Taj Pharmaceuticals Ltd.

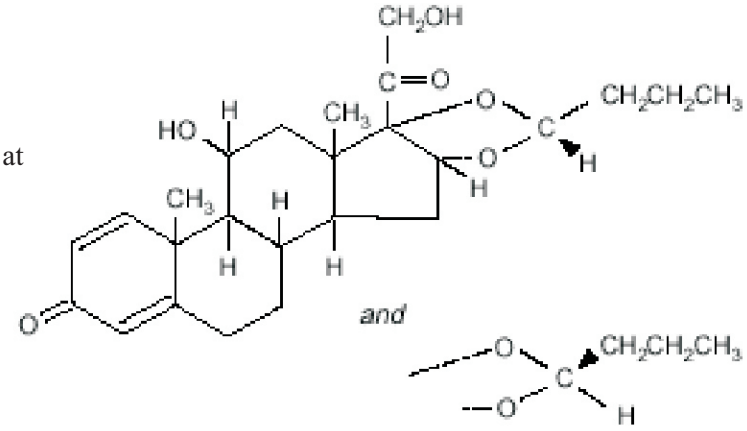
Budesonide

CAS No. : 51333-22-3

CAS number 51333-22-3

ATC code A07EA06 D07AC09, R01AD05, R03BA02

structural formula is:



Formula C25H34O6
Mol. mass 430.534 g/mol
SMILES eMolecules & PubChem
Its partition coefficient between octanol and water at pH 5 is 1.6 x 10.3

Pharmacokinetic data

Bioavailability 100% (but large first pass effect)
Protein binding 85-90%
Metabolism Hepatic CYP3A4
Half life 2.0-3.6 hours
Excretion Renal, Faecal

Chemical data

Budesonide is designated chemically as
(RS)-11-beta, 16-alpha, 17, 21-tetrahydroxypregna-1,
4-diene-3,20-dione cyclic 16, 17-acetal with butyraldehyde.

Budesonide is provided as the mixture of two epimers (22R and 22S).

The empirical formula of budesonide is C25H34O6 and its molecular weight is 430.5.
Budesonide is a white to off-white, odorless powder that is practically insoluble in water and in heptane, sparingly soluble in ethanol, and freely soluble in chloroform.

USES

The budesonide inhaler is used for the control of asthma in persons requiring continuous, prolonged treatment. Such patients may include those with frequent asthmatic episodes requiring bronchodilators.
Budesonide is used to treat Crohn's disease (a condition in which the body attacks the lining of the digestive tract, causing pain, diarrhea, weight loss, and fever). Budesonide is in a class of medications called corticosteroids. It works by decreasing inflammation (swelling) in the digestive tract of people who have Crohn's disease.

DRUG CLASS AND MECHANISM

Budesonide is a man-made glucocorticoid steroid related to the naturally-occurring hormone, cortisol or hydrocortisone which is produced in the adrenal glands. It is used for treating asthma by inhalation.Glucocorticoid steroids such as cortisol or budesonide have potent anti-inflammatory actions that reduces inflammation and hyper-reactivity (spasm) of the airways caused by asthma.

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When used as an inhaler, the budesonide goes directly to the inner lining of the inflamed airways to exert its effects. Only 39% of an inhaled dose of budesonide is absorbed into the body, and the absorbed budesonide contributes little to the effects on the airways.

GENERIC AVAILABLE: No
PRESCRIPTION: Yes

PREPARATIONS

Pulmicort Turbuhaler 200 mcg: each 200 mcg actuation delivers 160 mcg of budesonide.
Pulmicort Respules, 0.25 mg/2ml, 0.5 mg/2ml suspension, and 1 mg/2ml

STORAGE

Budesonide should be stored at room temperature, 20-25 C (68-77 F).

PRESCRIBED FOR

The budesonide inhaler is used for the control of asthma in persons requiring continuous, prolonged treatment. Such patients may include those with frequent asthmatic episodes requiring bronchodilators, for example, albuterol (Ventolin) or those with asthmatic episodes at night.

DOSING

Budesonide is used to prevent asthmatic attacks and should not be used to treat an acute attack of asthma. The Turbuhaler is used for individuals six years of age or older. Effects can be seen within 24 hours, but maximum effects may not be seen for 1-2 weeks or longer. Doses vary widely. Adults usually receive 1 to 4 actuations (puffs) twice daily. Children usually receive 1 to 2 puffs twice daily. For those with mild asthma, treatment once daily may be sufficient.
Pulmicort Respules are used for individuals 12 months to eight years of age. Effects are seen in 2 to 8 days, but maximum effects may not be seen for up to 4 to 6 weeks. Pulmicort Respules are used with a jet nebulizer. They usually are taken as one or two doses for a total of 0.5-1 mg daily.

DRUG INTERACTIONS

Ketoconazole (Nizoral, Extina, Xolegel, Kuric) increases the concentrations in blood of budesonide, and this may lead to an increase in the side effects of budesonide. No drug interactions have been described with inhaled budesonide.

PREGNANCY

When given orally to animals, glucocorticoid steroids similar to budesonide have been shown to cause fetal abnormalities.Studies of pregnant women using inhaled budesonide during early pregnancy, however, do not show an increase in the rate of fetal abnormalities. Nevertheless, since these studies cannot exclude the possibility of rare effects on the fetus, inhaled budesonide should be used with caution during pregnancy.

NURSING MOTHERS

It is not known if budesonide is secreted in breast milk. Other medications similar to budesonide are indeed secreted in breast milk. It is not known whether the small amounts that may appear in breast milk have effects on the infant.

SIDE EFFECTS

The most commonly noted side effects associated with inhaled budesonide are mild cough or wheezing; these effects may be minimized by using a bronchodilator inhaler, for example, albuterol (Ventolin), prior to the budesonide. Oral candidiasis or thrush (a fungal infection of the throat) may occur in 1 in 25 persons who use budesonide without a spacer device on the inhaler.

The risk is even higher with large doses but is less in children than in adults. Hoarseness or sore throat also may occur in 1 in 10 persons. Using a spacer device on the inhaler and washing one's mouth out with water following each use reduces the risk of both thrush and hoarseness. Less commonly, alterations in voice may occur.

High doses of inhaled glucocorticoid steroids may decrease the formation and increase the breakdown of bone leading to weakened bones and ultimately osteoporosis and fractures. High doses may suppress the body's ability to make its own natural glucocorticoid in the adrenal gland. It is possible that these effects are shared by budesonide. People with suppression of their adrenal glands (which can be tested for by the doctor) need increased amounts of glucocorticoid steroids orally or intravenously during periods of high physical stress, for example, during infections, to prevent serious illness and shock.

Hypersensitivity reactions such as anaphylaxis, rash, contact dermatitis, itching, angioedema, and bronchospasm have been reported with use of inhaled budesonide. Use should be discontinued if such reactions occur.

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The Controlled Substances Act (CSA) was enacted into law by the Congress of the United States as Title II of the Comprehensive Drug Abuse Prevention and Control Act of 1970.[1] The CSA is the federal U.S. drug policy under which the manufacture, importation, possession, use and distribution of certain substances is regulated. The Act also served as the national implementing legislation for the Single Convention on Narcotic Drugs

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