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Liquisolid technique for dissolution enhancement of hormones belonging to BCS Class II

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Liquisolid formulations have attracted substantial interest as an efficient means of improving the dissolution and ultimately bioavailability. The technique is based upon the admixture of drug loaded solutions with appropriate carrier, coating materials and use of non-volatile solvent which causes improved wettability. Progesterone (PG) is belonging to BCS class II which is commonly used orally as hormonal therapy in pregnancy and having less oral bioavailability. Hence, in the present work an attempt has been made to enhance the progesterone solubility, dissolution rate by formulating immediate release liquisolid tablet of progesterone using Neusilin US2 and Syloid 244 FP as carrier and coating material respectively. Piperine is an alkaloid present in black pepper having inhibition activity of CYP450 an enzyme responsible for progesterone metabolism. Hence this study was designed to study the bioavailability enhancement of progesterone by means of two way approach i.e. liquisolid compact and enzyme inhibition.

The inclusion complexes of PG with β CD were formulated by kneading and highest solubility complex was further used for preparation of liquisolid compact using PEG 400 to get synergistic effect. The optimized batch PLS-4 (84.14% release) was used for further formulations with piperine. The prepared formulations were characterized by Fourier transforms infrared; differential scanning calorimetry, X-Ray diffraction and *in vitro* dissolution studies.

The results showed that up to 80 mg drug incorporated with dissolution enhancement compared with conventional formulation ($45.3 \pm 0.2\%$ release). The *in-vivo* analysis of PLS-4 showed 16.71 fold increases in the bioavailability of progesterone. The reason for such a tremendous increase in bioavailability may be attributed to inhibitory action of piperine on CYP 3A4 enzyme, responsible for metabolism of progesterone. Hence, this liquisolid technique with two way approach of solubility and bioavailability enhancement may found as key aspect in oral progesterone formulations.

Biography

Sameer J. Nadaf has completed his M. Pharmacy at the age of 23 years from Shivaji University, Kolhapur. He is currently working as Junior Research Fellow on CSIR (Council of Scientific and Industrial Research) Project. He has published more than 15 papers in reputed journals.

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