

# Caffeine Transdermal Patches

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**Abstract:** *Caffeine patches are transdermal patches that contain caffeine and are designed to deliver caffeine through the skin and into the bloodstream. The main reason why people use caffeine patches is to get a quick and convenient energyboost without having to drink coffee or other caffeinated beverage. The idea behind caffeine patches is to provide a steady dose of caffeine over a prolonged period of time, without the need for coffee or other caffeinated beverages. This can be particularly useful for people who want to reduce their intake of coffee or other sources of caffeine, but still want to experience the energy-boosting effects. We also try to find patches in Indian Market. But, in Indian Market it was not found and the products were available in foreign market but none patches were proper. So, present work is render on improving concentration, alertness, cognitive function, reducing migraine in some cases, it also reduces fatigue.*

**Keywords:** Caffeine, transdermal patches

## I. INTRODUCTION

**Transdermal Patch-** Transdermal patches are medicated adhesive patches applied to the skin to deliver drugs systematically into the bloodstream over a prolonged period. They provide a controlled and sustained release of medication, avoiding the need for frequent dosing and improving patient compliance.

These patches work by allowing the drug to penetrate the skin layers and reach the bloodstream, bypassing the digestive system and first-pass metabolism in the liver. This method of drug delivery ensures a more consistent drug concentration in the body, reducing fluctuations that occur with oral or injectable medications.

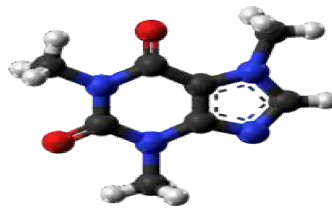
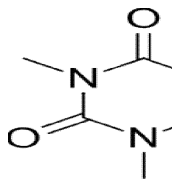
Transdermal patches are commonly used for various therapeutic applications, including pain management (fentanyl patches), hormone replacement therapy (estrogen patches), smoking cessation (nicotine patches), and cardiovascular conditions (nitroglycerin patches). Their advantages include ease of use, non-invasiveness, and a reduced risk of gastrointestinal side effects. However, limitations such as skin irritation and the inability to deliver large molecular weight drugs still exist.

Overall, transdermal patches are an innovative and effective drug delivery system that continues to evolve with advancements in medical and pharmaceutical technology.<sup>[1]</sup>

**Caffeine-** is a central nervous system (CNS) stimulant of the methylxanthine class<sup>[1]</sup>. It is mainly used recreationally as a cognitive enhancer, increasing alertness and attentional performance.<sup>[2]</sup> Caffeine acts by blocking binding of adenosine to the adenosine A1 receptor, which enhances release of the neurotransmitter acetylcholine.<sup>[3]</sup> Caffeine has a three-dimensional structure similar to that of adenosine, which allows it to bind and block its receptors<sup>[4]</sup> Caffeine also increases cyclic AMP levels through nonselective inhibition of phosphodiesterase.<sup>[5]</sup>

Caffeine is a bitter, white crystalline purine, a methylxanthine alkaloid, and is chemically related to the adenine and guanine bases of deoxyribonucleic acid (DNA) and ribonucleic acid (RNA). It is found in the seeds, fruits, nuts, or leaves of a number of plants native to Africa, East America, South Africa.<sup>[6]</sup>

The best-known source of caffeine is the coffee bean, the seed of the *Coffea* plant.



Other than caffeine patches product available in market –

- Caffeine Beverages
- Caffeine Pills
- Caffeine Vaporizers
- Caffeine Patches

Caffeine patches are a type of transdermal patch that delivers caffeine through the skin and into the bloodstream. The patches typically contain caffeine, along with other ingredients that help the caffeine penetrate the skin, such as adhesive and a permeation enhancer.

The idea behind caffeine patches is to provide a steady dose of caffeine over a prolonged period of time, without the need for coffee or other caffeinated beverages. This can be particularly useful for people who want to reduce their intake of coffee or other sources of caffeine, but still want to experience the energy-boosting effects.

