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DEVELOPMENT OF PHARMACEUTICAL SYSTEMS CONTAINING SEMI-SYNTHETIC DERIVATIVES OF *Artemisia annua* L.

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Abstract: *Artemisia annua* L. is a worldwide shrub for the potent antimalarial activity attributed to artemisinin. This sesquiterpene lactone is insoluble in water and in oil therefore derivatives with better physicochemical properties were produced by semi-synthesis, including artemether that is currently one of the first-line drugs for malaria treatment [1,3]. Malaria is among neglected diseases, which kill aproximately 300 million victms per year worldwide [2, 3]. The therapeutic arsenal in malaria treatment, is continuously in search of new formulations with stability and greater patients adherence to treatment. Therefore here in the development of pharmaceutics systems with controlled drug release is reportes. **Methods:** The pharmaceutical systems were prepared with ethoxylated and propoxylated cetyl alcohol – Procetyl ® AWS (surfactant, T), oleic acid (oil phase, FO) and water (aqueous phase, FA). The concentrations used in systems were: System 1 (S1): 30% T, 20% FO and 50% FA; System 2 (S2): 50% T, 20% FO and 30% FA, the systems were tested with and without artemether (30mg) denominated S1A and S2A when the drug was added. The formulations produced were characterized by texture analyzer TA TX plus Texture Analyser determining their characteristics of hardness, compressibility, adhesiveness and cohesion. The rheological analysis was performed using oscillatory rheometer, RS-1 (Haake) using geometry cone/plate (C35/2 Ti) and plate/plate 40mm, according to consistency of each formulation at a temperature of 37°C in triplicate. **Results:** According to texturometer data we observed that addition of the active pharmaceutical ingredient, increased compressibility and hardness, decreased adhesion and cohesion of the pharmaceutical systems. In the rheological analyses, we determine the mechanical characteristics of the sample, S1 and S1A system showed better results, characterized as reotropics, with shear viscosity at the time of analysis whereas S2 and S2A systems had characteristics of thixotropic systems, with low viscosity shear. **Conclusions:** The S1 and S1A systems showed better physicochemical and mechanical characteristics. For the future development of a pharmaceutical product for topical or transdermal use.

References:

[1]Who, World Health Organization. Malaria. 2014. n. 94, March.

[2]Who, World Health Organization. WHO on updates artemisinins resistance. 2014. September.

[3]Visser, B. J.; Wieten, R. W.; Kroon, D.; Nagel, I. M.; Bélard, S.; Van Vugt, M.; Grobusch, M. P. 2014. Efficacy and safety of artemisinin combination therapy (ACT) for non-falciparum malaria: a systematic review. *Malar. J.* 13: 463.