

Executive Summary

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Project Title: Studies on lipid based colloidal carriers for oral and topical delivery

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Executive summary:

The currently available NSAIDs used for arthritis suffer from major drawback of poor solubility and / or dissolution rate limited absorption which results in poor oral bioavailability. Solubility enhancement using lipid carriers can increase oral bioavailability. Also, topical delivery of these NSAIDs can lead to localized action at the site of inflammation provided these can circumvent the stratum corneum barrier, which can be aided by the use of nanolipidic carriers. The above mentioned approaches can be promising approach for pain management due to enhanced bioavailability and thus, maintain the functional integrity of joints over prolonged periods.

Stable Meloxicam self nanoemulsifying granules (SNEGs) were successfully formulated using Labrafil M1944CS with stearyl amine as the oily phase, Cremophor RH 40 and Tween 80 as surfactant with Transcutol HP and PEG 400 as co-surfactant and Aeroperl 300 pharma as the solid carrier. *In vivo* pharmacodynamic study in rats revealed rapid onset of action of the developed formulation due to solubility enhancement by SNEDDS approach leading to increased absorption due to increase in the surface area of the formed submicron globules. This would be advantageous in rapid relief from pain and inflammation in severe arthritic and other pain conditions.

Nimesulide Nanostructured Lipid Carriers were successfully prepared by hot nanoemulsification low temperature solidification technique which is a simple technique and obviates use of organic solvents. The lipid carriers were prepared using Compritol 888ATO and Labrafil M 1944CS as lipids, Tween 80 and Lutrol F68 as surfactant and stabilizer respectively with PEG 400 as the cosolvent to improve the drug loading in the lipidic carriers. The emulgel was developed using Carbopol Ultrez 10 NF as gelling agent and differential calorimetric studies revealed that drug was entrapped in the lipid. The developed emulgel was found to be stable and was considered to be safe as shown from histopathological studies performed using excised rat skin. The nanosized lipidic particles of the emulgel are expected to provide therapeutic benefit by prolonging duration of drug action to reduce inflammation and pain, maintain functional ability of joints and retard progression of the disease. Thus, the emulgel can provide consistent drug deliveries for extended periods of time with an improved bioavailability especially for chronic pain conditions like rheumatoid arthritis, ankylosing spondylitis, osteoarthritis etc.