Professional Information for LEBALAX

SCHEDULING STATUS

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1. NAME OF THE MEDICINE

LEBALAX 5 mg suppositories

LEBALAX 10 mg suppositories

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

LEBALAX 5 mg: Each suppository contains 5 mg bisacodyl.

LEBALAX 10 mg: Each suppository contains 10 mg bisacodyl.

Sugar free.

For the full list of excipients, see section 6.1.

3. PHARMACEUTICAL FORM

Suppositories.

LEBALAX 5 mg: White to off-white spindle suppository.

LEBALAX 10 mg: White to off-white spindle suppository.

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

For the relief of occasional constipation.

4.2 Posology and method of administration

Unless otherwise prescribed by your doctor, the following dosages are recommended:

Adults and children 12 years and over

One 10 mg suppository as a single daily dose.

Children 1 - 12 years

One 5 mg suppository as a single daily dose.

Method of administration

The suppositories should be unwrapped and inserted into the rectum pointed end first.

Bowel movements is generally produced within 15 minutes to one hour.

4.3 Contraindications

- Hypersensitivity to bisacodyl or to any of the ingredients of LEBALAX listed in section 6.1.
- In cases of ileus, intestinal obstruction, undiagnosed symptoms, or acute surgical abdominal conditions like acute appendicitis, acute inflammatory bowel disease or in severe dehydration.
- When anal fissures or ulcerative proctitis with mucosal damage are present.

Safety in pregnancy and breastfeeding has not been established (see section 4.6).

4.4 Special warnings and precautions for use

LEBALAX should not be used in the event of abdominal pain, nausea and vomiting.

LEBALAX 5 mg should not be used by children without medical advice.

LEBALAX should not be used on a continuous daily basis for more than five days without investigating the cause of constipation.

Using LEBALAX often or for a long period may result in dependence on laxatives and loss of normal bowel function.

Long-term everyday use of stimulant laxatives may harm the intestinal function and should be avoided. If laxatives are needed every day the cause of the constipation should be investigated.

LEBALAX should only be used if a therapeutic effect cannot be achieved by a change of diet or the administration of bulk forming medicines.

Prolonged excessive use may lead to fluid and electrolyte imbalance, hypokalaemia, and possible an atonic non-functioning colon.

Intestinal loss of fluids can promote dehydration. Symptoms may include thirst and oliguria. In patients suffering from fluid loss where dehydration may be harmful (e.g. renal insufficiency, elderly patients), LEBALAX should be discontinued and only be restarted under medical supervision.

Stimulant laxatives including LEBALAX do not help with weight loss (see section 5.1).

Patients may experience haematochezia (blood in stool) that is generally mild and self-limiting.

If symptoms worsen during the use of LEBALAX, a health care provider should be consulted.

Dizziness and/or syncope have been reported in patients who have taken LEBALAX. The details available for these cases suggest that the events would be consistent with defaecation syncope (or syncope attributable to straining at stool), or with a vasovagal response to abdominal pain related to the constipation, and not necessarily to the administration of bisacodyl itself.

The use of suppositories may lead to painful sensations and local irritation, especially in patients with anal fissures and ulcerative proctitis.

Repeated use may cause inflammation of the rectum or sloughing of the epithelium. Caution is advised in cases of inflammatory bowel disease, rectal fissures or ulcerated haemorrhoids.

4.5 Interaction with other medicines and other forms of interaction

The concomitant use of diuretics or adreno-corticosteroids may increase the risk of electrolyte imbalance if excessive doses of LEBALAX are taken.

Electrolyte imbalance may lead to increased sensitivity to cardiac glycosides.

The concomitant use of other laxatives may enhance the gastrointestinal side effects of LEBALAX.

4.6 Fertility, pregnancy and lactation

Pregnancy

Safety in pregnancy and breastfeeding has not been established. There are no adequate and well-controlled studies in pregnant women. Long experience has shown no evidence of undesirable or damaging effects during pregnancy.

Breastfeeding

Clinical data show that neither the active moiety of LEBALAX (BHPM or bis-(p- hydroxyphenyl)-pyridyl-2-methane) nor its glucuronides are excreted into the milk of healthy lactating females.

LEBALAX suppositories should not be taken in pregnancy, especially the first trimester, and during breast feeding.

Fertility

No studies on the effect on human fertility have been conducted.

4.7 Effects on ability to drive and use machine

No studies on the effects of LEBALAX on the ability to drive and use machines have been performed.

