

DeAromatase

Overview:

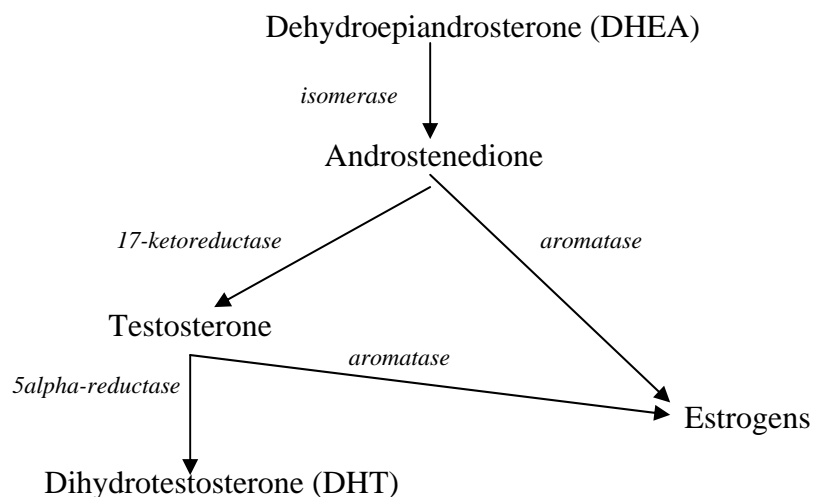
Hormones exist within the body in two states, bound and unbound. Bound hormones are those that are affixed to receptor sites and/or proteins in the body. These hormones are unable to exert any future effects upon the body. Unbound hormones, on the other hand, are biologically active, meaning they have not exerted any effects and are still able to do so. Also referred to as bioavailable or free, unbound hormones can affix themselves to receptor sites which will allow them to exert their effects, be taken out of the system exerting no effects, or be chemically altered into other hormones.

When testing for hormonal levels by blood, often a total concentration is measured encompassing both bound and unbound states. This total value is inflated and is a poor indicator of bioactive or bioavailable hormones. What we are looking for is the amount of hormone present that is able to exert its effects upon the body (unbound portion). The best method to test for these unbound hormone levels is radio-immuno assay or saliva tests. The radio-immuno assay is much more expensive than the total hormone panel and will usually not be offered in HMO medicine. The best method is to specifically ask your physician for the specific tests you require.

Our hormones maintain homeostasis by a mechanism called negative feedback. This means that the end product in a chain of events affects the beginning. With regard to our sex hormones, the end product is one of several estrogens (about 32 different estrogens have now been identified). When estrogen levels are too low, they signal the body to produce the precursors to testosterone; but when estrogen levels are high, future production of these precursors is inhibited.

Please see diagram below for the normal pathway of these precursors and hormones:

** Italics represent enzymes*



Methods and Actions

Action 1: Raise bioavailable testosterone levels.

This is accomplished via a three fold mechanism. First, when looking at the diagram showing the steps in the conversion of sex hormones, notice the enzyme aromatase is responsible for the conversion of both androstenedione and testosterone to estrogen. *DeAromatase* helps to control this enzyme's action, preserving higher levels of testosterone and reducing levels of estrogen. This is accomplished because less of the aromatase enzyme is available for the conversion of androstenedione to estrogen, leaving a greater supply of androstenedione for the conversion to testosterone. Normally, the increase in testosterone results in a substantial increase in estrogen; but because this enzyme is being selectively inhibited, testosterone levels remain elevated and more available for use by the body (bioavailable).

DeAromatase has also been shown to act upon the enzyme 5alpha-reductase helping to control the conversion of testosterone to dihydrotestosterone (DHT). This is important because without it, the increase in testosterone produced would be converted to DHT raising those levels instead. DHT levels remain basically the same regardless of the increase in testosterone levels.

Action 2: Lower estrogenic effects.

There are no absolutes within our body, rather our body works based on ratios. When these ratios are within homeostatic range, we work at optimal performance, but the farther we deviate, the more our performance and health suffers. The optimal range for testosterone to estrogen ratios is approximately 3-4 to 1 for men, and 1 to 2 for women. With these ratios we feel abundant energy, good health, elevated mood and cognitive function, healthy libido, and perhaps most importantly we achieve a properly functioning immune system. We also see a greatly decreased tendency to store and produce fat. Unfortunately, in today's society we are seeing a trend away from these homeostatic norms due to factors both in and out of our control.

The simple carbohydrate laden diets of Americans today are resulting in increases in both adipose tissue (fat) and estrogen levels. This is because our bodies are becoming more resistant to insulin, requiring greater amounts of insulin to accomplish the same action. As a result, we have more calories shuttled to adipose tissue, our bioavailable thyroid hormone, (T3), is decreased, and the mechanisms regulating the ratios of testosterone to estrogen do not work properly.