#### **Mechanism of action of Caffeine Patches –**

Caffeine patches are a type of transdermal patch that delivers caffeine through the skin and into the bloodstream. The patches typically contain caffeine, along with other ingredients that help the caffeine penetrate the skin, such as adhesive and a permeation enhancer. The idea behind caffeine patches is to provide a steady dose of caffeine over a prolonged period of time, without the need for coffee or other caffeinated beverages. This can be particularly useful for people who want to reduce their intake of coffee or other sources of caffeine, but still want to experience the energy-boosting effects.

## **II. MATERIALS & METHODS**

### **Ingredients used to prepare Caffeine Patches-**

- Caffeine Powder
- Petroleum jelly,
- Plastic micropore tape
- Chloroform
- Menthol permeation enhancer

### **Function of the ingredients –**

**Caffeine** – It improve concentration, alertness, and cognitive function.

It is effective at reducing migraine headaches in combination with other drugs.

Caffeine blocks the adenosine receptors, which prevents adenosine from binding to these receptors and signaling to the brain that it's time to sleep.

Caffeine is a central nervous system stimulant that may reduce fatigue and drowsiness.(1) At normal doses, caffeine has variable effects on learning and memory, but it generally improves reaction time, wakefulness, concentration, and motor coordination.(7)(8) The amount of caffeine needed to produce these effects varies from person to person, depending on body size and degree of tolerance.(7) The desired effects arise approximately one hour after consumption, and the desired effects of a moderate dose usually subside after about three or four hours. (9) Caffeine can delay or prevent sleep and improves task performance during sleep deprivation(10). Shift workers who use caffeine make fewer

mistakes that could result from drowsiness (11) Caffeine in a dose dependent manner increases alertness in both fatigued and normal individuals. (12).

**Petroleum Jelly(Vaseline)**– In our formulation we use the petroleum jelly as a vehicle as it prevent moisture entering the patches prevent from microbial growth. It not evaporate as Aloe vera so it can be used as polymer.

It also prevent crystal formation of caffeine. Petroleum jelly has further other functions like-

- Medical treatment ( 13)
- Skin and hair care (14)
- Preventing moisture loss
- Hair grooming (15)
- Lubrication(16)
- in bullet lubricant compounds[28]
- anti-seize assembling grease[30]

**Plastic Micropore tape - Baking layer.**

3M plastic micropore tape is a type of medical tape that is made of a thin, porous material that allows air and moisture to pass through. It is commonly used in medical settings to secure dressings, bandages, and other medical devices to the skin, as well as for general-purpose household use. The tape is hypoallergenic and latex-free, making it suitable for use on people with sensitive skin or allergies. It is also gentle on the skin, as it does not leave behind any residue or cause irritation when removed.

One of the unique features of 3M plastic micropore tape is that it is easy to tear by hand, making it convenient for use in emergency situations or when a cutting tool is not readily available.

**Chloroform** – helps to disperse caffeine evenly into petroleum jelly. Chloroform is an organic chemical compound initially employed as an ideal anaesthetic. It was first prepared in 1831. The chemical formula is CHCl<sub>3</sub>. It is a colourless, sweet-smelling dense liquid produced on a large scale. Chloroform may be released into the air as a result of its formation in the chlorination of drinking water, wastewater and swimming pools. Chloroform is an industrial chemical that can act as a lacrimator. It is non-flammable, which makes it safer to handle than ethanol.



