

Andriol Testocaps Best Absorbed With A Fatty Meal

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This article could have been titled "Eat refried beans"; but the double entendre would have been lost to 99.9 percent of the audience. There was a study released this year, which was considered innovative enough to be published in the journal *Clinical Endocrinology*.¹ The crux of the study is that an 80mg gelcap of Andriol Testocaps deliver testosterone with a much greater efficiency when consumed with a meal that contains approximately 19 grams of fat. This bit of news is very relevant to any person using Andriol Testocaps either as part of a prescribed hormone replacement regimen or illicitly to gain a physical or appearance benefit. This article will get to the details of the study shortly, but it is worth a few hundred words to understand that the fact that this is considered newsworthy is a poor testament to the state of research surrounding testosterone and other androgen delivery. Andriol (referring to all forms of the steroid) is the registered brand name for the oral version of testosterone undecanoate (TU). Some confusion may arise in those familiar with anabolic steroids, in that the name refers to an esterified form of testosterone, which in every other case is an injectable. Indeed, TU exists as an injectable version as well and the injected version is generating very positive results in a number of clinical trials.² Injectable TU provides therapeutic concentrations of testosterone for up to 12 weeks with a single (4ml) injection. Sadly, TU has not yet been approved for sale in the United States. Yet, this article is about oral TU. The term undecanoate refers to the fatty acid esterified (attached) to testosterone, a fatty acid that is 11 carbon atoms long. It is very similar sounding to decanoate, as in nandrolone decanoate, the generic name for Deca. Testosterone (and other anabolic steroid) esters are not traditionally given orally, as the ester bond is easily cleaved (broken) by enzymes in the wall of the intestines, the liver…even the blood. This rapidly exposes testosterone to deactivating enzymes present in the liver and other tissues, and little of the steroid would reach target tissues.³ Swallowing pure testosterone or a testosterone ester is of little benefit, as over 98 percent of the drug would be lost before it even gets close to reaching muscle or any other target tissue. It is estimated that a man would need to swallow 400mg of pure testosterone daily to replace the 7mg naturally produced by the testes. That was the case prior to the introduction of TU. Researchers found that when testosterone was attached to a sufficiently long fatty acid, it was absorbed not into the bloodstream (specifically the portal circulation that routes directly to the liver), but through a different circulatory system and reached greater concentration in the blood, bypassing the liver and avoiding the toxic effects associated with the traditional method of making steroids orally available— alkylation.⁴ Breaking down that horrendous run-on sentence, it means that an oral form of testosterone became available that would not cause liver problems. Initial studies on TU were performed on men and women in the fasted state, meaning they did not eat prior to or immediately following the TU dose.⁵⁻⁷ In retrospect, this proved to be a mistake, because TU is a highly lipophilic form of testosterone, lipophilic meaning it immerses itself into a fatty medium preferentially. In the fasted state, little fat is present in the intestines, so the TU does not have a suitable environment to enter the alternate circulatory system, called the lymphatic circulation. In fact, the earliest form of TU only delivered 3 percent to 4 percent of the total oral dose to the system, little better than plain testosterone.⁵⁻⁷ Drug developers continued to work on the TU formulations, dissolving TU first in oleic acid (a monounsaturated fat present in olive oil).⁸ However, as the oleic acid tends to go rancid unless protected from heat and light, current formulations use castor oil (from the castor bean, which is actually a seed) and propylene glycol laurate (a common component found in cosmetics, shampoo, etc). The purpose of the castor oil is primarily to get TU into solution. Even with this modification, the latest version of Andriol Testocaps only provided a very slight increase in absorption in the fasted state. However, when consumed with a meal, the delivery of testosterone to the system was greatly amplified. How much of a difference was seen? Quite a bit. The maximal blood concentration increase was 16 to 20 times greater…not 16 percent to 20 percent, but 16 to 20 times greater.^{1,7} That is a huge difference. The total drug delivery over time was not affected as much, but still achieved more than 10 times the amount of drug delivered. Easily, this verifies that TU in any form should be taken with a fatty meal. Why so cynical then? Andriol is treated in the United States as a novel drug. It was discussed during the Major League Baseball "steroid scandals" as "Mexican beans" taken by baseball players to provide additional testosterone without any increased risk of liver problems. In fact, TU has been shown to be safe when used for 10 years in the clinical setting under physician supervision.⁹ TU is referred to as "Mexican beans" because they are not available in the United States, so people were acquiring the drug from Mexican pharmacies and other cross-border sources. The gelcaps are roughly the size, shape and color of a bean, hence the nickname. Sadly, the United States is one of very few developed countries that does not have TU available for treating androgen deficiency. A safe, oral form of testosterone that corrects many signs of low testosterone would be a great benefit to many men. Instead, any research or discussion of TU is treated as a novel, exotic investigation. However, TU has been around since the mid-1970s and has over 20 years of clinical use in Europe and other continents. Initial studies showed it to be effective for delivering testosterone in a unique way that greatly reduced some of the most serious side effects of oral androgen therapy, those being liver-related. A study published in 2003 first reported the need for taking TU with a fatty meal, showing 16 times maximal concentration when taken with a meal that had 23 grams of fat.⁷ The 2007 study compared meals of varying fat content and demonstrated that near-maximal benefit was achieved with a meal containing 19 grams of fat and that increasing the fat content to 44 grams did not provide sufficient benefit to recommend higher fat intake.¹ So far, the "take-home

message” from this study is that the maximal delivery of TU is attained when the drug is taken with a meal containing roughly 20 grams of fat, or more. This effect was first noted in 1987, but sadly follow-up was delayed for more than a decade.¹⁰ However, there is another nugget in the body of TU research worth noting. Oral TU does increase testosterone effectively, but a greater relative increase in DHT, the androgenic metabolite of testosterone, is seen.^{11,12} This suggests that men who are prone to prostate enlargement or hair loss may wish to avoid using TU. α -reductase inhibitors may be somewhat useful with this drug as well, as much of the conversion appears to take place in the gut or during absorption.¹ As TU is available in Mexico and obviously can enter the United States black market, why is it not spoken of often in bodybuilding circles? Frankly, because it does not appear to be an effective anabolic agent.^{13,14} Andriol does not provide noticeable mass or strength gains, even when taken in relatively high doses. Part of the reason for this is due to the rapid clearance of testosterone from the system, as TU quickly releases free testosterone which can attach to active sites, be bound by SHBG, aromatized or metabolized to inactive forms.^{1,15,16} So, for the athlete, bodybuilder or other users seeking gains in muscularity, there is little appeal to using TU. To achieve any gains would be cost-prohibitive for most. For this reason, and many others, one would think that United States regulatory agencies would approve of this drug.

Is oral TU used as an anabolic agent? Of course, as was noted in the Major League Baseball reports. Its biggest draw was its safety, as much or more so than any anabolic potential it offered. TU offered a bridge between cycles of harsher anabolic drugs and reportedly prevented some of the symptoms associated with hypogonadism due to anabolic steroid withdrawal, such as loss of lean mass gains, depression, sexual dysfunction, etc.¹⁷

It appears that TU has a place in treating androgen deficiency, but for now, it is not available to United States consumers. TU’s safety might appeal to many athletes, but its cost and modest anabolic effectiveness limits its nonmedical use. Given TU’s “age,” there would be little financial incentive to market the drug in the United States, as any generic manufacturer (foreign or domestic) could introduce a cheaper version, negating any potential for profit. Thus, it is unlikely that TU will enter the United States market in the near future.

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