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Role Of Transdermal Drug Delivery System In Analgesics.

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ABSTRACT

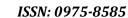
Transdermal delivery is a non-invasive method of drug administration in the skin area that can deliver the drug at a predetermined rate throughout the dermis to achieve a local or system effect. It can be used as an alternative to oral and hypodermic injections. Analgesics are widely used in various ailments as most of them are associated with severe or minor pain. The use of analgesics as a pain reliever is now widely used. A transdermal analgesic or pain relief patch is a medical adhesive patch used to relieve mild to severe pain. Currently, patches are available on most opioids, non-opioid analgesics. Local anesthetics and anti-inflammatory drugs. Drugs include fentanyl, buprenorphine ketoprofen, diclofenacepolamine, piroxicam, capsaicin, nitroglycerine, and lignocaine. They are available as both matrix and reservoir patches. This review examines the various drugs used to treat pain and their management strategy in terms of frequency, complications, and side effects.

Keywords: Pain, Transdermal drugs, Transdermal patches.

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INTRODUCTION

The Transdermal drug delivery system, now commonly known as patches, is a non-invasive method of delivering drugs to the entire dermis or skin area. It may be used as an alternative to oral drug administration and hypodermic injections. This drug delivery system can deliver an analgesic at a predetermined amount for the entire skin to achieve a systemic or local effect.

Transdermal patches are not a new concept. It was first used as a delivery system, a three-day episode, scopolamine to treat motion sickness, which was approved in the United States in 1979. A decade later, the success of nicotine patches brought increased awareness and use of transdermal drugs.

Today, more than 35 drugs are used as transdermal patches, with at least 13 approved molecules. The therapeutic nature of the flexible pads is now growing to include hormonal changes, pain relief, and relief from chest pain due to heart failure, smoking cessation, and mood disorders.

Transdermal drugs will continue to be popular and further developed to improve safety and efficacy. Another major step forward will be the production of patches that bring peptide and even protein substances including insulin, growth hormone, and vaccines [1-15].

Types Of Transdermal Patches

- First generation transdermal patches
- Second generation transdermal patches
- Third generation transdermal patches

First generation transdermal patches: - They are the first set of episodes and are widely used in clinics. The design of the transdermal patch contains a drug in a waterproof closure with a non-abrasive and adhesive support, which affects the skin .However, due to certain limitations, not all drugs with the appropriate properties can be delivered. The first generation of transdermal patches is mainly limited to the stratum cornea. Therefore, the drug should be low in molecular weight, lipophilic, and effective in low doses.

Second generation transdermal patches: - The development of patches increases skin penetration, reduces deep tissue damage, and provides better transport to the skin. Certain modifications such as chemical enhancements, non-cavitations ultrasound, and iontophoresis have disrupted the balance in the process of increasing delivery and protecting deep tissue at a deeper level.

Third generation transdermal patches: - It includes additional advances in improving skin drug penetration and deep tissue protection. Small needles, thermal extracts, and micro derma abrasion have been tested in human clinical trials to deliver macromolecules, therapeutic proteins, and vaccines.

Factor involving in transdermal drug delivery:

Transdermal drug delivery depends on a variety of factors such as molecular size [less than 500 Dolton], drug pH, skin flow status, structural stability, and lipid dissolution.

The ability to release the drug is found in the plains present in a complete drug solution in the system with very low concentration on the skin; drug movement occurs by distribution.

Advantages

- They are preferred over the oral administration of drugs rather than the system cycle for a few good reasons.
- Bioavailability is increased and improved. Patients have difficulty swallowing pills and capsules, and some patients are tempted to crush pills to aid in swallowing which destroys any controlled aspects of pill release.
- It is preferred over hypodermic injections, which are very painful, that removes medical waste, and puts at risk of transmission of disease.



- Improved patient compliance as treatment is non-invasive, simple, and easy, and there is great flexibility in eliminating the drug by removing patches.
- Controlled delivery of drugs through the skin may provide less flexibility and reduce the concentration of the drug spike seen after oral delivery.

Disadvantage

- It does not play a major role in drug delivery.
- They are preferred for older people where skin irritation may be less expected, and reliability increases.
- Application areas have different access points depending on the location of the application.
- The drug is most effective when in contact with the skin.
- The main reason for this is an increase in subcutaneous hydration due to the general blockade of transepidermal surface evaporation.

