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Formulation and Evaluation of Mucoadhesive Microsphere drug delivery system of Losartan Potassium

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Abstract

Chitosan Mucoadhesive microspheres as gastro retentive dosage forms precisely control the release rate of target drug to a specific site and facilitate an enormous impact on health care. These systems also provide tremendous opportunities in the designing of new controlled and delayed release oral formulations, thus extending the frontier of futuristic pharmaceutical development. Furthermore, recent innovations in pharmaceutical investigation will surely provide real prospects for establishment of novel and effective means in the development of these promising drug delivery systems. In the present paper mucoadhesive microsphere of losartan potassium was formulated and evaluated.

Key words: Microsphere, Losartan, Evalluation

Introduction

Drug action can be improved by developing new drug delivery system, such as the mucoadhesive microsphere drug delivery system. These systems remain in close contact with the absorption tissue, the mucous membrane, releasing the drug at the action site leading to a bioavailability increase and both local and systemic effects. The oral route of drug administration constitutes the most convenient and preferred means of drug delivery to systemic circulation of body. However oral administration of most of the drugs in conventional dosage forms has short-term limitations due to their inability to restrain and localize the system at gastro-intestinal tract. Mucoadhesion or bioadhesion can be defined as the state in which two materials, at least one of which is biological in nature, are held together for a prolonged time period by means of interfacial forces. [1-2]

Mucoadhesive drug delivery system are delivery system which utilizes the property of bioadhesion of certain polymers which become adhesive on hydration and can be used for targeting a drug to a particular region of the body for extended periods of time. The term “mucoadhesion” was coined for the adhesion of the polymers with the surface of the mucosal layer. Bioadhesion is a phenomenon in which two materials at least one of which is biological and are held together by means of interfacial forces. The attachment could be between an artificial material and biological substrate such as adhesion between polymer and a biological membrane in case of polymer attached to the mucin layer of mucosal tissue.[3-4]

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The term mucoadhesion is used when the mucosal layer lines a number of regions of body including a gastrointestinal tract, urogenital tract, the airways, the ears, nose and eye.

Microspheres are small spherical particles, with diameters 1 µm to 1000 µm. They are spherical free flowing particles consisting of proteins or synthetic polymers which are biodegradable in nature. There are two types of microspheres; microcapsules and micromatrices, which are described as, Microcapsules are those in which entrapped substance is distinctly surrounded by distinct capsule wall. and micromatrices in which entrapped substance is dispersed throughout the matrix. Microspheres are sometimes referred to as microparticles. Microspheres can be manufactured from various natural and synthetic materials.

Losartan is a nonpeptide angiotensin II receptor antagonist with high affinity and selectivity for the AT 1receptor. Losartan blocks the vasoconstrictor and aldosterone-secreting effects of angiotensin II by inhibiting the binding of angiotensin II to the AT 1 receptor AT 1receptor blockade results in an increase in plasma renin activity (PRA) followed by increases in plasma angiotensinII concentration. The potential clinical consequences of these increases are not clear. Angiotensin II agonist effects have not been demonstrated. In the present paper

Material and Method [4-7]

Characterization of drug:

Physiochemical Properties of Losartan potassium

Organoleptic evaluation

It refers to the evaluation by sensory characters-taste, appearance, odor etc.

Solubility

Solubility is determined in different solvents example – water methanol, 0.1 N HCL, Ethyl Alcohol, and Chloroform[42].

Identification Test

Loss on drying:

Loss on drying directly measuring by IR moisture balance. Firstly calibrate the instrument by knob then take 5.000 gm sample (powder) and set the temp at 100°C to 105°C for 5 minutes and constant reading set the knob and check % moisture.

Determination of pH

pH was determined by digital pH meter. In this method 1gm of the powder was taken and dissolved in 100ml of distilled water with sonication and filtered, pH of the filtrate was checked with standard glass electrode.

Melting point

It is one of the parameters for the purity of drugs. In case of pure chemicals, melting points are very sharp and constant. Since the drugs contain the mixed chemicals, they are described with certain range of melting point.

Determination of λ max.

The absorption maxima of Losartan potassium were determined by running the spectrum of drug solution in double beam ultraviolet spectrophotometer.

Procedure for the determination of λ max:

Accurately weighed 10 mg of Losartan potassium separately and dissolved in 10 ml of 0.1N HCL in 10 ml of volumetric flask and prepared suitable dilution to make it to a concentration of 10 µg/ml make adequate of sample with concentration range of 5-25 µg/ml Losartan potassium calculate the spectrum of this solution was run in 200-400 nm range in U.V spectrophotometer. (Labindia UV 3000 +)

Preparation of Chitosan Mucoadhesive Microsphere of Losartan potassium

Chitosan Mucoadhesive microsphere containing Losartan potassium was prepared using emulsion solvent diffusion technique. Chitosan solutions with concentrations of 1.2 and 1.5% (w/v) were prepared by dissolution of chitosan flakes in 0.35 M acetic acid and were filtered through 0.45 µm membrane filter (Millipore) forremoving any nondissolved residue particles. Chitosan microspheres were prepared via freeze-drying method which was described as follows. Firstly, the concentrations of chitosan (1.2%) and sodium tripolyphosphate (0.6% and 1%) were selected based on the particle size and distribution of microspheres, and the experiments were designed as groups.

