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A Novel Praziquantel Solid-Lipid Nanoparticle Formulation with Enhanced Bioavailability and Antischistosomal Efficacy against Murine S. Mansoni Infection

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This work aimed to improve the therapeutic outcome of the only available drug worldwide to treat Schistosomiasis, Praziquantel "PZQ", by incorporating it into a novel carrier "Solid Lipid Nanoparticle (SLN)" to enhance its solubility, bioavailability and hence efficacy. A simple and cost-effective method was used to prepare SLN-PZQ. In comparison to market PZQ (M-PZQ), SLN-PZQ was more bioavailable as denoted by higher serum concentration in both normal and infected mice where elevated Ka, AUC0 – 24, Cmax and t1/2e with a decrease in kel were demonstrated. The AUC0 – 24 for SLN-PZQ in normal and S. Mansoni infected groups were almost nine-and eight-fold higher than those for M-PZQ in parallel groups. SLN-PZQ was detectable in serum at 24 hr while M-PZQ completely vanished at 8 hr post treatment in both normal and S. Mansoni infected mice. Additionally, enhanced absorption with extended residence time was recorded. Coupled to the enhanced bioavailability and compared to M-PZQ, SLN-PZQ revealed superior antischistosomal activity in all treated groups where higher percentages of worm reduction were recorded with all dosages tested; especially evident at the lower dose levels. The ED50 of SLN-PZQ was 6.8-fold lower than M-PZQ. Also, significantly higher reduction in both the hepatic and intestinal tissue egg loads of all treated groups with almost complete disappearance of immature deposited eggs were recorded (clearly evident at the low dose levels). In conclusion, SLN-PZQ demonstrated an enhanced PZQ bioavailability and antischistosomal efficacy with a safe profile despite the longer period of residence in the systemic circulation.

Keywords: Schistosomamansoni, Praziquantel, Solid-Lipid Nanoparticles, Bioavailability, Efficacy, Mice.