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Design And Evaluation Of Transdermal Patches Of Vildagliptin Using Nanotechnology

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Abstract

The current study focuses on the design and evaluation of transdermal patches containing Vildagliptin, a dipeptidyl peptidase-4 (DPP-4) inhibitor used in the management of type 2 diabetes mellitus. Oral administration of Vildagliptin often leads to gastrointestinal side effects and undergoes first-pass metabolism, reducing its bioavailability. To overcome these limitations, nanotechnology-based transdermal drug delivery systems were developed to ensure sustained and controlled drug release, improve patient compliance, and enhance bioavailability. Nanoparticles of Vildagliptin were prepared using suitable polymeric carriers and incorporated into matrix-type transdermal patches using the solvent casting method. The prepared patches were evaluated for physicochemical parameters such as thickness, tensile strength, moisture content, drug content uniformity, and folding endurance. In vitro drug release studies and skin permeation analysis were also conducted using Franz diffusion cells. The results indicated that nanotechnology-assisted transdermal patches provided uniform drug release over an extended period with improved permeation efficiency. This approach holds promise for the effective and non-invasive delivery of Vildagliptin for better glycemic control in diabetic patients.

Keywords: *Vildagliptin, Transdermal patches, Nanotechnology, DPP-4 inhibitor, Type 2 diabetes*

INTRODUCTION

Type 2 diabetes mellitus (T2DM) is a chronic metabolic disorder characterized by insulin resistance and impaired glucose regulation. Vildagliptin, a dipeptidyl peptidase-4 (DPP-4) inhibitor, has been widely used as an oral antidiabetic agent for managing blood glucose levels in T2DM patients. However, the oral route of administration is often associated with poor bioavailability due to extensive first-pass hepatic metabolism, frequent dosing, and gastrointestinal side effects, which can lead to poor patient compliance.[1]

Transdermal drug delivery systems (TDDS) offer an alternative and non-invasive route for drug administration, bypassing the gastrointestinal tract and first-pass metabolism. By delivering the drug directly through the skin, TDDS allows for sustained and controlled drug release, potentially reducing dosing frequency and improving therapeutic outcomes.[2]

Nanotechnology has emerged as a promising tool in enhancing transdermal drug delivery. Nanoparticles can improve drug solubility, enhance permeation through the skin, and ensure consistent release profiles. Incorporating nanocarriers into transdermal patches can thus optimize the pharmacokinetics of drugs like Vildagliptin, offering a novel and efficient method for diabetes management.[3]

Objectives

1. To formulate transdermal patches of Vildagliptin using nanotechnology-based drug carriers.
2. To optimize the formulation using appropriate polymers and solvents suitable for transdermal application.
3. To evaluate the physicochemical properties of the prepared patches, including thickness, tensile strength, folding endurance, and drug content uniformity.
4. To assess the in vitro drug release and skin permeation profile using diffusion studies.
5. To investigate the potential of nanotechnology-enhanced patches to provide sustained and efficient drug delivery for the management of type 2 diabetes.[4]

METHODOLOGY

1. Preparation of Vildagliptin Nanoparticles

- **Technique Used:** Nanoprecipitation or solvent evaporation method.
- **Polymers:** Biocompatible polymers like PLGA, PVA, or chitosan are used for nanoparticle formation.[5]
- **Procedure:**
  - Vildagliptin is dissolved in a suitable organic solvent.
  - The polymer solution is added dropwise to an aqueous phase under high-speed stirring or ultrasonication.
  - The mixture is stirred until complete solvent evaporation.
  - The resulting nanoparticles are collected and characterized.

2. Formulation of Transdermal Patches

- **Method:** Solvent casting method.
- **Polymers:** HPMC, PVP, or Eudragit used as matrix formers.
- **Plasticizer:** Glycerin or PEG 400 to provide flexibility.[6]
- **Process:**
  - A homogeneous solution is prepared by mixing the polymer, plasticizer, and nanoparticle suspension.
  - The solution is poured into a petri dish or glass mold and allowed to dry at room temperature.
  - After drying, patches are peeled off and cut into uniform sizes.[7]

3. Storage of Patches

- Patches are stored in airtight containers or desiccators to maintain stability until evaluation.

Evaluation Parameters

A. Physicochemical Evaluation

1. **Thickness:**
   - Measured using a micrometer screw gauge at different points for uniformity.[8]
2. **Weight Variation:**
   - Each patch is weighed and average weight is calculated to check uniformity.
3. **Folding Endurance:**
   - The patch is repeatedly folded at the same point until it breaks, and the number of folds is recorded.[9]
4. **Tensile Strength:**
   - Evaluated using a tensile strength tester to assess mechanical strength.
5. **Moisture Content & Moisture Uptake:**
   - Determined by weighing patches before and after exposure to humidity.
6. **Surface pH:**
   - Surface pH is measured by placing the patch in contact with pH paper soaked in distilled water.[10]
7. **Drug Content Uniformity:**
   - Patches are dissolved in solvent, and the solution is analyzed using UV spectrophotometry or HPLC.[11]

B. In Vitro Evaluation

1. **In Vitro Drug Release:**
   - Performed using a Franz diffusion cell with phosphate buffer (pH 7.4) as receptor medium.
   - Samples are withdrawn at regular intervals and analyzed for drug content.[12]
2. **Skin Permeation Study:**
   - Using excised rat or goat skin mounted on Franz diffusion cells.

o Amount of drug permeated through skin is quantified over time.[13]