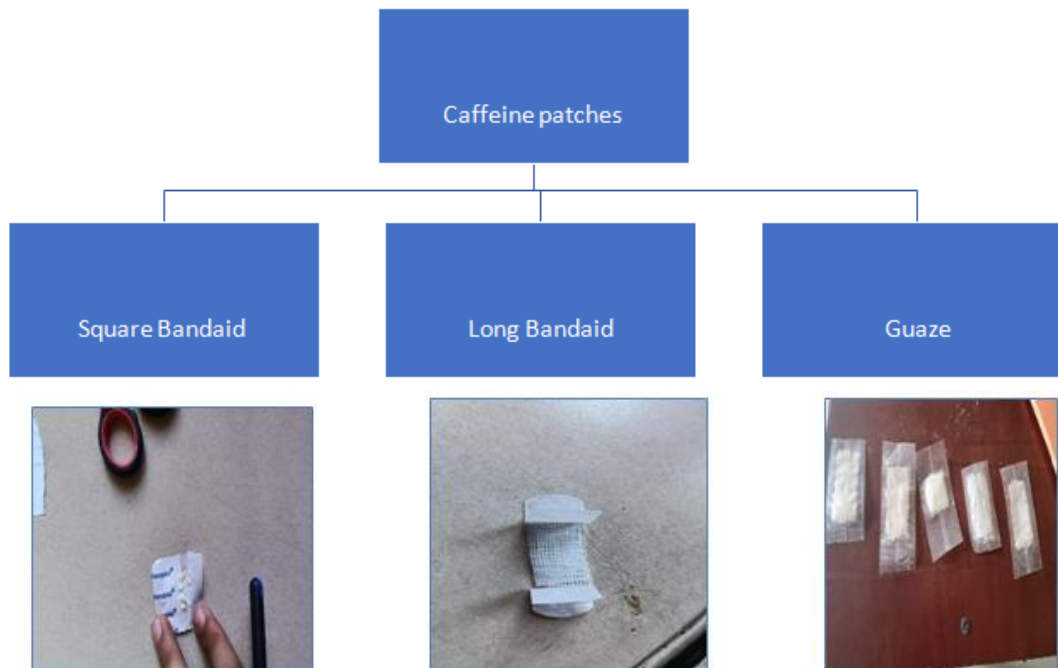
**Fig.1: Ingredients used in formulation of caffeine patches.**

**Menthol** - permeation enhancer. It helps caffeine to penetrate through skin. Menthol is widely used in clinical medicine as a penetration enhancer due to its high efficiency and relative safety. In this study, molecular dynamics simulations have been performed to investigate the effect of menthol molecules on the structural and permeability of both single component and ternary mixed bilayers. Disruption of the stratum corneum: The stratum corneum is the outermost layer of the skin, and it serves as a barrier to the penetration of substances. Menthol may disrupt the structure of the stratum corneum, increasing its permeability to substances that are applied to the skin.

Increase in skin hydration: Menthol has been shown to increase skin hydration, which can also enhance the penetration of substances through the skin.

Alteration of membrane fluidity: Menthol can alter the fluidity of biological membranes, including those in the skin, which can increase the diffusion of substances through the membrane.

Increase in blood flow: Menthol has been shown to increase blood flow to the skin, which can increase the delivery of substances to the target site



**Patch different layers -**

- Layer-1: Top layer-Plastic layer Nothing can go inside or outside
- Layer-2: Drug solution
- Layer-3: Which will be adhesive as well as through which our drug will enter in skin (Without skin contact drug should not go outside.
- RELEASE LINER-Rate controlling adhesive layer

**Procedure-**

Dissolved Vaseline and caffeine into warm chloroform, Wait for chloroform to evaporate. Then pour calculated amount of volume into patch.

**Table.1: Formulation Calculation**

INPUT		
Parameter	Value	Unit
Total Caffiene Amount	1000	in mg
per 1 patch Caffiene conc	200	in mg
Solution in beaker	31	ml
Patch Type	Squire_Bandaid	

PATCH: Micropore tape on it drug solution is poured after that menthol with drop of oil so it does not stick with plastic tape which is going to be on top of drug solution.

**EVALUATION PARAMETER**

**PHYSICOCHEMICAL EVALUATION OF TRANSDERMAL PATCHES**

**Weight Variations –Weigh 10 patches**

We made 10 patches of 200mg & we found following result-

- W1= 1730.5 mg
- W2= 1828.2 mg
- W3= 1788.7 mg
- W4= 1924.3 mg
- W5= 1766.5 mg
- W6= 1855.4 mg
- W7= 1665.5 mg
- W8= 1999.3 mg
- W9= 1888.4 mg
- W10= 1736.7 mg



**Fig.2: Weight Variation**

$$\text{Average weight} = \frac{W1+W2+W3+W4+W5+W6+W7+W8+W9+W10}{10} = 1818.35$$

**Drug content –**

We made 200mg patches then removed matrix and dissolve it into water, where Vaseline was separated as it is insoluble in water , then water was evaporated and we were left with caffeine crystals , which we measured in weight.

$$\text{Drug Content} = \text{Weight of (Drug + Petri dish)} - \text{Weight of Petri dish}$$



**Fig.3: Drug content**

**Folding endurance-**

Test failed.

