

Generic Name Etizolam

Trade Name Suprabenz



1. NAME OF THE MEDICINAL PRODUCT: Etizolam

2. QUALITATIVE AND QUANTITATIVE COMPOSITION:

Each film coated tablet contains:

Etizolam J.P.....0.5mg
Excipients.....qs
Colour.....Titanium Dioxide I.P.

Each film coated tablet contains:

Etizolam J.P.....0.25mg
Excipients.....qs
Colour.....Titanium Dioxide I.P.

3. PHARMACEUTICAL FORM: Film coated tablet

4. CLINICAL PARTICULARS

4.1 Therapeutic Indications: For anxiety disorder associated with depression

4.2 Posology and Method of Administration:

An initial oral dose of one tablet of 0.5mg twice a day increased depending upon individual response to 1 mg 2 to 3 times daily.

4.3 Contraindications

Etizolam should not be used in patients with a history of sensitivity to benzodiazepines, nor in patients with clinical or biochemical evidence of significant liver disease. It may be used in patients with open angle glaucoma who are receiving appropriate therapy but is contraindicated in acute narrow angle glaucoma.

4.4 Special Warnings and Special Precautions for Use

Caution should be exercised in patients with history of lung insufficiency, muscle weakness, impaired liver or kidney function, alcoholism or drug addiction, elderly, during pregnancy and breastfeeding. Etizolam is

contraindicated in patients with increased eye pressure, coma, sleep disorder, porphyria, severe lung disease and hypersensitivity.

It may cause dizziness or drowsiness, lack of attentiveness, concentration or ability of reflexive motion.

Avoid driving a car or operating machinery requiring alertness. Do not drink alcoholic beverage because it may cause this medicine to work excessively.

4.5 Interaction with Other Medicinal Products and Other Forms of Interaction

Drug interactions have been reported with CNS depressants phenothiazines, barbiturates and alcohol; MAO inhibitors and Fluvoxamine maleate.

4.6 Fertility, Pregnancy and Lactation:

Pregnancy Category C Animal reproduction studies have shown an adverse effect on the fetus and there are no adequate and well-controlled studies in humans, but potential benefits may warrant use of the drug in pregnant women despite potential risks.

Nursing Mothers It should most certainly not be used during pregnancy due to the risk of the drug passing into the breast milk. For similar reasons it should not be used during pregnancy or when attempting to become pregnant without medical supervision from a doctor.

4.7 Effects on Ability to Drive and Use Machines:

Patient should avoid driving a car or operating machinery requiring alertness. Patient should not drink alcoholic beverage because it may cause this medicine to work excessively.

4.8 Undesirable Effects

These are some of the typical effects and side effects experienced by people who use Etizolam. Not everyone will experience all of the effects listed and many can be dose-dependent. Overall, Etizolam is reported to be “well tolerated with little side effects” when prescribed.

Physical	Mental
Decrease in energy	Mood enhancement
Decreased heart rate	Relaxation
Impaired coordination	Reduced anxiety
Sleepiness	Lowered inhibitions
Respiratory depression	Sedative effects
Blurred vision	Mental confusion
Yawning	Short term memory loss
Constricted pupils	
Decreased appetite	
Nausea and vomiting	
Muscle relaxation	
Dry mouth	
Headache	
Involuntary eye closure	

Rebound insomnia (prolonged use)	
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4.9 Overdose

Overdose using Etizolam have been reported. Although Etizolam has a lower LD50 than certain benzodiazepines, the LD50 is still far beyond the prescribed or recommended dose. Many lethal Etizolam overdoses were due to drug interactions.

In case of overdose do not stop your medication without the instructions of your doctor.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic Properties

Mainly acts on Limbic system and ascending reticular formation in the CNS and binds to BZD receptor. The binding will facilitate GABA mediated chloride channel opening and produce hyperpolarisation. This will produce an increase in the concentration of the inhibitory neurotransmitter GABA and chloride ions and decrease firing rate of neuron. These in turn alter normal function of the body.

5.2 Pharmacokinetic Properties

Absorption and Distribution

Etizolam, a thienodiazepine derivative, is absorbed fairly rapidly. Oral doses have an onset of 30-60 minutes and peak at 3-4 hours. The duration is generally 6-8 hours although higher doses can last longer. Usual after effects are between 1-5 hours although many users report little after effects, especially if they have had a 7-8 hour period of uninterrupted sleep after use. It is distributed widely in the body in protein bound form.

Metabolism and Elimination

Etizolam is purportedly metabolized by the hydroxylation of the methyl group and that of the ethyl group by the cytochrome P450 (CYP) enzymes. It is excreted mainly in the urine, elimination half life of about 3 and a half hours. However, Etizolam has an active main metabolite, alphahydroxyetizolam with an elimination half-life of about 8.2 hours.

5.3 Preclinical Safety Data

Few studies on fertility, development and teratogenicity in laboratory animals have been published in Japanese language. When given orally to male rats for 63 days and to female rats for 14 days, etizolam (1, 5, and 25 mg/kg/day) had no significant effects on fertility, fetal mortality, and development. When given to dams from day 17 of gestation to day 21 after delivery, etizolam (5, 25, and 100 mg/kg/day) inhibited body weight gain and spontaneous movements but had no significant effect on duration of pregnancy and delivery. The development of offspring was normal when the dose was < 1 mg/kg.⁵⁵ When given to rabbits (5 and 25 mg/kg) and mice (100 mg/kg), etizolam inhibited growth; and when given to mice at 500 mg/kg/day, etizolam induced teratogenic

effects.⁵⁶ The maximal safe dose of etizolam in pregnant mice, rats, and rabbits was 50, 25, and 0.25 mg/kg body weight/day, respectively. No data are available on genotoxic and carcinogenic effects of etizolam

6. PHARMACEUTICAL PARTICULARS

6.1 Shelf-life: 24 Months

6.2 Special Precautions for Storage: Store in a cool, dry place below 25 deg C, Protected from light

6.3 Nature and Contents of Container: 10X10 Tablets Blister Packs

Marketed By:

Alkem Laboratories Limited,
Alkem House, S.B. Road,
Lower Parel (West)
Mumbai 400013