



HALOGENATION OF PLANT NATURAL PRODUCT CRUDE EXTRACTS ENHANCES ACTIVITIES AGAINST TROPICAL PARASITES

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Plants are valuable sources of novel structures with biological activities. Organisms that produce halogenated natural products demonstrate that the presence of chlorine or bromine in the metabolite can be essential for their biological activity and the development and synthetic improvement of drug candidates from natural prototypes often involves the introduction of halogen atoms into lead structures.

In this project we collected plant material of ten *Bignoniaceae* species and halogenated chemically susceptible natural products of leaf and stem crude extracts using an environmentally friendly method to introduce chlorine, bromine or iodine [1]. We have developed an analytical microscale workflow to monitor halogenated compounds by their characteristic isotopic profiles using high resolution mass spectrometry (ESI-QTOF). Untreated as well as halogenated crude extracts were tested for activities against *Plasmodium falciparum*, *Leishmania infantum* and *Trypanosoma cruzi*, the protozoic parasites that cause Malaria, Leishmania and Chagas Disease, respectively. While all untreated extracts were inactive, some have shown remarkable activities after halogenation. Next, we intend to fractionate and screen active crude extracts at a microscale range (MTP96) to determine the formulas of active (halogenated) compounds by UHPLC-HRMS. Semi-preparative halogenation and mass monitored compound isolation should yield enough mass for structure elucidation by NMR and further biotests.

Keywords:

Bioactive Natural Products, Bignoniaceae, Halogenation, Mass Spectrometry, Tropical infection diseases

- [1] A. Neuenschwander *et al.*, "Production of highly active antiparasitic compounds from the controlled halogenation of the arrabidaea brachypoda crude plant extract," *Journal of Natural Products*, vol. 83, no. 9, pp. 2631–2640, Sep. 2020.

