

بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ

## Pharmacology

**Topical steroids, keratolytics and Antipruritics**

**Dr .Mohamed Salem**

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# Preparations of topical cutaneous steroids

## 1- Ointments

- The medical substance presents in a **greasy** vehicle.
- Ointments are better administered to **thick hyperkeratotic** and **dry lesions (e.g. chronic eczema)**.
- It is the most potent vehicle (they are the most occlusive).
- Avoid ointments on hair-bearing regions because it may result in **folliculitis**.

**2- Creams:** less potent than ointment but **cosmetically better** (not greasy).

**3- Lotions:** less occlusive and less greasy; better in hair-bearing regions.

**4- Gels** - like lotions, and more beneficial for the scalp as they do not cause greasy hair.

**5- Foams** - highly effective for steroid delivery to the scalp but they are expensive.

## Mechanisms of action

### Glucocorticoid activity

- 1- Anti-inflammatory (inhibition of phospholipase A2).
- 2- Immunosuppressant (decreasing lymphocytes)
- 3- Antiallergic effects.
- 4- Antimitotic effects on epidermal cells.
- 5- Decrease Collagen cross linking.

### Mineralocorticoid activity

Vasoconstriction which leads to **poor healing**.

- ❑ The vasoconstrictor properties determines the potency of steroid.

### The European classification of topical steroids

- Weak (e.g. Hydrocortisone).
- **Moderate** (e.g. **Clobetasone butyrate** ).
- Potent (e.g. Mometasone furoate & Betamethasone esters).
- Very potent (e.g. Clobetasol propionate ).



# Pharmacokinetics

- Topical steroids are **absorbed** to the circulation when applied to thin epidermis (e.g. the **eyelid**, **genital** skin, and **face**) up to 300 folds compared to thicker regions of epidermis (like palms and soles).
- The penetration increases two- to ten-fold in **diseased states** (e.g. **inflammation**, **scratches**, and desquamation).
- The skin of **children** is more permeable to topical steroids.
- Avoid **High-potency steroids** in **face**, **genitalia**, & **intertriginous** areas.
- The **amount** of the applied steroid used affects its absorption. One fingertip unit (FTU) is equal to 0.5 grams.
- Topical corticosteroids are recommended for once - twice daily use.



## Therapeutic uses

✓ Topical steroids are FDA-approved for treating:

**1-Psoriasis**

**2-Eczema**

**3-Lichen planus**

**4-Discoid lupus erythematosus**

**5- Limited areas of vitiligo**

**6-Atopic dermatitis**

**7-Acute radiation dermatitis**

**8-Lichen simplex chronicus (neurodermatitis)**

**9-lichen sclerosis.**

✓ Topical steroids are also effective for treating:

1- Hyper-proliferation disorders (e.g. **hyperkeratosis** & **skin tumors**).

2- Immunological disorders (e.g. **alopecia areata**, and **bullous pemphegoid**).

3- Inflammatory conditions (**contact dermatitis & sun burns**).

4- Allergic conditions (e.g. **urticaria** and some **drug eruptions**).

5- Topical steroids are also used for treating **pityriasis rosea**, and **granulomas** like **sarcoidosis**.

# Adverse effects of topical corticosteroids

## 1-Local adverse effects (skin)

It occurs with **prolonged use** & depends on the **potency, vehicle, and application site** of topical steroid. They include:

1- Delayed wound healing.

2- Skin atrophy and striae.

3- Rosacea

5- Acne.

6- Spread of skin infections (viral, fungal, bacterial or parasitic)

7- Hypertrichosis.

8- Pigment alteration (leukoderma occurs with intralesional injection of **triamcinolone**).

4- Peri-oral dermatitis.

9- **Contact allergy with hydrocortisone.**

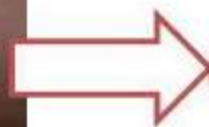




Steroid rosacea (erythema with or without pustules)



Skin atrophy is the most common adverse effect and occurs due to the **anti-mitotic** effect of topical corticosteroids & The loss of connective tissue



Striae  
(**stretch marks**)

- **Tachyphylaxis** : the skin is developing **tolerance** to **topical steroids**, which leads to loss of vasoconstriction at the level of the capillaries.
- **Addiction of topical steroid** is a common clinical problem usually presented with **rosacea**, **thin facial skin** and **irritation**.
- ✓ **Gradual withdrawal of topical steroids** and replacing with topical calcineurin inhibitors help the improvement.

## 2- Systemic adverse effects

They are less likely to occur due to low cutaneous absorption  
They occur on prolonged use of high-potency steroids on thin epidermal regions or in children.

☐ The systemic adverse effects include: **glaucoma**, **hypothalamic-pituitary axis suppression**, **Cushing** syndrome, hypertension, and hyperglycemia.



## Contraindications of topical steroids

- 1- **Bacterial infections** (e.g. impetigo, cellulitis, erysipelas, etc).
- 2- In **fungal** infection including candida and dermatophytes.
- 3- **Viral** infections like herpes.
- 4- **Wounds** and **ulcers**.
- 5- Previous **history of allergy** to the preparation.

## Topical calcineurin inhibitors

(**tacrolimus** & preclorimus) can be used alternative to topical steroids in different dermatological diseases (especially **vitiligo**, and **atopic dermatitis**).

Calcineurin inhibitors will not cause skin atrophy, srtia, rosecia, or tachyphylaxis.



## Keratolytic agents

- Keratolytic agents break down the outer layer of the skin.
- They help skin to bind moisture.
- They can **dissolve skin flakes** and **scales**.
- Removing the scales & minimizes dandruff
- Help other topical medications to penetrate the skin better.

### Side Effects of Keratolytic agents:

1. **Reddening** of the skin
2. **Burning** or **tingling sensation**
3. **Sensitivity to UV light**
4. Peeling of the skin.