Our environment also works to disrupt the homeostatic balance of testosterone and estrogen. The plastics and PVC we use everyday leach xenoestrogens which exert actions upon our bodies similar to estrogen. The majority of chemicals used as pesticides are endocrine disruptors, meaning they cause the insects to increase their output of estrogen making them infertile and unable to reproduce. These pesticides contaminate our lakes, rivers, and drinking water every time it rains. Many of these chemicals exert similar effects on humans.

As our estrogen levels rise and the normal ratio to testosterone is destroyed, the negative feedback loop is inactivated. Our body decreases production of the precursors to testosterone in an effort to decrease estrogen levels. What happens instead though is our testosterone levels decrease, but our

estrogen levels remain elevated because of the mechanisms explained above. *DeAromatase* works to correct this in two ways.

First, *DeAromatase* has been shown to affix itself to estrogen receptor site, causing circulating estrogen to become less effective since it cannot bind to these sites. *DeAromatase* does not completely stop the binding of estrogen; rather it just helps to control the amount, assisting the body in its efforts to remain homeostatic. The excess estrogen left circulating in the blood will eventually be filtered out and excreted since it cannot be used.

Secondly, this binding of receptor sites, causes the hormone regulating mechanisms of the pituitary gland to sense the need for more output. This causes the negative feedback loop to activate causing our body to increase production of the precursors of testosterone. This increase in production causes an even greater testosterone yield due to the selective inhibition of the aromatase enzyme.

The net end result is our testosterone ratios are increased, and their conversion to other hormones is selectively inhibited. This leads to normalized bioactive estrogen levels. This puts our body back in that ever so important homeostatic testosterone to estrogen ratio.

Other Important Facts

Use by men and women

Regardless of sex, all people share the same hormones. The difference is in the ratios and concentrations of the various hormone levels. The main reason for the difference between testosterone ratios in men and women is a protein called sex hormone binding globulin (SHBG). This protein is synthesized by the liver and acts to modulate the concentration of unbound hormones present in the blood. This modulation occurs for two reasons. First, SHBG has a much higher affinity for testosterone than to estrogen, meaning that it will bind to testosterone more readily than it will bind to estrogen. Secondly, SHBG synthesis is affected by both hormone concentrations. High levels of testosterone in the blood decrease production, whereas high levels of estrogen promote SHBG production.

The major change in hormone concentrations between men and women takes place during puberty. As males begin to develop their secondary sex characteristics, their testosterone levels rise accordingly. This increase in testosterone production in turn decreases the synthesis of SHBG, and ultimately a 3-4:1 testosterone to estrogen ratio is reached. The opposite is true in females. After sexual maturation, estrogen becomes dominant and SHBG production is increased. Since SHBG has a higher affinity for testosterone over estrogen, this increase limits the amount of testosterone available and modifies the hormonal ratio to about 1:2 (testosterone to estrogen).

The reason that *DeAromatase* works on both men and women is because it is dose dependent. This means that the amount taken is directly tied to the amount of the conversion enzymes and estrogen receptors that are blocked. Through research and testing, it has been established that five (5) servings per day work adequately to help restore the natural hormonal ratios in men. Women need only take three (3) servings per day to help restore their hormonal ratios.

The reason for the differences in dose rates between men and women comes back to their hormonal make-up. Men need more *DeAromatase* because they need to restore higher testosterone to estrogen ratios. The five servings per day have been shown to effectively reduce the conversion of testosterone to estrogen, which in turn lowers the production of SHBG, which in turn binds less testosterone. The preservation of testosterone coupled with the decrease in binding to SHBG works to restore their homeostatic ratio. Women, need less *DeAromatase* because they need less overall testosterone for optimal performance. This does not mean that it is less important, in fact, *DeAromatase* is more important in women because they tend to become excessively estrogenic. Women's testosterone to estrogen ratio can become skewed much quicker than men due to the double impact of SHBG. As estrogen levels increase, SHBG production increases causing more testosterone to become bound; this effectively lowers the amount of testosterone available. This increase in estrogen, coupled with the subsequent decrease in testosterone, causes their ratios to become severely imbalanced.

One of the most prevalent problems resulting from this extreme estrogen dominance is obesity. Obesity further contributes to estrogen dominance with further production of estrogen by the fat cells, (adipocytes), themselves. Other problems include emotional imbalances and mood swings, lethargy, and impaired immune function resulting in an increased incidence of sickness.

DeAromatase was designed to aid in the reduction of this estrogen dominance and elevate natural testosterone levels.