

# Formulation Of Topical Patches for Dermatological Irritants and Counter Irritants

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**Abstract**—Topical or transdermal patches are an effective solution to relieve localized pain. They are composed of a unique mixture of ingredients such as menthol, capsaicin, polymers (e.g., acrylate, silicone), penetration enhancers (e.g., oleic acid), antioxidants (e.g., BHA), preservatives (e.g., parabens), and rate-controlling membranes (e.g., gelatin). Transdermal patches are easy to use, require minimal patient effort, and provide controlled release of medication, thereby reducing the risk of overdose or underdose. Dermatological counter-irritant patches are particularly useful for managing pain associated with arthritis, muscle strain, and other conditions. These patches often contain active ingredients such as menthol and capsaicin, which provide pain relief through cooling and anti-inflammatory effects.

**Index Terms**— Capsaicin, Menthol, Pain relief, Inflammation, Transdermal patches.

## I. INTRODUCTION

Transdermal patches containing capsaicin and menthol can be a convenient and effective treatment option for pain relief and inflammation. Dermatological irritants used to relieve minor muscles and joint pain like arthritis, backaches, cramp's etc. The main ingredient are capsaicin and menthol. Menthol act as a counter irritant, producing a cooling sensation or warming. Capsaicin which can help reduce pain and inflammation by defunctionalizing nociceptors also used to relieve the pain of postherpetic neuralgia [ PHN; the burning, stabbing pain]. Capsaicin and menthol patches are used to manage pain and inflammation. Patches are easy to apply. Delivers active ingredients directly to the affected area. Can provide sustained pain relief for several hours or weeks.

## II. DRUGCAPSAICN



Capsaicin is a bioactive compound obtain from chilli peppers. the main pungent ingredient is 'hot' chilli peppers, draw out a sensation of burning pain by activating sensory neurons. It works by affecting nerve cells in the skin that are associated with pain. Which reduce activity of nerve cells and decrease sense of pain. Topical capsaicin formulation is used for pain management. Capsaicin is the primary active ingredient in these topical patches. Capsaicin is present in different concentration like 0.075%, 8% etc. Capsaicin is used topically to relieve pain associated with conditions like arthritis, neuropathic pain, and muscle aches. Capsaicin is a vanilloid compound with a complex molecular structure

### Mechanism of action

Capsaicin, the compound that makes chilli pepar's hot, primarily exerts its effects by activating the TRPV1 receptor, a type of ion channel found on

sensory neuron's, particularly those involved in pain and temperature sensation. This activation leads to an influx of ion's causing the neuron to depolarize and send pain signals to the brain. However, repeated or prolonged exposure to capsaicin can lead to a desensitization of these neuron's, resulting in reduce pain perception.

**TRPV1 Activation:** Capsaicin binds to transient receptor potential vanilloid 1 [TRPV1] receptor on sensory neurons, causing:

**Initial Excitation:** Activation of TRPV1 receptors leads to an influx of calcium ions, resulting in the release of neurotransmitters, such as substance P.

**Desensitization:** prolonged exposure to capsaicin leads to desensitization of TRPV1 receptors, reducing pain transmission.

**Pain relief:** The depletion of substance P and other neurotransmitters contributes to capsaicin's analgesic effects.

**Anti-Inflammatory Effects:** Capsaicin's interaction with TRPV1 receptors may also reduce inflammation by inhibiting the release of pro-inflammatory mediators.

**Advantages**

Reduce inflammation

Relieve pain

**Precautions**

**Skin protection:** Wear gloves when handling capsaicin, and avoid touching your face, eyes

**Avoid open wounds:** Do not apply capsaicin to open wounds or broken skin, as it can increase the risk of Infection of further irritation.

**Allergic reactions:** If you experience any signs of an allergic reaction, such as itching, hives, seek medical attention.

**Contraindication:** Capsaicin is contraindicated in certain situation including

**Sensitive skin:** Capsaicin can exacerbate skin irritation or allergic reactions in individuals with sensitive skin.

**Open wounds or broken skin:** Applying capsaicin to open wounds or broken skin can increase the risk of infection or further irritation.

**Eye or mucous membrane exposure:** Capsaicin can cause intense burning and irritating in the

**Allergies or hypersensitivity:** Individuals allergic or hypersensitive to capsaicin should avoid using it.

**Uses:**

**Medical uses:** Pain relief: Capsaicin creams or patches

can be used to relieve muscle and joint pain, arthritis, and nerve pain.

**Anti-inflammatory:** Capsaicin reduce swelling and pain. **Analgesia:** Capsaicin's activation of TRPV1 receptors leads to pain relief

### III. MENTHOL



Menthol is naturally occurring compound found in leaves of the peppermint plant [Mentha piperita] and other plants. Menthol is firstly used to relief from pain and pruritus of the skin from bug bites, irritated skin. It is a terpenoid alcohol with a characteristic cooling and refreshing sensation. Its cooling effect is due to the stimulation of cold sensation TRPM8 receptors in the skin and mucous membranes, providing relieve from inflammation and minor pain. Menthol patches are transdermal patches that can contain menthol these patches are designed to be applied directly to the skin, where they release menthol to provide relief from pain and discomfort. The menthol in the patch is released slowly over time, providing a sustain cooling sensation.

