

Corticoesteroid pharmaces: corticoesteroid drugs

Introduction

Corticosteroids, their drugs are derivatives of the chemical structure of the hormones that are found in the supraventricular glans and are called glucocorticoids. Cortisol (hydrocortisone) is the predominantly secreted glucocorticoid in the human supernatant and is regulated by other hormones that are found in the brain: it is called the hypothalamus (hypothalamic-hypopharyngeal).

The synthetic corticoids, say the drugs, have the same actions as the natural glucocorticoids that are found in the body. It has been transformed into an important pharmacy for the treatment of many inflammatory and allergic diseases, among which are many nurses in Traumatology. Although its medicines are very useful, it tends to counteract secondary effects, as long as they are used in high doses and during extended periods of time. The corticosteroids can be obtained from the superior glands of the animals, but are usually synthesized in laboratories.

Here's the importance of being able to perform a revision of everything related to these medicines.

Action mechanism

The suprarrenal asterooids actuate unitarily to intracellular receptors, whose transcription factors can be Glucocorticoid receptors (GR), as its receptors (in glucocorticoid), which are located in the cytoplasm and to remain inactive, are associated with various chaperones, among them, an immunophilin and thermal shock proteins (hsp or heat shock proteins); una vez unido el glucocorticoid al receptor, este ultimo se libera de las chaperonas, y el complejo receptor - glucocorticoid penetra en el nucleo y se dirige a zonas o sequencias del ADN que son reguladores o promotores de determinados genes en donde ha de regular la expressione of the genes that respond specifically to corticosteroids; These sequences are denominated in glucocorticoid (GRE) response elements that, when activated, modify the expression and transcription of a gene, with the consequent translation of it, in a conjunctive of proteins, which are the median actions of the corticoids. In this way, the glucocorticoid modulates the transcription, it has a positive form (estimating the synthesis of a certain protein), or well negative {inhibiting by example, the synthesis of 2 factors of transcription: activator protein 1 (AP-1), which modulates the expression of collagenase, enzymes that destroy collagen in rheumatoid arthritis and nuclear factor kappa B (NF- κ B), which modulates the expression of nitric oxide-inducible synthesis (NOSi) and type 2 cyclooxygenase (COX-2) }. In any case, the process requires time and is the reason why many of the potent action of glucocorticoids, appears during a period of latency of various hours. It is also important to note that these receptors are found in the majority of the body's tissues, Mineralocortical (MR) receptors, its very similar to the anterior ones (more than 90% homology) and its activation mechanism also are similar, in that glucocorticoids also have activating agents (entones, if any, the more severe cortisol concentrations are between 10 and 10). and 1000 superior times to aldosterone, in theory, cortisol, constantly saturates MR receptors, impedes the action of aldosterone in the sustained-release form), without embarrassment or cure, debit to those in the diana cells of aldosterone has an enzyme: the 11 β -hydroxyesteroid-dehydrogenase (11 β -HED), which inactivates the cortisol, transforms the reversible form into its inactive form, the

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cortisone, which has no affinity for the MR receptor. The difference between glucocorticoids and mineralocorticoids.

Pharmacological actions

It has a set of actions, which are summarized in two types of activity: glucocorticoid (represented by the ability to treat hepatic glycogen and by the anti-inflammatory activity) and mineralocorticoid (represented by the ability to retain sodium and water). In fact, glucocorticoids actuiously affect all the organs of the organism, so their actions are very variedMetabolics:Ensure plasma glucose concentration and adequate glycogen uptake into hygiene and muscle, to maintain glucemia, within the values compatible with life, in stressful situations; consequently action action on: carbohydrates, then glucocoticoids have a hyperglycemic and diabetogenic action; at the level of hygiene, increase the synthesis of enzymes that participate in gluconeogenesis (glucose synthesis to break down proteins) and glucogenogenesis (formation of glucogen for its alchemy); in the peripheral tissues, reduces the entry of glucose into the interior of the cells (skin, muscle, connective tissue and fat), except for the level of the nervous and myocardial system, ensuring this manner, the availability of glucose for these priority organs; in chronic action, participates other hormones such as glucagon (gluconeogenesis) and insulin (glucogenogenesis) which contribute to the development of the described actions; in Proteins, In addition to protecting catabolism, it mobilizes the amino acids of the proteins, in the form that liberates free amino acids in the blood, thereby designing them to constitute important substrates for the formation of glucose in the hygiene; this action contributes to the maintenance of the availability of plasma hydrocarbons. The effect of protocatal catabolism can produce reduction in muscle mass, debilitation of the skin, osteoporosis and an increase in urinary excretion of nitrogen which produces a negative balance of nitrogen; in Lipids, producing lipolysis, reduce the synthesis of triglycerides (by decreasing the intake of glucose in the adipocytes) and redistribute the grass of the organism in a centripetal form, promoting its deposition in the superior body of the body and reducing it in the lower part, in addition to the excess, glucose the increase of the deposit of grass in cara (cara de luna llena) and nuca (buffalo spring). These actions can be increased by indirect mechanisms that are also produced by these drugs (increase appetite, increase insulin secretion and estimate the