When we fold the patches Drug content which was spread on patches break from region where we fold. So, result found was **Failed**.

**Moisture Content –**

$$\% \text{ Moisture content} = \frac{\text{Final weight} - \text{Initial weight}}{\text{Final Weight}} \times 100 = \frac{1828.2 - 1828.2}{1828.2} \times 100$$

The value found was Zero.



**Fig.4: Transdermal Patches-**

**TOXICOLOGY EVALUATION OF TRANSDERMAL PATCHES:**

**Contact irritant dermatitis –**

When patch was removed after 15 hours no dermatitis problem was seen but while removing the patch if the process of removing patch was slow at that time their was pain due to sticking of our plastic micropore tape on hair of skin. But when it was removed with force then at that time no much pain was observed



**Fig.5: Contact dermatitis -**

**Contact allergic dermatitis-**

As you can see no allergic reaction was seen on the skin.

Patch type: caffeine 100mg patch without permeation enhancer. Migraine patient was given patch at patch type: caffeine 100mg patch without permeation enhancer. Migraine patient was given patch at 9/3/23 Thursday 5:33pm.

2nd patch with permeation enhancer was given at neck 9/3/23 Thursday 7:34 PM.9/3/23 Thursday 5:33pm. patient has stress headache patient reports that he can feel drug is going (menthol soothing sensation probably) and headache is reduced by 40% than normal both patches was removed at 10:15 PM because patient wanted to sleep and also started feeling light headed and vertigo. which concludes caffeine is releasing from patch.

**III. RESULTS & DISCUSSION**

<b>RESULT</b>		
Caffeine	1000	Mg
Petroleum Gelly	2084	mg
Chloroform	31	ml
Amount of Patches	5	num
Patch Need: Square Band aids	5	num
Solution/Patch	6.2	ml
gauze/patch	8	cm
Plastic micropore/patch	0	cm
Plastic Tap/patch	0	cm

STANDARD / PATCH		
Parameter	Amount	Unit
Caffeine	720	mg
Petroleum jelly	1500	mg
Chloroform	22	ml
SQUIRE BANDAID		
Squire_Bandaid	1	unit
STANDARD / PATCH		
Parameter	Amount	Unit
Long_Bandaid	1	unit
Gauze	15	cm
FULL_GAUZE_PATCH		
Gauze		
Plastic micropore: 2, 10cm tape	20	cm
Plastic Tap	10	cm

#### IV. CONCLUSION

The study successfully formulated and evaluated transdermal caffeine patches using a combination of caffeine powder, petroleum jelly, plastic micropore tape, chloroform, and menthol as a permeation enhancer. The results demonstrate that these patches provide a steady release of caffeine over an extended period, offering an alternative to traditional caffeine consumption methods such as beverages or pills.

Physicochemical evaluations, including weight variation and drug content analysis, confirmed the uniformity and effectiveness of the patches. However, the folding endurance test failed, indicating the need for further optimization of the patch's mechanical strength. Toxicological evaluation showed no signs of irritation or allergic reactions, except for mild discomfort during patch removal due to adhesive adherence to skin hair.

Additionally, preliminary testing on migraine patients suggested that the patches could provide effective caffeine delivery, as observed in the reduction of stress headaches. The presence of menthol enhanced caffeine penetration, as evidenced by the reported soothing sensation.

Overall, caffeine transdermal patches represent a promising drug delivery system with potential benefits such as controlled drug release, improved patient compliance, and convenience. Future research should focus on improving patch flexibility, optimizing drug release profiles, and exploring long-term effects to establish their clinical viability.

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