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Preparation and evaluation of mucoadhesive microcapsules of metoprolol

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Sustained release alginate Microcapsules of Metoprolol were prepared by orifice-ionic-gelation method using hydroxypropyl methyl cellulose (50 cps and K4M) as mucoadhesive polymer. Microcapsules were discrete spherical and free flowing. Encapsulation efficiency varied from 45% - 65%. Microcapsules were evaluated for % yield, dry content uniformity, particle size distribution, surface morphology (scanning electron microscopy), short-term stability (at 40 + 1°C for 3 weeks) and dry-excipient interactions (IR spectroscopy). The formulation prepared by using alginate – hydroxyl propyl methyl cellusole (K4M) in a ratio of 5 : 1 along with 4% magnesium sterate, emerged as the overall best formulation (t 50% = 2.25h, t 70% = 4.30h, t 90% = 7.58h), based on dry release characteristics (in pH 6.2 phosphate buffer). This formulation shows slow release up to 8 hrs. All the microcapsules exhibited good mucoadhesive property in the in vitro wash-off test. Short term stability studies on the promising formulations (40 + 1°C for 3 weeks) indicated that there are no significant changes in drug content and dissolution parameters ($P < 0.05$).

Microparticles and liposomes – A boon to pulmonary drug delivery system

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Pulmonary drug delivery system is a targeted technique which offers a patient – freindy, non-invasive alternative to parenteral route which can also be more efficient and effective way to deliver a drug and achieve patient compliance. The pulmonary delivery utilizes the natural permeabilityof the lung to transfer molecules to the blood stream. Pulmonary drug delivery system remains the preferred route for administration of various drugs.

Earlier, pulmonary drug delivery was used only in the management of Asthma and COPD but due to the advancement in application such as Microparticles, Liposomes and Nanoparticles , it has also become possible to treat Diabetes, Angina Pectoris, Cancer, Bone disorders, Migraine, Tuberculosis, acute Lung injury and others through Pulmonary Drug Delivery. Particulate drug carriers such as Liposomes, Microparticles and Nanoparticles can be used to improve the therapeutic index of new (or) established drugs by modifying Drug absorption, enhancing bioavailability, reducing metabolism, prolonging biological half life (or) reducing toxicity. The drug distribution is then primarily controlled by properties of the carrier but no longer by the physico-chemical characteristics of the drug substance. Insulin Liposomes are one of the recent approaches in the control release aerosol preparation. Intratracheal delivery of Insulin liposomes have significantly enhances the desired hypoglycemic effect.

The design of the DDS is based on - a thorough understanding of the clinical requirements for the disease conditions to be treated, Lung architecture, appropriate selection of the carrier materials, production process are the key to successful delivery using advanced DDS such as liposomes and microparticles. The mucociliary clearance (MCC) in a healthy trachea transports the overlying mucus at the speed of about 10mm/min, this needs repeated therapy with the increased potential for systemic side effects. Drug deliveries in the forms of microparticles and liposomes have been used to reduce drug metabolism due to- 1. Reduced contact time between the drug and enzyme before absorption. 2. Co- administration of enzyme inhibitors and 3.Avoidance of sites of enzymatic degradation such as Macrophages, Neutophils etc. Liposomes and Microspheres can be engineered to adhere to the mucus for prolonged time thereby decreasing the frequency of administration. The PDDS revealed that by using carriers like microparticles, liposomes, nanoparticles can allow drug to have maximum therapeutic effect with minimum side effects for treating local as well as systemic disorders.