AN APPROACH FOR DESIGNING OF TRANSDERMAL PATCHES FOR PROPHYLAXIS AND TREATMENT OF HIV/AIDS

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ABSTRACT

Transdermal drug delivery is an alternative route for systemic drug delivery system, which minimizes the absorption of drugs and increases the bioavailability through systemic circulation. Currently available anti-HIV drugs bear some significant drawbacks, such as relatively short half life, low bioavailability, poor permeability and undesirable side effects. The purpose of this work is to formulate and evaluate transdermal drug delivery system of anti-HIV drug using various polymers such as HPMC, PVP and ethyl cellulose by solvent evaporation technique for improvement of bioavailability of drug and reducing toxic effects. By this mean we can achieve dosage form with reduce dosing frequency, increase the bioavailability, decrease the degradation and metabolism in the gastrointestinal tract, improve the CNS penetration and inhibit the CNS efflux and deliver the m to the target cells effectively with minimal side effects. This paper provides details of preformulation study, drug selection criteria, formulation strategies, evaluation perspective, Stability approaches for sustain drug release kinetics.

INTRODUCTION:

Acquired immunodeficiency syndrome (AIDS), caused by human immunodeficiency virus (HIV) is an immunosuppressive disease that results in life-threatening opportunistic infections and malignancies. HIV infection is one of the major threats to human health’s due to lack of relevant vaccine and drugs to cure AIDS. There are lots of drugs and dosage forms in combination & sustain delivery forms are available in the market but failed to achieve targeting. In this era many scientists working on target drug delivery for ARV. Even novel formulations are also in developmental stages. In this work we will discuss about novel formulations in the form of Transdermal patches for ARV drugs¹.

The numerous administrations of several drugs in moderately high doses are a main cause of patient incompliance and hurdle towards the fulfillment of the pharmacotherapy. Intracellular and anatomical viral reservoirs are accountable for the perpetuation of the infection. Active transport mechanisms involving proteins of the ATP binding cassette super family prevent the penetration of ARV drugs into the brain and may account for the inadequate bioavailability after oral administration. The proposed work is aimed to formulate and characterize the transdermal patches of antiretroviral drugs for efficient transdermal delivery of drug in pharmaceutical system²,³.

MATERIALS AND METHODS:

Materials for the study are: Drug, Polymers (Poly vinyl pyrrolidine, Hydroxyl Propyl methyl cellulose and ethyl cellulose) other chemicals are Analytical grade reagents. Method for preparation of the Transdermal patches will be solvent evaporation/Solvent casting method. Below mentioned steps will follow for experimentation:

- Selection of Drug and Excipients
- Preformulation Study

A concise stepwise account of the various tasks that need to be executed for successful accomplishment of the research envisaged.

- Analytical method selection
- UV-VIS spectrophotometer
- FTIR

UV-VIS spectrophotometry is suitable for initial screening studies as the method is convenient and less
time consuming. Both qualitative and quantitative estimations can be performed. FTIR is a good method for estimating drug excipient interactions. Qualitative estimations can be very well carried out

- Solubility studies
- Partition coefficient
- For estimation of solubility, partition coefficient etc.
- solvent selection UV-VIS spectrophotometry method used.
  - Assay method
  - Melting point
  - pH analysis
  - Drug-excipient interaction study

**Formulation development**

- Trial batch formulations for preparation of free films
- Preparation of medicated films

**Evaluation**

The prepared medicated films will be evaluated for:

- Thickness
- Weight variation
- Percent flatness
- Moisture content
- Moisture uptake
- Tensile strength
- Modulus of elasticity
- Percent elongation
- Drug content
- Area variation
- Folding endurance
- *In vitro* performance
- *In vitro* dissolution studies
- *In vitro* permeation studies
- *In vitro* - *In vivo* correlation study
- Bioavailability Study
- Analysis of permeation data
- Data analysis
- Skin irritation test in animal models.
- Stability Study

**RESULTS AND DISCUSSION:**

In this work an attempt is to formulate transdermal patches for sustained release of antiretroviral drug by solvent casting method. An ideal dosage regimen in the drug therapy of any disease is one, which immediately attains the desired therapeutic concentration of drug in plasma (or at the site of action) and maintains it constant for prolong period of time. Transdermal drug delivery overcomes the number of drawbacks associated with conventional dosage drug delivery system. The main objective of formulating the transdermal system is to prolong the drug release time, reduce the frequency of administration and to improve patient compliance. Therefore an ideal controlled drug delivery system is the one, which delivers the drug at a predominant rate, locally or systematically, for a specific period of time. In this study, it is intended that the side effects of the oral administration of elected drug be overcome by delivering drug transdermally and bioavailability will also improve.

**CONCLUSION:**

In this study an attempt to make transdermal patches an alternative dosage form against conventional medication for the Anti retroviral drugs. Transdermal drug delivery overcomes the number of drawbacks associated with conventional dosage drug delivery system. The main objective of formulating the transdermal system is to prolong the drug release time, reduce the frequency of administration and to improve patient compliance. Preformulation study reflects the suitability of the drug selection and drug excipient study shows the compatibility of the drug in dosage forms and stability study will reflects the shelf life of the drug. From *In vitro- in vivo* models we can conclude the effective delivery of drug.

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