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Insecticidal properties of eugenol derivatives against mosquitoes

Continuing the search for insecticidal properties of essential oils, mosquitocidal activity of essential oil of cinnamon has been recognized. Our preliminary studies showed that eugenol which is the major constituent of cinnamon leaf oil has promising activity against vector mosquitoes, *Culex quinquefasciatus, Anopheles tessellatus* and *Aedes aegypti*. The objective of this study was to synthesize derivatives of eugenol and to evaluate the activity of these compounds to establish the Structure Activity Relationships of molecules.

Several compounds, eugenyl acetate, benzoate, chloroacetate and epoxide and methyl eugenol with structures based on mosquitocidal eugenol have been synthesized by using standard

reaction conditions. Synthetic derivatives were purified by Dry Column Flash Chromatography wherever necessary. Structures of compounds synthesized were confirmed by 1H and 13C NMR spectral data. Eugenol derivatives were tested for knockdown and mortality against *C. quinquefasciatus*, *A. tessellatus* and *A. aegypti* under laboratory conditions and the bioassay followed the WHO standard method for adult mosquito susceptibility test.

In susceptibility test eugenol, eugenyl acetate, methyl eugenol and isoeugenol showed good knockdown and mortality against mosquitoes tested except eugenyl benzoate, chloroacetate and epoxide. Eugenyl acetate is the most active derivative against *A. tessellatus* (LD50 0.26 µg ml-1) and methyl eugenol against *C. quinquefasciatus* (LD50 1.0 µg ml-1) and isoeugenol aganist *A. aegypti* (LD50 1.5 µg ml-1). However, eugenyl acetate is nontoxic against *A. aegypti* except its knockdown activity (KD50 0.76 µg ml-1). Isoeugenol, eugenyl epoxide and safrole are less active than eugenol against all three tested. It appeared that esterification with less bulky acyl group tends to enhance the activity of eugenol. However, chloroacetyl compound is weakly active and eugenyl epoxide is only active against *A. tessellatus*. Change of a hydroxyl group to a –O-CH2-O- group has retained the activity only against *C. quinquefasciatus*.

Most of the derivatives prepared were less active than eugenol. While conversion of eugenol to its acetate did not result in major differences in activity, bulkier ester groups sharply decreased activity.