.

The technology

This semi-continuous flow method is a vertically integrated process which uses both continuous flow and continuous stirred tank reactors. Using 5-iodopentan-2-one as the starting material, this process uses reactants that are simpler and less expensive than those employed in current commercial processes. Furthermore, this process is able to achieve key steps of the HCQ manufacturing method and improve the overall yield by 52% relative to current production processes. This high-yielding, multigram-scale, semi-continuous synthetic method provides an opportunity to achieve increased and affordable global access to HCQ.

Benefits

- » Increases overall yield by 52%
- » Uses simpler and less expensive reactants
- » Reduces number of steps in the HCQ manufacturing process

Applications

- » Production of hydroxychloroquine
- » Optimization of other pharmaceutical production methods

Patent status:

Patent pending, U.S. and foreign rights are available.

License status:

This technology is available for licensing to industry for further development and commercialization.

Category:

Active Pharmaceutical Ingredients (APIs) & Pharmaceutical manufacturing

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External resources:

[WO2019165337A1](#)
[Yu, E., et al. \(2018\)](#)

Contact us about this technology

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