Synthesis and Larvicidal Activity of of α,β-Unsaturaded δ-Lactones against *Aedes aegypti*

Maria Ester de Sá B. Barros,* Juliano C. R. Freitas, Daniela M. A. F. Navarro and Paulo Henrique Menezes

Departamento de Química Fundamental, CCEN, UFPE, 50670-901 , Recife-PE, Brasil

**m_esterbbarros@yahoo.com.br*

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INTRODUCTION

Mosquitoes pose the greatest threat to public health because of their ability to act as vectors of pathogens causing malaria, dengue, yellow fever, encephalitis and filariasis, which affect many millions of people all around the world. Of particular interest, *Aedes aegypti* is the vector for the arboviruses responsible for yellow fever and dengue fever, present in more than one hundred countries which threatens the health of approximately 2.5 billion people. Worldwide, around 80 million people are infected each year.

Substituted α,β-unsaturated δ-lactones units are present in a large number of compounds isolated from plants and marine organisms. Representative examples are goniothalamin,[1] and massoialactone[2] (Fig. 1).

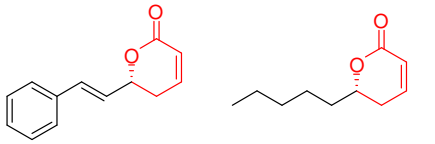


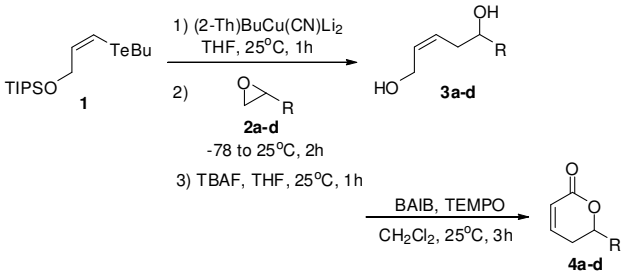
Figure 1

These compounds possess a broad range of biological activities. For example, Goniothalamin has shown significant toxicity against *Aedes aegypti.*[3]

In this way, the search for compounds which could mimic this activity became an area of interest in our research group.

RESULTS AND DISCUSSION

The α,β-unsaturated δ-lactones were synthesized according to Scheme 1. The vinyl telluride **1** was transformed into the corresponding *Z* higher order vinyl cyanocuprate. The reaction of the resulting higher order vinyl cyanocuprate intermediate with epoxides **2a-d** gave the corresponding homoallylic alcohols, which was treated with TBAF in THF to give the corresponding 1,5-diols **3a-d**. Further oxidation using TEMPO and a catalytic amount of TEMPO gave the desired lactones **4a-d** in good yields after purification by chromatographic column (Scheme1).[3]



Scheme 1

Larvicidal activities against fourth instar larvae of *A. aegypti* have been determined for the obtained lactones. Each of them was replicated four times being lactone **4d** the most active (Figure 1).

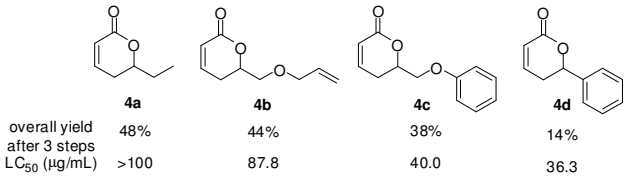


Figure 1

CONCLUSION

The use of vinyl tellurides for the synthesis of biologically active compounds was demonstrated. The desired α,β-unsaturated δ-lactones were obtained in moderate to good overall yields and the results of the screening against larvae of *A. aegypti* demonstrated that these compounds exhibited good larvicidal activity.

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CNPq, CAPES, INCT-INAMI

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