CALIFORNIA STATE SCIENCE FAIR
2013 PROJECT SUMMARY

Name(s)
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Project Number
S0620

Project Title
Increasing the Bioefficacy of Artemisinin through Trifluoromethylation

Abstract

Objectives/Goals
The application of fluorine in pharmaceuticals has been widely recognized. Due to its structural similarity to hydrogen and high electronegativity, fluorine has been extensively employed to modulate such biological properties of drug molecules as acidity, basicity, protein binding affinity, and lipophilicity. There is an urgent need for capable protocols to efficiently incorporate fluorine into complex organic molecules. This study has specifically focused building the process to trifluoromethylate artemisinin to increase its bioefficacy and make the currently available drugs for malaria even more potent and cost effective.

Methods/Materials
TMS-CF(3), the Ruppert- Prakash reagent, has become very popular for nucleophilic trifluoromethylation of carbonyl compounds. Before attempting trifluoromethylation of a complex molecule like artemisinin, aldehydes, esters, and ketones were used as substrates to devise a possible reaction mechanism for the process. The reactions required a simple catalyst, such as potassium carbonate to achieve the maximum yield.

Results
Using NMR (Nuclear Magnetic Resonance) analysis, the results show that the trifluoromethylation of the substrates produced high yields of the desired products with the Ruppert- Prakash reagent. With yields of products ranging from 80-94%, the method of trifluoromethylation proved successful.

Conclusions/Discussion
The results of this study suggest that it is possible to include fluorine and the trifluoromethyl group into substrates with structures similar to that of artemisinin by using the Ruppert-Prakash reagent. Therefore, it is possible that trifluoromethylation may increase the bioefficacy of artemisinin to treat a variety of diseases, including malaria and cancer, in the future.

Summary Statement
This main goal of my experiments was to create a practical reaction procedure that could be followed for the trifluoromethylation of artemisinin.

Help Received
I worked at Loker Hydrocarbon Research Institute at USC and was supervised by Drs. Surya Prakash, Parag Jog, and Hema Krishnan. My parents took me to and from the lab.