SALBUTAMOL (ALBUTEROL) FOR OBESITY

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The present text is related to oral administration of Albuterol (Salbutamol) against obesity for reducing fat and increasing muscle strength of obese people.

Obesity is a tremendous problem in countries having a high standard of life. This problem is not limited to the United States. In Australia half of the population is either overweight or obese.

According to the latest data, nearly 66 million American adults exceed the healthy weight range defined by the U.S. dietary guidelines. Obesity is defined simply as an excess of body fat. Your body is made up of water, fat, proteins, carbohydrates and various vitamins and minerals. If you have too much fat, especially if a lot of the fat is located in your waist area, you are at higher risk for health problems, including high blood pressure, high blood cholesterol, diabetes, heart disease, and stroke.

Obesity is now recognized as a major risk factor for coronary heart disease, which can lead to heart attack. Some of the reasons for this higher risk are known, but others are not.

For example,

- Obesity raises blood cholesterol and triglyceride levels
- Obesity lowers HDL (the "good" cholesterol linked with lower risk)
- Obesity raises blood pressure
- It can induce diabetes

Obesity is caused mainly by taking in too many calories, hormonal disorder and not getting enough exercise. Losing excess weight is one of the best ways to reduce your risk of heart problems and other diseases.

Given all of the health complications associated with obesity, this increase in the numbers of obese people is cause for alarm. The development of drugs to combat obesity has become highly important. However, the practical application of many of the anti-obesity drugs as a rule is accompanied by adverse effects or may lead to dangerous consequences.

THE RESEARCH

The stated below is the research of various individuals and organizations.

THE ECA STACK

The combination of ephedrine (Ephedra), aspirin and caffeine to reduce body weight. However, permanent administration of aspirin leads to ulcer and gastro-intestinal bleeding. It was indicated that half of people with peptic ulcer bleeding were using NSAIDS (Non-Steroidal ant-inflammatory drugs) like aspirin.

The ephedrine belongs to the group of sympathomimetics. The ephedrine has clear fat burning characteristics. On the one hand, this occurs since ephedrine produces heat in the body (Thermogenesis), ephedrine slightly increases the body temperature so that the body burns more calories than usual. On the other hand, ephedrine stimulates the thyroid gland to transform the weaker LT-4 (L-thyroxine) into the stronger LT-3 (liothyronine), thus accelerating the metabolism. The fat burning effect, with the additional intake of both caffeine and aspirin, can almost be doubled.

The scientific research has shown that the combination of 25 mg ephedrine, 200 mg caffeine, and 300 mg aspirin is ideal to produce a synergetic effect. Those who apply this combination three times daily, approximately 30 minutes prior to a meal, will significantly burn fat. The ephedrine has anti-catabolic characteristics. Thus if is especially useful for maintaining the muscle system while dieting.

The side effects can manifest themselves in the form of more rapid heart beat, insomnia, tremors (light trembling of the fingers), headaches, dizziness, high blood pressure, and lack of appetite. The Ephedrine must not be taken when high blood pressure, a severe hyper function of the thyroid gland, irregular heart rhythm, or a recent myocardiac infarction are present. It is interesting to note that in the U.S. the substance ephedrine hydrochloride is not a prescription drug.

The Caffeine is a power and energy accelerant. Caffeine much like Ephedrine acts to increase mental alertness caffeine reaches deep into the muscle cell to provide lasting power and delaying the onset of muscle fatigue. Caffeine affects the CNS causing more alertness and allowing for more intense focus. The chemical structure of caffeine is very similar to that of adenine (a component of ATP, DNA, and cyclic AMP). It increases the potency of aspirin or other analgesics and can relieve asthma attacks by widening the bronchial airways. The majority of caffeine is produced in decaffeinating coffee.

THE DEHYDROEPIANDROSTERONE

This combination of DHEA and one or more of the following anorectic agents for the treatment of obesity and related disorders: phenylpropanolamine hydrochloride (HCL), fenfluramine HCl, phentermine HCl, phendimetrazine tartrate, mazindol, diethylpropion HCl, fluoxetine HCl, and sibutramine HCl.

DHEA has been evaluated for its ability to modify food intake and/or weight when administered individually, but not in combination with the anorectic drugs. Dehydroepiandrosterone (DHEA) and its sulphated derivative, both major secretory products of the human adrenal, are naturally occurring steroids. Traditionally, DHEA has been called an adrenal androgen because it can be metabolized in the periphery to testosterone. DHEA itself cannot interact with the androgen receptor, and thus is not an androgen.

