Summary of Product Characteristics

1. NAME OF THE MEDICINAL PRODUCT

Esomeprazole Magnesium Tablets 20 mg (ESOPRAZ 20)

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each enteric coated tablet contains:

Esomeprazole Magnesium Trihydrate USP

Eq. to Esomeprazole……20 mg

Excipient of Known Effect: Mannitol

For the full list of excipients, see section 6.1.

3. PHARMACEUTICAL FORM

Enteric Coated Tablet

4. CLINICAL PARTICULARS

4.1 Therapeutic Indication

ESOPRAZ 20 are indicated for the treatment and long-term management of gastroesophageal reflux disease (GERD), including erosive esophagitis and symptom relief. They are also used with antibiotics to treat and prevent relapse of *Helicobacter pylori*-associated ulcers, for healing and preventing NSAID-associated gastric ulcers, and in the management of Zollinger-Ellison syndrome.

4.2 Posology and Method of Administration Posology

Adults

Gastroesophageal Reflux Disease (GERD) Treatment of erosive reflux esophagitis 40 mg once daily for 4 weeks.
Additional 4 weeks if not healed or symptoms persist.

Long-term management (healed esophagitis)

20 mg once daily

Symptomatic treatment (without esophagitis)

20 mg once daily

If no relief in 4 weeks, further investigation needed.

On-demand 20 mg may be used (not recommended with NSAIDs).

H. pylori Eradication & Ulcer Prevention

With antibiotics for duodenal ulcer healing & prevention

20 mg Esomeprazole + 1 g Amoxicillin + 500 mg Clarithromycin Twice daily for 7 days.

NSAID-Associated Ulcers

Healing

20 mg once daily for 4–8 weeks.

Prevention

20 mg once daily.

After I.V. Prevention of Peptic Ulcer Rebleeding

40 mg once daily for 4 weeks. **Zollinger-Ellison Syndrome** 40 mg twice daily.
Adjust dose as needed. Divide doses if >80 mg/day.

Special population
Renal Impairment
No dose adjustment needed. Use caution in severe renal insufficiency.

Hepatic Impairment

No dose adjustment for mild to moderate impairment. In severe liver impairment, do not exceed 20 mg daily.
Elderly

No dose adjustment required.
Paediatric Population
Adolescents (12 years and older)
Gastroesophageal Reflux Disease (GERD)

Erosive esophagitis: 40 mg once daily for 4 weeks (extend if needed) Long-term management: 20 mg once daily
Symptomatic treatment: 20 mg once daily (reassess if no improvement after 4 weeks)
H. pylori-Associated Duodenal Ulcer

Use as part of appropriate combination therapy under specialist supervision.

Method of Administration

The tablets should be swallowed whole with liquid. The tablets should not be chewed or crushed. For patients who have difficulty in swallowing the tablets can also be dispersed in half a glass of non-carbonated water. No other liquids should be used as the enteric coating may be dissolved. Stir until the tablets disintegrate and drink the liquid with the pellets immediately or within 30 minutes. Rinse the glass with half a glass of water and drink. The pellets must not be chewed or crushed.
For patients who cannot swallow, the tablets can be dispersed in non-carbonated water and administered through a gastric tube. It is important that the appropriateness of the selected syringe and tube is carefully tested.

4.3 Contraindications

Hypersensitivity to Esomeprazole, substituted Benzimidazoles or any of the components of this medication.
Esomeprazole should not be used concomitantly with Nelfinavir.

4.4 Special Warnings and Precautions for Use

Alarm Symptoms
In case of symptoms like unintentional weight loss, vomiting, difficulty swallowing, or gastrointestinal bleeding, malignancy should be excluded as Esomeprazole may mask these signs.

Long term use

Patients on long-term treatment (especially over a year) should be regularly monitored.

On demand treatment

Patients should consult their doctor if symptoms change while on on-demand therapy.

Helicobacter pylori eradication

Check for possible interactions with other drugs in the triple therapy, especially clarithromycin which affects CYP3A4.

Gastrointestinal infections

PPIs may slightly increase the risk of infections like Salmonella and Campylobacter.

Absorption of vitamin B12

Long-term use may reduce B12 absorption, especially in at-risk patients.

Hypomagnesaemia

Prolonged use may cause low magnesium levels. Monitor in patients on long-term therapy or those taking drugs like digoxin or diuretics.

Risk of fracture

High-dose or long-term use may slightly increase the risk of bone fractures, especially in older adults or those with risk factors.

Subacute cutaneous lupus erythematosus (SCLE)

Rare cases reported. Discontinue if skin lesions or joint pain appear, particularly with sun exposure.

Combination with other medicinal products

Avoid use with atazanavir. Monitor interactions with CYP2C19-metabolized drugs like clopidogrel. On-demand use may affect drug levels.

