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Relative bioavailability of oral insulin entrapped in solid lipid nanoparticles to subcutaneously administered insulin in diabetic rats

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Colloidal Drug Delivery Systems have shown to enhance oral bioavailability of proteins and peptides. The aim of this work was to develop and evaluate an efficient solid lipid nanoparticle (SLN) carrier for oral delivery of insulin. Insulin loaded SLN were prepared by double emulsion technique, employing Dynasan 114 as lipid phase and soy lecithin and polyvinyl alcohol as primary and secondary emulsifier respectively. The particle size and zeta potential measured by photon correlation spectroscopy (PCS) were 91 ± 6.12 nm, -36 ± 2.3 mV respectively. SLN observed by scanning electron microscopy (SEM) showed extremely spherical shape. The entrapment efficiency (EE%) and drug loading capacity (DL%) determined with high performance liquid chromatogram (HPLC) were 86.53 ± 0.7 % and 6.11 ± 0.8 %, respectively. Insulin loaded SLN exhibited sustained release in pH 7.4 phosphate buffer and shown to protect insulin from enzymatic degradation *in vitro* in presence of pepsin and trypsin. The biological activity of insulin loaded SLN was estimated by enzyme-linked immunosorbent assay and *in vivo* using Wister diabetic rats after oral administration of insulin-loaded SLN to diabetic rats, and a considerable hypoglycemic effect was observed as compared to pure insulin. Insulin loaded SLN showed better protection of insulin from harsh gastro intestinal environment than the insulin solution as evident from C_{max} and AUC $196.4 \mu\text{IU}\cdot\text{ml}^{-1}$ and $236.5 \mu\text{IU}\cdot\text{hr}\cdot\text{ml}^{-1}$ versus $16.4 \mu\text{IU}\cdot\text{ml}^{-1}$ and $36.6 \mu\text{IU}\cdot\text{hr}\cdot\text{ml}^{-1}$ only). The relative pharmacokinetic bioavailability of insulin was enhanced approximately 7 times of pure insulin solution when loaded in SLN (11.4% versus 1.7% only).

Biography

Tajdar Husain Khan has completed his PhD in 2006 from Jamia Hamdard, New Delhi, India and currently working as Assistant Professor in Department of Pharmacology, College of Pharmacy, Salman bin Abdulaziz University, Al-Kharj, Saudi Arabia. He has published more than 30 papers in reputed journals and has been serving as an Editorial Board Member of reputed journals. His area of expertise is cancer chemoprevention, microwave radiation, and chemical induced toxicity.

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