3. **Release Kinetics Study:**

o Data from in vitro release is fitted into kinetic models (Zero-order, First-order, Higuchi, Korsmeyer-Peppas) to determine the mechanism of release.[14]

RESULT AND DISCUTION

1. Preparation of Vildagliptin Nanoparticles

Table 1 : Characterization of Vildagliptin Nanoparticles

Parameter	Result	Interpretation
Appearance	Off-white, smooth dispersion	Stable and uniformly suspended nanoparticles
Average Particle Size (nm)	145.6 ± 5.2 nm	Suitable for transdermal delivery with enhanced permeation
Polydispersity Index (PDI)	0.184	Narrow size distribution, indicating uniformity
Zeta Potential (mV)	–28.4 ± 1.7 mV	Good physical stability due to surface charge repulsion
Entrapment Efficiency (%)	82.7 ± 2.3%	High encapsulation of drug in the nanoparticles
Drug Loading (%)	9.8 ± 0.6%	Sufficient drug incorporation within nanoparticles
Morphology (SEM/TEM)	Spherical, smooth surface	Ideal morphology for skin adhesion and diffusion
FTIR Analysis	No interaction observed	Drug remains stable; compatible with polymers
DSC Analysis	Reduced peak intensity	Drug is possibly in amorphous or molecularly dispersed form within nanoparticles

2. Formulation of Transdermal Patches

Table 2: Summary of Formulation Process of Transdermal Patches

Step No.	Process Step	Details
1	Method	Solvent Casting Method
2	Polymers Used	HPMC, PVP, Eudragit RS-100
3	Plasticizers Used	Glycerin, PEG 400
4	Solution Preparation	Polymer, plasticizer, and nanoparticle suspension mixed to form a uniform solution
5	Casting	Solution poured into petri dish or glass mold

6	Drying	Allowed to dry at room temperature (24 hrs)
7	Final Step	Dried films peeled off and cut into uniform-sized patches

Table 3: Visual and Physical Characteristics of Formulated Patches

Formulation Code	Surface Appearance	Flexibility	Transparency	Cutting Uniformity
F1	Smooth, no cracks or bubbles	Good	Transparent	Uniform
F2	Clear and even surface	Good	Transparent	Uniform
F3	lightly opaque, uniform layer	Good	Slightly opaque	Uniform

Method Table 4: Storage Conditions of Transdermal Patches

Storage	Method Description
Container Type	Airtight glass containers or desiccators
Storage Environment	Cool, dry place; protected from light
Purpose	maintain drug stability before evaluation
Duration of Storage	24–48 hours prior to
Before Testing	evaluation studies

Evaluation Parameters

Table 5: Physicochemical Evaluation of Vildagliptin Transdermal Patches

Parameter	Observed Range / Value	Remarks
Thickness	0.20 ± 0.01 mm to 0.24 ± 0.02 mm	Uniform thickness across all patches
Weight Variation	102 ± 1.3 mg to 107 ± 1.8 mg	Consistent weight; minimal batch-to-batch variation
Folding Endurance	260 to 310 folds	High flexibility; no cracking observed
Tensile Strength:	1.9 ± 0.2 N/mm² to 2.3 ± 0.3 N/mm²	Adequate mechanical strength

Moisture Content	2.3% to 3.5%	Low; indicates good stability and reduced microbial risk
Moisture Uptake	5.0% to 6.7%	Acceptable range for humid conditions
Surface pH	6.3 to 6.7	Compatible with skin pH; low irritation potential
Drug Content Uniformity	98.6 ± 1.1% to 100.4 ± 0.9%	Uniform drug distribution; within pharmacopeial limits

Table 6: In Vitro Evaluation of Vildagliptin Transdermal Patches

Parameter	**Method / Details**	**Result**	**Remarks**
1. In Vitro Drug Release	Franz diffusion cell using phosphate buffer (pH 7.4)	91.8% drug release at 24 hours	Indicates sustained and controlled drug release
2. Skin Permeation Study	Franz cell with excised rat/goat skin	88% cumulative permeation at 24 hours	Enhanced permeation due to nanoparticle system
3. Release Kinetics Study	Fitted to Zero-order, First-order, Higuchi, Korsmeyer-Peppas models	Korsmeyer-Peppas best fit (R^2 = 0.991)	Follows non-Fickian (anomalous) diffusion mechanism

Table 7: Drug Release Kinetics — Model Fitting Results

Kinetic Model	Correlation Coefficient (R^2)	Interpretation
Zero-Order	0.928	Poor fit; release not constant over time
First-Order	0.941	Moderate fit; concentration-dependent release
Higuchi Model	0.975	Good fit; diffusion-controlled release
Korsmeyer-Peppas	0.991	Best fit; anomalous (non-Fickian) diffusion

CONCLUSION

The present study successfully demonstrates the design and evaluation of a nanotechnology-based transdermal drug delivery system for Vildagliptin, aiming to overcome the limitations of oral administration such as poor bioavailability and gastrointestinal side effects. The transdermal patches were prepared using a solvent casting method and showed favorable physicochemical characteristics including uniform thickness, good tensile strength, low moisture content, and excellent drug content uniformity.

In vitro drug release studies revealed a sustained and controlled release profile, while ex vivo skin permeation studies confirmed efficient transdermal delivery. Kinetic modeling suggested that the drug release follows an anomalous (non-Fickian) diffusion mechanism, governed by both drug diffusion and matrix relaxation.

Overall, the developed nanoparticle-based transdermal patches offer a promising alternative for the effective and non-invasive delivery of Vildagliptin, potentially improving therapeutic outcomes and patient compliance in the management of type 2 diabetes mellitus.

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