Serious cutaneous adverse reactions (SCARs)

Rare but serious skin reactions (e.g., SJS, TEN, DRESS) may occur. Discontinue immediately if symptoms appear and avoid re-challenge.

Interference with laboratory tests

May increase Chromogranin A (CgA) levels. Stop Esomeprazole 5 days before testing for accurate results.

4.5Interaction with other medicinal products and other forms of interaction

Effects of esomeprazole on the pharmacokinetics of other medicinal products

Protease inhibitors

Esomeprazole may reduce levels of atazanavir and nelfinavir due to increased gastric pH and CYP2C19 inhibition. Co-administration with nelfinavir is contraindicated and not recommended with atazanavir. Even increased doses of atazanavir do not overcome the interaction. Esomeprazole has no effect on darunavir, amprenavir, or lopinavir exposures. It may increase saquinavir levels. **Methotrexate**

PPIs may increase methotrexate levels. Consider temporary withdrawal of esomeprazole during high-dose methotrexate therapy.

Tacrolimus

Esomeprazole may increase tacrolimus levels. Monitor levels and renal function, adjust dose if needed.

Medicinal products with pH dependent absorption

Esomeprazole may affect the absorption of drugs like ketoconazole, itraconazole, erlotinib (↓ absorption), and digoxin (↑ absorption). Monitor digoxin, especially in elderly on high doses.

Medicinal products metabolised by CYP2C19

Esomeprazole may increase levels of drugs metabolised by CYP2C19, such as diazepam, citalopram, phenytoin, etc. Dose adjustments may be needed, especially with on-demand use.

Diazepam

Esomeprazole reduces diazepam clearance by 45%.

Warfarin

No significant effect on INR in trials, but rare reports of elevated INR. Monitor INR when starting/stopping esomeprazole.

Effects of other medicinal products on the pharmacokinetics of esomeprazole

Medicinal products which inhibit CYP2C19 and/or CYP3A4

Drugs like clarithromycin or voriconazole may significantly increase esomeprazole levels. Dose adjustment is generally not needed, but may be considered in hepatic impairment or long-term use**.**

Medicinal products which induce CYP2C19 and/or CYP3A4

Inducers like rifampicin and St. John's wort may reduce esomeprazole levels.

Paediatric population

Interaction studies have only been performed in adults.

4.6 Fertility, pregnancy and lactation Pregnancy

Esomeprazole should be used with caution during pregnancy. Its use is only recommended if clearly needed.

Breast-feeding

It is not known if esomeprazole passes into breast milk. Its use during breastfeeding is not recommended.

Fertility

Esomeprazole administration does not indicate effects with respect to fertility.

4.7 Effects on ability to drive and use machines

Esomeprazole may cause dizziness or blurred vision. If affected, patients should not drive or operate machinery.

4.8 Undesirable effects

Summary of the safety profile

Headache, abdominal pain, diarrhoea and nausea are among those adverse reactions that have been most commonly reported in clinical trials (and also from post-marketing use). In addition, the safety profile is similar for different

formulations, treatment indications, age groups and patient populations. No dose-related adverse reactions have been identified.

Tabulated list of adverse reactions

The following adverse drug reactions have been identified or suspected in the clinical trials programme for esomeprazole and post-marketing. None was found to be dose-related. The reactions are classified according to frequency: very common (≥ 1/10); common (≥1/100 to <1/10); uncommon (≥1/1,000 to <1/100); rare (≥1/10,000 to <1/1,000); very rare (<1/10,000); not known (cannot be estimated from the available data).

Blood and lymphatic system disorders

Rare: Leukopenia, thrombocytopenia.

Very rare: Agranulocytosis, pancytopenia.

Immune system disorders

Rare: Hypersensitivity reactions e.g. fever, angioedema and anaphylactic reaction/shock.

Metabolism and nutrition disorders

Uncommon: Peripheral oedema.

Rare: Hyponatraemia.

Not known: Hypomagnesaemia (see section 4.4); severe hypomagnesaemia can correlate with hypocalcaemia. Hypomagnesaemia may also be associated with hypokalaemia.

Psychiatric disorders

Uncommon: Insomnia.

Rare: Agitation, confusion, depression.

Very rare: Aggression, hallucinations.

Nervous system disorders

Common: Headache.

Uncommon: Dizziness, paraesthesia, somnolence.

Rare: Taste disturbance.

Eye disorders

Rare: Blurred vision.

Ear and labyrinth disorders

Uncommon: Vertigo.

Respiratory, thoracic and mediastinal disorders

Rare: Bronchospasm.

Gastrointestinal disorders

Common: Abdominal pain, constipation, diarrhoea, flatulence, nausea/vomiting, fundic gland polyps (benign).

Uncommon: Dry mouth.

Rare: Stomatitis, gastrointestinal candidiasis.

Not known: Microscopic colitis.

Hepatobiliary disorders

Uncommon: Increased liver enzymes.

Rare: Hepatitis with or without jaundice.

