MOSQUITOCIDAL STUDIES OF MUGETANOL DERIVATIVES AND HERBAL-BASED MOSQUITO COILS

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Mosquito-borne diseases malaria, yellow fever, dengue, filariasis and Japanese encephalitis remain as a severe public health problem in the tropics including Sri Lanka. Chemical insecticides have been used intensively in mosquito control but they are encountered with several drawbacks such as resistance development, high cost and environmental and health concerns. Research has been accelerated already to search and develop environmentally friendly alternatives for mosquito control and plant-derived phytochemicals have shown significant insecticidal properties.

The objectives of this study are to synthesize mugetanol derivatives and to evaluate the mosquitocidal activity of these synthesized compounds against *Culex quinquefasciatus, Anopheles tessellatus* and *Aedes aegypti* to establish the Structure Activity Relationships (SAR) of compounds and to develop mosquito coils using the selected essential oils, essential oil compounds and herbal powders.

In the present study eight mugetanol derivatives, mugetanyl acetate, chloroacetate, dichloroacetate, trifluroacetate, benzoate, propionate, chloropropionate and pivalate were synthesized. Synthetic derivatives were purified by Dry Column Flash Chromatography wherever necessary and structures of compounds were elucidated by ^{1}H and ^{13}C NMR spectral data. Mosquitocidal activity of six of the synthetic derivatives was evaluated against mosquitoes following the WHO standard protocol. Twenty-one mosquito coils were formulated following standard procedures. The bio-efficacy of mosquito coils was evaluated against *Cx. quinquefasciatus* under laboratory conditions. Quality parameters of the coils were determined according to the SLS standard specification for mosquito coils.

Synthetic derivatives showed less activity against all three mosquitoes than mugetanol (LD_{50} = 0.79 μgmL^{-1}). Relative mosquitocidal activity data indicated that mugetnyl acetate is the most active compound against *An. tessellatus* (LD_{50} 0.56 μgmL^{-1}) and *Cx. quinquefasciatus* (LD_{50} 0.66 μgmL^{-1}). Mugetanyl trifluroacetate showed the highest mortality against *An. tessellatus* (LD_{50} 0.27 μgmL^{-1}). Mugetanyl chloroacetate and pivalate also showed good activity against mosquitoes (LD_{50} 0.77 and 0.78 μgmL^{-1}). However, none of the derivatives showed good activity against *Ae. aegypti.*

SAR data indicated that the less bulky acyl groups such as acetate tends to increase the mosquitocidal activity than more bulky acyl and aryl groups. However, good activity of mugetanyl trifluroacetate could be because of the enhanced volatility of the compound. Structural analogues of mugetanol i.e. menthol, thymol and α-terpineol have comparable mosquiotocidal activity with mugetanol indicating no significant effect on the activity from the structural variations of the molecule.

Mosquito coil formulations, **1** (*Cinnamomum zeylanicum* bark powder 2 %) and **2** (*C. zeylanicum* bark powder 10 %) showed good knock-down time (KT_{50}) values (2.9 and 2.7 min.). Formulations **9** (thymol 2%), **10** (thymol 10 %), **11** (thymol 2.5% and *C. zeylanicum* bark 2.5%), **20** (α-terpineol 5%) and **21** (mugetanol 2.5%) also showed good KT_{50} values (3.2, 3.1, 5.9, 4.4 and 4.7 min, respectively). Formulations **12** (menthol 2%), **13** (menthol 10%) and **17** (5% of *C. zeylanicum* bark and leaf and *C. nardus*) exhibited KT_{50} of 4.9, 3.6 and 6.4 min. Formulations **1** and **2** have better activity with comparison to commercial coils, Ninja, Mortein, Lion, Baygon and Good Knight.

This study indicates that the structure characteristic of mugetanol can influnce its mosquitocidal properties. Mosquito coils formulation studies indicate that formulations with low KT_{50} values (< 5 min.) have a potential to be developed as coils.