Cabergoline And Pharmacokinetics

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Cabergoline uses the brand names Dostinex and Cabaser. This is an ergot derivative which acts as a particularly potent dopamine receptor antagonist that is known to be effective on D2 receptors. Studies on rats have shown that this peptide can produce a direct inhibitory effect on the pituitary lactotroph cells within their bodies.

In research, cabergoline is commonly used as one of the first line agents which can manage prolactinomas that have a higher affinity for D2 receptor sites. This peptide will produce lesser side-effects, compared to similar chemicals, and is more convenient because it requires fewer applications than bromocriptine.

Scientists first developed cabergoline through the Italian company Farmitalia-Carlo Erba SpA which was housed in Milan. This company and its products were acquired by Pharmacia in 1992: the company was sold again to Pfizer in 2002. Cabergoline was approved in 1996 by the FDA for use in research for its inhibitory effects. By 2005 the peptide went generic because the patent on this peptide had expired, lowering the cost of running multi-trial research projects.

Pharmacokinetics

A single oral application of cabergoline causes this peptide to be re-absorbed from the gastrointestinal tract, though these results will be quite varied.

- The typical reabsorption rate for cabergoline in animals gastrointestinal tracts can vary from half an hour to up to four hours. Ingesting this chemical with food does not appear to alter the rate of absorption.

- This peptide is only intended for oral use which has eliminated the human bioavailability from research options. The bioavailability of mice and rats has been researched: current figures put this at around 30-63 percent depending on the animal.

- Cabergoline can be extensively and rapidly metabolized within the liver. It is not excreted much through the urine, though this is possible. It is mostly excreted through an animal’s bile.

- All metabolites within this peptide are less active than parenative drugs and some are completely inactive. The average half-life elimination associated with cabergoline is 80 hours.

- The effects of hyperprolactinemia can persist for up to 4 weeks after treatment has been completed.

Cabergoline is considered to be a long acting receptor antagonist for dopamine D2. This has been shown in vitro in rats, creating a direct inhibitory effect which in turn effects the prolactin secretion in the cells of the pituitary lactotroph in these animals.

It has been found that cabergoline will decrease the serum prolactin levels in rats that have ben reserpinized. Studies into receptor binding show that this chemical has a low affinity for dopamine D1 receptors.
Potential Concerns

Some precautions and contradictions have been found when using cabergoline in a research setting.

- Potential cautions in applying this peptide to an animal include Raynaud’s disease, cardiovascular disease, gastroduodenal ulcers, hypotension and active gastrointestinal bleeding.

- Hypersensitivity to ergo derivatives may occur

- Some test subjects have seen severe impairment of the function of their liver, including the development of cholestasis

- There is no current experience with pediatric test subjects.

Co-applications of cabergoline along with chemicals that are mainly metabolized by CYP P450, including ketoconazole or erythromycin, are caused by the increase in plasma levels which could result from these interactions.

Potential Uses for Cabergoline

Research has noted that this peptide has the potential to manage a variety of conditions in animals and has the long-term potential to be used. Potential uses of this peptide include:

- Adjunctive therapy which includes managing prolactin-producing tumors in the pituitary gland.

- Hyperprolactinemia

- Combination therapies with a decarboxylase inhibitor or levodopa could be used to better manage the side effects of Parkinson’s disease. Studies in this capacity are commonly used with carbidopa.

- Monotherapy for early stages of Parkinson’s disease.

- Some countries have also paired cabergoline with the potential to manage ablation and dysfunctions from hyper-prolactinemia.

- Uterine fibroids

- Cushing’s disease

- Pituitary adenomas

Cabergoline has also been found to have potential in managing acromegaly because of the low efficacy that allows
this peptide to suppress the levels of growth hormone. This chemical is very efficient in helping to suppress hyperprolactinemia, which is present in up to 30 percent of these cases. Targeting the prolactin could help to ease symptoms that are not being controlled by other methods.

There are a variety of companies that now provide cabergoline and other peptides to the public. These are intended to be used for research purposes to ensure that laboratories can have a ready supply of these chemicals for studies that will take months or years to complete.

You can often set up a contract with an automatic shipping policy to ensure that you will have the necessary regular deliveries of these products, to complete trials that have been scheduled during research. Be sure to carefully select a supplier that uses the patented synthesizing process for cabergoline to ensure that it will function the way it is anticipated when applied to an animal.

Resource Box:

http://en.wikipedia.org/wiki/Cabergoline

http://www.maximpeptide.com/cabergoline-5mg/