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FORMULATION AND OPTIMIZATION OF MUCOADHESIVE NANO DRUG DELIVERY SYSTEM OF ACYCLOVIR

Uday Bhosale and V.Kusum Devi

Department of Pharmaceutics, Al-Ameen College of Pharmacy,
Near Lalbagh Main Gate, Hosur Road, Bangalore 560027, India.
E-mail: udaybhosale25@gmail.com

ABSTRACT

Acyclovir is an antiviral drug, used for treatment of herpes simplex virus infections with an oral bioavailability of only 10 to 20 % (limiting absorption in GIT to duodenum and jejunum), half life about 3h, soluble only at acidic pH (pKa 2.27). Mucoadhesive polymeric nanodrug delivery systems of acyclovir have been designed and optimized using 2³ full factorial design. Poly (lactic-co-glycolic acid) (PLGA) (50:50) was used as polymer along with polycarbophil (Noveon AA-1) as mucoadhesive polymer and Pluronic F68 as stabilizer. From the preliminary trials, the constraints for independent variables X₁ (amount of Poly (lactic-co-glycolic acid), X₂ (amount of Pluronic F68) and X₃ (amount of polycarbophil) have been fixed. The dependent variables that were selected for study were, particle size (Y₁), % drug entrapment (Y₂) and % drug release in 12h (Y₃). The derived polynomial equations were verified by check point formulation. The application of factorial design gave a statistically systematic approach for the formulation and optimization of nanoparticles with desired particle size, % drug release and high entrapment efficiency. Drug:polymer ratio and concentration of stabilizer were found to influence the particle size and entrapment efficiency of acyclovir loaded Poly (lactic-co-glycolic acid) nanoparticles. The release was found to follow fickian as well as non-fickian diffusion mechanism with zero order drug release for all batches. In vitro intestinal mucoadhesion of nanoparticles increased with increasing concentration of polycarbophil. These preliminary results indicate that acyclovir loaded mucoadhesive poly (lactic-co-glycolic acid) nanoparticles could be effective in sustaining drug release for a prolonged period.

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