Abarelix (Plenaxis®)

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Drug name: Abarelix is marketed under the trade name PlenaxisTM (Praecis Pharmaceuticals Inc., Waltham, MA).

Classification: Gonadotropin-releasing hormone (GNRH) antagonist.

Action: GNRH, also known as luteinizing hormone-releasing hormone (LHRH), binds to the anterior pituitary gonadotropic cells and stimulates the secretion of luteinizing hormone (LH) and follicle stimulating hormone (FSH). Once LH and FSH enter the circulatory system, they act on the testes, causing them to produce testosterone and dihydrotosterone (DHT), both of which are considered androgens. LH and FSH are needed for the prostate gland to function normally and also have a role in the sustenance of malignant prostate tissue. Abarelix inhibits GNRH from binding to gonadotropic cells, thus preventing the secretion of LH and FSH. The cascade of hormone secretion is interrupted at a very early stage, preventing the production of significant levels of the male hormones testosterone and DHT, which are known to lead to the proliferation of androgen-dependent and androgen-sensitive prostate cancer cells. Unlike currently available LHRH agonists, abarelix does not induce an initial surge of testosterone or other known androgens.

Indications: Abarelix currently is indicated for the palliative treatment of men with advanced symptomatic prostate cancer in whom LHRH agonist therapy is not appropriate or who refuse surgical castration and whom LHRH agonist therapy is not appropriate for the palliative treatment of men with prostate cancer. These immediate onset reactions have been reported to occur following any administration of abarelix, including the initial dose. The cumulative risk of such a reaction increases with the duration of treatment. Other adverse reactions to abarelix that have occurred with increased frequency include hot flashes (79%), sleep disturbance (44%), pain (31%), breast enlargement (30%), breast or nipple tenderness (20%), back pain (17%), constipation (15%), peripheral edema (15%), dizziness (12%), headache (12%), upper respiratory infection (URI) (12%), and diarrhea (11%).

Approximately 20% of patients who received abarelix in clinical trials experienced prolonged QT interval changes on electrocardiograms. Whether this was a direct result of drug administration, androgen deprivation therapy, or other unknown variables has not been determined.

Patients also were found to have elevated serum transaminase levels of alanine aminotransferase (ALT) and aspartate transaminase (AST). Two different studies demonstrated elevated serum ALT levels that were more than 2.5 times the upper levels of normal in 8.2% and 1.8% of patients, respectively, and serum AST levels more than 2.5 times the upper levels of normal in 3.1% and 0.8% of patients, respectively.

As with most GNRH antagonists, a decrease in bone mineral density is possible and should be taken into consideration. Further, because patients can become refractory to abarelix, they should have their serum testosterone levels monitored periodically.

Route and dosage: The recommended dosage of abarelix is 100 mg administered as an IM injection into the buttock on days 1, 15, 29, and every four weeks thereafter. Because of the possibility of a localized skin reaction, injection sites in the right and left buttocks should be alternated with each subsequent injection.

Dilution and reconstitution: Abarelix is supplied as a single-dose, preservative-free, sterile powder that, when reconstituted with