action of catecholamines). produce the increase of the grass deposit in cara (cara luna llena) and nuca (buffalo spring). These actions can be increased by indirect mechanisms that are also produced by these drugs (increase appetite, increase insulin secretion and estimate the action of catecholamines). produce the increase of the grass deposit in cara (cara luna llena) and nuca (buffalo spring). These actions can be increased by indirect mechanisms that are also produced by these drugs (increase appetite, increase insulin secretion and estimate the action of catecholamine).

Hydroelectrolytics

Its mineralocorticoids, acting on MR receptors, maintain the control of water and electrolyte balance, mediating the regulation of renal and cardiovascular function; without embargo on glucocorticoids, also acting on the hydroelectrolytic equilibrium, in the process of activating GR receptors at the renal and cardiovascular levels; of this manner, provoked in the rhinoceros an increase in renal fluid flow, increment of the glomerular filtration rate increase and increase in free water (action opposed to ADH); therefore, glucocorticoids potentiate the effect of the prescription of catecholamines (by induction of the synthesis of catecolamine receptors), by virtue of which its presence can cause hypotension.

Anti-inflammatory drugs and immunosuppressants: through the inactivation of NF- κ B and histamine (by inhibiting its release by basophils and mastocytes), reducing the edema and infiltration of leukocytes into the inflamed zone; it also inhibits the synthesis of

IL-1, IL-2, IL-3, IL-6, TNF- α and interferes and ultimately Reduces cellular activity, inhibiting the gamma amplitude of functions of the cellular system, as well as , prevents the proliferation of these cells in the foci of inflammation (by inhibiting the proteins involved in the attraction and adhesion of leukocytes) and inhibiting their maturation and proliferation (by affecting both the immune system, the cellular and the humoral as well as the chronic allergic reactions). decreases the edema and the infiltration of leukocytes into the inflamed zone; it also inhibits the synthesis of IL-1, IL-2, IL-3, IL-6, TNF- α and interferes and ultimately Reduces cellular activity, inhibiting the gamma amplitude of functions of the cellular system, as well as , prevents the proliferation of these cells in the foci of inflammation (by inhibiting the proteins involved in the attraction and adhesion of leukocytes) and inhibiting their maturation and proliferation (by affecting both the immune system, the cellular and the humoral as well as the chronic allergic reactions). decreases the edema and the infiltration of leukocytes into the inflamed zone; it also inhibits the synthesis of IL-1, IL-2, IL-3, IL-6, TNF- α and interferes and ultimately Reduces cellular activity, inhibiting the gamma amplitude of functions of the cellular system, as well as , prevents the proliferation of these cells in the foci of inflammation (by inhibiting the proteins involved in the attraction and adhesion of leukocytes) and inhibiting their maturation and proliferation (by affecting both the immune system, the cellular and the humoral as well as the chronic allergic reactions).

Potency antiinflamatoria and pharmacokinetics: They are detailed in Table 1.

Table I Potency and pharmacocynetics

NUMBER	AG (1)	AM (2)	EA (3)	VIA	BIOD (4)	UP (5)	Vd (6)	M (7)	EX (10)	T _{1/2} (11)	DA (12)
Cortisol (Hydrocortisona)	I	I	20mg	O / IM / To	80%	90%	40L	Hepatic	Renal	90m	Corta
Cortisona	0.8	0.8	25mg	O / IM	80%	90%	40L	Hepatic (8)	Renal	30m	Corta
Prednisone	4	0.6	5mg	O	80%	80%	35L	Hepatic (8)	Renal	200m	Intermedia
Prednisolone	4	0.8	5mg	O / IM / To	80%	80%	35L	Hepatic (9)	Renal	200m	Intermedia
Methylprednisolone	5	0	4mg	O / IM / To	90%	75%	90L	Hepatic (9)	Renal	200m	Intermedia
Triamcinolone	5	0	4mg	O / IM / To / Inh	-	70%	120L	Hepatic	Renal	200m	Intermedia
Parametasone	10	0	2mg	O	-	-	-	Hepatic	Renal	300m	Larga
Dexametasona	25	0	0.8mg	O / IM / To / Inh	90%	70%	70L	Hepatic (9)	Renal	300m	Larga
Betametasona	35	0	0.6mg	O / IM / To	-	-	-	Hepatic (9)	Renal	300m	Larga
Fludrocortisone	10	250	2mg	O	-	60%	-	Hepatic	Renal	200m	Intermedia

Potency and pharmacocynetics

- 1) The activity or potency of glucocorticoids is greater in the betamethasone.
- 2) Mineralocorticoid activity is greater in fludrocortisone.
- 3) The equivalence of activity, indicating the amount of drug needed to balance glucocorticoid and/or mineralocorticoid activity of corticosteroids; therefore, to obtain a glucocorticoid activity of 1 with cortisol, a dose of 20mg of the drug is required; known glucocorticoid and/or mineralocorticoid activities as one of the corticosteroids, can determine the activity equivalence of the measures: (20mg/AG or AM).

