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Acid stable alginate micro capsules for the improvement of solubility and gastrointestinal stability of Artemether and Lumefantrine

Nicholas Chinedu Obitte
University of Nigeria, Nigeria

Class II BCS drugs have dissolution and bioavailability challenges. Thus, lipid-based formulations have been explored to address them. Artemether and Lumefantrine investigated in this work are poorly soluble, requiring oral co-administration with oily foods to improve absorption. Oil or surfactant dispersions of these drugs may be prone to crystallization and acid hydrolysis (artemether) in the stomach. To prevent these unwanted events we encapsulated oil-surfactant solution of the drugs using an acid-stable wall-forming polymer. Considering the number of independent variables involved in the formulations, Full Factorial experimental design was employed to optimize their compositions. Therefore, the aims of the present study were to optimize excipient compositions and encapsulate (oil–surfactant solution of artemether and lumefantrine respectively with improved aqueous solubility and *in vivo* activity) in an acid-stable wall-forming calcium alginate capsules. A 33 Full Factorial experimental design was employed to optimize the compositions of the independent variables. The optimized batches were evaluated for quantity of oil encapsulated, percentage capsule yield, mean capsule diameter, percent loading efficiency, swelling index, *in vitro* drug release and *in vivo* antimalarial studies. Results showed that Alginate concentration most significantly ($P < 0.05$) contributed to variations in the parameters evaluated. Swelling index was higher in alkaline than acid pH. Less than 25% drug release was witnessed in SGF while up to 99 % release took place in SIF (pH 7.2). The optimized batches exhibited higher antimalarial activity compared to the commercial or pure drug samples. DSC revealed absence of drug crystallization. In conclusion, improved aqueous solubility and antimalarial activity of artemether and lumefantrine in acid-protective capsule membranes were achieved.

Biography

Nicholas Chinedu Obitte got his Ph.D in 2009 from the Department of Pharmaceutical Technology and Industrial Pharmacy, University of Nigeria, Nsukka. He is a senior Lecturer and has to his credit over 20 publications in International peer reviewed Journals. He is an Alexander von Humboldt postdoctoral Fellow.

Nicholas.obitte@unn.edu.ng

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