The anorectic drugs used include phenylpropanolamine HCL, fenfluramine HCl, phentermine HCl, phendimetrazine tartrate, mazindol, diethylpropion HCl, fluoxetine HCl, and sibutramine hydrochloride. Tachyphylaxis and tolerance have been demonstrated with all drugs of this class used in the treatment of obesity. It has not been established that the action of such drugs in treating obesity is primarily one of appetite suppression, as other central nervous system actions or metabolic effects may be involved. The drugs are not particularly effective, as the magnitude of increased weight loss of drug-treated patients over placebo-treated patients is only a fraction of a pound a week, with the rate of weight loss being greatest in the first weeks of therapy for both drug and placebo-treated subjects and decreasing in succeeding weeks as tolerance to the anorectic agent develops.

CLARITHROMYCIN

The usage of mega dose of macrolide antibiotics, specifically Clarithromycin may reduce weight. Clarithromycin may induce diarrhea, nausea, and vomiting.

The preferred dose of Clarithromycin for a human is taken orally and ranges between 1250 mg/day and 6,000 mg/day. In an alternative preferred dose of Clarithromycin for a human ranges between 8 mg/kg of body weight/day to 50 mg/kg of body weight/day.

2, 4-DINITROPHENOL (DNP)

The combination of 2, 4-dinitrophenol (DNP) and thyroid hormone to reduce obesity. It indicates that 2, 4-dinitrophenol is a mutagen and that the compound induces lassitude and malaise.

DNP is a chemical that was once used in the early 20th century to ignite dynamite and cast a yellow dye on wood and other handcrafts. A few years' later demographical statistics showed that employees who worked with DNP everyday tended to lose weight, often rapidly. A study conducted by Stanford University showing that the ingestion of DNP does in fact cause weight loss. This prompted physicians to prescribe DNP to obese patients of that era. DNP was on the market for 2 decades as a weight loss drug and was eventually taken off the market and banned for human consumption by the FDA because there was a report of cataract formation among female users of this drug which turned out to be false. This chemical is still deemed too dangerous by the FDA to allow it to come back to the pharmaceutical marketplace. Over the decades of research on DNP, scientists have never shown it to have the ability to cause cancer or any other mutations despite the fact that it's a phenol and that most phenolic compounds are carcinogenic. DNP is now only used as a research chemical and as a pesticide in a few states that still approve of its use. It is not illegal to own DNP, but it is illegal to market it for personal consumption.

CLENBUTEROL

The beta-2 agonist Clenbuterol is a well-know agent with respect to increase in muscles and reduction of fat in livestock animals. Although the application of inhaled clenbuterol in patients with COPD did not change body weight or increase in muscles in either a 2 week placebo-controlled trial.

It has been found a parallel effect of clenbuterol and Salbutamol with respect to all characteristics of growth promotion in veals and clenbuterol was about 30 times more potent that Salbutamol.
On the other hand a research which took the beta agonist Salbutamol which has not been shown to produce anabolic effects and compared it with Clenbuterol during continuous infusion in animals. Under these conditions the half-life of the substance is not a factor and the drug can bypass the liver, avoiding first pass degradation. During continuous infusion Salbutamol produced equal anabolic effects in muscle tissue as clenbuterol.

The Clenbuterol is a beta-2 agonist and is used in many countries as a bronchodilator for the treatment of asthma. Because of its long half life, clenbuterol is not FDA approved for medical use. Contrary to popular belief, Clenbuterol has a half life of 35 hours and not 48 hours. Clenbuterol has two secondary effects that are beneficial to athletes. The first is a strong anti-catabolic effect, which means it decreases the rate at which protein is used up in the muscle cells, consequently causing hypertrophy of muscle cells (with Training). The Clenbuterol accomplishes this by the stimulation of both type 2 and 3 beta-receptors. 3-beta receptors are more abundant in livestock than in humans. This explains the pronounced anabolic effects on livestock as opposed to humans.

The Clenbuterol has a wonderful thermogenic effect. This is the main reason for its usage in weight loss. This means that it slightly raises the body temperature of the person taking it. When the body's temperature rises it burns fat more productively. When stacked with an LT-3 hormone the effect of such drugs is enhanced. This drug comes with many side effects; the most common side effect is muscle cramps, nervousness, headaches, and increased blood pressure.

ISOPRINOSINE

Intravenous infusion of beta-1/2 agonist Isoprinosine in lean and obese men resulted in a decrease in plasma level of free fatty acids (FFA) and glycerol in the obese group. Decrease in FFA and glycerol meant decrease in lipolysis in obese group, since esterified fatty acid is fat. The second effect of infusion of Isoprenaline was a significant decrease of expiratory exchange ratio in the lean group that indicated pronounced fat oxidation in these subjects versus obese ones.

TERBUTALINE

Effect of abdominal subcutaneous administration of Terbutaline (selective beta-2 agonist) in adipose tissue was studied in lean versus obese girls and lean versus obese women.