- 4) At the margin of bioavailability, the oral absorption of synthetic corticosteroids, depending on its structure, even though the majority absorbs well, by its lipid nature; the absorption velocity depends on the solubility of the ester compound which accompanies the pharmacy (phosphates are absorbed more rapidly than acetates).
- 5) A new part of this percentage, approximately, is one of the albums that has a minor affinity for the corticoids, but has its most abundant, has a large transport capacity.
- 6) Appearance volume distribution.
- 7) The majority of the modifications consisted of the exchange of cетonic groups for hydroxides, for those conjugated with

sulphates or glucuronates; the hepatic insufficiency modifies its semividae.

- 8) These corticosteroids are not active, can be reduced by the 11 β -HED in the hygiene, to transform into its active compounds: cortisol and prednisolone, respectively (bidirectional reaction); by hepatopathies with alterations of this enzyme, they need to use other corticosteroids.
- 9) Methylprednisolone and prednisolone (double bond between C1 and C2), dexamethasone and betamethasone (fluorine atom in C9), also have a slower metabolism.
- 10) In a 90% and the remainder for biliofecal life; renal insufficiency modifies its semividae. dexamethasone and betamethasone (fluorine atom in C9), have a more slow metabolism.
- 11) In a 90% and the remainder for biliofecal life; renal insufficiency modifies its semividae. dexamethasone and betamethasone (fluorine atom in C9), have a more slow metabolism.
- 12) In a 90% and the remainder for biliofecal life; renal insufficiency modifies its semividae.
- 13) Vida media.
- 14) Duration of action.

Actions from glucocorticoids

In Metabolismoseo, favoring ocean absorption, by means of various mechanisms: increase the catabolism of the inorganic matrix as organic, perturba the osteoblast activity, inhibit calcitonin synthesis, decrease the absorption of Ca++ and the level of intestinal inhibition of vitamin D at this level), while increasing its excretion in the urine, which is to increase the parathyroid hormone (PTH) increase, with the most important osteoclastic estimation. On the other hand, it also decreases the synthesis factor factor similar to insulin I (IGF-I) and the factor β (TGF- β) transformant factor.

In Elements of Song, its action is variable; in Eritrocitos, producing erythrocytosis (tested for the correction of glucocorticoid anemia); in Leucocytos, producing neutrophils, eosinopenia, basopenia, monocitopenia and lymphopenia by mechanisms and descriptions; in Thrombocytos, producing thrombocytopoiesis (by reducing its destruction in the reticular endothelial system), which are used in cases of thrombocytopenic purpura.

In Central Nervous System: Due to the abundance of corticosteroid receptors at this level, its action is important and can be demonstrated in cases of carensia (Addison's disease), as well as excess (Cushing's disease or exogenous abundant administration); can originate psycho-neurological cadres that understand the sense of well-being or euphoria that are clearly psychotic states. In general, glucocorticoids increase humor, which can provoke euphoria, insomnia, intranquillity or motor hyperactivity; on occasions produce anxiety or depression, or psychotic reactions. By other means of reducing cerebral edema, by its actions on vascular permeability.

Reactions adverse

Treatment with corticosteroids can be maintained in high doses and during some days (including up to 3 weeks), without adverse effects;

without embarrassment in the transcendence of time, comienzan to manifest, with multiple consequences, which naturally depends on the objective of the therapy with these pharmacies: In the substitutive Treatment, this therapy is correctly established and dosed, by the general, it is free; however, some patients may suffer from arterial hypertension by the joint administration of mineralocorticoids with glucocorticoids, as well as alternative therapy in these cases, may be performed in cortisone that may have active activities; in the Anti-Inflammatory Treatment or Immunosuppressant.

Contraindication

There are absolute contraindications to relative y/o in the following situations: peptic ulcer, congestive heart failure, hypertension, diabetes, osteoporosis, glaucoma, infectious conjunctivitis, simple ophthalmic herpes, and ocular ulceration of the ocular opening), tuberculosis and psychosis.¹⁻¹¹

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Conflicts of interest

The author declares directly or indirectly related to the search.

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