Transdermal Patches

- **NSAID** patches
- Opioid patches
- Local anesthetic patches
- Capsaicin
- Nitroglycerine
- Buprenorphine
- Fentanyl patches

NSAID patches: The most common NSAID patch used is 1% diclofenacepolamine, licensed to treat acute pain in epicondylitis and ankle sprains. A recent review supports that it is being used to help in topical and systemic effect. A reduction in pain scores was demonstrated after 3 hrs in patients with ankle sprains. As diclofenac first appears in the plasma at a mean of 4.5 hrs, after topical application, it is thought that the patch must provide analgesia via a local action. After patch removal, due to a local reservoir effect, the plasma diclofenac half-life is ~9-12 h, compared with 1-2 hrs after oral intake. Systemic transfer after removal of the patch compared with oral forms of diclofenac is only about 2%, so systemic side effects are very rare.

Piroxicam is a NSAID with good analgesic and antipyretic effects. It is utilized for treatment of musculoskeletal and joint disorders such as rheumatoid arthritis, osteoarthritis, ankylosing spondylitis, in softtissue disorders, in acute gout, and in post-operative pain. It has high solubility and permeation enhancing properties.

Opioid patches: Opioid analgesics are prescribed for moderate to severe pain, specially of visceral origin. They are recommended during both non-cancerous conditions, unless when prescribed by the doctor. The opioid patch is a drug reservoir separated from the skin by a membrane. The drug is released over a period. The fentanyl patches and buprenorphine patches are common opioid patches with high lipid solubility and low molecular weight making them to pass through the dermis more easily.

Local anesthetic patches: Traumatic anesthetics are developed to deal with discomfort and pain during venipuncture removal and catheter implantation. It has few side effects and is easy to use. For optimal use in operation, there must be a specific local action with a limited system effect. Transdermal technology promotes the movement of several sizes of different molecules that travel through the skin sac, using small passing channels that help deliver large sensors in 20 minutes. Usually lidocaine patch / varsities patch is used to help post herpetic neuralgia. Lidocaine is available in 5% patches and is approved for the treatment of post herpetic neuralgia and other focal neuropathic diseases, where other treatments such as, tricyclic antidepressants, and opioids fail.

Capsaicin: Taken from hot peppers from the capsaicin genus and used medicinally. It was first used to treat burns or itching. Later, it was commercially available to treat other ailments such as neuropathic pain and nociceptive musculoskeletal, osteoarthritis, psoriasis, and migraines. It is found in 8% dermal patch, and contains 179 g of capsaicin. It is highly lipophilic and penetrates easily into the epidermal and



dermal layers. This clip is also known as NGX 4010. Studies show effective effects for up to 12 weeks after use of the episode, mainly due to post herpetic neuralgia.

Nitroglycerine: Nitroglycerine is a living nitrate that works as a powerful analgesic and has antiinflammatory properties. It was traditionally used to treat heart disease, due to its action several cellular systems and the central nervous system. However, the effect of coronary artery opening was modest and did not show significant improvement. Absorption continues, plasma levels remain constant throughout the day. The effect starts in about 30 minutes and lasts for 6 hours. Nitroglycerine was also found to be effective in treating rotator cuff ulcers and varicose vein sclerosis.

They reduced pain intensity compared to placebo. Side effects include headaches, heart palpitations, allergies, contact dermatitis, and shortness of breath. Sudden suspension of nitroglycerine can also lead to acute myocardial infarction and peripheral ischemia.

Buprenorphine: Buprenorphine is a strong opioid found in thebaine, with low molecular weight and lipophilic. It is especially interesting because of its long duration of action, antihyperalgesic effects, and free kidney involvement. It has been found to work better in both chronic and non-cancer patients. It provides efficiency and tolerance in the management of chronic pain, providing analgesia for osteoarthritis, low back pain, and other chronic pain disorders. Clinical trials show significant pain relief, improved sleep quality, and a reduction in the need for rescue therapy when buprenorphine is used for cancer pain.

Fentanyl patches: Fentanyl is a powerful short-acting narcotic analgesic, widely used as a surgical anesthetic and to control chronic pain in the form of a transdermal patch. They are also used to relieve acute pain. Due to its low molecular weight and highly lipophilic nature, it is able to penetrate the skin and spread to different regions of the body. Each clip is designed to maintain a constant concentration of fentanyl in plasma during 72-hour infusion and high plasma concentrations between 12 and 24 hours. Blood flow and the background of the application form do not affect the level of drug delivery. Exposure to a heat source or an increase in body temperature can increase fentanyl delivery by up to one-third. They are useful when oral morphine cannot be taken due to severe kidney failure or when the oral route cannot be used due to vomiting or difficulty swallowing.

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