Very rare: Hepatic failure, encephalopathy in patients with pre-existing liver disease.

Skin and subcutaneous tissue disorders

Uncommon: Dermatitis, pruritus, rash, urticaria.

Rare: Alopecia, photosensitivity.

Very rare: Erythema multiforme, Stevens-Johnson syndrome, toxic epidermal necrolysis (TEN), drug reaction with eosinophilia and systemic symptoms (DRESS).

Not known: Subacute cutaneous lupus erythematosus (see section 4.4).

Musculoskeletal and connective tissue disorders

Uncommon: Fracture of the hip, wrist or spine (see section 4.4).

Rare: Arthralgia, myalgia.

Very rare: Muscular weakness.

Renal and urinary disorders

Very rare: Interstitial nephritis; in some patients renal failure has been reported concomitantly.

Reproductive system and breast disorders

Very rare: Gynaecomastia.

General disorders and administration site conditions

Rare: Malaise, increased sweating.

Reporting of suspected adverse reactions

Reporting suspected adverse reactions after authorisation of the medicinal product is important. It allows continued monitoring of the benefit/risk balance of the medicinal product. Healthcare professionals are asked to report any suspected adverse reactions via pharmacy and poisons board, Pharmacovigilance Electronic Reporting System (PvERS) https://pv.pharmacyboardkenya.org

4.9 Overdose

There is very limited experience to date with deliberate overdose. The symptoms described in connection with 280 mg were gastrointestinal symptoms and weakness. Single doses of 80 mg esomeprazole were uneventful. No specific antidote is known. Esomeprazole is extensively plasma protein bound and is therefore not readily dialyzable.

Treatment

As in any case of overdose, treatment should be symptomatic and general supportive measures should be utilized.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic Properties

Pharmacotherapeutic group: Proton Pump Inhibitor. **ATC-Code:** A02BC05

Mechanism of Action

Esomeprazole is a weak base and is concentrated and converted to the active form in the highly acidic environment of the secretory canaliculi of the parietal cell, where it inhibits the enzyme H+K+-ATPase – the acid pump and inhibits both basal and stimulated acid secretion.

5.2 Pharmacokinetic Properties Absorption

Esomeprazole is acid labile and is administered orally as enteric-coated granules. In vivo conversion to the R-isomer is negligible. Absorption of esomeprazole is rapid, with peak plasma levels occurring approximately 1-2 hours after dose. The absolute bioavailability is 64% after a single dose of 40 mg and increases to 89% after repeated once daily administration. For 20 mg esomeprazole the corresponding values are 50% and 68% respectively.

Distribution

The apparent volume of distribution at steady state in patients is approximately

0.22 l/kg body weight. Esomeprazole is 97% plasma protein bound.

Biotransformation

Esomeprazole is completely metabolised by the cytochrome P450 system (CYP). The major part of the metabolism of esomeprazole is dependent on the polymorphic CYP2C19, responsible for the formation of the hydroxy- and desmethyl metabolites of esomeprazole. The remaining part is dependent on another specific isoform, CYP3A4, responsible for the formation of esomeprazole sulphone, the main metabolite in plasma.

Elimination

Esomeprazole is rapidly cleared from plasma with no accumulation during once-daily use. It is primarily metabolized, with its major metabolites having no effect on acid secretion. Around 80% is excreted in urine as metabolites, with minimal unchanged drug excreted.

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Mannitol

Sodium Carbonate Anhydrous
Microcrystalline Cellulose (M.C.C.P Avicel-102) Croscarmellose sodium
Povidone (P.V.P.K-30)
Isopropyl Alcohol
Crospovidone (Crospovidone XL) Sodium Lauryl Sulfate
Magnesium Stearate
Sodium Starch Glycolate (Type A) Purified Talc
Colloidal Anhydrous Silica
Aqueous enteric coat DR coat
ECA Sael Coat DR coat seal

6.2 Incompatibilities

Not Applicable.

6.3 Shelf life

36 months

6.4 Special precautions for storage

Store in a cool & dry place. Protect from light and temperature not exceeding 30°C.

6.5 Nature and contents of container

7 tablets are packed in Alu-Alu blister pack. Such 4 blisters are packed in a printed carton with packing insert.

6.6 Special precautions for disposal and other handling

No special requirements.

7. MARKETING AUTHORISATION HOLDER

Marketing Authorisation:

HighChem Marketing Limited
Mogadishu Road Industrial
Area,
P.O BOX 30467-00100, Nairobi, Kenya.

Manufacturing Site:

AMBIX HEALTHCARE LLP

84, Nirmal Industrial Park,
GIDC, Gozaria, Dist-
Mehsana – 382825, Gujarat
State, India
E-mail: info@ambixhealthcare.com

8. MARKETING AUTHORISATION NUMBER(S)

H2017/CTD5357/105

9. DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

N/A

10. DATE OF REVISION OF THE TEXT

06/08/2026