

Clenbuterol (Street Names: Clen)

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Introduction:

Clenbuterol is a potent, long-lasting bronchodilator that is prescribed for human use outside of the U.S. It is abused generally by bodybuilders and athletes for its ability to increase lean muscle mass and reduce body fat (i.e., repartitioning effects). However, clenbuterol is also associated with significant adverse cardiovascular and neurological effects.

Licit Uses:

In the U.S., clenbuterol is not approved for human use; it is only approved for use in horses. In 1998, the FDA approved the clenbuterol-based Ventipulmin Syrup, manufactured by Boehringer Ingelheim Vetmedica, Inc., as a prescription-only drug for the treatment of airway obstruction in horses (0.8-3.2 $\mu g/kg$ twice daily). This product is not intended for human use or for use in food-producing animals.

Outside the U.S., clenbuterol is available by prescription for the treatment of bronchial asthma in humans. It is available in tablets (0.01 or 0.02 mg per tablet) and liquid preparations. The recommended dosage is 0.02-0.03 mg twice daily.

Chemistry and Pharmacology:

Clenbuterol is a beta2-adrenergic agonist. Stimulation of the beta2-adrenergic receptors on bronchial smooth muscle produces bronchodilation. However, clenbuterol, like other beta-adrenergic agonists, can produce adverse cardiovascular and neurological effects, such as heart palpitations, muscle tremors, and nervousness. Activation of beta-adrenergic receptors also accounts for clenbuterol's ability to increase lean muscle mass and reduce body fat, although the downstream mechanisms by which it does so have yet to be clearly defined.

After ingestion, clenbuterol is readily absorbed (70-80%) and remains in the body for awhile (25-39 hours). As a result of its long half life, the adverse effects of clenbuterol are often prolonged.

Illicit Uses:

Clenbuterol is abused for its ability to alter body composition by reducing body fat and increasing skeletal muscle mass. It is typically abused by athletes and bodybuilders at a dose of 60-120 μg per day. It is often used in combination with other performance enhancing drugs, such as anabolic steroids and growth hormone.

It is also illicitly administered to livestock for its repartitioning effects. This has resulted in several

outbreaks of acute illness in Spain, France, Italy, China, and Portugal 0.5-3 hours after individuals ingested liver and meat containing clenbuterol residues. The symptoms, which included increased heart rate, nervousness, headache, muscular tremor, dizziness, nausea, vomiting, fever, and chills, typically resolved within 2 to 6 days. Consequently, the U.S. and Europe actively monitor urine and tissue samples from livestock for the presence of clenbuterol.

There have also been reports of clenbuterol-tainted heroin and cocaine. Although no deaths were attributed to the clenbuterol exposures, the individuals were hospitalized for up to several days due to clenbuterol intoxication.

User Population:

Clenbuterol is typically abused by athletes. It is thought to be more popular among female athletes as the repartitioning effects are not associated with the typical androgenic side effects (i.e., facial hair, deepening of the voice, and thickening of the skin) of anabolic steroids. Professional athletes in several different sports have tested positive for clenbuterol. Clenbuterol is also marketed and abused for weight-loss purposes.

Illicit Distribution:

Clenbuterol is readily available on the Internet as tablets, syrup, and an injectable formulation. The drug is purportedly obtained by illegal importation from other countries where it is approved for human use.

According to the National Forensic Laboratory Information System (NFLIS) and the System to Retrieve Information from Drug Evidence (STRIDE), 21 items/exhibits were identified as clenbuterol in 2009, 24 items/exhibits were identified in 2010 and 3 items/exhibits were identified in the first quarter of 2011. The relatively small numbers of drug seizures are likely a result of low enforcement priority due to the non-controlled status of clenbuterol in the United States.

Control status:

Clenbuterol is currently not controlled under the Controlled Substances Act (CSA). However, clenbuterol is listed by the World Anti-Doping Agency and the International Olympic Committee as a performance enhancing drug; therefore athletes are barred from its use.

Comments and additional information are welcomed by the Drug and Chemical Evaluation Section, Fax 202-307-1263, telephone 202-307-7183, or Email ODE@usdoj.gov.