Metoclon

(Metoclopramide) BP

2ml Injection, 10mg Tablet & 50ml Syrup

COMPOSITION:

Metoclon Syrup:

Each 5ml syrup contains:
Metoclopramide Hydrochloride Anhydrous……5mg
(As Metoclopramide Hydrochloride Monohydrate BP)
Product Specification: BP

Metoclon Tablet:

Each tablet contains:
Metoclopramide Hydrochloride Anhydrous……10mg
(As Metoclopramide Hydrochloride Monohydrate BP)
Product Specification: BP

Metoclon Injection:

Each 2ml ampoule contains:
Metoclopramide Hydrochloride Anhydrous……10mg
(As Metoclopramide Hydrochloride Monohydrate BP)
Product Specification: BP

PHARMACOLOGICAL ACTION:

Metoclopramide stimulates motility of the upper gastrointestinal tract without stimulating gastric, pancreatic or biliary secretions. Its mode of action is unclear. It seems to sensitize tissues to the action of acetylcholine. Metoclopramide increases the tone and amplitude of gastric (especially antral) contractions, relaxes the pyloric sphincter and the duodenal bulb, and increases peristalsis of the duodenum and jejunum resulting in accelerated gastric emptying and intestinal transit. It increases the resting tone of the lower esophageal sphincter. It has little, if any effect on the motility of the colon or gallbladder. In patients with gastroesophageal reflux and low LESP (lower esophageal sphincter pressure), single oral doses of Metoclopramide produce dose-related increases in LESP.

The antiemetic properties of Metoclopramide appear to be a result of its antagonism of central and peripheral dopamine receptors. Dopamine produces nausea and vomiting by stimulation of the medullary chemoreceptor trigger zone (CTZ), and Metoclopramide blocks stimulation of the CTZ by agents like l-dopa or apomorphine which are known to increase dopamine levels or to possess dopamine-like effects. Metoclopramide also abolishes the slowing of gastric emptying caused by apomorphine.

Like the phenothiazines and related drugs, which are also dopamine antagonists, Metoclopramide produces sedation and may produce extrapyramidal reactions, although these are comparatively rare Metoclopramide inhibits the central and peripheral effects of apomorphine, induces release of prolactin and causes a transient increase in circulating aldosterone levels, which may be associated with transient fluid retention.

The onset of pharmacological action of Metoclopramide is 1-3 minutes following an intravenous dose, 10-15 minutes following intramuscular administration, and 30-60 minutes following an oral dose; pharmacological effects persist for 1 to 2 hours.

PHARMACOKINETICS:

Metoclopramide is rapidly and almost completely absorbed from the gastrointestinal tract after oral doses, although conditions such as vomiting or impaired gastric motility may reduce absorption. However, it under goes hepatic first pass metabolism, which varies considerably between subjects, and hence absolute bioavailability and plasma concentrations are subject to wide interindividual variation. On average, the bioavailability of oral metoclopramide in about 75%, but it varies between about 30 and 100%. Peak plasma concentrations of metoclopramide occur about 1 to 2 hours after an oral dose.

Metoclopramide is widely distributed in the body, with an apparent volume of distribution of about 3.5 litres/kg. It readily crosses the blood-brain barrier into the CNS. It also freely crosses the placenta, and has been reported to attain concentrations in fetal plasma about 60 to 70% of those in maternal plasma. Concentrations higher than those in maternal plasma may be reached in breast milk particularly in the early puerperium, although concentrations decrease somewhat in the late puerperium.

Elimination of metoclopramide is biphasic, with a terminal elimination half-life of about 4 to 6 hours, although this may be prolonged in renal impairment, with consequent elevation of plasma concentration. It is excreted in the urine, about 85% of a dose being eliminated in 72 hours, 20 to 30% as unchanged metoclopramide and the remainder as sulfate or glucuronide conjugates, or as metabolites. About 5% of a dose is excreted in faeces via the bile.

INDICATIONS:

Dyspepsia, flatulence, gastritis, duodenitis, oesophageal reflux, duodenal reflux, upper abdominal pain, abdominal distention, epigastric fullness, delayed gastric emptying, nausea & vomiting of various origins and associated with gastrointestinal disorders & as adjuvant in intubation & bronchoscopy.

CONTRAINDICATIONS:

Metoclon is contraindicated in patients with known hypersensitivity to the drug in epilepsy and in patients receiving other drugs which are likely to cause extra pyramidal reactions.

PRECAUTIONS:

In one study in hypertensive patients, intravenously administered Metoclopramide was shown to release catecholamines; hence, caution should be exercised when Metoclopramide is used in patients with hypertension.

ADVERSE EFFECTS:

Metoclon Syrup, Tablet & Injection are very well tolerated generally but rarely mild transient side effects may occur including drowsiness, dizziness and headache. At higher intravenous doses Metoclon injection, like other phenothiazines, can very rarely cause extra pyramidal symptoms which can be prevented by administration of diphenhydramine.