Table 1: Formulations of the Chitosan Mucoadhesive Microspheres Prepared

Sr. No	Formulation Code	Losartan potassium (gm)	Chitosan (%)	TPP (%)
1	F1	0.1	1.2	0.8
2	F2	0.1	1.2	0.7
3	F3	0.1	1.2	0.6
4	F4	0.1	1.2	0.5
5	F5	0.1	1.2	0.4
6	F6	0.1	1.2	0.3
7	F7	0.1	1.2	0.2
8	F8	0.1	1.2	0.1

Evaluation of Microspheres

The prepared microsphere was evaluated for particle size, in-vitro was off, drug entrapments, percentage yield, shape, size and invitro-drug release.

Results and Discussion

The formulated microsphere was evaluated and the results are as under. The melting point of the drug sample range of the drug is 183.5 - 184.5 °C. Partition Coefficient measurement of drug sample is 1.21±0.001. The percentage of loss on drying of Losartan potassium was found to be 0.78% w/w respectively. The pH of Losartan potassium was determined by Digital pH meter and found to be 6. The λmax found for Losartan potassium is 277.0 nm

Table 2: Organoleptic property of Losartan potassium

Color	:	**Light yellow**
Odor	:	**Odorless**
Taste:	:	**Bitter**

Table 3: Solubility studies of Losartan potassium in different solvent

S. No.	Solvent used	Solubility
1.	Water	Very Soluble
2.	0.1 N HCL	Very Soluble
3.	Ethanol	Freely Soluble
4.	Methanol	Freely Soluble
5.	0.1N NaOH	Slightly Soluble
6.	Chloroform	Slightly Soluble

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Table 4: Mean particle size

S. No	Formulation code	Mean particle size(□m)
1.	F1	170±4
2.	F2	176±21
3.	F3	178±23
4.	F4	184±25
5.	F5	201± 24
6.	F6	244±40
7.	F7	210±23

Table 5: Drug Entrapment for Different Formulation

Formulation	*In vitro* wash-offtest (%)	Drug entrapment(% w/w)
F1	72	76.19
F2	68	70.59
F3	65	66.23
F4	65	64.76
F5	62	61.01
F6	60	57.38
F7	58	48.47

Table 6: Percentage Yield for Different Formulation

Formulation	Percent Yield(%)
F1	82.87
F2	78.53
F3	76.47
F4	71.56
F5	69.31
F6	66.03
F7	56.84

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Table 7: Comparative Release Study data of formulation F1-F7

Time	% of Drug Release						
(hr)	F1	F2	F3	F4	F5	F6	F7
0.5	16.429	15.000	14.286	14.286	17.857	16.429	14.286
1.0	26.536	18.607	18.571	18.571	28.036	25.821	22.857
1.5	30.679	27.357	27.321	27.321	34.393	31.357	33.964
2.0	57.107	32.929	32.893	32.893	43.857	43.536	39.143
3.0	71.214	60.143	40.821	40.821	61.571	54.821	58.786
4.0	81.607	77.214	52.643	52.643	71.500	78.000	56.464
6.0	95.214	85.714	72.107	72.107	78.214	93.643	66.036
8.0	100.036	90.179	86.714	86.714	95.107	99.893	95.250

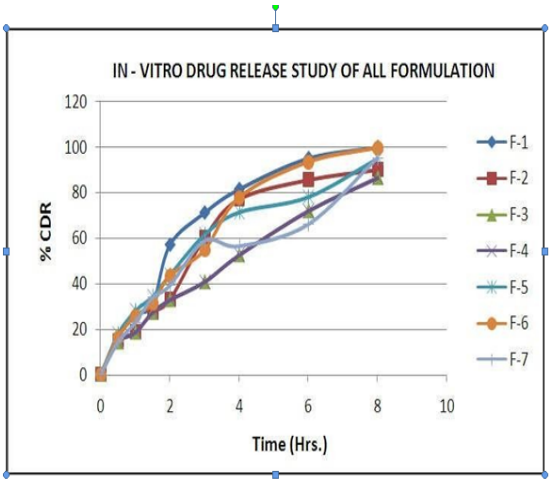

Fig. 1: Graph of release study of formulation F1-F7

Conclusion

In-vitro data obtained for Chitosan mucoadhesive microspheres of Losartan potassium showed good incorporation efficiency, good buoyancy and prolonged drug release. Microspheres of different size and drug content could be obtained by varying the formulation variables. From the results it can be concluded that the drug release from the floating microspheres controlled by the polymer proportion. Prepared formulation showed best appropriate balance between buoyancy and drug release rate.

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