

Synthesis of some novel pyrazoline derivatives as antimalarial and antileishmanial activities

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Pyrazoline derivatives are class of compounds having different biological activities including the neglected tropical diseases, malaria and leishmania. Taking their promising antimalarial and antileishmanial activities in to account, twelve thienylpyrazoline derivatives were synthesized in good yields (70.3-89.7%) by using aldol condensation, Michael addition and cyclization reactions. Elemental microanalysis, IR, ¹HNMR and ¹³CNMR are used to verify the structures of the synthesized compounds. The *in vivo* antimalarial activities of the target compounds were evaluated using mice infected with *P. berghei* ANKA strain. The *in vitro* antileishmanial activities of the synthesized compounds were evaluated using *Leishmania aethiopica* isolate.

The synthesized compounds showed pronounced antimalarial activities with percent suppression of 52.31-93.46 which was significantly different from the negative group ($P>0.05$). Among the synthesized compounds, III and VII displayed superior antimalarial activities with percent suppression of 90.87 and 93.46 respectively. All the synthesized compounds displayed comparable antileishmanial activities to the standard drug miltefosine ($IC_{50}=3.1911 \mu\text{g/ml}$). Compound V showed promising antileishmanial activities ($IC_{50}=0.0256 \mu\text{g/ml}$) which is approximately two times more active than the standard drug amphotericin B deoxycholate ($IC_{50}=0.0460 \mu\text{g/ml}$). In addition, the synthesized compounds are devoid of any inherent acute toxicity symptoms.

Biography

Balkew Zewge has completed his B.Sc. degree in chemistry and M.Sc. degree in medicinal chemistry at the age of 26 years from Bahir Dar University and Addis Ababa University respectively. He is the head in the department of chemistry, and doing several researches and providing community service. He has submitted research article for peer review journal.

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