

## Pharmacy 2016 : Enhancement of solubility and bioavailability of linagliptin solid dispersions by solvent evaporation technique with novel carriers - D V R N Bhikshapathi - CMR College of Pharmacy

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Ensuring sufficient drug solubility is a crucial problem in pharmaceutical related research. For water-insoluble drugs, various formulation approaches are employed to enhance the solubility and dissolution rate of lead compounds. The goal of this study was to prepare and characterize solid dispersions of the poorly water soluble antidiabetic agent Linagliptin with novel water soluble carriers such as Kolliphor P 407, Kolliphor P 188, Kolliwax GMS II, Kolliphor HS15 and Soluplus in proportions viz. 1:1 & 1:3 (Drug: Carrier) with SLS as surfactant (0 to 2%) to improving its aqueous solubility and rate of dissolution by solvent evaporation technique. All the formulations showed marked improvement in the solubility behavior and improved drug release. From all the formulations SD15 was found to be optimized formulation using Kolliwax GMS II as carrier based on the solubility and dissolution studies. Analysis of X-ray diffraction of SD15 showed that Linagliptin existed in the amorphous form within the solid dispersion formulation fabricated using the solvent evaporation process. Scanning electron microscopy studies suggested the conversion of crystalline Linagliptin to an amorphous form. The dissolution rate of the Linagliptin solid dispersion was greatly enhanced relative to the pure drug. The results obtained showed that the aqueous solubility and rate of dissolution was significantly improved when formulated in solid dispersion as compare to pure drug. In pharmacology, bioavailability (BA or F) is a subcategory of ingestion and is the part (%) of a directed medication that spans the fundamental circulation. By definition, when a medicine is managed intravenously, its bioavailability is 100%. However, when a drug is controlled by means of courses other than intravenous, its bioavailability is generally [TH] lower than that of intravenous because of intestinal endothelium assimilation and first-pass digestion. Accordingly, numerically, bioavailability rises to the proportion of looking at the territory under the plasma tranquilize fixation bend versus time (AUC) for the extravascular definition to the AUC for the intravascular formulation. AUC is used in light of the fact that AUC is corresponding to the portion that has entered the fundamental circulation. Bioavailability of a medication is a normal worth; to assess populace changeability, deviation run is given in  $\pm$ . To guarantee that the medication taker who has poor retention is dosed properly, the base estimation of the deviation go is utilized to speak to genuine bioavailability to figure sedate portion required for the medication taker to accomplish fundamental medication fixations like the intravenous formulation. To portion without the essential of medication taker's ingestion express, the base estimation of the deviation extend is utilized so as to guarantee the foreseen adequacy will be met except if the medication is related with slender remedial window. For dietary enhancements, herbs and different supplements in which the course of organization is about consistently oral, bioavailability for the most part assigns essentially the amount or portion of the ingested portion that is retained. Bioavailability is the measure by which different substances in the earth may go into living life forms. It is generally a constraining element in the creation of yields (because of solvency restriction or assimilation of plant supplements to soil colloids) and in the expulsion of poisonous substances from the evolved way of life

by microorganisms (because of sorption to or apportioning of in any case degradable substances into out of reach stages in the earth). An essential model for horticulture is plant phosphorus lack incited by precipitation with iron and aluminum phosphates at low soil pH and precipitation with calcium phosphates at high soil pH. Toxic materials in soil, for example, lead from paint might be rendered inaccessible to creatures ingesting debased soil by providing phosphorus manures in excess. Organic toxins, for example, solvents or pesticides might be rendered inaccessible to microorganisms and hence continue in the earth when they are adsorbed to soil minerals or segment into hydrophobic natural issue. Absolute bioavailability compares the bioavailability of the active drug in systemic circulation following non-intravenous administration (i.e., after oral, ocular, rectal, transdermal, subcutaneous, or sublingual administration), with the bioavailability of the same drug following intravenous administration. It is the fraction of the drug absorbed through non-intravenous administration compared with the corresponding intravenous administration of the same drug. The comparison must be dose normalized (e.g., account for different doses or varying weights of the subjects); consequently, the amount absorbed is corrected by dividing the corresponding dose administered. In pharmacology, in order to determine absolute bioavailability of a drug, a pharmacokinetic study must be done to obtain a plasma drug concentration vs time plot for the drug after both intravenous (iv) and extravascular (non-intravenous, i.e., oral) administration. The absolute bioavailability is the dose-corrected area under curve (AUC) non-intravenous divided by AUC intravenous. The formula for calculating the absolute bioavailability, F, of a drug administered orally (po) is given below (where D is dose administered). The supreme bioavailability of a medication, when managed by an extravascular course, is normally short of what one (i.e.,  $F < 100\%$ ). Different physiological elements decrease the accessibility of medications before their entrance into the fundamental course. Regardless of whether a medication is taken with or without food will likewise influence assimilation, different medications taken simultaneously may change ingestion and first-pass digestion, intestinal motility modifies the disintegration of the medication and may influence the level of synthetic corruption of the medication by intestinal microflora. Ailment states influencing liver digestion or gastrointestinal capacity will likewise have an impact. In contrast with drugs, there are noteworthy contrasts in dietary enhancements that sway the assessment of their bioavailability.

### Biography

D V R N Bhikshapathi has completed his PhD from Kakatiya University in Pharmaceutical Sciences, Warangal. He is working as Professor and Head, Dept of Pharmaceutics with 18 years of teaching and research experience in Novel Drug Delivery System. He has published more than 50 papers in reputed journals and has 2 Indian Patents.

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