

CLENBUTEROL: THE MYTH VS. THE FACTS

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Ventipulmin is the FDA-approved form of clenbuterol for horses.



IDEAS AND FACTS, RIGHT OR WRONG, CAN LIVE ON FOREVER AND REACH A POINT OF RELIGION ON THE WEB. AND, THE MYTH OF CLENBUTEROL IS FILLED WITH LORE AND HEARSAY. SO, WHAT IS CLENBUTEROL AND WHAT THERAPEUTIC EFFECT DOES IT HAVE ON HORSES?

DEFINING CLENBUTEROL

Clenbuterol falls into a class of drugs called beta-2 agonists, a class which includes the drugs albuterol, Zilmax (zilpaterol chlorhydrate), Optaflexx, Paylean (ractopamine hydrochloride) and many others. The principal effect of a beta-2 agonist is to attach to a beta-2 receptor and turn that receptor “on” to exert an effect. These receptors are present throughout the body, including smooth muscle in the small airways in the lungs. The effect of beta-2 agonists on this smooth muscle is to cause relaxation so the airways open and their diameter increases. This allows air to pass more easily (decreased resistance). That is the therapeutic effect that you want, such as in asthma—open those airways in the lungs and help foreign and inflammatory debris clear.

After racing, many horses have inhaled dirt from kickback in their lungs, and some may have blood in their lungs as well. This opening of the airways is purported to improve clearance of these substances, helping the horse recover from maximal efforts on the racetrack.

Ventipulmin is the FDA-approved form of clenbuterol for horses. Used properly, Ventipulmin helps horses recover from respiratory infections and controls allergic lung diseases. Horsemen should be aware that compounded, or non-FDA-approved, versions of clenbuterol should be avoided at all costs because they are associated with toxicity and a lack of efficacy, purity

and safety and stability information. Some have even been found labeled clenbuterol but actually contain albuterol. That is very important because albuterol is not absorbed by nor passes the liver in amounts that can even be measured, much less have a positive effect.¹

THE MYTH OF CLENBUTEROL

The myth of clenbuterol is that it makes people or horses faster, i.e., a performance-enhancing effect. Clenbuterol has actually been shown to deteriorate aerobic performance in horses. In fact, this drug and likely all other beta-2 agonists, including ractopamine and zilpaterol, not only harm performance but also may be physically damaging and detrimental with long-term use in horses and people.

For example, some bodybuilders use this class of drug for “ripping,” which is the stage they go through to lose body fat to such a severe degree as to make muscle more visible. That is a very dangerous use of a chemical for a cosmetic effect.

Note that I said extreme loss of body fat, not a major increase in muscle. And guess what? The bodybuilders may look better to judges, but they couldn’t run very far or fast and they didn’t gain much muscle with the drug’s use. A certain amount of body fat is essential for running performance.²



Tour de France winner Christopher Froome and other human athletes are allowed to use inhaled beta-2 agonists during major sporting events for exercise-induced asthma because it is understood that there is no performance-enhancing effect.

REPARTITIONING EFFECT

A “repartitioning effect,” wherein the percentage of fat in the body is diminished while the muscle percentage is increased, is very minimal in people.

There are two types of muscle in the body: (1) skeletal muscle, which includes all of the major muscle groups that are active during locomotion, and (2) smooth muscle, such as the tiny airways in the lungs. Clenbuterol at the recommended dose is designed to attach to smooth muscle beta-2 receptors in airways. The repartitioning effect takes place in the skeletal muscle.

So, why is there a massive effect in skeletal muscle in some species? Certain species have many beta-2 receptors in muscle and fat. When the drug is given to those animals (e.g., sheep, cattle, pigs) for a long time, muscle is stimulated and fat is decreased by turning on metabolic pathways that help in these functions. That is how muscle and fat repartitioning occurs. Does this sound like a good thing if you eat these animals? Not really, because the drug concentrates in the liver and other edible tissues to the degree that it can become toxic to humans. In fact, human intoxication and severe disease has been reported after eating meat tainted with clenbuterol worldwide.³⁻⁵ Meat in China has been so heavily tainted with clenbuterol that the Union of Cycliste Internationale, the professional cycling regulatory body, has had to install special regulatory rules on how food is prepared for professional cyclists in these countries.⁵⁻⁸ Let me emphasize: This is not because of any performance-enhancing effect. Clenbuterol does not enhance performance.