**Key Characteristics**

**Cooling Effect:** Menthol produces a cooling sensation when applied to the skin or mucous membranes.

**Analgesic Properties:** Menthol has analgesic and anti-inflammatory effects, making it useful for pain relief.

**Antimicrobial Properties:** Menthol has antimicrobial properties, which can help to reduce the growth of bacteria and fungi.

**Mechanism of action**

Table no 1: Menthol mechanism as Pain Reliever

Sr.no	Mechanism	Reference
1.	Blocks Nav1.8, Nav1.9, and Na	4
2.	Activation of TRPM8 which can induce analgesics for acute pain and inflammation.	5
3.	Non-selective TRPM8 agonists may produce a cooling sensation.	1
4.	Stimulation of TRPM8 blocking of neural calcium channels, inhibition of voltage-gated sodium channel in the dilation of blood vessels, and increased local blood flow.	3
5.	Bind to TRPM8 receptors and releases calcium ions that can reduce pain through activation of endogenous opioids.	2

Primary mechanisms:

- TRPM8 activation menthol activates the transient receptor potential mela statin 8 (TRPM8) channels, which is responsible for the sensation of coolness.
- Cooling sensation activation of TRPM8 channels triggers a cooling sensation, which can help relieve pain and discomfort.
- Pain relief menthol’s analgesic effects are thought to be mediated by its ability to block voltage-gated sodium channels and reduce pain signaling.

Advantages:

- Topical application: Menthol can be applied directly to the affected area, providing targeted relief.
- Cooling sensation: Menthol provides a refreshing and cooling sensation in skin care and hair care products.
- Non-invasive: Menthol is a non-invasive treatment option, making it a popular choice for those who prefer to avoid oral medications or injections.
- Fast-acting: Menthol works quickly to provide

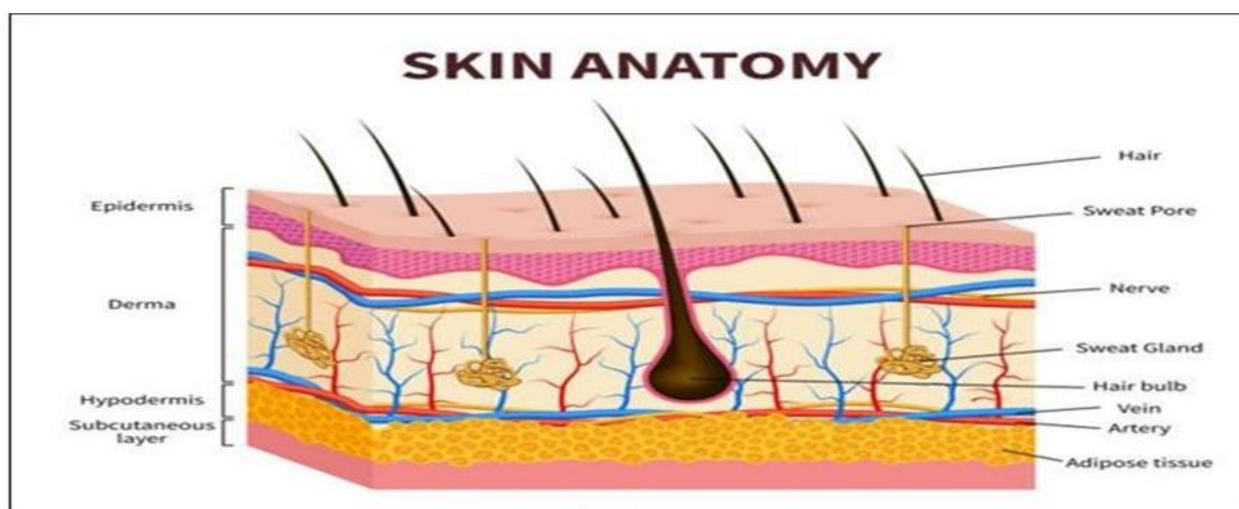
relief from pain and discomfort

Precautions:

- Patch test: Perform a patch test before using menthol products to check for any allergic reaction or sensitivity.
- Avoid excessive use: use menthol product in moderation, as excessive use can cause skin irritation, dryness or other adverse effects.
- Avoid contact with eyes and mucous membranes: Menthol can cause irritation or burning in the eyes and mucous membranes, so avoid contact or use with caution.

