

Glucocorticoids / Corticosteroids

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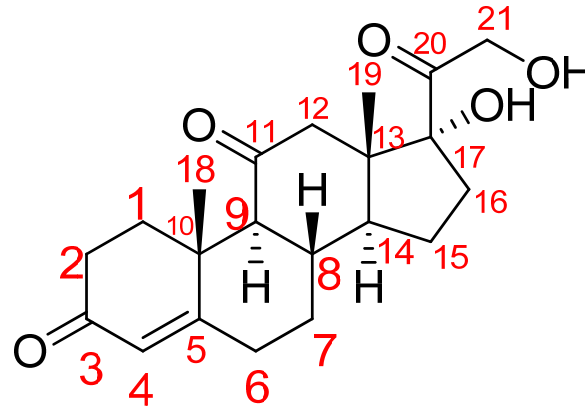
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Introduction

- Glucocorticoids are compounds that act on the **metabolism** of carbohydrates, proteins, and fats, and to some degree on the **electrolytic and water balance** in the body.
- They have effects on practically all tissues and organs, and can change the **immune response** of the body to various types of influences. The end result of using them is **induction (anabolism)**, or **suppression (catabolism)** of protein synthesis.
- They have an effect on the cardiovascular system, the gastrointestinal tract, the skeletal musculature, skin, connective tissue, blood, and the endocrine system.
- The direct indication for using them is severe and chronic **adrenal insufficiency**.
- They are used for collagenosis, rheumatoid arthritis, rheumatism, eczema, neurodermatitis, and other skin diseases, allergies, tissue transplants, bronchial asthma, and many other diseases.

Cortisone

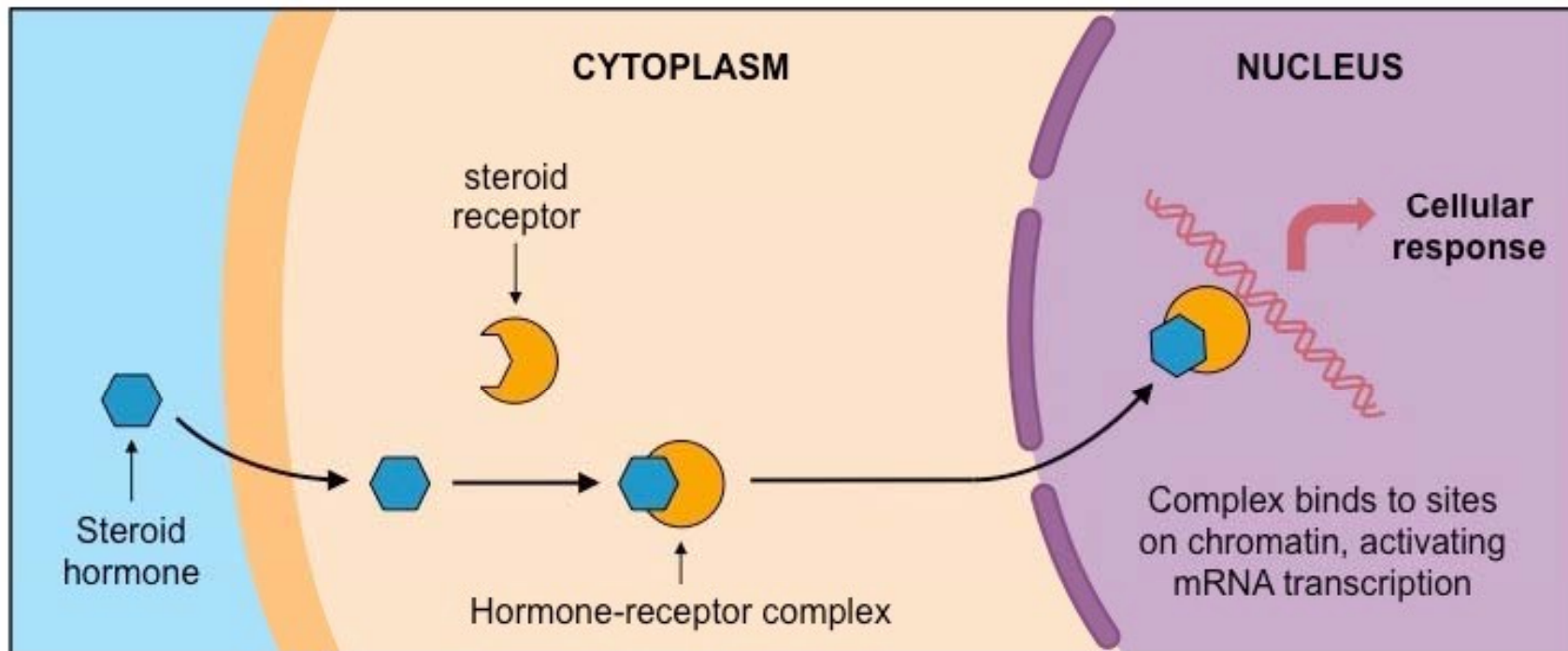


IUPAC: 17,21-Dihydroxypregn-4-ene-3,11,20-trione

MOA

- Cortisone binds to the cytosolic **glucocorticoid receptor**.
- After binding the receptor the newly formed receptor-ligand complex translocates itself into the cell **nucleus**, where it binds to glucocorticoid response elements (**GRE**) in the promoter region of the target genes.
- The DNA bound receptor then, cause the expression of specific proteins.

