Title: Synthesis and Evaluation of Some Novel 2-Aryl, 3-Substituted 4 (3H)-Quinazolinone Derivatives as Anti-malarial and Anti-leishmanial Agents

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Abstract

In this study, some novel 2, 3 distributed quinazoline -4 (3H) - one of derivatives were synthesized using a three-step synthetic route. They were obtained in a good yield (59.5-85%) by applying different chemical reaction like cyclization and condensation reaction. The chemical structure of the final compounds was also verified by spectroscopic methods (IR, ^{1}HNMR) and elemental microanalysis. The in *vivo* Antimalarial activity of these compounds on P. berghei infected mice was found to be moderate to high at oral dose 0.04846 mmol/kg /day. This does is equal to 25 mg/kg of chloroquine phosphate which cause 100% inhibition of the parasite. It is worth mentioning that most active compounds (*E*) -3 Phenyl -2- [2- (pyridine -4- yl) vinyl] -4 (3H) -quinazolinone **IVa** (64.02%, (*E*)-2-[2-(4 - Hydroxy-3 - methoxystyryl) - vinyl) -3 - phenyl -4 (3H) - quinazolinone **IVc** (77.25%) and (*E*)-2 –[2 –(Pyridin -4-yl) –vinyl] -3 phenenylamine -4(3H) quinazolinone **IVe** (73.54%) showed a does dependant increase in present suppression in Antimalarial activities. Furthermore, the synthesized compounds were screened for their in vitro antileishmanial activity against L. *aethiopica* isolate (CL/039/09). All tested compounds **(IVa** (0.03766 ug/ml), **IVb** (0.00538 ug/ml, **IVc** (0.00412 ug/ml, **IVd** (0.00110 ug/ml), **IVe** (0.03017 ug/ml) and **IVf** (0.03894 ug/ml)) showed excellent potency that is much better than amphotericin B (IC50 = 0,04359 ug/ml). The results of acute toxicity indicated that all test compounds (**IVa – IVf)** proved to be non toxic and well tolerated by the experimental animals up to 300 mg/kg in oral and 140 mg/kg in parental studies.

Keywords: Quinazolin-4(3H)-one derivative, *in vivo* Antimalarial activity and acute toxicity.

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Session name/ number:

Category: (Oral presentation)