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Synthesis and evaluation of some hydrazone derivatives of pyrazole-4-carboxaldehydes as antileishmanial agents

Halefom Gebreselasse Berhe
Mekelle University, Ethiopia

As part of the work in search for novel antileishmanial agents, some hydrazone derivatives of pyrazole-4-carboxaldehydes were synthesized. The chemical structures of the synthesized compounds were verified by IR, ^{1}HNMR and elemental microanalyses. The *in vitro* antileishmanial activity test was done against *Leishmania aethiopica* isolate CL 048/11 promastigotes using alamar blue reduction assay. The search for antileishmanial agents in this work resulted in compounds 3a, 3b, 4 and 6, among which compound 4; N,N-dimethylaminomethylene-4-[(3-(4-methylphenyl)-4-(phenylhydrazonomethylene))-1H-pyrazol-1-yl] benzenesulfonamide (IC_{50}=0.0175) was found to be about 180 times more active than the miltefosine (IC_{50}=3.1300) and 2.7 times more active than amphotericin B deoxycholate (IC_{50}=0.0470), the standard reference drugs. This high activity could probably be due to the interaction of its hydrazone and sulfonamide moieties with electrophilic groups on the backbone of the relevant receptor's active site. The results of acute toxicity test indicated that compounds 3a, 3b, 3d, 4, and 5 proved to be non-toxic and well tolerated by the experimental animals up to a dose level of 300 mg/kg orally, while compound 6 was tolerated up to 200 mg/kg. On the other hand, all the test compounds were well tolerated up to 140 mg/kg parenteraly. Therefore, compound 4 would represent a fruitful matrix of new class of antileishmanial agents that would deserve further investigations and derivatizations.

Biography

Halefom Gebreselasse has completed his B. Pharm degree at the age of 23 years from Jimma University and M.Sc. study from Addis Ababa University, School of Pharmacy by 2011. Currently, he is working in Mekelle University as a Lecturer and researcher. He has got a letter of appreciation from the Ethiopian pharmaceutical association for the contribution he made during the attempt of the association to play role in the improvement of the community health service. Moreover, his role in changing the countrywide pharmaceutical curriculum from product oriented to clinical oriented one was magnificent.

ethiohal2005@gmail.com