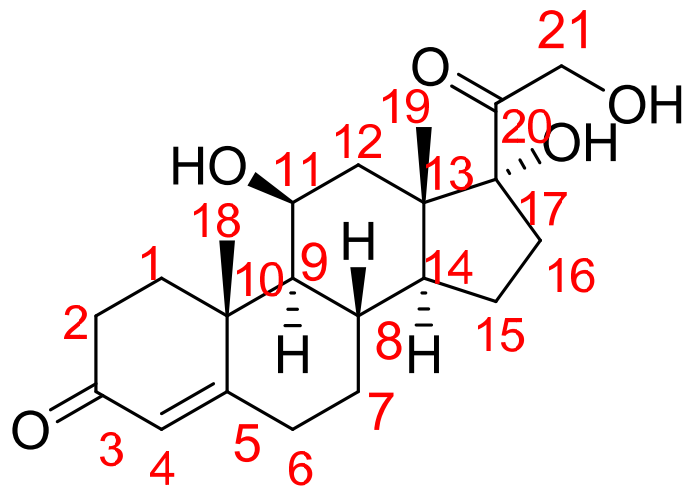
- It inhibits **phospholipase A2**, which decreases the formation of arachidonic acid derivatives.
- The anti-inflammatory actions of corticosteroids are thought to involve through **inhibition arachidonic** acid, which control the biosynthesis of prostaglandins and leukotrienes.
- In other words, the two main products in inflammation; **prostaglandins** and **leukotrienes** are inhibited by the action of glucocorticoids.



○ Lower doses of corticosteroids provide an **anti-inflammatory effect**, while higher doses are **immunosuppressive**. High doses of glucocorticoids for an extended period bind to the mineralocorticoid receptor, raising sodium levels and decreasing potassium levels.

Uses: Allergic disorders, skin conditions, ulcerative, arthritis, colitis, lupus, psoriasis, or breathing disorders.

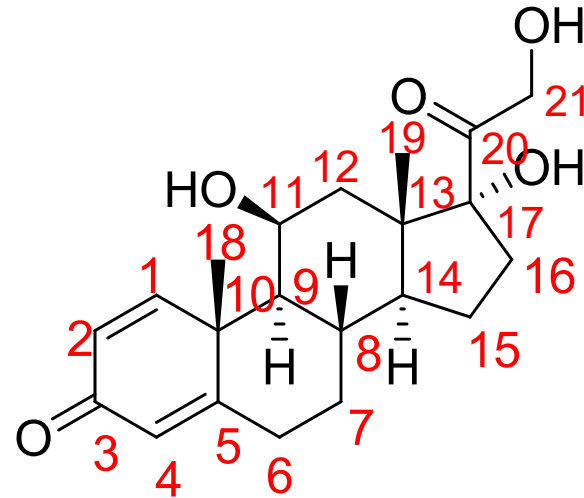
Hydrocortisone



IUPAC: **(11 β)-11,17,21-Trihydroxypregn-4-en-3,20-dione**

MOA & Uses: Similar to cortisone

Prednisolone

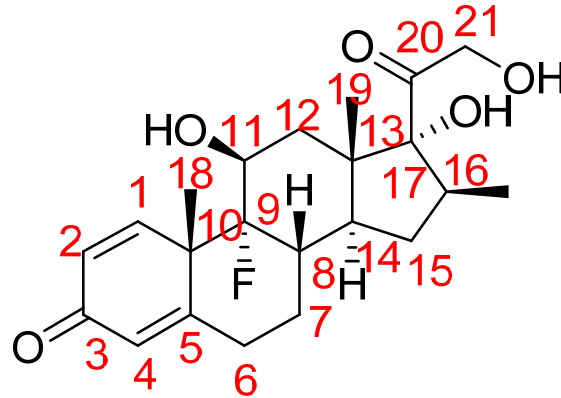


IUPAC: (11 β)-11,17,21-Trihydroxypregna-1,4-dien-3,20-dione

MOA: Similar to cortisone

Uses: Similar to cortisone

Betamethasone

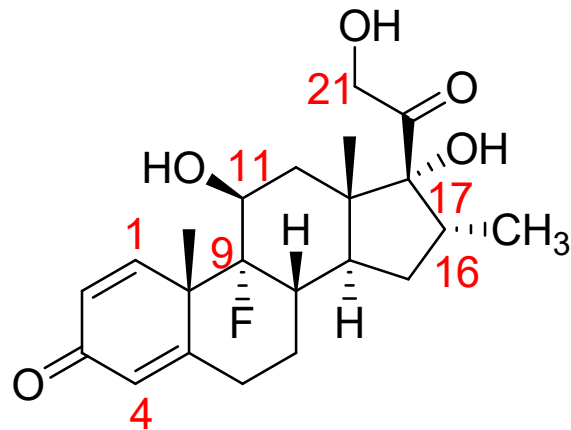


IUPAC: **(11 β ,16 β)-9-Fluor-11,17,21-trihydroxy-16-methylpregna-1,4-dien-3,20-dione**

MOA: Similar to cortisone

Uses: Similar to cortisone

Dexamethasone



IUPAC: (11 β ,16 α)-9-Fluor-11,17,21-trihydroxy-16-methylpregna-1,4-dien-3,20-dione

MOA: Similar to cortisone

Uses: Similar to cortisone