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FORMULATION AND EVALUATION OF METFORMIN HYDROCHLORIDE MICROSPHERES BY SOLVENT EVAPORATION METHOD

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ABSTRACT:

The study aimed to improve Metformin HCl delivery via ethyl cellulose microspheres. Various formulations were tested, analyzing factors like yield, particle size, and drug release rate. Higher polymer concentrations were found to slow drug release, indicating the potential of these microspheres for sustained diabetes treatment. Thus, the study underscores the importance of utilizing sustained-release Metformin HCl microspheres with ethyl cellulose as a rate-controlling polymer for effective diabetes management.

Keywords: Diabetes mellitus, Ethyl cellulose, Metformin Hydrochloride, Plasticizer, Solvent evaporation, Sustained release.

Introduction:

The utilization of microencapsulation for Metformin HCl is aimed at enhancing drug delivery through sustained-release dosage forms. This approach facilitates the control of plasma drug levels, reduces dosing frequency, minimizes side effects, and enhances efficacy. The study specifically focuses on formulating Metformin HCl microspheres using ethyl cellulose as a release-retardant polymer, with the objective of prolonging the drug's action for over 12 hours. The microsphere preparation employs the solvent evaporation method utilizing acetone and liquid paraffin.

Materials and Methods

Materials

The following are the materials used in the preparation.
Metformin HCL, Sodium Alginate, Calcium Chloride, Water.

Method

Preparation of Metformin Hydrochloride Microsphere
Sodium alginate microspheres containing Metformin HCL were prepared by solvent evaporation method (F1, F2 & F3). Sodium alginate was dissolved in distilled water to form a homogeneous polymer solution. Metformin HCL was added to polymer solution and dispersed thoroughly. The resulting mixture was taken in a syringe and the mixture is pressed slowly to get a succession of droplets. The drops were collected into calcium chloride solution taken in a beaker and the microspheres formed were collected and kept in a refrigerator for overnight period.

▲ Sodium alginate powder (2gm in 100ml water).
20ml of sodium alginate mucilage is taken in a small beaker.

▲

Now measured metformin HCL was added and dispersed thoroughly.

▲

The mucilage containing metformin HCL was taken in syringe and the mucilage
Is pressed slowly to get a succession of droplets.

▲

The drops were collected in the calcium chloride solution (10ml in 100ml water) taken in a beaker.

▲

The microspheres formed were allowed for curing reaction for about 20minutes.

▲

The microspheres formed were collected by decantation washed with water and
Kept in a refrigerator for overnight period

Tble1:Formulation of Metformin Hydrochloride Microsphere

Ingredients	F1	F2	F3
Metformin HCL	250 mg	500mg	1000mg
Sodium Alginate	2g	4g	6g
Calcium Chloride	10ml	10ml	10ml
Water	100ml	100ml	100ml

Evaluation of Microspheres:

Angle of Repose:

The angle of repose, denoted by θ, represents the maximum angle achievable between the surface of a pile of powder and the horizontal plane. It can be determined using the fixed funnel method. The angle of repose is calculated using the formula:

Tan θ =h/r

Where:

(theta) = angle of repose

(h) = height of the pile

(r) = radius of the base of the pile

Bulk Density & Tapped Density:

Bulk density and tapped density are essential parameters for understanding the packing characteristics of microcapsules. They are determined using a graduated cylinder. The formulas for calculating bulk density and tapped density are as follows:

Bulk density = Mass of the powder/Bulk volume

Tapped density = Mass of the powder/Tapped bulk volume

Carr's Index:

Carr's index, also known as the compressibility index (CI), indicates the compressibility of microcapsules. It is calculated using the following formula:

Carr's index(%)=Tapped density –Buk density /Tapped density *100

Hausner's Ratio:

Hausner's Ratio provides insight into the flowability of microspheres by comparing tapped density to bulk density. It is calculated as follows:

Hausner ratio =Tapped density/Bulk density

RESULT AND DISCUSSION

In this study three formulations F1, F2 and F3 of Metformin HCl microspheres were prepared by employing sodium alginate, as a release retardant polymer. A total of 3 formulations were prepared and studied for micromeritics parameters like bulk density, tapped density, angle of repose, compressibility index, and Hausner's Ratio. All the formulations showed good flow ability as expressed in terms of micrometric parameters. (Table 2)

Table 2: Micromeretic Properties of Metformin Hydrochloride Microspheres

Formulation code	Angle of repose (θ)	Bulk density (g/ml)	Tapped density(g/ml)	Carr's index (%)	Hausner's ratio
F1	25.23 ±0.60	0.265 ±0.005	0.309 ±0.03	9.8 ±0.03	1.10 ±0.007
F2	27.50 ±0.35	0.296 ±0.002	0.343 ±0.02	12.2±0.18	1.12 ±0.004
F3	30.53±0.20	0.320 ±0.006	0.345 ±0.02	7.25 ±0.02	1.08 ±0.002

Conclusion

The study findings propose that microspheres incorporating sodium alginate hold promise for regulating the release of Metformin HCL within the gastrointestinal tract. These microspheres offer potential as sustained-release formulations, facilitating gradual drug release over an extended duration to uphold therapeutic levels, potentially diminishing dosing frequency and associated side effects. Additionally, the cost-effective methodology employed underscores the encouraging utility of sustained-release Metformin HCL microspheres utilizing sodium alginate in managing diabetes mellitus.

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