Part II

Summary of Product Characteristics

1 NAME OF THE MEDICINAL PRODUCT

Diarrest Oral Solution

2 QUALITATIVE AND QUANTITATIVE COMPOSITION

Active ingredients:

Each 5ml contains:

Loperamide hydrochloride	1.0	mg
Potassium chloride	40.0	mg
Sodium chloride	50.0	mg
Sodium citrate	50.0	mg

Equivalent to approximately:

Potassium	0.5	mmol/5 ml
Sodium	1.4	mmol/5 ml
Chloride	1.4	mmol/5 ml

For excipients see 6.1.

3 PHARMACEUTICAL FORM

Oral Solution
Straw coloured liquid with a cream soda/orange odour.

4 CLINICAL PARTICULARS

4.1 Therapeutic Indications

Adults:

As an adjunct in the management of acute diarrhoea together with appropriate fluid and electrolyte replacement.

In the symptomatic control of diarrhoea associated with chronic inflammatory bowel disease, e.g. Crohn's disease and ulcerative colitis; as an adjunct to specific measures such as corticosteroids and sulphasalazine. Use in these conditions should be under specialist supervision.

In the adjunctive short-term control of post surgical diarrhoea, including ileostomy.

Children:

For the occasional use in the control of intractable diarrhoea under specialist supervision. Since persistent diarrhoea may be an indicator of a potentially serious condition, the underlying cause must always be investigated.

4.2 Posology and method of adminstration

For oral administration.

Diarrest Oral Solution administration should be accompanied by an adequate daily fluid intake.

If no improvement in the condition of the patient is seen within two days of commencing treatment then further investigation into the underlying cause of the diarrhoea should be performed.

Adults, the elderly and children over 12 years:

Initially two to four 5 ml spoonfuls should be taken followed by two 5 ml spoonfuls three times daily.

No more than ten 5ml spoonfuls of Diarrest Oral Solution should be taken daily.

Children under 12 years:

Not recommended, except under specialist supervision for occasional use in the control of intractable diarrhoea.

The dosage may need to be adjusted for patients with hepatic impairment.

4.3 Contraindications

As with any other medicament loperamide should not be given to patients who exhibit a hypersensitivity reaction.

It should not be administered to children less than 12 years of age.

Loperamide should not be used in conditions were there is a need for peristalsis, e.g.:

when constipation or ileus is present
or
when abdominal distension develops
or
in patients with acute ulcerative colitis or pseudomembranous colitis associated with broad spectrum antibiotics

Loperamide should not be used alone in cases of acute dysentery.

4.4 Special warnings and precautions for use

Care should be taken when administering loperamide to patients with hepatic dysfunction because of its considerable first-pass metabolism in the liver. In the case of liver dysfunction, relative overdose may occur.

4.5 Interaction with other medicinal products and other forms of interaction

No interactions have been reported for loperamide.

4.6 Pregnancy and lactation

Although studies in animals have not contained any reports of teratogenicity for loperamide, it should not be used in pregnancy as no specific studies concerning its effect on the human foetus are available. Although the concentrations of loperamide excreted in breast milk are extremely low, it should only be administered to breast feeding mothers if considered essential by the physician.

4.7 Effects on ability to drive and use machines

Loperamide does not affect the ability to drive or operate machinery.

4.8 Undesirable effects

Reported adverse events have included paralytic ileus (paralysis of the intestinal muscle), abdominal cramps and bloating. Loperamide may also cause skin reactions including urticaria, nausea, vomiting, constipation, tiredness, drowsiness, dizziness and dry mouth.

4.9 Overdose

Loperamide overdosage can cause constipation, ileus, miosis, muscular hypertonia, sleepiness and bradypnoea. These effects can be reversed using the opioid antagonist naloxone. Patients should be monitored for at least 48 hours after naloxone administration, especially children and patients with hepatic dysfunction. Gastric lavage, or induced emesis and/or enema or laxatives may be recommended.

5 PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Loperamide is a piperidine derivative with antidiarrhoeal activity. It is used in the management of diarrhoea. Loperamide binds to opioid receptors in the gut wall, inhibiting peristalsis and intestinal secretions.

5.2 Pharmacokinetic properties

Loperamide is not well absorbed after oral administration with about 40% of a dose absorbed from the gastro-intestinal tract. Peak plasma concentrations are detected about 4 hours after ingestion. Loperamide is mainly metabolised in the liver. Elimination is essentially via the faeces though some loperamide is excreted in the urine. The elimination half-life is 7-14 hours.

5.3 Preclinical safety data

Not applicable.

6 PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Ethanol 96%
Glycerol
Propylene glycol
Sodium propylhydroxybenzoate (E217)
Sodium ethylhydroxybenzoate
Sodium methylhydroxybenzoate (E219)
Saccharin sodium
Citric acid monohydrate
Sunset yellow (E110)
Cream soda flavour
Orange flavour
Purified water

Each 5ml spoonful contains 36.3mg of sodium

6.2 Incompatibilities

Not applicable.

6.3 Shelf Life

24 months.

6.4 Special precautions for storage

Do not store above 25^{o}C.

6.5 Nature and contents of container

Amber glass bottles with polypropylene caps and High Density Polyethylene (HDPE) containers with polyvinyl chloride (PVC) caps containing a straw coloured liquid with a cream soda/orange odour and flavour.

Pack sizes: 100, 150 and 200ml.

6.6 Special precautions for disposal of a used medicinal product or waste materials derived from such medicinal product and other handling of the product

No special requirements.

7 MARKETING AUTHORISATION HOLDER

Galen Limited
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8 MARKETING AUTHORISATION NUMBER

PA 185/36/1

9 DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

Date of first authorisation: 27 November 1998

Date of last renewal: 27 November 2003

10 DATE OF REVISION OF THE TEXT

January 2005