Theme: Medical, Health and Pharmaceutical Sciences

Lipid nanoemulsions of Rebamipide: Formulation, characterization and *in vivo* evaluation of pharmacokinetic and pharmacodynamic effects

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ABSTRACT

Rebamipide has low oral bioavailability (10%) due to its low solubility and permeability. Lipid nanoemulsions (LNEs) were prepared in order to improve its oral bioavailability. Rebamipide loaded lipid nanoemulsions were formulated by hot homogenization and ultrasonication method. Olive oil and egg lecithin in various concentrations as emulsifier were used in the preparation of LNEs. The lipid nanoemulsions were evaluated for various parameters. The globule size, PDI and ZP of the formulations ranged from 230.3 \pm 3.88 nm to 279.8 \pm 5.76 nm, 0.204 \pm 0.008 to 0.246 ± 0.029 and -27.7 ± 2.05 to -31.0 ± 1.87 mV respectively. Entrapment efficiency and assay values ranged from 99.90 \pm 0.006 to 99.92 \pm 0.002% and 99.3 \pm 0.808 to 99.6 \pm 0.360 respectively. Physical stability test results revealed that the optimized LNEs were stable for two months at both room (25°C) and refrigerated temperature (4°C). The optimized LNE showed 4.32 folds improvement in the oral bioavailability in comparison to a marketed tablet suspension. In vivo anti ulcer activity of rebamipide LNE was studied by testing the prophylactic effect in preventing the mucosal damage in stomach region. The mucosa of stomach in animals was damaged by per oral administration of 80% alcohol. Maximum prophylactic antiulcer activity was observed by per oral delivery of rebamipide as LNE. Our results indicated that LNEs were a promising approach for the oral delivery of rebamipide for systemic effects along with local effects in protecting gastric region, which gets damaged during peptic ulcers.

Key words: Rebamipide; bioavailability; lipid nanoemulsions; antiulcer activity; prophylactic.