Contraindication:

- Sensitive skin: Individuals with sensitive skin may experience irritation, redness or allergic reaction to menthol.
- Open wounds: Menthol should not be applied to open wounds cuts, or broken skin, as it may cause further irritation or delay healing.
- Mucous membranes: Menthol should be used with caution on mucous membranes, such as eyes, nose or mouth.



(Fig 1)

Functions of skin:

- Protection
- Sensation
- Mobility
- Endocrine activity
- Exocrine activity
- Immunity
- Regulation of temperature

Types of Transdermal Patches:

- Single layer drug-in-adhesive
- Multilayered-in-adhesive
- Reservoir drug-in-adhesive
- Matrix drug-in-adhesive

IV. MATERIAL AND METHOD

Materials:

Sr.no	Ingredients	Quantity Like
1.	Capsaicin	0.075%, 8%, 0.025%
2.	Menthol	1%, 5%, 0.4%
3.	Silicone Acrylate starch	80% & 90% by weight Ratio around 1:15 0.5 gm /50mg
4.	Fatty acids (oleic acid) Alcohols (ethanol) Esters (isopropyl myristate) Terpene (limonene)	Range 5-15% Depending on the specific drug Typical range 1% to 20% Range 1% to 10%
5.	Cotton fabric's Foam materials Polyester films ( e.g PET)	Not a standard component in the official formulation of transdermal patch.
6.	BHA BHT	Concentration up to 0.15% Range 0.01% to 0.1% w/w
7.	Paraben's	Range 0.1% to 0.5%
8.	Gelatin	Range 1 to 10 parts by weight

Active Ingredient:

1 Capsaicin

Capsaicin patches are available in various concentration's typically ranging from 0.025% to 8%. Or 0.025% - 0.075%.

- Higher concentration's (e.g 8%) are used for more severe pain.
- Lower concentration's (e.g 0.025%) may suitable for milder pain.
- Low dose (0.025% - 0.075%) often used for mild to moderate pain, such as muscle aches or joint pain.

2 Menthol

Menthol available in various concentrations in topical products.

- Low concentration's (0.5%- 2%) used for mild cooling and analgesic effects, such as mild pain relief patches.
- Moderate concentration's (2% -5%) typically used for moderate pain relief, such as muscles and joint pain.
- High concentration's (5% -10%) used for more severe pain relief.

Polymer matrix / Base adhesives:

- Silicone or Acrylate

Silicone and acrylate are used in transdermal patches to control drug release, adhere to skin, provide stability.

Penetration enhancers: Penetration enhancers are used in transdermal patches to improve drug delivery, increase bioavailability, optimize patch performance.

- 1 Fatty acid: Like oleic acid a commonly used a fatty acid penetration enhancer, known for its ability to disrupt skin lipid and enhance permeability.
- 2 Alcohols: Like ethanol a commonly used alcohol penetration enhancer, known for its ability to increase skin permeability and enhance drug delivery.
- 3 Ester's: Like Isopropyl myristate a commonly used ester penetration enhancer, known for its ability to increase skin permeability and enhance drug delivery.
- 4 Terpene: Like a commonly used a limonene which same as isopropyl myristate.

Backing material:

It provides structural support, prevent drug loss, enhance adhesion. Polyester films commonly used the backing materials due to their flexibility, durability.

Polyethylene another type of baking material that

provide a barrier to moisture and oxygen.

Antioxidant's:

Antioxidants like BHA (Butylated Hydroxyanisole) and BHT (Butylated Hydroxytoluene) are used in transdermal patches to prevent oxidation, protect against free radicals, extend shelf life.

Preservative:

Preservative used in transdermal patches to prevent microbial growth, ensure sterility etc. paraben's a commonly used preservative in pharmaceutical and cosmetic applications, known for their effectiveness against a wide range of microorganism.

Preparation method:

- Solvent casting method
- Hot melt extrusion
- Adhesive - based method
- Matrix – based method

Menthol and capsaicin are dissolved in a solvent with a polymer. All chemicals along with polymer solution dissolved completely with through stirring. Placed in magnetic stirrer for half an hour. Pour the formulation to petri-plate uniformly and dried the formulation in hot air oven. Remove the patch from the petri-plate.

Solvent casting method: Menthol and capsaicin are dissolved in a solvent with a polymer and then cast onto a backing membrane.

Hot melt extrusion: Menthol and capsaicin are mixed with a polymer and extruded through a die to form a patch.

Adhesive-based method: Menthol and capsaicin are mixed with an adhesive and coated onto a backing membrane.

Matrix-based method: Menthol and capsaicin are dispersed in a matrix with a polymer and then formed into a patch

## V. CONCLUSION

Active ingredient selection choosing suitable ingredients for therapeutic of effects. Patch design ensuring uniform release, adequate adhesion, and comfort. Safety and efficacy evaluating potential skin irritation and insuring effective delivery. By optimizing these factors, topical patches can provide effective treatment options for various skin conditions, offering benefits such as targeted delivery, improved patient compliance, and reduced systemic side effects.

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