DOSAGE & ADMINISTRATION:

Metoclon Syrup:

Children:

under 1 year (up to 10kg)	: 1ml twice daily.
1 to 3 years (10 to 14kg)	: 1ml two to three times daily.
3 to 5 years (15 to 19kg)	: 2ml two or three times daily.
5-9 years age	: 1/2 teaspoonful 3 times daily.
9-14 years age	: 1 teaspoonful 3 times daily.

Adults & above 15 years age : 2 teaspoonful 3 times daily

Metoclon Tablet 10mg:

Children:	
1-5 years age	: As advised by the physician.
5-9 years age	: 1/4 tablet 3 times daily.
Children: 9-14 years age	: 1/2 tablet 3 times daily.
Adults & above 15 years age	: 1 tablet 3 times daily.

Metoclon Injection 10mg/2ml Ampoule:
Metoclon injection 10mg/2ml should be administered IM or slow IV three times daily to adults. To patients less than 15 years, Metoclon injection 10mg/2ml, 1/2 injection or 5mg should be administered IM or slow IV.

Administration in Renal or Hepatic Impairment:
Since Metoclopramide is excreted principally through the kidneys, in those patients whose creatinine clearance is below 40ml/min, therapy should be initiated at approximately one-half the recommended dosage. Depending upon clinical efficacy and safety considerations, the dosage may be increased or decreased as appropriate.
Metoclopramide undergoes minimal hepatic metabolism, except for simple conjugation. It's safe use has been described in patients with advanced liver disease whose renal function was normal.

Administration in Pregnancy and Neonates:
The drug is contraindicated during first trimester of pregnancy. In other stages of pregnancy, Metoclon should only be administered if considered very very essential. No Neonatal studies have been published.

DRUG INTERACTIONS:
The effects of Metoclopramide on gastrointestinal motility are antagonized by anticholinergic drugs and narcotic analgesics. Additive sedative effects can occur when Metoclopramide is given with alcohol, sedatives, hypnotics, narcotics or tranquilizers.
The finding that Metoclopramide releases catecholamines in patients with essential hypertension suggests that it should be used cautiously, if at all, in patients receiving monoamine oxidase inhibitors.
Absorption of drugs from the stomach may be diminished (e.g., digoxin) by Metoclopramide, whereas the rate and/or extent of absorption of drugs from the small bowel may be increased (e.g., acetaminophen, tetracycline, levodopa, ethanol, cyclosporine).
Gastroparesis (gastric stasis) may be responsible for poor diabetic control in some patients. Exogenously administered insulin may begin to act before food has left the stomach and lead to hypoglycemia.Because the action of Metoclopramide will influence the delivery of food to the intestines and thus the rate of absorption, insulin dosage or timing of dosage may require adjustment.

WARNINGS:
Mental depression has occurred in patients with and without prior history of depression. Symptoms have ranged from mild to severe and have included suicidal ideation and suicide. Metoclopramide should be given to patients with a prior history of depression only if the expected benefits outweigh the potential risks. Extrapyramidal symptoms, manifested primarily as acute dystonic reactions, occur in approximately 1 in 500 patients treated with the usual adult dosages of 30 -40mg/day of Metoclopramide. These usually are seen during the first 24-48 hours of treatment with Metoclopramide, occur more frequently in children and young adults, and are even more frequent at the higher doses. These symptoms may include involuntary movements of limbs and facial grimacing, torticollis, oculogyric crisis, rhythmic protrusion of tongue, bulbar type of speech, trismus, or dystonic reactions resembling tetanus. Rarely, dystonic reactions may present as stridor and dyspnea, possibly due to laryngospasm. If these symptoms should occur, 50mg of diphenhydramine Hydrochloride (Benadryl) injection should be given intramuscularly, and they usually will subside. Benztropine mesylate (Cogentin) injection, 1-2mg intramuscularly, may also be used to reverse these reactions. Parkinsonian-like symptoms have occurred, more commonly within the first 6 months after beginning treatment with Metoclopramide, but occasionally after longer periods. These symptoms generally subside within 2-3 months following discontinuance of Metoclopramide. Patients with pre-existing Parkinson's disease should be given Metoclopramide cautiously, if at all, since such patients may experience exacerbation of parkinsonian symptoms when taking Metoclopramide.

AVAILABILITY:

Metoclon Syrup	: Pack of 50ml Syrup.
Metoclon Tablet 10mg	: Pack of 10x10 Tablets.
Metoclon Injection 10mg/2ml	: Pack of 10x2ml Ampoules. Pack of 20x2ml Ampoules.

STORAGE:

Tablet:
- Store below 30°C.
- Protect from heat, light and moisture.

Injection and Syrup:
- Store below 30°C.
- Protect from heat and light .

Keep all medicines out of the reach of children.

Manufactured by :
Indus Pharma (Pvt.) Ltd.
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