### 1- Lactic acid

**It exfoliates** the skin, stimulate skin cell renewal & keeps the skin hydrated.

Over-the-counter **creams** and **lotions** that contain lactic acid help to relieve symptoms of psoriasis and keratosis pilaris “chicken skin”.

## 2- Urea

**Urea** is an organic compound known to soften the skin.

Urea is very safe even in high conc.

It also has **hydrating and anti-itching properties**, and helps other medications to penetrate the skin.

Topical application of urea in a cream or gel has been shown to effectively treat **atopic dermatitis**, eczema, **xerosis** (dry skin), psoriasis, keratosis pilaris as well as **brittle nails**.

## **3- Salicylic acid**

It is used to treat common conditions like acne, psoriasis, and dandruff.

Salicylic acid works as a keratolytic by breaking down connections between dead cells on the surface of the skin.

It is usually applied to the skin surface as a **cream or lotion** of small concentrations (e.g. **0.5-1%**) for acne and psoriasis, or **higher conc (up to 30%)** to treat **warts and corns or callus**.



Other examples of keratolytic agents:

- 1- Topical **tretinoin** and oral acitretin,
- 2- Topical **coal tar**.
- 3- **Glycolic acid**,
- 4- Pyrithione zinc
- 5- All chemical peels

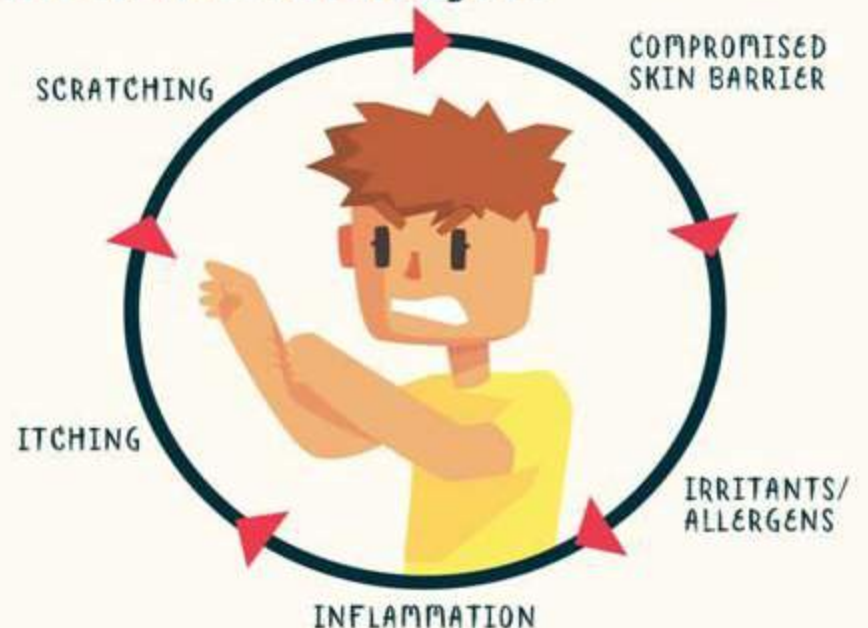
## Antipruritics

Antipruritics, or anti-itch drugs, are medications that inhibit itching ( pruritus).

### Treatment of itching:

- 1- Treat the cause.
- 2- General measures.
- 3- Topical medications.
- 4- Systemic medications.

### The itch-scratch cycle



## General measures

Moisturization  
Cool environment  
Avoid irritants  
Break itch-scratch cycle  
Behavioural therapy, relaxation,  
stress reduction



## Calamine

- ❑ Calamine (means Zinc) lotion is an over-the-counter (OTC) medicine to treat mild itchiness (pruritus).
- ❑ Calamine lotion contains zinc oxide & 0.5% ferric oxide (the iron oxide gives calamine lotion its distinctive pink color).
- ❑ It may contain purified water, Glycerin, Calcium hydroxide and Phenol.

# Topical medications for itching

- **Topical corticosteroids** especially in inflammatory skin diseases
- **Topical calcineurin inhibitors.**
- **Topical Capsaicin** is an active component of **chili peppers**, It is a chemical irritant & triggers heat sensation from skin.
- **Topical Menthol** (from mint ) chemically trigger the cold-sensitive receptors in the skin (cooling sensation), Menthol's analgesic properties are due to activation of  $\kappa$ -opioid receptors and blocking of voltage-sensitive sodium & calcium channels.
- Topical local anesthetics like **Pramoxine** (pramocaine), Prilocaine & **Lidocaine.**
- **Doxepin** is a medication belonging to the tricyclic antidepressant.





## Systemic treatment

- 1- **Non-sedating antihistamines:** Urticaria, **insect bite reactions** and drug reactions.
- 2- First-generation **antihistamines (sedating)** for Nocturnal itch.
- 3-  **$\mu$ -Opioid receptor antagonists** for Cholestatic pruritus & atopic dermatitis.
- 4-  **$\kappa$ -Opioid receptor agonists** for **uremic pruritus**.
- 5- Antidepressants (**paroxetine, and sertraline**) palliative treatment in atopic dermatitis, **lymphoma**, solid **carcinoma**, **uremic** and **cholestatic** pruritus,

6-Doxepin in atopic dermatitis, HIV-related pruritus, allergic reactions, and urticaria.

7-Anticonvulsants (gabapentin & pregabalin) Uremic, Neuropathic pruritus.

8-Ursodeoxycholic acid for Intrahepatic cholestasis of pregnancy.

9- Oral immunosuppressants (cyclosporine, Azathioprine and Mycophenolate mofetil) in inflammatory dermatoses.

10 - Systemic corticosteroids in inflammatory dermatoses.

Thank you