1 M of Terbutaline resulted in 100% increase of glycerol release (increase in lipolysis) in lean versus obese girls and in lean versus obese women. It must be noted that the effect of Dobutamine (selective beta-1 agonist) resulted in no difference in the release of glycerol in lean versus obese girls and lean versus obese women. Thus prior art had found that an impairment in lipolysis of obese girls and women is related with beta-2 adrenergic stimulation.

SALBUTAMOL (ALBUTEROL)

High doses of Albuterol, namely 4 mg, 4 times a day were administered to patients with fluctuating Parkinson disease for 14 weeks. Cross-sectional area of thigh muscle was increased by 5.3% that corresponded in no increase in muscle strength test. Fat-free mass was increased by 9.5%.

Thus, in humans, there was only a small effect of Albuterol on increase in muscles, no effect on muscle strength, and only a moderate effect on reduction of fat caused by a huge dose of the drug. Taken together, these and similar data did not support further development of this or related compounds for use in humans to combat obesity. For comparison, use of a significantly lower dose of Albuterol in pigs in a 10 week study with an initial dose of 3.3 mg/day in week 1 increasing to 8.1 mg/day in week 10 resulted in a 14% increase inlongissmus dorsi (LD) muscles and a16% reduction of back fat versus controls.

Some studies have found an increase in muscle strength in humans on Albuterol.

However in all the studies researchers did not note a reduction of fat and/or body weight, the necessary characteristic of a drug against obesity.

For example, a high dose of 8 mg/day of slow-release salbutamol was administered orally for 3 weeks to healthy young men. It was found that there was a 12 % increase in strength of both quadriceps muscles and 22% increase in strength of the hamstring muscles of dominant leg. The strength of the non-dominant hamstring muscles however returned to baseline values at the end of the trial. No effect in body weight, skin fold thickness, lean body mass or limb circumferences were found. No significant change in the grip strength of either hand in these subjects was found during the trial.

The Earlier studies of beta-2 agonists against obesity indicate low efficacy of such drugs in humans. High doses of inhaled Salbutamol (5 mg, 4 times a day) for 8 months in patients with chronic airflow limitations did not result in change of any obesity characteristic versus baseline including fat, body weight, hand grip strength and resting energy expenditure. It was concluded that the clinical use of regular high-doses of beta-2 agonists bynebulizer / Inhalers is not likely to contribute to the weight loss seen in patients with COPD because the beta-2 agonist therapy increases Resting Energy Expenditure in some COPD patients was not confirmed.

In another study, a high dose of Albuterol, 16 mg/day was administered for 6 weeks versus a placebo. It was found that there was an improvement in the

Albuterol group with respect to exercises in the quadriceps muscles. No effect was found with respect to the cross-sectional area of the thigh.

Salbutamol, 12 mg/day versus placebo, was administered to young athletes for 3 weeks who conducted exercise. Salbutamol significantly increased time to exhaustion during exercise. Body weight did not change in salbutamol group versus placebo.

A number of studies in vivo with beta-agonists indicated that lipolysis (reduction of fat) related with beta-2 adrenergic stimulation is impaired in obese versus lean human.

Thus, the use of beta-2 agonists with respect to lipolysis in obese individuals should be of no value.

THE CONCLUSION

The Salbutamol (Albuterol) increases muscles and reduces fat in livestock animals. Application of Salbutamol results in increase in muscles in veals and pigs from 14 to 24% versus control and decrease in back fat from 16 to 25%. Therefore Albuterol can be considered for reduction of fat and for increase in muscles of obese people.

The research in vivo studies of effects of beta-2 agonists against obesity indicated low efficacy in human. Specifically:

a) Only one out of six long term studies showed both increase in muscle and reduction of fat in treated patients.

b) In the study increase in muscles was weak, reduction of fat was moderate and no increase in muscle strength was found;

c) Three studies that have found increase in muscle strength did not find reduction of fat or body weight; and

d) Lipolysis induced by beta-2 agonists is impaired in obese versus lean human that disfavor use of drugs in obese individuals.

However, the oral administration of beta-2 agonist salbutamol (Albuterol) in livestock animals (veals, pigs, poultry) results in increase in muscles and significant reduction of fat. Thus Albuterol could be recommended for reduction of fat of obese people and increase in muscles of obese individuals.

The method based on the above all stated research discloses that oral administration of Albuterol for 6 months significantly reduces fat and increases muscles in human. Thus oral administration of Albuterol helps in reduction of obesity and increase in muscle strength of obese people and a slow release of Salbutamol has been shown to increase voluntary muscle strength in healthy men.

The Albuterol is used to combat obesity in humans. Preferably, 4mg of Albuterol is administered orally twice a day for 6 months. This use results in significant reduction of fat (up to 17%) and increase in muscles (up to 12%) in human.

