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Making inexpensive drugs for the treatment of Human African Trypanosomiasis

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Making inexpensive drugs for the treatment of Human African Trypanosomiasis

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Introduction

Neglected tropical diseases (NTDs) affect the world's poorest population; mainly in Africa, Asia, and Latin America. According to the WHO, more than one billion people are affected by NTDs. The connection between these areas is the lack of proper sanitation, and the persistence of the diseases, trap the affected countries in the poverty and disease cycle. African sleeping sickness, a prevalent NTD, is mainly found in Africa, and is a parasitic infection caused by two parasites from the *Trypanosoma brucei* species.

Transmitted by the tsetse fly or congenitally, the disease is manifested by fever, severe headaches, irritability, extreme fatigue, swollen lymph nodes, and aching muscles and joints (stage 1). When it reaches the central nervous system, it can cause progressive confusion, personality changes, and other neurological problems (stage 2). The high-cost and limited number of the drugs contribute to the increase of the cases resulting in a great impact on global health.

The goal of our research is to develop inexpensive and effective drugs using low-cost organic starting materials that target the *T. brucei* parasite. Our target compounds are Mannich bases containing an aromatic moiety. Previous, but limited, studies have shown that this class of compounds demonstrates promising results against *T. brucei*. Our one step synthesis involves the use of nitro-substituted acetophenones, formaldehyde, and a variety of amines to make Mannich bases under conventional heating and microwave conditions. By changing the nitro-acetophenones and the amines used in the reaction, we hope to develop a robust drug library we can use to screen against *T. brucei*.

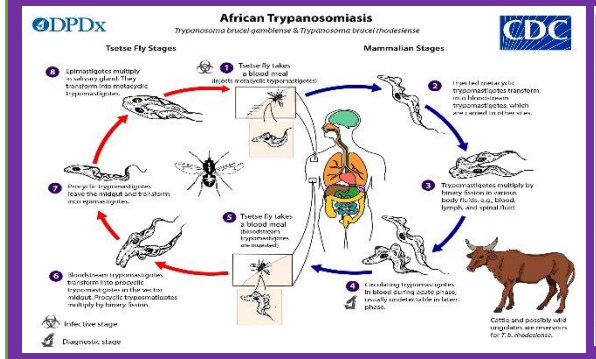


Figure1: trypanosomes life cycle

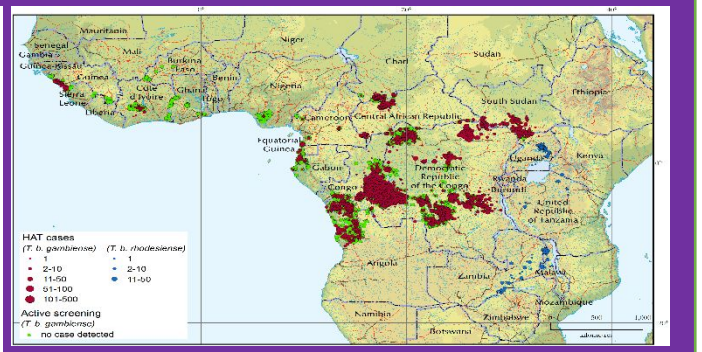
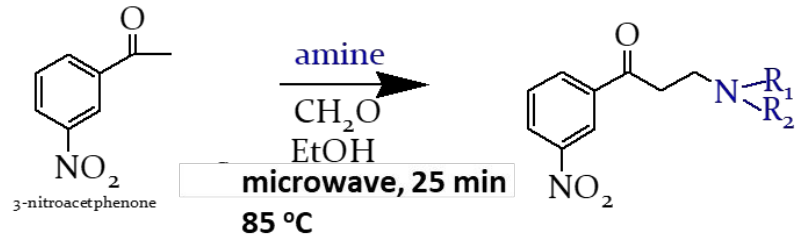


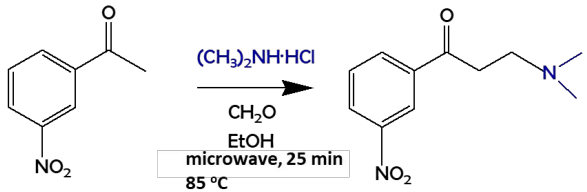
Fig 2. The distribution of human African trypanosomiasis 2010–2014.

Method



Scheme 1: Synthesis the target mannich bases

Results

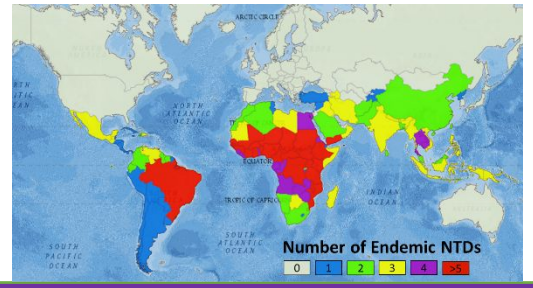


Scheme 2: Optimization reaction of our first Mannich base

Trial	Temperature (°C)	Time (min)	Power (W)	Yield (%)
1	85	15	100	19.38
2	95	15	100	31.39
3	85	25	100	55.81
4	95	25	100	18.60
5	85	40	100	42.63
6	95	20	100	18.60

Conclusion

NTDs represent a concern for the world's health and an important drugs resistance is against several drugs is observed. In that perspective, it is a mission to emerge with new affordable types of drug available .To that end, the synthesis Mannich bases are crucial in African sleeping sickness drugs. So far, the optimization condition of the reaction have been set through several trials. This represent a big step in the intent to make efficient compounds with cheap starting materials and in great quantity in the less amount of time.



Future work

The next step of this research is to develop a library of Mannich bases drugs using different amines and nitro-substituted acetophenones compounds. The compound will then be sent for testing against *T. brucei*.

References

- PLOS Neglected Tropica Diseases https://doi.org/10.1371/journal.pntd.0005585.g003
- Center for Disease Control And Prevention www.cdc.gov/dpdx/trypanosomiasisafrican/index.html. 6 Mar. 2019,