However, patients should be advised that due to a vasovagal response (e.g. to abdominal spasm) they may experience dizziness and / or syncope. If patients experience abdominal spasm, they should avoid potentially hazardous tasks such as driving or operating machinery.

4.8 Undesirable effects

Summary of the safety profile:

The most frequently reported adverse reactions during treatment are abdominal pain and diarrhoea.

Structured list of adverse reactions:

Immune system disorders

Less frequent: hypersensitivity reactions (including isolated cases of anaphylactoid reactions, angioedema)

Metabolism and nutrition disorders

Less frequent: dehydration

Nervous system disorders

Less frequent: dizziness, syncope

Dizziness and syncope occurring after taking bisacodyl appear to be consistent with a vasovagal response (e.g. to abdominal spasm, defaecation).

Gastrointestinal disorders

Frequent: abdominal cramps, abdominal pain, diarrhoea, nausea

Less frequent: haematochezia (blood in stool), vomiting, abdominal discomfort, anorectal discomfort, colitis (including ischaemic colitis).

Reporting of suspected adverse reactions

Reporting suspected adverse reactions after authorisation of LEBALAX is important. It allows continued monitoring of the benefit/risk balance of LEBALAX. Health care providers are asked to report any suspected adverse reactions via the **"6.04 Adverse Drug Reaction Reporting Form"**, found online under SAHPRA's publications: https://www.sahpra.org.za/Publications/Index/8.

4.9 Overdose

Symptoms

If high doses are taken watery stools (diarrhoea), abdominal cramps and a clinically significant loss of fluid, potassium and other electrolytes can occur.

Laxatives when taken in chronic overdose may cause chronic diarrhoea, abdominal pain, hypokalaemia, secondary hyperaldosteronism and renal calculi. Renal tubular damage, metabolic alkalosis and muscle weakness secondary to hypokalaemia have also been described in association with chronic laxative abuse.

Therapy

Replacement of fluids and correction of electrolyte imbalance may be required. This is especially important in the elderly and the young. Administration of antispasmodics may be of value. Treatment must be symptomatic and supportive.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Category and class: A 11.5 Laxatives

ATC code*:* A06AB02

Bisacodyl is a locally acting contact laxative from the diphenylmethane derivatives group. Bisacodyl stimulates after hydrolysis in the large intestine, the mucosa of both large intestine and of the rectum. Stimulation of the mucosa of the large intestine results in colonic peristalsis with promotion of accumulation of water, and consequently electrolytes, in the colonic lumen. This results in a stimulation of defecation, reduction of transit time and softening of the stool.

As a laxative that acts on the colon, bisacodyl specifically stimulates the natural evacuation process in the lower region of the gastrointestinal tract. Therefore, bisacodyl is ineffective in altering the digestion or absorption of calories or essential nutrients in the small intestine.

5.2 Pharmacokinetic properties

Absorption

After oral and rectal administration, clinically insignificant amounts of bisacodyl are absorbed as it is almost completely conjugated in the intestinal wall and the liver to form the inactive BHPM

glucuronide.

Following the administration as a suppository, the laxative effect occurred on average approximately between 20 and 45 minutes post administration.

Biotransformation

Following either oral or rectal administration, bisacodyl is rapidly hydrolysed to the active principle bis-(p-hydroxyphenyl)-pyridyl-2-methane (BHPM), mainly by esterases of the enteric mucosa.

Elimination

Bisacodyl is mainly excreted in the stool and excreted in the urine as a BHPM glucuronide.

5.3 Preclinical safety data

No further information of relevance available.

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Witepsol S-55 (hard fat).

6.2 Incompatibilities

Not applicable.

6.3 Shelf life

3 years.

6.4 Special precautions for storage

Store at or below 25 °C, protected from light and moisture.

Keep the blister strip in the outer carton until required for use.

6.5 Nature and contents of container

LEBALAX suppositories are packed in PVC-based peel off blister strips containing 5 suppositories per blister strip, placed in an outer carton.

Pack size: 10 suppositories.

6.6 Special precautions for disposal and other handling

To remove suppository, tear one from the strip along the perforation then peel it from the container by pulling apart the tabs at the top of the suppository.

7. HOLDER OF CERTIFICATE OF REGISTRATION

LeBasi Pharmaceuticals (Pty) Ltd

San Domenico Building, Unit 6, Ground Floor

10 Church Street

Durbanville

7551

8. REGISTRATION NUMBER

LEBALAX 5 mg: 55/11.5/0446

LEBALAX 10 mg: 55/11.5/0447

9. DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

25 January 2022

10. DATE OF REVISION OF THE TEXT