The reason clenbuterol is prohibited is because human athletes need to be protected from forced use by unscrupulous or ignorant trainers, doctors, team owners, managers, etc. The goal is to protect human athletes from its harmful effects.^{6,7} It is so well understood that this class of drugs does not enhance performance that star athletes, such as Tour de France winner Christopher Froome, may be allowed to take inhaled beta-2 agonists during major sporting events because of exercise-induced asthma.⁷ So, clearly, this class of drugs is not considered performance-enhancing by regulators of professional human sports.

THE LORE AND THE HARM

The repartitioning effect in pigs, sheep and cattle is fact. The lore is that this happens to the same degree in all species. In horses and people, the number of beta-2 receptors in muscle and fat are comparatively small, and, therefore, these species have different responses and levels of toxicity. In addition, it is well known that the number of receptors decreases the longer the animal is given the drug. This occurs very quickly in people and horses and is termed “down regulation.” In humans, the doses required to achieve any muscle anabolic benefits are associated with significant adverse effects, which include rapid heart rate, cardiac pathology and insomnia.^{9,10} These are detrimental to athletic performance, muscle development and overnight rest and recovery.^{10,11} Post-exercise and overnight rest recovery are actually when one reaps the benefits of training. No matter how much you exercise, the result and benefits occur during recovery.¹¹

Human abusers of clenbuterol try to circumvent down regulation by using periods of drug withdrawal between uses. This protocol fails to lead to an important increase in normal muscle mass. Instead, these drugs lead to a lack of blood flow in the heart and also blood vessel disease in humans.^{12,13} The promise of the use of these drugs is evident in some diseases in humans. However, in normal muscle, the desired result does not occur at an important effective level.¹⁴ In horses, these drugs do decrease fat and increase muscle, but a diet and a little work are far cheaper and come with far less risk and with more effective ability to work.¹⁵⁻¹⁸ In addition, it has been clearly shown that clenbuterol has a negative effect on performance.¹⁵⁻¹⁸ These drugs also have a negative impact on training, since training is performance.

HE LOOKS LIKE HE'S RUNNING

A study showed that the chronic use of clenbuterol at a typical dose of 2.4 mcg/kg resulted in horses tiring more quickly during an exercise test and failing to recover as quickly.¹⁵⁻¹⁸ Clenbuterol-treated horses consistently had a 21 percent decrease in time to fatigue during high-intensity exercise.

A second trial was performed with the same horses. The untreated horses improved. The clenbuterol-treated horses performed even worse.¹⁷ This negative impact of a beta-2 agonist on equine athletes can be applied across all beta-2 agonists and all training and exercising performance.

NOT THE HAIR

Threshold levels of clenbuterol that permit the therapeutic use in horses with pulmonary disease or blood or foreign material in their lungs have been established. These thresholds have been determined to prevent abuse of clenbuterol in racehorses, and guidelines have been put forth. Hair testing has been reserved by the World Anti-Doping Agency for substances that are banned, such as anabolic steroids, which are banned at any time for human athletes. Clenbuterol is not a banned substance in horses, as it has FDA approval and a demonstrated therapeutic benefit for horses in the Ventipulmin form. An appropriate 10-day treatment regime of an FDA-approved therapeutic product can result in tail hair that is positive one year later.¹⁹

To implement a hair-testing program at a racetrack or in a racing jurisdiction, you would have to have a minimum 360-day withdrawal period and likely far longer. This withdrawal period would potentially put the life and health of the animal into danger, removing a safe and effective therapeutic medication from the arsenal of the modern sports medicine veterinarian.

CONCLUSION

The popular Web-, gymnasium- and paddock-driven myths concerning the “beneficial effects” of long-term use of beta-2 agonists in humans and horses are simply untrue. There are no beneficial effects in muscle for performance horses. Any dose given for lengthy periods will cause an impressive negative health and performance consequence. Anabolic effects are not created equal. Chronic use of clenbuterol is a health and performance hazard to both horses and people. However, appropriate use of clenbuterol can aid in the respiratory health of the same athletes.

In human competition, the use of beta-2 agonists at therapeutic doses is actually permitted during the sporting event, further underscoring the fact that beta-2 agonists are not performance-enhancing. Forensic hair analysis as a regulatory sample will also halt the medical use of clenbuterol in horses, a step that could endanger the lives of many horses and prevent modern medical treatment of our precious equine athletes. The motto of several drug testing laboratories can be summarized as “integrity and welfare through science.” We have to remember and balance both when making decisions that involve these marvelous athletes. *h*

NOTES

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