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MICROEMULSION FOR IMPROVED SKIN DELIVERY AND IN VIVO ANTI-INFLAMMATORY EFFECT

Praca FSG[1], Bentley MVLB[1] and Medina WSG[2]

[1]University of Sao Paulo, Brazil
[2]University of Padre Albino, Brazil

We have designed a microemulsion (ME) containing Ketoprofen (KET) for anti-inflammatory effect evaluated using the rat paw edema model. The ME was prepared by adding propylene glycol (PG) loaded with 1% KET/water (3:1, w/w), to a mixture of sorbitan monooleate and polysorbate 80 (47.0%) at 3:1 (w/w) and canola oil (38.0%). The physicochemical characterization of KET-loaded ME involved particle size and zeta potential determination, entrapment efficiency, calorimetric analysis, and in vitro drug release. The in vivo anti-inflammatory study employed male Wistar rats. Measurement of the foot volume was performed using a caliper immediately before and 2, 4, and 6 h after injection of Aerosil. KET-loaded ME showed particle size around 20 nm, with zeta potential at -16 mV and entrapment efficiency at 70%. Moreover, KET was converted to the amorphous state when loaded in the formulation and it was shown that the drug was slowly released from the ME. Finally, the in vivo biological activity was similar to that of the commercial gel, but ME better controlled edema at 4 h. These results demonstrated that the ME formulation is an alternative strategy for improving KET skin permeation for anti-inflammatory effect. Furthermore, our findings are promising considering that the developed ME was loaded with only 1% KET, and the formulation was able to keep a similar release profile and in vivo effect compared to the commercial gel with 2.5% KET. Therefore, the KET-loaded developed herein ME is likely to have a decreased side effect compared with that of the commercial gel, but both presented the same efficacy.

wasigame@gmail.com