

Insecticidal properties of geraniol derivatives against mosquitoes

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Continuing the search for insecticidal properties of essential oils, mosquitocidal activity of citronella has been recognized. Our preliminary studies showed that geraniol and citronellol two major constituents of Ceylon citronella oil have promising mosquitocidal activity against *Culex quinquefasciatus*, *Anopheles tessellatus* and *Aedes aegypti*. The objective of this study is to synthesize derivatives of geraniol and to evaluate the mosquitocidal activity of those to establish Structure Activity Relationship of compounds.

Acyl (acetate, chloroacetate, dichloroacetate, pivalate, trifluoroacetate, propionate, chloropropionate), aryl (benzoate) and epoxide derivatives of geraniol were synthesized by literature reported procedures. Synthetic derivatives were purified by Dry Column Flash Chromatography wherever necessary. Structures of compounds were elucidated/confirmed by ¹H and ¹³C NMR spectral data. The bioassay against *Cx. quinquefasciatus*, *An. tessellatus* and *Ae. aegypti* followed the WHO standard protocol.

Analogue synthesis of geraniol gave resulted compounds in good yield and several with enhanced mosquitocidal activity. Among them geranyl chloroacetate is the most active compound against *An. tessellatus* (LD₅₀ 0.22 µg mL⁻¹) and *Cx. quinquefasciatus* (LD₅₀ 0.09 µg mL⁻¹) and showed the best knock-down activity (KD₅₀ 0.09 µg mL⁻¹) against *Ae. aegypti*. Mortality and knock-down data also indicated that geranyl acetate (LD₅₀ 0.26 µg mL⁻¹) and dichloroacetate (LD₅₀ 0.22 µg mL⁻¹) have good activity against *An. tessellates* and geranyl propionate against *Cx. quinquefasciatus* (LD₅₀ 0.28 µg mL⁻¹). It appeared that esterification with less bulky acyl group i.e. acetate tends to enhance the mosquitocidal activity of geraniol. Activity is also more enhanced in the haloacyl derivatives, geranyl chloroacetate, dichloroacetate and trifluoroacetate. Change of a terminal double bond in geraniol to a epoxide group has decreased the activity. The degree of saturation appears to have no significant influence to the toxicity against mosquitoes. Results also indicated that propionate and pivalate derivatives are more toxic than acetates.

This study also indicates that the structure characteristic of geraniol can influence its mosquitocidal properties and clearly, hydroxyl group, its size and shape and the double bonds contribute towards the activity.

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