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New trypanocides from Nigerian medicinal plants as leads for drug development against Human African Trypanosomiasis

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African trypanosomiasis is a disease caused by infection of humans and animals with parasites called trypanosomes, usually through the bite of infected tsetse flies. Unfortunately, the current drugs are ineffective due to drug resistance and efforts towards new drug development are inadequate. Using in vitro models of *Trypanosoma brucei*, we used a multiple approach towards the identification of new lead compounds and evaluate their potency. The result shows that both crude extracts and their isolated active compounds have no detectable toxicity on Human Embryonic Kidney (HEK) cells, whereas promising activity was found against three strains of *Trypanosoma brucei* used in this study: (1) Wild type strain *Trypanosoma brucei* (s427-WT). (2) A resistant strain, B48 which was derived from a TbAT1-KO strain after increasing exposure to pentamidine and lacks both the TbAT1/P2 transporter and the high affinity pentamidine transporter (HAPT). (3) The pentamidine/melarsoprol-resistant Aquaporin $^{2+}$3 knockout TbAQP^{2+}3-KO, which was obtained by the knockout of the aquaporin genes specifically implicated for resistance to pentamidine and melarsoprol. The isolated compounds were very active against all the trypanosomes strains tested. EC50 values for the isolated compounds were from 0.15 µg/ml. Fluorescence microscopic assessment of DNA configuration revealed cell cycle defects after ten hours of incubation with the natural compounds: DNA synthesis could not be initiated, leading to a dramatic reduction of cells in the S phase. Considering the high level of selectivity over human cell lines, these compounds could serve as lead compounds towards the identification of more efficient antitrypanosome drugs.

Biography

Godwin U Ebiloma is a Graduate of Kogi State University and Ahmadu Bello University, Nigeria, and is in his final year of PhD at the University of Glasgow, where he is studying Infection and Immunity. He is also a Biochemistry Lecturer at Kogi State University. He has published more than 15 papers in reputed journals. He is a visiting researcher at Strathclyde Institute of Pharmacy and Biomedical Sciences, University of Strathclyde, and the Department of Biomedical Sciences at the University of Tokyo. He is interested in parasite biochemistry and drug discovery.

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