Thus oral Albuterol can be used for reduction of fat and increase in muscles strength in obese patients. Increase in muscles of patients is highly important and necessary because it permits them to be physically active and able to walk and be active, prolonging their life expectancy and improving their quality of life.

Example: Patients are selected that have a body mass index (BMI) of 30 or greater. Selected patients are stratified by age, gender, and body weight and randomly assigned to receive Albuterol (2 mg 3 times daily) all patients are advised regarding a hypo caloric diet and an exercise regimen. Primary outcomes include changes in body weight (kg), BMI, waist circumference, blood pressure, glucose, lipids and insulin sensitivity.

Favorable changes are seen in the groups for many.

SUPPORTING ARTICLES

Salbutamol: Ergogenic Effects Of Salbutamol

The International Olympic Committee's high index of suspicion over the use of inhaled beta2- adrenergic agonists for the prevention and treatment of exercise-induced asthma is fully justified, if the results of a new study from the Netherlands are anything to go by. This study of the effects of supra-therapeutic doses of inhaled salbutamol on endurance cycling in non-asthmatic athletes found the drug enhanced performance to a significant degree – enough to give users a real advantage in competitive events.

In a double-blind, randomised cross-over study, 16 athletes performed two trials – at least four days apart – in which they had to perform a certain amount of work as fast as possible on a cycle ergometer, 30 minutes after inhaling either 800µg salbutamol or placebo. In the second trial the conditions were reversed, with those taking placebo switched to the active drug, and vice versa. Performance times were recorded and a range of blood and respiratory measurements were taken before and after exercise.

The most important finding was that average performance time on salbutamol was reduced by 82.7 seconds – 3,927.6 seconds (65 minutes), compared with 4,010.2 seconds, a difference of just under 2%. As the researchers point out: 'The relevance of a more than 1-minute improvement in an approximately 1-hour time trial for competitive events is obvious.'

They can offer no explanation for this increase in performance, which was not explained by changes in plasma concentrations of free fatty acids, glycerol, lactate and potassium during exercise, or by changes in ventilatory parameters at rest and after exercise.

The significance of the study is that it is the first to show that inhalation of a supra-therapeutic dose of salbutamol improves time trial performance in non-asthmatic athletes, with previous studies showing no effects on endurance performance.

Why, then, did this one produce a positive result? The researchers suggest that their protocol for measuring endurance performance – performing a given amount of work as fast as possible – may be more sensitive than the time-to-exhaustion tests used in previous trials. Additionally, it seems that at the end of this type of trial subjects perform a 'finishing kick' which is absent during time-to-exhaustion tests.

'It is possible,' they conclude, 'that salbutamol specifically improves this finishing kick.'

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The Alternative Pharmacist

For years, athletes has been using many different types of drugs in order to enhance performance. Typically these fall into amphetamine/beta-agonist, beta-blocker and/or anabolic/androgenic steroids categories. The most interesting of these drugs is the class of beta-agonists.

Beta-agonists such as clenbuterol have been shown to enhance exercise performance, muscular action (gain and retention of fat free mass), and be of use medically for asthma. Athletes and some people on the rave scene even like to use clenbuterol as a party drug.

A newer drug for Americans is Salbutamol. Salbutamol is chemically very similar to clenbuterol and is heavily used in the European circuit. The good news for some is that Salbutamol is readily available here in the United States. In America, Salbutamol is known as albuterol. It comes in tablet, inhaler and parenteral (injectable) forms.

Although many respectable steroid experts have pooh-poohed albuterol, in a recent head to head study (albuterol versus clenbuterol), albuterol was able to enhance muscle size regardless of age.

The use of steroids (in high doses) may be associated with an unfavorable risk for heart disease; the same isn't true for our friend albuterol. In fact, one recent study demonstrated that daily ingestion of albuterol improved cardiac disease risk profile (lower cholesterol, LDL, triglycerides, while raising HDL, the good cholesterol). In this particular study a daily dose of 16 milligrams was employed (8 mg twice daily).

Some other benefits observed with albuterol are improved blood ammonia levels during exercise, enhanced leg strength (and overall strength gains when combined with weight training), and elevated resting energy expenditure. Also of interest is that albuterol has been shown to help improve aerobic (running) performance as well as anaerobic metabolism (which are used in weight training). One other "side effect" of Salbutamol/albuterol ingestion is that it can enhance thyroid hormones, especially the active thyroid hormone, T3.

Of interest to athletes who undergo drug testing is that Salbutamol/albuterol isn't on any banned list, thus it's considered acceptable for athletes to use (remember it's traditionally used for treatment of asthma). The dose most commonly used (tablet/capsular form) for both athletic performance enhancement and fat loss is 16 mg per day. The dose is typically divided into 4 mg. taken four times per day. It can also be taken twice daily, often started at half dose and slowly increased as tolerated.

Doug Kalman