

R-Pride

(Itopride hydrochloride)

آر۔ پرائیڈ

(آئیٹوپرائیڈ ہائیڈروکلورائیڈ)

COMPOSITION:

R-Pride (Itopride hydrochloride) is an orally active gastroprokinetic agent.

R-Pride Tablets contain 50 mg of Itopride hydrochloride. The tablet has been formulated to provide immediate release.

It is chemically designated as N-[4-[2-(Dimethylamino)ethoxy]phenyl]methyl-3,4-dimethoxybenzamide hydrochloride. Its empirical formula is $C_{18}H_{22}N_2O_4 \cdot HCl$ and molecular weight is 394.89 g/mol.

INDICATIONS:

R-Pride (Itopride hydrochloride) is used in the treatment of gastrointestinal symptoms of

- Functional dyspepsia
- Non-ulcer dyspepsia
- Sensation of bloating
- Early satiety
- Upper abdominal pain or discomfort
- Anorexia
- Heartburn
- Nausea
- Vomiting

DOSAGE AND ADMINISTRATION:

The usual adult dosage is 150 mg of itopride hydrochloride (3 tablets) per oral administration daily in three divided doses before meals. The dose may be reduced according to the patient's age and symptoms.

PHARMACOLOGIC PROPERTIES:

Mechanism of action: **R-Pride** enhances the release of acetylcholine (ACh) through dopamine D2-receptor antagonistic action and inhibits the decomposition of released acetylcholine through its acetylcholine esterase (AChE) inhibitory action and exhibits prokinetic effect on gastrointestinal motility by synergism of the same.

PHARMACODYNAMICS:

R-Pride (Itopride hydrochloride) also has antiemetic action through interaction with D2 receptors located in the chemoreceptor trigger zone.

R-Pride (Itopride hydrochloride) has been shown to accelerate gastric emptying in humans. The action of **R-Pride** is highly specific for the upper gastrointestinal tract. **R-Pride** does not affect serum gastrin levels.

PHARMACOKINETIC PROPERTIES:

Absorption: **R-Pride** (Itopride hydrochloride) is rapidly and almost completely absorbed from the gastrointestinal tract. Relative bioavailability is calculated to be 60% due to liver first pass metabolism. There is no effect of food on bioavailability. Peak plasma levels (C_{max} 0.28 μ g/mL) are reached after 50 mg of itopride hydrochloride intake.

Following multiple oral doses ranging from 50 mg to 200 mg tid, itopride hydrochloride and its metabolites showed linear pharmacokinetics over a treatment period of seven days, with minimal accumulation.

Distribution: Approximately 96% of itopride hydrochloride is bound to plasma proteins. Albumin accounts for most of the binding. Alpha-1-acid-glycoprotein account for less than 15% of binding.

Metabolism: Itopride hydrochloride undergoes extensive hepatic metabolism in humans. Three metabolites have been identified, of which only one exerts minor activity without pharmacological relevance. The primary metabolite in humans is the N-oxide, generated by oxidation of the tertiary amine N-dimethyl group. In the experiments using microsomes that express a human CYP or flavine containing monooxygenase (FMO), it emerged that FMO (FMO1 and FMO3 as molecular species) were involved in the production of the main metabolic N-oxide form. However, no involvement of CYP enzyme (CYP1A2, -2A6, -2B6, -2C8, -2C9, 2C19, 2D6, 2E1, or 3A4) was detected. **Excretion:** Itopride hydrochloride and its metabolites are primarily excreted in the urine. The urinary excretion of itopride hydrochloride and its N-oxidase were 3.7% and 75.4% respectively. In healthy subjects after oral administration of a single therapeutic dose the terminal phase half-life of itopride hydrochloride was approximately six hours.

CONTRAINDICATIONS:

R-Pride (Itopride hydrochloride) is contraindicated in patients with a history of hypersensitivity to any ingredients of this product. **R-Pride** (Itopride hydrochloride) should not be used in patients in whom an increase in gastrointestinal motility could be harmful for example gastrointestinal hemorrhage, mechanical obstruction or perforation.

PRECAUTIONS:

This drug should be used with caution since it enhances the action of acetylcholine. This drug should not be consumed continuously for an extended period when no improvement of gastrointestinal symptoms is observed.

PREGNANCY AND LACTATION:

There are no adequate and well-controlled studies in pregnant women. Therefore **R-Pride** (Itopride hydrochloride) should not be used during pregnancy unless the benefits outweigh the potential risks. There are no known effects of **R-Pride** on labor or delivery.

Because **R-Pride** (Itopride hydrochloride) excreted in milk, and because of the potential for adverse reactions in nursing infants, a decision should be made whether to discontinue nursing or to discontinue the drug, taking into account the importance of the drug to the mother.

PEDIATRIC USE:

The safety of this product in children has not been established (There is limited clinical experience).

GERIATRIC USE:

Since the elderly often have a physiological hypofunction, they are prone to adverse reactions and should thus be closely monitored. If adverse reactions are evident, appropriate measures such as reduction or cessation of the drug should be implemented.

ADVERSE REACTIONS:

Following adverse events have been reported.

Blood and lymphatic system disorders; Leukopenia and thrombocytopenia

Immune system disorders; Anaphylactoid reactions

Endocrine disorders; Increased prolactin level and gynecomastia

Nervous system disorders; Dizziness, headache and tremors

Gastrointestinal disorders; Diarrhea, constipation, abdominal pain, increased saliva and nausea

Hepatobiliary disorders; Jaundice

Skin and subcutaneous tissue disorders; Rash, redness and itching

Investigations; Increased AST (SGOT), increased ALT (SGPT), increased gamma-GTP, increased alkaline phosphatase and increased bilirubin.

OVERDOSE:

There have been no reported cases of overdose in humans. In case of excessive overdose the usual measures of gastric lavage and symptomatic therapy should be applied.

STORAGE:

Store in a cool and dry place.

Do not use beyond the expiration date.

HOW SUPPLIED:

R-Pride (Itopride hydrochloride) tablets are film coated and contain 50 mg. of active ingredient itopride hydrochloride in Allu Allu blister pack of 10's.

خوراک: ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔

ہدایت: ٹھنڈی اور خشک جگہ پر بچوں کی پہنچ سے دور رکھیں۔

اور سورج کی روشنی سے بچائیں۔

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