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Review Article

Formulation and Evaluation of Tacrolimus Transdermal Gel

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ABSTRACT

Formulation and evaluation of Transdermal gels of Tacrolimus, ant psoriasis drug, to circumvent the first pass effect and to improve its bioavailability with reduction in dosing frequency and dose related side effects. Twelve formulations were developed with varying concentrations of polymers like Carbopol 934P, HPMCK4M and Sodium CMC. The gels were tested for clarity, Homogeneity, spread ability, Extrudability, Viscosity, surface pH, drug Content uniformity, in-vitro drug diffusion study and exvivo permeation study using rat abdominal skin. FTIR studies showed no evidence on interactions between drug, polymers and excipients. The best in-vitro drug release profile was achieved.

INTRODUCTION

The Transdermal drug delivery systems are self-contained, discrete dosage forms which when appliedtointact skin deliver the drug through the skin at a controlled rate to the systemic circulation. At Present, the most common form of delivery of drugs is the oral route.It also has significant drawbacks namely poor bioavailability due to hepatic metabolism or first pass and the tendency to produce rapid blood level spikes leading to a need for high and frequent dosing, which can be both cost prohibitive and involvement. Placement with in the body thereby reducing both size and number of doses. One of the methods most often utilized has been Transdermal delivery. This delivery transport therapeutic substance through The skin for systemic effect. The success of Tran's dermal delivery depends on the ability of the drug to permeate the skin in sufficient quantities to achieve its desired therapeutic effects. The skin is effective as a selective penetration barrier. The stratum corneum provides the greatest resistance to penetration and it is the rate-limiting step inpercutaneous absorption. Gels have better potential as a Vehicle to administered drug

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topically in comparison to ointment, because they are non-sticky requires low energy during the formulation are stable and have aesthetic value. Tacrolimus is an effective and well-tolerated primary immunosuppressant drug used in solid organ transplantation. Although its mode of action is similar to that of cyclosporine, its molecular weight is lower and its potency in inhibiting T-cell activation is 10 to 100 times greater. Generally the formulations of Tacrolimus commercially available are in oral and ointment form. More recently, a topical gel formulation will be introduced specifically for the treatment of localized painful and inflammatory condition, such as soft tissue musculoskeletal disorders and osteoarthritis. So the present study Of formulation andevaluation of Tacrolimus transdermal gel will attempt to increase the efficacy of the drug at the site of action.

MATERIAL AND METHOD

- Material
- Tacrolimus
- Carbopol 934p
- HPMCK4m
- Sodium CMC
- Triethanolamine
- Alcohol
- Propylene glycol
- PEG400
- Distilled water

Preformulation Studies

Characterization of tacrolimus

Description:-

The sample of tacrolimus was analysed for its nature, colour and taste.

Melting Point:-

The melting point was determined by using these are tube apparatus method.

Drug Excipient compatibility studies:-

The drug polymer and polymer-polymer interaction was studied by the FTIR spectrometer using Shimadzu 8400-S, Japan. Two percent (w/w) of the sample with respect to a potassium bromide disc was mixed with dry KBr. The mixture was grind into a fine powder using an agate mortar and then compressed into a KBr disc in a hydraulic press at a pressure of 1000psi.

Preparation of transdermal gel

1% w/w Tacrolimus Transdermal gels were prepared by using different Concentrations of polymers such as Carbopol 934P, HPMCK4M and Sodium CMC. The formulation data for the preparation of Diclofenac sodium Transdermal gels using Carbopol 934P, HPMCK4M and Sodium CMC in different ratio's.

Procedure:

Accurately weighed amount of Polymers (Carbopol 934P, HPMC K4M and Sodium CMC) in four different ratios was placed in known amount of distilled water. After complete dispersion, the polymer solution was kept in dark for 24 hours for complete swelling. Accurately weighed amount of Tacrolimus was dissolved in a specified quantity of suitable solvent. The drug solution was added slowly to the aqueous dispersion of polymer with the help of high speed stirrer (500 rpm) taking precaution that air did not entrap. Finally, the remaining ingredients were added to obtain a homogeneous dispersion of gel.

CONCLUSION

It was observed that Carbopol 934P gel containing Tacrolimus produced better Spreadabilityand consistency as compared to other formulations. The developed F4 gel showed good homogeneity, suitable pH, no skin irritation and good stability. The maximum percentage of drug release was found.The Carbopol 934P forms water washable gel because of its water solubility and has wider prospects to be used as atopical drug delivery system. The drug release from the formulations can be controlled . Converting solid lipid nanoparticles (SLNs) into gel improves skin drug retention The use of penetration enhancers like oleic acid (OA) or propylene glycol (PG) can improve the permeation of tacrolimus The use of nanostructured lipid carriers (NTs) can enhance the percutaneous delivery of tacrolimus The use of thermosensitive solid lipid nanoparticles (SLNs) can improve the dermal distribution of tacrolimus Fewer systemic side effects The use of SPLs can target the drug to the skin surface, resulting in fewer systemic side effects .

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